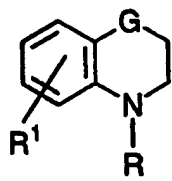




INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

<p>(51) International Patent Classification ⁶ : C07D 223/16, 243/12, 243/14, 215/02, A61K 31/55, 31/47, C07D 401/00, 403/00, 417/00, 405/00, 409/00, 413/00</p>	A1	<p>(11) International Publication Number: WO 95/34540</p> <p>(43) International Publication Date: 21 December 1995 (21.12.95)</p>
<p>(21) International Application Number: PCT/JP95/01124</p> <p>(22) International Filing Date: 7 June 1995 (07.06.95)</p> <p>(30) Priority Data: 6/132355 15 June 1994 (15.06.94) JP 7/70727 3 March 1995 (03.03.95) JP</p> <p>(71) Applicant (for all designated States except US): OTSUKA PHARMACEUTICAL COMPANY, LIMITED [JP/JP]; 9, Kandatsukasa-cho 2-chome, Chiyoda-ku, Tokyo 101 (JP).</p> <p>(72) Inventors; and (75) Inventors/Applicants (for US only): OGAWA, Hidenori [JP/JP]; 25-18, Nakakirai-Aza-Nakasenishinokoshi, Matsushige-cho, Itano-gun, Tokushima 771-02 (JP). KONDO, Kazumi [JP/JP]; 55-11, Nakakirai-Aza-Inamoto, Matsushige-cho, Itano-gun, Tokushima 771-02 (JP). YAMASHITA, Hiroshi [JP/JP]; Horiuchi-biru 202, 5-11, Yushima 4-chome, Bunkyo-ku, Tokyo 113 (JP). KAN, Keizo [JP/JP]; 5-2, Kanaoka, Kawauchi-cho, Tokushima-shi, Tokushima 771-01 (JP). MATSUZAKI, Takayuki [JP/JP]; 89-105, Minamishimada-cho 2-chome, Tokushima-shi, Tokushima 770 (JP). SHINOHARA, Tomoichi [JP/JP]; Sun-Village 605, 140, Kokuwajima-Aza-Maehama,</p>		<p>Muya-cho, Naruto-shi, Tokushima 772 (JP). TANADA, Yoshihisa [JP/JP]; 19-3, Saita-Aza-Higashibari, Muya-cho, Naruto-shi, Tokushima 772 (JP). KURIMURA, Muneaki [JP/JP]; Naruto-Grand-Heights 503, 252, Kokuwajima-Aza-Maehama, Muya-cho, Naruto-shi, Tokushima 772 (JP). TOMINAGA, Michiaki [JP/JP]; 310-6, Takaiso, Kamiita-cho, Itano-gun, Tokushima 771-13 (JP). YABUUCHI, Yoichi [JP/JP]; 900-25, Omatsu, Kawauchi-cho, Tokushima-shi, Tokushima 771-01 (JP).</p> <p>(74) Agents: AOYAMA, Tamotsu et al.; Aoyama & Partners, IMP Building, 3-7, Shiromi 1-chome, Chuo-ku, Osaka-shi, Osaka 540 (JP).</p> <p>(81) Designated States: AU, CA, CN, KR, MX, US, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE).</p> <p>Published With international search report.</p>
<p>(54) Title: BENZOHETEROCYCLIC DERIVATIVES USEFUL AS VASOPRESSIN OR OXYTOCIN MODULATORS</p> <p>(57) Abstract</p> <p>A benzoheterocyclic derivative of formula (1) and pharmaceutically acceptable salts thereof, which show excellent anti-vasopressin activity, vasopressin agonistic activity and oxytocin antagonistic activity, and are useful as a vasopressin antagonist, vasopressin agonist or oxytocin antagonist.</p> <div style="text-align: center;">  <p>(1)</p> </div>		

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DESCRIPTION

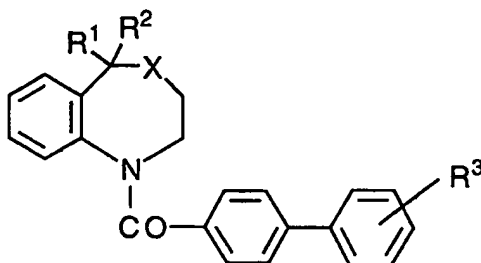
BENZOHETEROCYCLIC DERIVATIVES USEFUL AS VASOPRESSIN
OR OXYTOCIN MODULATORS5 Technical Field

The present invention relates to novel benzoheterocyclic derivatives having excellent vasopressin antagonistic activities, vasopressin agonistic activities and oxytocin antagonistic activities.

Background Art

10 Various benzoheterocyclic compounds analogous to the compounds of the present invention have been known to have anti-vasopressin activities in European Patent Publication No. 0382128 (published on August 15, 1990), WO 91/05549 (published on May 2, 1991), WO 91/16916 (published on November 14, 1991), WO 94/08582 (published on April 28, 1994), WO 94/12476 (published on June 9, 1994), JP-A-5-320135 (published on December 3, 1993), JP-A-6-16643 (published on January 25, 1994), and JP-A-6-157480 (published on June 3, 1994), among which, for example, JP-A-6-16643 discloses the following compounds.

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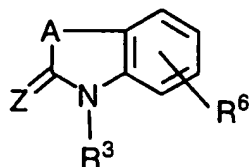


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Some other literatures disclose various benzoheterocyclic compounds which are analogous to the compounds of the present invention in the chemical structure but are different in the pharmacological properties. For example, EP-A-294647 discloses some analogous compounds having positive inotropic action, vasodilating activity and platelet agglutination inhibiting activity, wherein the intermediate compounds of the following formula are also disclosed.

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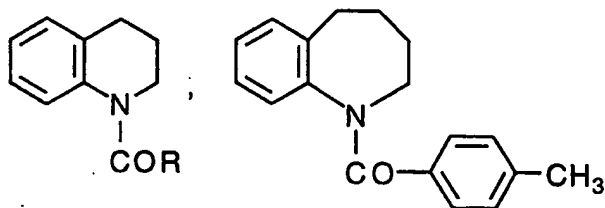


5 U.S. Patents 3,542,760 (issued November 24, 1970), 3,516,987 (issued June 23, 1970) and 3,458,498 (issued July 29, 1969) disclose also the following compounds which are useful as diuretics, hypoglycemics, antibacterials or anti-convulsants.



J. Chem. Soc. Perkin Trans., 1, 1985, pp. 1381-1385 discloses the following compounds but does not mention any pharmacological activity thereof.

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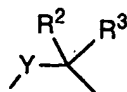


Disclosure of Invention

An object of the present invention is to provide a benzoheterocyclic derivative of the following formula [1]:



wherein G is a group of the formula: or a group of the formula:



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R¹ is a hydrogen atom, a halogen atom, a lower alkyl group, a lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, an amino-lower alkoxy group having optionally a substituent selected from a lower alkyl group and a lower alkanoyl group, an amino group having optionally a lower alkyl substituent, a carboxy-substituted lower alkoxy group, a lower alkoxycarbonyl-substituted lower alkoxy group, or an aminocarbonyl-lower alkoxy group having optionally a lower alkyl substituent,

R² is a group of the formula: -NR⁴R⁵ (in which R⁴ and R⁵ are the same or different, and each a hydrogen atom, a lower alkyl group having optionally a hydroxy substituent or a benzoyl group having optionally a halogen substituent on the phenyl ring); a hydrogen atom; a hydroxy group; a lower alkoxy group; a carboxy-substituted lower alkyl group; a cyano-substituted lower alkyl group; a tetrazolyl-substituted lower alkyl group; a lower alkanoyloxy-substituted lower alkyl group; a lower alkoxycarbonyl-substituted lower alkyl group; an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent; a lower alkoxycarbonyl-substituted lower alkoxy group; a carboxy-substituted lower alkoxy group; a lower alkanoyl group; or a group of the formula: -(O)_m-A-(CO)_uNR⁶R⁷ (in which m and u are each 0 or 1, but both m and n should not be simultaneously 0, A is a lower alkylene group, R⁶ and R⁷ are the same or different and each a hydrogen atom, a lower alkoxy group, a lower alkyl group, an amino-substituted lower alkyl group having optionally a lower alkyl substituent, a carbamoyl-substituted lower alkyl group, an adamantyl-substituted lower alkyl group, a lower alkylsulfonyl group, or a phenyl group having optionally a halogen substituent, or R⁶ and R⁷ may bind together with the nitrogen atom to which they bond to form a 5- to 7-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, said heterocyclic group being optionally substituted by a lower alkyl group or a phenyl-lower alkyl group),

R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, or R² and R³ may bind together to form an oxo group, a lower alkylidene group, a lower alkoxy-substituted lower alkylidene group, a lower alkoxycarbonyl-substituted lower alkylidene group, or a phenyl-substituted lower alkylidene group,

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R is a pyridylcarbonyl group which may optionally have a substituent selected from a phenyl group having optionally a lower alkyl substituent and a pyridyl group on the pyridine ring; a 9-oxofluorenyl group; a quinolylycarbonyl group having optionally a phenyl substituent on the quinoline ring; an
 5 adamantylcarbonyl group; a thienylcarbonyl group having optionally a phenyl substituent on the thiophene ring; a thiazolylcarbonyl group having optionally a phenyl substituent on the thiazole ring; a cycloalkylcarbonyl group; or a group of the formula:

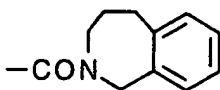


(in which p is 1 or 2, R^8 is a hydrogen atom, a lower alkyl group, a hydroxy group, an amino group having optionally a lower alkanoyl substituent, a nitro group, a halogen atom or a lower alkoxy group, R^9 is a group of the formula:

15 $-NR^{10}R^{11}$ (in which R^{10} is a hydrogen atom, a lower alkyl group, or a lower alkanoyl group having optionally a halogen substituent, R^{11} is a lower alkyl group, a lower alkanoyl group having optionally a substituent selected from a halogen atom and a hydroxy group, a cycloalkyl group, a phenyl-lower alkyl group having optionally a substituent selected from a lower alkyl group and a
 20 halogen atom on the phenyl ring, and having optionally a hydroxy substituent on the alkyl moiety, a phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, a phenoxy-lower alkanoyl group having optionally a substituent selected from a lower alkyl group, a phenyl group, a lower alkoxy group, a halogen-substituted lower alkyl group, an amino group
 25 having optionally a lower alkyl substituent, a nitro group, a lower alkanoyl-substituted amino group and a halogen atom on the phenyl ring, and having optionally a halogen substituent on the lower alkanoyl moiety, an amino-carbonyl group having optionally a substituent selected from a lower alkyl group, a pyridyl-lower alkyl group and a phenyl-lower alkyl group, a lower
 30 alkoxy carbonyl group having optionally a halogen substituent, a lower alkoxy-substituted lower alkanoyl group, a lower alkanoyloxy-substituted lower alkanoyl group, a phenoxy-lower alkoxy carbonyl group, a benzofurylcarbonyl group, a benzimidazolylcarbonyl group, a quinolylycarbonyl group, a quinoly-

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oxy-substituted lower alkanoyl group, a phenyl-lower alkoxy-carbonyl group, a

group of the formula: , a tetrahydroisoquinolinylcarbonyl group,

a benzoyl-lower alkyl group, a tetrahydroquinolyloxy-substituted lower alkanoyl group having optionally a substituent selected from a lower alkyl group and an
 5 oxo group on the quinoline ring, a lower alkylsulfonyl group, a pyridyl-lower alkoxy-carbonyl group, a fluorenyl-lower alkoxy-carbonyl group, a lower alkenyloxy-carbonyl group, a tetrahydronaphthylloxy-substituted lower alkanoyl group, a phenyl-lower alkenylcarbonyl group, a piperidinyllower alkoxy-carbonyl group having optionally a substituent selected from a lower alkanoyl
 10 group, a lower alkoxy-carbonyl group and a lower alkyl group on the piperidine ring, or R¹⁰ and R¹¹ may bind together with the nitrogen atom to which they bond to form an isoindoline ring); a hydrogen atom; a lower alkanoyloxy group; a lower alkanoyl group; a lower alkoxy group; a benzoyl group having optionally a lower alkyl substituent on the phenyl ring; a cycloalkyl group; a
 15 lower alkyl group; a lower alkylthio group; a phenyl-lower alkanoyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl group having optionally a substituent selected from a lower alkyl group, a lower alkoxy group, a phenyl-lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, a halogen-substituted lower alkoxy group, a nitro group, an
 20 amino group having optionally a lower alkanoyl substituent, a phenyl group, and an amino-substituted lower alkoxy group having optionally a lower alkyl substituent; a phenoxy group; a phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; an anilino-lower alkyl
 25 group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkoxy group having optionally a substituent selected from a halogen atom, a lower alkoxy-carbonyl group and an aminocarbonyl group having optionally a substituent selected from a lower alkyl group and an amino-substituted lower alkyl group having optionally a lower alkyl substituent on the
 30 phenyl ring; a benzoyl-lower alkoxy group having optionally a halogen

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substituent on the phenyl ring; a phenyl-lower alkenyl group having optionally a halogen substituent on the phenyl ring; a benzoyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a pyrrolidinyl-substituted lower alkoxy group; a saturated or unsaturated 5- to 11-membered

5 heteromonocyclic or heterobicyclic group having 1 to 4 heteroatoms selected from a nitrogen atom, an oxygen atom and a sulfur atom, and said heterocyclic group being optionally substituted by 1 to 3 groups selected from a lower alkyl group, a phenyl group, a lower alkanoyl group, a halogen atom, a phenyl-lower alkyl group and an oxo group; a cycloalkenyl group; a phenyl-lower alkylamino-

10 carbonyl group; an aminosulfonyloxy group having optionally a lower alkyl substituent; a cyano group; or a group of the formula: $-(A)_m-CHR^{12}R^{13}$ (in which A is the same as defined above, R^{12} is a hydrogen atom, a hydroxy group or a lower alkanoyloxy group, R^{13} is a phenyl group having optionally a lower alkyl substituent or a phenyl-lower alkyl group having optionally a lower

15 alkyl substituent on the phenyl ring, and m is 0 or 1)),

X is a methylene group, a single bond, a group of the formula: $=CH-$ or a group of the formula: $-NR^{14}-$ (in which R^{14} is a hydrogen atom, a lower alkyl group or a lower alkanoyl group),

Y is a group of the formula: $-NRA$ (in which R^A is a hydrogen atom, a lower alkoxy-carbonyl-substituted lower alkyl group, a carboxy-substituted lower alkyl group or a group of the formula: $-ACONR^B R^C$ (in which R^B and R^C are the same or different and each a hydrogen atom or a lower alkyl group, or R^B and R^C may bind together with the nitrogen atom to which they bond to form a 5- to 7-

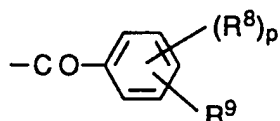
20 membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, and said heterocyclic group optionally being substituted by a lower alkyl group)),

provided that when R^2 is a group of the formula: $-NR^4 R^5$ (in which R^4 and R^5 are the same or different and each a hydrogen atom, a lower alkyl group or a benzoyl group), a hydrogen atom, a hydroxy group, a lower alkoxy-carbonyl-

30 substituted lower alkoxy group, a carboxy-substituted lower alkoxy group, a lower alkoxy group, a lower alkanoyloxy-substituted lower alkyl group, a group of the formula: $-(O)_m-A-(CO)_u NR^6 R^7$ (m and u are the same as defined above, R^6 and R^7 are the same or different and each a hydrogen atom or a lower alkyl

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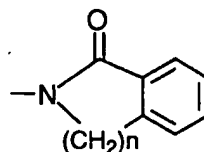
group, or R^6 and R^7 may bind together with the nitrogen atom to which they bond to form a 5- to 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, and said heterocyclic group optionally being substituted by a lower alkyl group), or an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent; or R^2 and R^3 may bind together to form an oxo group or a lower alkylidene group; and when R is a group of the formula:



and R^8 in said group is a hydrogen atom, a lower alkyl group, a hydroxy group, a halogen atom, a lower alkoxy group or an amino group, then R^9 should not be a hydrogen atom, a phenyl-lower alkoxy carbonyl group, nor a group of the formula: $-NR^{10}R^{11}$ (R^{11} is a lower alkanoyl group or a phenoxy-lower alkanoyl group having optionally 1 to 3 substituents selected from a lower alkyl group and a lower alkoxy group on the phenyl ring), or

when R^1 is a hydrogen atom, R^2 is a hydrogen atom, an amino group, a mono-lower alkylamino group or a di-lower alkylamino group, or R^2 and R^3 may bind together to form an oxo group, then R^9 should not be a phenyl group having optionally a substituent selected from a hydroxy group, a lower alkyl group, a lower alkoxy group and a lower alkanoyloxy group on the phenyl ring, or

when R^9 is a group of the formula:



(n is 1 or 2), and G is a group of the formula:  (R^2 and R^3 are the same

as defined above), then X should not be a methylene group nor a group of the formula: $=CH-$, or

when one of R^{10} and R^{11} is a hydrogen atom, the other should not be a

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lower alkyl group,
or a pharmaceutically acceptable salt thereof.

The present inventors have intensively studied and have found that the compounds of the formula [1] and a pharmaceutically acceptable salt thereof have excellent vasopressin antagonistic activities, vasopressin
5 agonistic activities and excellent oxytocin antagonistic activities.

The compounds of the formula [1] of the present invention and a pharmaceutically acceptable salt thereof show excellent vasopressin antagonistic activity, for example, vasodilating activity, hypotensive activity,
10 activity for inhibiting saccharide release in liver, activity for inhibiting growth of mesangium cells, water diuretic activity, platelet agglutination inhibitory activity, inhibitory activity for vomiting, activity for promoting urea excretion, inhibitory activity on secretion of factor VIII, activity for promoting heart function, activity for inhibiting constriction of mesangium cells, inhibitory activity on production of
15 saccharide in liver, inhibitory activity on aldosterone secretion, inhibitory activity on production of endotheline, regulation activity on renin secretion, memory regulation activity, thermoregulation activity, activity for regulating production of prostaglandin, and hence, they are useful as vasodilators, hypotensive agents, water diuretics, platelet agglutination inhibitors, promoters for urea excretion,
20 agent for heart failure, agent for renal failure, etc., and are used in the prophylaxis or treatment of hypertension, edema, ascites, heart failure, renal function disorder, vasopressin parasecretion syndrome (SIADH), hepatocirrhosis, hyponatremia, hypokalemia, diabetes, circulation disorder, motion sickness, water metabolism disorder, renal failure, various diseases
25 associated with ischemic, and the like. Besides, the compounds of the present invention and a pharmaceutically acceptable salt thereof are characteristic in very few side effects and a prolonged action for a long time in a living body.

The compounds [1] of the present invention and a pharmaceutically acceptable salt thereof also show vasopressin agonistic activities, for example,
30 effects on various urinary disorders, polyuria or hemostatic disorders, and hence, they are useful in the prophylaxis or treatment of pollakisuria, diabetes insipidus, urine incontinence, enuresis, especially nocturnal enuresis, spontaneous hemorrhage, hemophilia, von Willebrand's disease, uremia,

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congenital and acquired platelet dysfunction, hemostatic derangement caused by surgical procedures or accidental trauma, or hepatic cirrhosis.

In addition, the compounds [1] of the present invention and a pharmaceutically acceptable salt thereof also show oxytocin antagonistic activities, for example, inhibitory effect on uterine smooth muscle constriction, inhibitory effect on milk secretion, inhibitory effect on synthesis and secretion of prostaglandin, and vasodilating activity, and hence, they are useful in the protection or treatment of oxytocin-associated diseases, especially premature delivery, dysmenorrhea, endometritis, or in stopping labor preparatory to Caesarian delivery.

The benzoheterocyclic derivatives of the formula [1] of the present invention especially include the following compounds.

- (1) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G is a group of the formula: $-C(R^2)(R^3)-X-$, X is a methylene group, R¹ is the same as defined above in the definition for the formula [1], R² is a group of the formula: $-NR^4R^5$ (in which R⁴ and R⁵ are the same as defined above in the definition for the formula [1]), R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, R is a pyridylcarbonyl group having optionally a substituent selected from a phenyl group having optionally a lower alkyl substituent on the phenyl ring and a pyridyl group on the pyridine ring.
- (2) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (1), and R² is a hydrogen atom.
- (3) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (1), and R² is a hydroxy group.
- (4) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (1), and R² is a carboxy-substituted lower alkyl group.
- (5) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (1), and R² is a lower alkoxycarbonyl-substituted lower alkyl group.
- (6) A benzoheterocyclic derivative of the formula [1] or a salt thereof,

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wherein G, X, R¹, R³ and R are the same as defined in above (1), and R² is an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent.

(7) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
5 wherein G, X, R¹, R³ and R are the same as defined in above (1), and R² is a lower alkanoyl group.

(8) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
wherein G, X, R¹ and R are the same as defined in above (1), and R² and R³
bind together to form an oxo group.

10 (9) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
wherein G, X, R¹ and R are the same as defined in above (1), and R² and R³
bind together to form a lower alkylidene group.

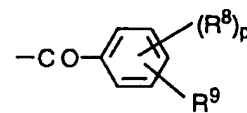
(10) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
wherein G, X, R¹ and R are the same as defined in above (1), and R² and R³
15 bind together to form a lower alkoxy-substituted lower alkylidene group.

(11) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
wherein G, X, R¹ and R are the same as defined in above (1), and R² and R³
bind together to form a lower alkoxycarbonyl-substituted lower alkylidene
group.

20 (12) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
wherein G, X, R¹ and R are the same as defined in above (1), and R² and R³
bind together to form a phenyl-substituted lower alkylidene group.

(13) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
wherein G is a group of the formula: -C(R²)(R³)-X-, X is a methylene group, R¹
25 is the same as defined above in the definition for the formula [1], R² is a group
of the formula: -NR⁴R⁵ (R⁴ and R⁵ are the same as defined above in the
definition for the formula [1]), R³ is a hydrogen atom or a hydroxy-substituted

lower alkyl group, and R is a group of the formula:



are the same as defined above, and R⁸ is a hydrogen atom).

30 (14) A benzoheterocyclic derivative of the formula [1] or a salt thereof,

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wherein G, X, R¹, R³ and R are the same as defined in above (13), and R² is a hydrogen atom.

(15) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (13), and R² is a hydroxy group.

(16) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (13), and R² is a carboxy-substituted lower alkyl group.

(17) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (13), and R² is a lower alkoxy-carbonyl-substituted lower alkyl group.

(18) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (13), and R² is an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent.

(19) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (13), and R² is a lower alkanoyl group.

(20) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (13), and R² and R³ bind together to form an oxo group.

(21) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (13), and R² and R³ bind together to form a lower alkylidene group.

(22) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (13), and R² and R³ bind together to form a lower alkoxy-substituted lower alkylidene group.

(23) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (13), and R² and R³ bind together to form a lower alkoxy-carbonyl-substituted lower alkylidene group.

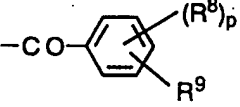
(24) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (13), and R² and R³

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bind together to form a phenyl-substituted lower alkylidene group.

(25) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G is a group of the formula: $-C(R^2)(R^3)-X-$, X is a methylene group, R^1 is the same as defined above in the formula [1], R^2 is a group of the formula:

5 $-NR^4R^5$ (R^4 and R^5 are the same as defined above in the formula [1]), R^3 is a hydrogen atom or a hydroxy-substituted lower alkyl group, and R is a group of

the formula:  (R^9 and p are the same as defined above in the

formula [1], and R^8 is a lower alkyl group).

(26) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R^1 , R^3 and R are the same as defined in above (25), and R^2 is a hydrogen atom.

(27) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R^1 , R^3 and R are the same as defined in above (25), and R^2 is a hydroxy group.

15 (28) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R^1 , R^3 and R are the same as defined in above (25), and R^2 is a carboxy-substituted lower alkyl group.

(29) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R^1 , R^3 and R are the same as defined in above (25), and R^2 is a lower alkoxy-carbonyl-substituted lower alkyl group.

(30) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R^1 , R^3 and R are the same as defined in above (25), and R^2 is an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent.

25 (31) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R^1 , R^3 and R are the same as defined in above (25), and R^2 is a lower alkanoyl group.

(32) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R^1 and R are the same as defined in above (25), and R^2 and R^3 bind together to form an oxo group.

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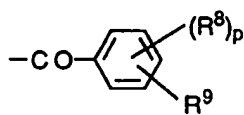
(33) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (25), and R² and R³ bind together to form a lower alkylidene group.

(34) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (25), and R² and R³ bind together to form a lower alkoxy-substituted lower alkylidene group.

(35) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (25), and R² and R³ bind together to form a lower alkoxycarbonyl-substituted lower alkylidene group.

(36) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (25), and R² and R³ bind together to form a phenyl-substituted lower alkylidene group.

(37) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G is a group of the formula: -C(R²)(R³)-X-, X is a methylene group, R¹ is the same as defined above in the formula [1], R² is a group of the formula: -NR⁴R⁵ (R⁴ and R⁵ are the same as defined above in the formula [1]), R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, and R is a group of



the formula: (R⁹ and p are the same as defined above in the

formula [1], and R⁸ is a hydroxy group).

(38) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (37), and R² is a hydrogen atom.

(39) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (37), and R² is a hydroxy group.

(40) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (37), and R² is a carboxy-substituted lower alkyl group.

(41) A benzoheterocyclic derivative of the formula [1] or a salt thereof,

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wherein G, X, R¹, R³ and R are the same as defined in above (37), and R² is a lower alkoxy-carbonyl-substituted lower alkyl group.

(42) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (37), and R² is an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent.

(43) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (37), and R² is a lower alkanoyl group.

(44) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (37), and R² and R³ bind together to form an oxo group.

(45) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (37), and R² and R³ bind together to form a lower alkylidene group.

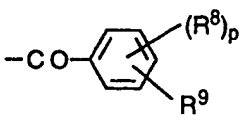
(46) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (37), and R² and R³ bind together to form a lower alkoxy-substituted lower alkylidene group.

(47) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (37), and R² and R³ bind together to form a lower alkoxy-carbonyl-substituted lower alkylidene group.

(48) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (37), and R² and R³ bind together to form a phenyl-substituted lower alkylidene group.

(49) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G is a group of the formula: -C(R²)(R³)-X-, X is methylene group, R¹ is the same as defined above in the formula [1], R² is a group of the formula: -NR⁴R⁵ (R⁴ and R⁵ are the same as defined above in the formula [1]), R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, and R is a group of

the formula:



(R⁹ and p are the same as defined above in the

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formula [1], and R⁸ is a nitro group).

(50) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (49), and R² is a hydrogen atom.

5 (51) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (49), and R² is a hydroxy group.

(52) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (49), and R² is a
10 carboxy-substituted lower alkyl group.

(53) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (49), and R² is a lower alkoxy-carbonyl-substituted lower alkyl group.

(54) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
15 wherein G, X, R¹, R³ and R are the same as defined in above (49), and R² is an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent.

(55) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (49), and R² is a
20 lower alkanoyl group.

(56) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (49), and R² and R³ bind together to form an oxo group.

(57) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
25 wherein G, X, R¹ and R are the same as defined in above (49), and R² and R³ bind together to form a lower alkylidene group.

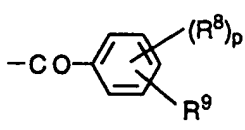
(58) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (49), and R² and R³ bind together to form a lower alkoxy-substituted lower alkylidene group.

30 (59) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (49), and R² and R³ bind together to form a lower alkoxy-carbonyl-substituted lower alkylidene group.

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(60) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (49), and R² and R³ bind together to form a phenyl-substituted lower alkylidene group.

(61) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G is a group of the formula: -C(R²)(R³)-X-, X is a methylene group, R¹ is the same as defined above in the formula [1], R² is a group of the formula: -NR⁴R⁵ (R⁴ and R⁵ are the same as defined above in the formula [1]), R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, and R is a group of

the formula:  (R⁹ and p are the same as defined above in the

formula [1], and R⁸ is a halogen atom).

(62) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (61), and R² is a hydrogen atom.

(63) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (61), and R² is a hydroxy group.

(64) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (61), and R² is a carboxy-substituted lower alkyl group.

(65) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (61), and R² is a lower alkoxy-carbonyl-substituted lower alkyl group.

(66) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (61), and R² is an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent.

(67) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (61), and R² is a lower alkanoyl group.

(68) A benzoheterocyclic derivative of the formula [1] or a salt thereof,

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wherein G, X, R¹ and R are the same as defined in above (61), and R² and R³ bind together to form an oxo group.

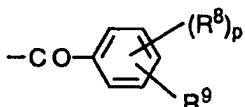
(69) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (61), and R² and R³ bind together to form a lower alkylidene group.

(70) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (61), and R² and R³ bind together to form a lower alkoxy-substituted lower alkylidene group.

(71) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (61), and R² and R³ bind together to form a lower alkoxycarbonyl-substituted lower alkylidene group.

(72) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (61), and R² and R³ bind together to form a phenyl-substituted lower alkylidene group.

(73) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G is a group of the formula: -C(R²)(R³)-X-, X is a methylene group, R¹ is the same as defined above in the formula [1], R² is a group of the formula: -NR⁴R⁵ (R⁴ and R⁵ are the same as defined above in the formula [1]), R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, and R is a group of

the formula:  (R⁹ and p are the same as defined above in the

formula [1], and R⁸ is a lower alkoxy group).

(74) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (73), and R² is a hydrogen atom.

(75) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (73), and R² is a hydroxy group.

(76) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (73), and R² is a

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carboxy-substituted lower alkyl group.

(77) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (73), and R² is a lower alkoxy-carbonyl-substituted lower alkyl group.

5 (78) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (73), and R² is an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent.

(79) A benzoheterocyclic derivative of the formula [1] or a salt thereof, 10 wherein G, X, R¹, R³ and R are the same as defined in above (73), and R² is a lower alkanoyl group.

(80) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (73), and R² and R³ bind together to form an oxo group.

15 (81) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (73), and R² and R³ bind together to form a lower alkylidene group.

(82) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (73), and R² and R³ 20 bind together to form a lower alkoxy-substituted lower alkylidene group.

(83) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (73), and R² and R³ bind together to form a lower alkoxy-carbonyl-substituted lower alkylidene group.

25 (84) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (73), and R² and R³ bind together to form a phenyl-substituted lower alkylidene group

(85) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G is a group of the formula: -C(R²)(R³)-X-, X is a group of the formula: 30 -NR¹⁴- (R¹⁴ is the same as defined above in the formula [1]), R¹ is the same as defined above in the formula [1], R² is a group of the formula: -NR⁴R⁵ (R⁴ and R⁵ are the same as defined above in the formula [1]), R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, and R is a pyridylcarbonyl group

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having optionally a substituent selected from a phenyl group having optionally a lower alkyl substituent on the phenyl ring and a pyridyl group on the pyridine ring.

(86) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
5 wherein G, X, R¹, R³ and R are the same as defined in above (85), and R² is a hydrogen atom.

(87) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
wherein G, X, R¹, R³ and R are the same as defined in above (85), and R² is a hydroxy group.

10 (88) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
wherein G, X, R¹, R³ and R are the same as defined in above (85), and R² is a carboxy-substituted lower alkyl group.

(89) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
wherein G, X, R¹, R³ and R are the same as defined in above (85), and R² is a
15 lower alkoxycarbonyl-substituted lower alkyl group.

(90) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
wherein G, X, R¹, R³ and R are the same as defined in above (85), and R² is an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent.

20 (91) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
wherein G, X, R¹, R³ and R are the same as defined in above (85), and R² is a lower alkanoyl group.

(92) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
wherein G, X, R¹ and R are the same as defined in above (85), and R² and R³
25 bind together to form an oxo group.

(93) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
wherein G, X, R¹ and R are the same as defined in above (85), and R² and R³
bind together to form a lower alkylidene group.

(94) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
30 wherein G, X, R¹ and R are the same as defined in above (85), and R² and R³
bind together to form a lower alkoxy-substituted lower alkylidene group.

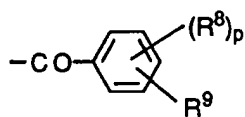
(95) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
wherein G, X, R¹ and R are the same as defined in above (85), and R² and R³

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bind together to form a lower alkoxycarbonyl-substituted lower alkylidene group.

(96) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (85), and R² and R³ bind together to form a phenyl-substituted lower alkylidene group.

(97) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G is a group of the formula: -C(R²)(R³)-X-, X is a group of the formula: -NR¹⁴- (R¹⁴ is the same as defined above in the formula [1]), R¹ is the same as defined above in the formula [1], R² is a group of the formula: -NR⁴R⁵ (R⁴ and R⁵ are the same as defined above in the formula [1]), R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, and R is a group of the formula:



(R⁹ and p are the same as defined above in the formula [1],

and R⁸ is a hydrogen atom).

(98) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (97), and R² is a hydrogen atom.

(99) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (97), and R² is a hydroxy group.

(100) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (97), and R² is a carboxy-substituted lower alkyl group.

(101) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (97), and R² is a lower alkoxycarbonyl-substituted lower alkyl group.

(102) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (97), and R² is an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent.

(103) A benzoheterocyclic derivative of the formula [1] or a salt thereof,

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wherein G, X, R¹, R³ and R are the same as defined in above (97), and R² is a lower alkanoyl group.

(104) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (97), and R² and R³ bind together to form an oxo group.

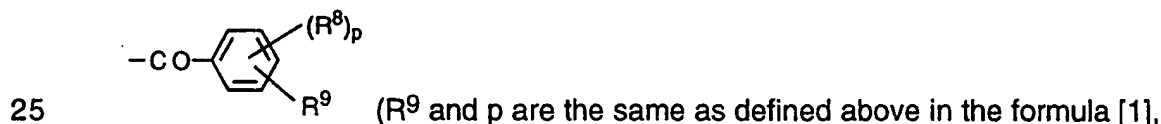
(105) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (97), and R² and R³ bind together to form a lower alkylidene group.

(106) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (97), and R² and R³ bind together to form a lower alkoxy-substituted lower alkylidene group.

(107) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (97), and R² and R³ bind together to form a lower alkoxycarbonyl-substituted lower alkylidene group.

(108) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (97), and R² and R³ bind together to form a phenyl-substituted lower alkylidene group.

(109) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G is a group of the formula: -C(R²)(R³)-X-, X is a group of the formula: -NR¹⁴- (R¹⁴ is the same as defined above in the formula [1]), R¹ is the same as defined above in the formula [1], R² is a group of the formula: -NR⁴R⁵ (R⁴ and R⁵ are the same as defined above in the formula [1]), R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, and R is a group of the formula:



and R⁸ is a lower alkyl group).

(110) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (109), and R² is a hydrogen atom.

(111) A benzoheterocyclic derivative of the formula [1] or a salt thereof,

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wherein G, X, R¹, R³ and R are the same as defined in above (109), and R² is a hydroxy group.

(112) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (109), and R² is a carboxy-substituted lower alkyl group.

(113) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (109), and R² is a lower alkoxy-carbonyl-substituted lower alkyl group.

(114) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (109), and R² is an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent.

(115) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (109), and R² is a lower alkanoyl group.

(116) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (109), and R² and R³ bind together to form an oxo group.

(117) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (109), and R² and R³ bind together to form a lower alkylidene group.

(118) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (109), and R² and R³ bind together to form a lower alkoxy-substituted lower alkylidene group.

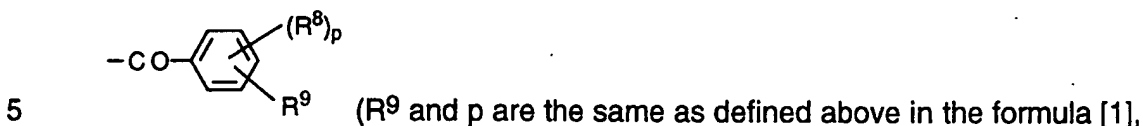
(119) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (109), and R² and R³ bind together to form a lower alkoxy-carbonyl-substituted lower alkylidene group.

(120) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (109), and R² and R³ bind together to form a phenyl-substituted lower alkylidene group.

(121) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G is a group of the formula: -C(R²)(R³)-X-, X is a group of the formula:

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-NR¹⁴- (R¹⁴ is the same as defined above in the formula [1]), R¹ is the same as defined above in the formula [1], R² is a group of the formula: -NR⁴R⁵ (R⁴ and R⁵ are the same as defined above in the formula [1]), R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, and R is a group of the formula:



and R⁸ is a hydroxy group).

(122) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (121), and R² is a hydrogen atom.

10 (123) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (121), and R² is a hydroxy group.

(124) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (121), and R² is a
15 carboxy-substituted lower alkyl group.

(125) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (121), and R² is a lower alkoxy-carbonyl-substituted lower alkyl group.

(126) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
20 wherein G, X, R¹, R³ and R are the same as defined in above (121), and R² is an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent.

(127) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (121), and R² is a
25 lower alkanoyl group.

(128) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (121), and R² and R³ bind together to form an oxo group.

(129) A benzoheterocyclic derivative of the formula [1] or a salt thereof,
30 wherein G, X, R¹ and R are the same as defined in above (121), and R² and R³

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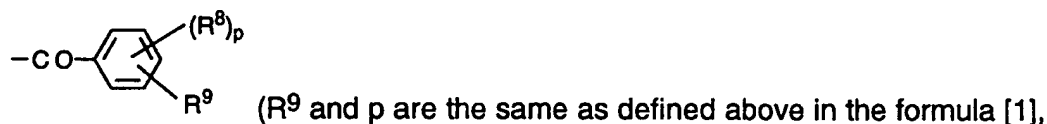
bind together to form a lower alkylidene group.

(130) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (121), and R² and R³ bind together to form a lower alkoxy-substituted lower alkylidene group.

5 (131) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (121), and R² and R³ bind together to form a lower alkoxycarbonyl-substituted lower alkylidene group.

10 (132) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (121), and R² and R³ bind together to form a phenyl-substituted lower alkylidene group.

(133) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G is a group of the formula: -C(R²)(R³)-X-, X is a group of the formula: -NR¹⁴- (R¹⁴ is the same as defined above in the formula [1]), R¹ is the same as defined above in the formula [1], R² is a group of the formula: -NR⁴R⁵ (R⁴ and R⁵ are the same as defined above in the formula [1]), R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, and R is a group of the formula:



and R⁸ is a nitro group).

20 (134) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (133), and R² is a hydrogen atom.

(135) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (133), and R² is a hydroxy group.

25 (136) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (133), and R² is a carboxy-substituted lower alkyl group.

30 (137) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (133), and R² is a

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lower alkoxycarbonyl-substituted lower alkyl group.

(138) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (133), and R² is an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent.

(139) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (133), and R² is a lower alkanoyl group.

(140) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (133), and R² and R³ bind together to form an oxo group.

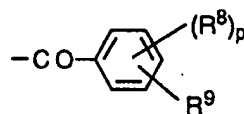
(141) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (133), and R² and R³ bind together to form a lower alkylidene group.

(142) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (133), and R² and R³ bind together to form a lower alkoxy-substituted lower alkylidene group.

(143) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (133), and R² and R³ bind together to form a lower alkoxycarbonyl-substituted lower alkylidene group.

(144) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (133), and R² and R³ bind together to form a phenyl-substituted lower alkylidene group.

(145) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G is a group of the formula: -C(R²)(R³)-X-, X is a group of the formula: -NR¹⁴- (R¹⁴ is the same as defined above in the formula [1]), R¹ is the same as defined above in the formula [1], R² is a group of the formula: -NR⁴R⁵ (R⁴ and R⁵ are the same as defined above in the formula [1]), R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, and R is a group of the formula:



(R⁹ and p are the same as defined above in the formula [1],

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and R⁸ is a halogen atom).

(146) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (145), and R² is a hydrogen atom.

5 (147) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (145), and R² is a hydroxy group.

(148) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (145), and R² is a
10 carboxy-substituted lower alkyl group.

(149) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (145), and R² is a lower alkoxycarbonyl-substituted lower alkyl group.

(150) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (145), and R² is
15 an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent.

(151) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (145), and R² is a
20 lower alkanoyl group.

(152) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (145), and R² and R³ bind together to form an oxo group.

(153) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (145), and R² and R³
25 bind together to form a lower alkylidene group.

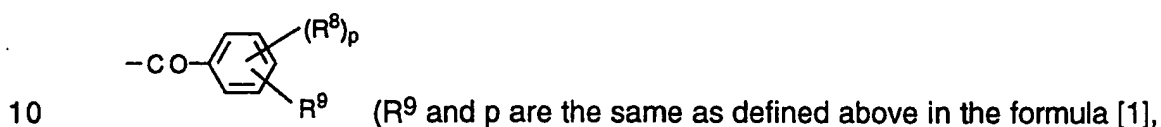
(154) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (145), and R² and R³ bind together to form a lower alkoxy-substituted lower alkylidene group.

30 (155) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (145), and R² and R³ bind together to form a lower alkoxycarbonyl-substituted lower alkylidene group.

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(156) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (145), and R² and R³ bind together to form a phenyl-substituted lower alkylidene group.

(157) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G is a group of the formula: -C(R²)(R³)-X-, X is a group of the formula: -NR¹⁴- (R¹⁴ is the same as defined above in the formula [1]), R¹ is the same as defined above in the formula [1], R² is a group of the formula: -NR⁴R⁵ (R⁴ and R⁵ are the same as defined above in the formula [1]), R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, and R is a group of the formula:



and R⁸ is a lower alkoxy group).

(158) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (157), and R² is a hydrogen atom.

15 (159) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (157), and R² is a hydroxy group.

(160) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (157), and R² is a carboxy-substituted lower alkyl group.

(161) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (157), and R² is a lower alkoxy-carbonyl-substituted lower alkyl group.

25 (162) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (157), and R² is an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent.

(163) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (157), and R² is a lower alkanoyl group.

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- (164) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (157), and R² and R³ bind together to form an oxo group.
- 5 (165) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (157), and R² and R³ bind together to form a lower alkylidene group.
- (166) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (157), and R² and R³ bind together to form a lower alkoxy-substituted lower alkylidene group.
- 10 (167) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (157), and R² and R³ bind together to form a lower alkoxycarbonyl-substituted lower alkylidene group.
- (168) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (157), and R² and R³ bind together to form a phenyl-substituted lower alkylidene group.
- 15 (169) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G is a group of the formula: -C(R²)(R³)-X-, X is a methylene group, R¹ is the same as defined above in the formula [1], R² is a group of the formula: -NR⁴R⁵ (R⁴ and R⁵ are the same as defined above in the formula [1]), R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, and R is a 9-oxofluorenyl group.
- 20 (170) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (169), and R² is a hydrogen atom.
- 25 (171) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (169), and R² is a hydroxy group.
- (172) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (169), and R² is a carboxy-substituted lower alkyl group.
- 30 (173) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (169), and R² is a

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lower alkoxycarbonyl-substituted lower alkyl group.

(174) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (169), and R² is an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent.

(175) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (169), and R² is a lower alkanoyl group.

(176) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (169), and R² and R³ bind together to form an oxo group.

(177) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (169), and R² and R³ bind together to form a lower alkylidene group.

(178) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (169), and R² and R³ bind together to form a lower alkoxy-substituted lower alkylidene group.

(179) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (169), and R² and R³ bind together to form a lower alkoxycarbonyl-substituted lower alkylidene group.

(180) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (169), and R² and R³ bind together to form a phenyl-substituted lower alkylidene group.

(181) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G is a group of the formula: -C(R²)(R³)-X-, X is a group of the formula: -NR¹⁴- (R¹⁴ is the same as defined above in the formula [1]), R¹ is the same as defined above in the formula [1], R² is a group of the formula: -NR⁴R⁵ (R⁴ and R⁵ are the same as defined above in the formula [1]), R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, and R is a 9-oxofluorenyl group.

(182) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (181), and R² is a hydrogen atom.

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- (183) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (181), and R² is a hydroxy group.
- 5 (184) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (181), and R² is a carboxy-substituted lower alkyl group.
- (185) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (181), and R² is a lower alkoxycarbonyl-substituted lower alkyl group.
- 10 (186) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (181), and R² is an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent.
- (187) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹, R³ and R are the same as defined in above (181), and R² is a lower alkanoyl group.
- 15 (188) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (181), and R² and R³ bind together to form an oxo group.
- 20 (189) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (181), and R² and R³ bind together to form a lower alkylidene group.
- (190) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (181), and R² and R³ bind together to form a lower alkoxy-substituted lower alkylidene group.
- 25 (191) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (181), and R² and R³ bind together to form a lower alkoxycarbonyl-substituted lower alkylidene group.
- 30 (192) A benzoheterocyclic derivative of the formula [1] or a salt thereof, wherein G, X, R¹ and R are the same as defined in above (181), and R² and R³ bind together to form a phenyl-substituted lower alkylidene group

Each group in the above formula [1] specifically means the

following groups.

The lower alkoxy group includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, methoxy, ethoxy, propoxy, isopropoxy, butoxy, tert-butoxy, pentyloxy, hexyloxy, etc.

5 The lower alkyl group includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, methyl, ethyl, propyl, isopropyl, butyl, iso-butyl, tert-butyl, pentyl, hexyl, etc.

The halogen atom is fluorine atom, chlorine atom, bromine atom or iodine atom.

10 The lower alkanoyloxy group includes a straight chain or branched chain alkanoyloxy group having 1 to 6 carbon atoms, for example, formyloxy, acetyloxy, propionyloxy, butyryloxy, isobutyryloxy, pentanoyloxy, tert-butyl-carbonyloxy, hexanoyloxy, and the like.

15 The lower alkanoyl group having optionally a halogen substituent includes a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms which may optionally be substituted by 1 to 3 halogen atoms, for example, 2,2,2-trifluoroacetyl, 2,2,2-trichloroacetyl, 2-chloroacetyl, 2-bromoacetyl, 2-fluoroacetyl, 2-iodoacetyl, 2,2-difluoroacetyl, 2,2-dibromoacetyl, 3,3,3-trifluoropropionyl, 3,3,3-trichloropropionyl, 3-chloropropionyl, 2,3-dichloropropionyl, 4,4,4-trichlorobutyryl, 4-fluorobutyryl, 5-chloropentanoyl, 3-chloro-2-methylpropionyl, 6-bromohexanoyl, 5,6-dibromohexanoyl, and the like.

20 The amino-lower alkoxy group having optionally a substituent selected from a lower alkyl group and a lower alkanoyl group includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms
25 which is substituted by an amino group being optionally substituted by 1 to 2 groups selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, aminomethoxy, 2-aminoethoxy, 1-aminoethoxy, 3-aminopropoxy, 4-aminobutoxy, 5-aminopentyloxy, 6-aminohexyloxy,
30 1,1-dimethyl-2-aminoethoxy, 2-methyl-3-aminopropoxy, acetylaminomethoxy, 1-acetylaminomethoxy, 2-propionylaminomethoxy, 3-isopropionylaminopropoxy, 4-butyrylaminobutoxy, 5-pentanoylaminopentyloxy, 6-hexanoylaminohexyloxy, formylaminomethoxy, methylaminomethoxy, 1-ethylaminomethoxy, 2-propyl-

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aminoethoxy, 3-isopropylaminopropoxy, 4-butylaminobutoxy, 5-pentylaminopentyloxy, 6-hexylaminohexyloxy, dimethylaminomethoxy, (N-ethyl-N-propylamino)methoxy, 2-(N-methyl-N-hexylamino)ethoxy, and the like.

5 The amino group having optionally a lower alkyl substituent includes an amino group which may optionally be substituted by 1 to 2 straight chain or branched chain alkyl groups having 1 to 6 carbon atoms, for example, amino, methylamino, ethylamino, propylamino, isopropylamino, butylamino, tert-butylamino, pentylamino, hexylamino, dimethylamino, diethylamino, dipropylamino, dibutylamino, dipentylamino, dihexylamino, N-methyl-N-ethyl-
10 amino, N-ethyl-N-propylamino, N-methyl-N-butylamino, N-methyl-N-hexylamino, and the like.

The lower alkoxycarbonyl-substituted lower alkoxy group includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by a straight chain or branched chain alkoxycarbonyl
15 group having 1 to 6 carbon atoms in the alkoxy moiety, for example, methoxycarbonylmethoxy, 3-methoxycarbonylpropoxy, ethoxycarbonylmethoxy, 3-ethoxycarbonylpropoxy, 4-ethoxycarbonylbutoxy, 5-isopropoxycarbonylpentyloxy, 6-propoxycarbonylhexyloxy, 1,1-dimethyl-2-butoxycarbonylethoxy, 2-methyl-3-tert-butoxycarbonylpropoxy, 2-pentyloxycarbonylethoxy, hexyloxy-
20 carbonylmethoxy, and the like.

The carboxy-substituted lower alkoxy group includes a carboxy-alkoxy group wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, carboxymethoxy, 2-carboxyethoxy, 1-carboxyethoxy, 3-carboxypropoxy, 4-carboxybutoxy, 5-
25 carboxypentyloxy, 6-carboxyhexyloxy, 1,1-dimethyl-2-carboxyethoxy, 2-methyl-3-carboxypropoxy, and the like.

The aminocarbonyl-lower alkoxy group having a lower alkyl substituent includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by an aminocarbonyl group having 1 to
30 2 straight chain or branched chain alkyl groups having 1 to 6 carbon atoms, for example, methylaminocarbonylmethoxy, 1-ethylaminocarbonylethoxy, 2-propylaminocarbonylethoxy, 3-isopropylaminocarbonylpropoxy, 4-butylaminocarbonylbutoxy, 5-pentylaminocarbonylpentyloxy, 6-hexylaminocarbonylhexyl-

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oxy, dimethylaminocarbonylmethoxy, 3-diethylaminocarbonylpropoxy, diethylaminocarbonylmethoxy, (N-ethyl-N-propylamino)carbonylmethoxy, 2-(N-methyl-N-hexylamino)carbonylethoxy, and the like.

5 The benzoyl group having optionally a halogen substituent on the phenyl ring includes a benzoyl group having optionally 1 to 3 halogen substituents on the phenyl ring, for example, benzoyl, 2-chlorobenzoyl, 3-chlorobenzoyl, 4-chlorobenzoyl, 2-fluorobenzoyl, 3-fluorobenzoyl, 4-fluorobenzoyl, 2-bromobenzoyl, 3-bromobenzoyl, 4-bromobenzoyl, 2-iodobenzoyl, 3-iodobenzoyl, 4-iodobenzoyl, 3,4-dichlorobenzoyl, 2,6-dichlorobenzoyl, 2,3-
10 dichlorobenzoyl, 2,4-dichlorobenzoyl, 3,4-difluorobenzoyl, 3,5-dibromobenzoyl, 3,4,5-trichlorobenzoyl, and the like.

The carboxy-substituted lower alkyl group includes a carboxylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, carboxymethyl, 2-carboxyethyl, 1-
15 carboxyethyl, 3-carboxypropyl, 4-carboxybutyl, 5-carboxypentyl, 6-carboxyhexyl, 1,1-dimethyl-2-carboxyethyl, 2-methyl-3-carboxylpropyl, and the like.

The lower alkoxy-carbonyl-substituted lower alkyl group includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by a straight chain or branched chain alkoxy-carbonyl group
20 having 1 to 6 carbon atoms in the alkoxy moiety, for example, methoxycarbonylmethyl, 3-methoxycarbonylpropyl, ethoxycarbonylmethyl, 3-ethoxycarbonylpropyl, 4-ethoxycarbonylbutyl, 5-isopropoxycarbonylpentyl, 6-propoxycarbonylhexyl, 1,1-dimethyl-2-butoxycarbonylethyl, 2-methyl-3-tert-butoxycarbonylpropyl, 2-pentyloxycarbonylethyl, hexyloxycarbonylmethyl, and the
25 like.

The amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent includes a straight chain or branched chain alkanoyloxy group having 2 to 6 carbon atoms which is substituted by an amino group
30 having optionally 1 to 2 straight chain or branched chain alkyl groups having 1 to 6 carbon atoms, for example, 2-aminoacetyloxy, 3-aminopropionyloxy, 2-aminopropionyloxy, 4-aminobutyryloxy, 2,2-dimethyl-3-aminopropionyloxy, 5-aminopentanoyloxy, 6-aminohexanoyloxy, 2-methyl-3-aminopropionyloxy, 2-methylaminoacetyloxy, 3-ethylaminopropionyloxy, 2-propylaminopropionyloxy,

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4-isopropylaminobutyryloxy, 4-butylaminobutyryloxy, 4-tert-butyamino-
butyryloxy, 5-pentylaminopentanoyloxy, 6-hexylaminohexanoyloxyl, 2-dimethyl-
aminoacetyloxy, 3-diethylaminopropionyloxy, 2-dimethylaminopropionyloxy, 2-
(N-ethyl-N-propylamino)acetyloxy, 3-(N-methyl-N-hexylamino)propionyloxy,
5 and the like.

The lower alkanoyl group includes a straight chain or branched
chain alkanoyl group having 1 to 6 carbon atoms, for example, formyl, acetyl,
propionyl, butyryl, isobutyryl, pentanoyl, t-butylcarbonyl, hexanoyl, and the like.

10 The lower alkylidene group includes a straight chain or branched
chain alkylidene group having 1 to 6 carbon atoms, for example, methyldiene,
ethyldiene, propyldiene, isopropyldiene, butyldiene, pentyldiene, hexyldiene,
and the like.

The lower alkoxycarbonyl-substituted lower alkylidene group
includes a straight chain or branched chain alkylidene group having 1 to 6
15 carbon atoms which is substituted by a straight chain or branched chain alkoxy-
carbonyl group having 1 to 6 carbon atoms in the alkoxy moiety, for example,
ethoxycarbonylmethyldiene, 2-methoxycarbonylethyldiene, 3-isopropoxy-
carbonylpropyldiene, 2-propoxycarbonylisopropyldiene, 4-butoxycarbonyl-
butyldiene, 5-pentyloxycarbonylpentyldiene, 6-hexyloxycarbonylhexyldiene,
20 and the like.

The lower alkoxy-substituted lower alkylidene group includes a
straight chain or branched chain alkylidene group having 1 to 6 carbon atoms
which is substituted by a straight chain or branched chain alkoxy group having
1 to 6 carbon atoms, for example, methoxymethyldiene, 2-ethoxyethyldiene, 3-
25 propoxypropyldiene, 2-isopropoxyisopropyldiene, 4-butoxybutyldiene, 5-pentyl-
oxypentyldiene, 6-hexyloxyhexyldiene, and the like.

The phenyl-substituted lower alkylidene group includes a straight
chain or branched chain alkylidene having 1 to 6 carbon atoms which is
substituted by a phenyl group, for example, phenylmethyldiene, 2-phenyl-
30 ethyldiene, 3-phenylpropyldiene, 2-phenylpropyldiene, 4-phenylbutyldiene, 5-
phenylpentyldiene, 6-phenylhexyldiene, and the like.

The lower alkylene group includes a straight chain or branched
chain alkylene group having 1 to 6 carbon atoms, for example, methylene,

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ethylene, trimethylene, 2-methyltrimethylene, 2,2-dimethyltrimethylene, 1-methyltrimethylene, methylmethylenes, ethylmethylenes, tetramethylene, pentamethylene, hexamethylene, etc.

5 The amino-substituted lower alkyl group having optionally a lower alkyl substituent includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by an amino group having optionally 1 to 2 straight chain or branched chain alkyl substituents having 1 to 6 carbon atoms, for example, aminomethyl, 2-aminoethyl, 1-aminoethyl, 3-aminopropyl, 4-aminobutyl, 5-aminopentyl, 6-aminohexyl, 1,1-dimethyl-2-aminoethyl, 2-methyl-3-aminopropyl, methylaminomethyl, 1-ethylaminoethyl, 2-propylaminoethyl, 3-isopropylaminopropyl, 4-butylaminobutyl, 5-pentylaminopentyl, 6-hexylaminohexyl, dimethylaminomethyl, 2-diethylaminoethyl, 2-dimethylaminoethyl, (N-ethyl-N-propylamino)methyl, 2-(N-methyl-N-hexylamino)ethyl, and the like.

15 The 5- to 7-membered saturated heterocyclic group which is formed by binding R⁶ and R⁷ or R^B and R^C together with the adjacent nitrogen atom to which they bond with or without being intervening with another nitrogen atom or an oxygen atom, for example, pyrrolidinyl, piperidinyl, piperazinyl, morpholino, homopiperazinyl, and the like.

20 The above heterocyclic group having a substituent selected from a lower alkyl group and a phenyl-lower alkyl group includes the above mentioned heterocyclic groups having 1 to 3 substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, and a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by 1 to 2 phenyl groups, for example, 4-methylpiperazinyl, 3,4-dimethylpiperazinyl, 3-ethylpyrrolidinyl, 2-propylpyrrolidinyl, 1-methylpyrrolidinyl, 3,4,5-trimethylpiperidinyl, 4-butylpiperidinyl, 3-pentylmorpholino, 4-ethylhomopiperazinyl, 4-methylhomopiperazinyl, 4-hexylpiperazinyl, 4-diphenylmethylpiperazinyl, 4-benzylpiperazinyl, 3-methyl-4-benzylpiperazinyl, 3-(2-phenylethyl)pyrrolidinyl, 2-(1-phenylethyl)pyrrolidinyl, 4-(3-phenylpropyl)piperidinyl, 3-(4-phenylbutyl)morpholino, 3-(5-phenylpentyl)piperidinyl, 4-(6-phenylhexyl)piperazinyl, and the like.

The above heterocyclic group substituted by a lower alkyl group

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includes the above mentioned heterocyclic groups being substituted by 1 to 3 straight chain or branched chain alkyl groups having 1 to 6 carbon atoms, for example, 4-methylpiperazinyl, 3,4-dimethylpiperazinyl, 3-ethylpyrrolidinyl, 2-propylpyrrolidinyl, 1-methylpyrrolidinyl, 3,4,5-trimethylpiperidinyl, 4-butyl-
5 piperidinyl, 3-pentylmorpholino, 4-methylhomopiperazinyl, 4-hexylpiperazinyl, and the like.

The phenyl group having optionally a lower alkyl substituent includes a phenyl group which may optionally have 1 to 3 straight chain or branched chain alkyl substituents having 1 to 6 carbon atoms, for example,
10 phenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 2-ethylphenyl, 3-propylphenyl, 4-butylphenyl, 2-pentylphenyl, 3-hexylphenyl, 3,4-dimethylphenyl, 3,4,5-trimethylphenyl, and the like.

The phenyl group having optionally a lower alkoxy substituent includes a phenyl group which may optionally have 1 to 3 straight chain or
15 branched chain alkoxy substituents having 1 to 6 carbon atoms, for example, phenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 3-propoxyphenyl, 4-butoxyphenyl, 2-pentyloxyphenyl, 3-hexyloxyphenyl, 2,4-dimethoxyphenyl, 3,4-diethoxyphenyl, 3,4,5-trimethoxyphenyl, and the like.

The pyridylcarbonyl group having optionally a substituent selected from a phenyl group having optionally a lower alkyl substituent and a pyridyl group on the pyridine ring includes a pyridylcarbonyl group having optionally a substituent selected from a phenyl group having optionally 1 to 3 straight chain or branched chain alkyl substituents having 1 to 6 carbon atoms and a pyridyl
20 group on the pyridine ring, for example, pyridylcarbonyl, 2-phenylpyridylcarbonyl, 3-phenylpyridylcarbonyl, 4-phenylpyridylcarbonyl, 2-(2-methylphenyl)pyridylcarbonyl, 3-(2-ethylphenyl)pyridylcarbonyl, 4-(3-propylphenyl)pyridylcarbonyl, 2-(4-butylphenyl)pyridylcarbonyl, 3-(2-pentylphenyl)pyridylcarbonyl, 4-(3-hexylphenyl)pyridylcarbonyl, 2-(3,4-dimethylphenyl)pyridylcarbonyl, 3-(3,4,5-trimethylphenyl)pyridylcarbonyl, 3-(2-pyridyl)pyridylcarbonyl, 2-(3-pyridyl)pyridylcarbonyl, 4-(4-pyridyl)pyridylcarbonyl, and the like.
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The phenyl-lower alkyl group having optionally a substituent selected from a lower alkyl group and a halogen atom on the phenyl ring, and

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having optionally a hydroxy substituent on the alkyl moiety includes a phenylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, and said alkyl moiety having optionally a hydroxy substituent, and the phenyl ring may optionally have 1 to 3 substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and a halogen atom, for example, benzyl, 2-phenylethyl, 1-phenylethyl, 3-phenylpropyl, 4-phenylbutyl, 1,1-dimethyl-2-phenylethyl, 5-phenylpentyl, 6-phenylhexyl, 2-methyl-3-phenylpropyl, 2-chlorobenzyl, 2-(3-chlorophenyl)ethyl, 2-fluorobenzyl, 1-(4-chlorophenyl)ethyl, 3-(2-fluorophenyl)propyl, 4-(3-fluorophenyl)butyl, 5-(4-fluorophenyl)pentyl, 1,1-dimethyl-2-(2-bromophenyl)ethyl, 6-(3-bromophenyl)hexyl, 4-bromobenzyl, 2-(2-iodophenyl)ethyl, 1-(3-iodophenyl)ethyl, 3-(4-iodophenyl)propyl, 3,4-dichlorobenzyl, 3,5-dichlorobenzyl, 2,6-dichlorobenzyl, 2,3-dichlorobenzyl, 2,4-dichlorobenzyl, 3,4-difluorobenzyl, 3,5-dibromobenzyl, 3,4,5-trichlorobenzyl, 3,5-dichloro-4-hydroxybenzyl, 3,5-dimethyl-4-hydroxybenzyl, 2-methoxy-3-chlorobenzyl, 2-methylbenzyl, 2-(2-methylphenyl)ethyl, 1-(3-methylphenyl)-ethyl, 3-(4-methylphenyl)propyl, 4-(2-ethylphenyl)butyl, 5-(3-propylphenyl)-pentyl, 6-(4-butylphenyl)hexyl, 2-(2-pentylphenyl)ethyl, 1-(3-hexylphenyl)ethyl, 3-(3,4-dimethylphenyl)propyl, 2-(3,4,5-trimethylphenyl)ethyl, (2-methyl-6-chlorophenyl)methyl, 3-phenyl-2-hydroxypropyl, 2-phenyl-2-hydroxyethyl, 1-phenyl-1-hydroxymethyl, 3-(4-methylphenyl)-3-hydroxypropyl, 4-(3-chlorophenyl)-4-hydroxybutyl, 5-(2-bromophenyl)-5-hydroxypentyl, 6-(4-fluorophenyl)-6-hydroxyhexyl, and the like.

The phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by a phenoxy group having optionally 1 to 3 straight chain or branched chain alkyl substituents having 1 to 6 carbon atoms on the phenyl ring, for example, phenoxymethyl, 2-phenoxyethyl, 1-phenoxyethyl, 4-phenoxybutyl, 5-phenoxypentyl, 6-phenoxyhexyl, 1,1-dimethyl-2-phenoxyethyl, 2-methyl-3-phenoxypropyl, (2-methylphenoxy)methyl, 2-(2-methylphenoxy)ethyl, 3-phenoxypropyl, 4-(3-methylphenoxy)butyl, 5-(2-ethylphenoxy)pentyl, 6-(3-propylphenoxy)hexyl, 4-(butylphenoxy)methyl, 2-(2-pentylphenoxy)ethyl, 1-(3-hexylphenoxy)ethyl, 3-(3,4-

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dimethylphenoxy)propyl, 2-(3,4,5-trimethylphenoxy)ethyl, and the like.

The phenoxy-lower alkanoyl group having optionally a substituent selected from a lower alkyl group, a phenyl group, a lower alkoxy group, a halogen-substituted lower alkyl group, an amino group having optionally a lower alkyl substituent, a nitro group, a lower alkanoyl-substituted amino group and a halogen atom on the phenyl ring, and having optionally a halogen substituent on the alkanoyl moiety includes a phenoxyalkanoyl group which may optionally have 1 to 3 substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a phenyl group, a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, a straight chain or branched chain alkyl group having 1 to 6 carbon atoms being substituted by 1 to 3 halogen atoms, an amino group having optionally 1 to 2 straight chain or branched chain alkyl substituents having 1 to 6 carbon atoms, a nitro group, an amino group substituted by a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms and a halogen atom on the phenyl ring, and the alkanoyl moiety is a straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms which may optionally have 1 to 3 halogen substituents, for example, 2-phenoxyacetyl, 2-phenoxypropionyl, 3-phenoxypropionyl, 2-phenoxybutyryl, 4-phenoxybutyryl, 2,2-dimethyl-3-phenoxypropionyl, 5-phenoxy-pentanoyl, 6-phenoxyhexanoyl, 2-(2-chlorophenoxy)-acetyl, 2-(3-chlorophenoxy)acetyl, 2-(4-chlorophenoxy)acetyl, 2-(2-fluorophenoxy)acetyl, 2-(3-fluorophenoxy)acetyl, 3-(4-fluorophenoxy)propionyl, 2-(2-bromophenoxy)propionyl, 4-(3-bromophenoxy)butyryl, 5-(4-bromophenoxy)-pentanoyl, 6-(2-iodophenoxy)hexanoyl, 2-(3-iodophenoxy)acetyl, 3-(4-iodophenoxy)propionyl, 4-(3,4-dichlorophenoxy)butyryl, 2-(3,4-dichlorophenoxy)-acetyl, 2-(2,6-dichlorophenoxy)acetyl, 2-(2,3-dichlorophenoxy)acetyl, 2-(2,4-dichlorophenoxy)acetyl, 2-(3,4-difluorophenoxy)acetyl, 3-(3,5-dibromophenoxy)propionoyl, 2-(3,4,5-trichlorophenoxy)acetyl, 2-(2-methylphenoxy)-acetyl, 2-(3-methylphenoxy)acetyl, 2-(4-methylphenoxy)acetyl, 3-(2-ethylphenoxy)propionyl, 2-(3-ethylphenoxy)propionyl, 4-(4-ethylphenoxy)butyryl, 5-(4-isopropylphenoxy)pentanoyl, 6-(3-butylphenoxy)hexanoyl, 3-(4-pentylphenoxy)propionyl, 2-(4-hexylphenoxy)acetyl, 2-(3,4-dimethylphenoxy)acetyl, 2-(3,4-diethylphenoxy)acetyl, 2-(2,4-dimethylphenoxy)acetyl, 2-(2,5-dimethyl-

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phenoxy)acetyl, 2-(2,6-dimethylphenoxy)acetyl, 2-(3,4,5-trimethylphenoxy)-
 acetyl, 2-(3-chloro-4-methylphenoxy)acetyl, 2-(3-dimethylaminophenoxy)-
 acetyl, 2-(3-nitrophenoxy)acetyl, 2-(2-methoxyphenoxy)acetyl, 2-(3-methoxy-
 phenoxy)acetyl, 2-(4-methoxyphenoxy)acetyl, 2-(2-phenylphenoxy)acetyl, 2-(2-
 5 trifluoromethylphenoxy)acetyl, 3-(2-aminophenoxy)propionyl, 4-(4-ethylamino-
 phenoxy)butyryl, 5-(2,3-dimethoxyphenoxy)pentanoyl, 6-(2,4,6-trimethoxy-
 phenoxy)hexanoyl, 3-(2-ethoxyphenoxy)propionyl, 4-(3-propoxyphenoxy)-
 propionyl, 2-(4-butoxyphenoxy)acetyl, 3-(4-pentyloxyphenoxy)propionyl, 4-(4-
 hexyloxyphenoxy)butyryl, 3-(2-nitrophenoxy)propionyl, 4-(4-nitrophenoxy)-
 10 butyryl, 3-(3-phenylphenoxy)propionyl, 4-(4-phenylphenoxy)butyryl, 5-[3-(2,2,2-
 trichloroethyl)phenoxy]pentanoyl, 6-[4-(5-bromohexyl)phenoxy]hexanoyl, 2-(4-
 phenyl-2-methoxyphenoxy)acetyl, 2-(2-phenyl-4-methylphenoxy)acetyl, 2-
 (2,4,6-trinitrophenoxy)acetyl, 2-(2,4-dinitrophenoxy)acetyl, 2-(3-phenyl-2-
 dimethylaminophenoxy)acetyl, 2-phenoxy-2,2-difluoroacetyl, 3-(3-dimethyl-
 15 aminophenoxy)-3-bromopropionyl, 4-(3-nitrophenoxy)-3,4,4-trichlorobutyryl, 5-
 (2-methoxyphenoxy)-5-iodopentanoyl, 2-(2,6-dichlorophenoxy)-2-chloroacetyl,
 2-(4-methylphenoxy)-2,2,-difluoroacetyl, 2-(2-phenylphenoxy)-2,2-difluoro-
 acetyl, 6-(2-phenylphenoxy)-6-bromohexanoyl, 2-(2-acetylaminophenoxy)-
 acetyl, and the like.

20 The aminocarbonyl group having optionally a substituent selected
 from a lower alkyl group, a pyridyl-lower alkyl group and a phenyl-lower alkyl
 group includes an aminocarbonyl group which may optionally have 1 to 2
 substituents selected from a straight chain or branched chain alkyl group
 having 1 to 6 carbon atoms, a pyridyl-alkyl group wherein the alkyl moiety is a
 25 straight chain or branched chain alkyl group having 1 to 6 carbon atoms and a
 phenylalkyl group wherein the alkyl moiety is a straight chain or branched
 chain alkyl group having 1 to 6 carbon atoms, for example, aminocarbonyl,
 methylaminocarbonyl, ethylaminocarbonyl, propylaminocarbonyl, isopropyl-
 aminocarbonyl, butylaminocarbonyl, tert-butylaminocarbonyl, pentylamino-
 30 carbonyl, hexylaminocarbonyl, dimethylaminocarbonyl, diethylaminocarbonyl,
 dipropylaminocarbonyl, dibutylaminocarbonyl, dipentylaminocarbonyl, dihexyl-
 aminocarbonyl, N-methyl-N-ethylaminocarbonyl, N-ethyl-N-propylamino-
 carbonyl, N-methyl-N-butylaminocarbonyl, N-methyl-N-hexylaminocarbonyl, N-

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ethyl-N-(pyridylmethyl)aminocarbonyl, N-ethyl-N-benzylaminocarbonyl, benzyl-aminocarbonyl, (2-phenylethyl)aminocarbonyl, (1-phenylethyl)aminocarbonyl, (3-phenylpropyl)aminocarbonyl, (4-phenylbutyl)aminocarbonyl, (5-phenylpentyl)aminocarbonyl, (6-phenylhexyl)aminocarbonyl, N-methyl-N-benzyl-aminocarbonyl, pyridylmethylaminocarbonyl, (2-pyridylethyl)aminocarbonyl, (3-pyridylpropyl)aminocarbonyl, (4-pyridylbutyl)aminocarbonyl, (5-pyridylpentyl)aminocarbonyl, (6-pyridylhexyl)aminocarbonyl, N-(pyridylmethyl)-N-benzylaminocarbonyl, N-methyl-N-(pyridylmethyl)aminocarbonyl, and the like.

The benzoyl group having optionally a lower alkyl substituent on the phenyl ring includes a benzoyl group having optionally 1 to 3 straight chain or branched chain alkyl substituents having 1 to 6 carbon atoms on the phenyl ring, for example, benzoyl, 2-methylbenzoyl, 3-methylbenzoyl, 4-methylbenzoyl, 2-ethylbenzoyl, 3-propylbenzoyl, 4-butylbenzoyl, 2-pentylbenzoyl, 3-hexylbenzoyl, 3,4-dimethylbenzoyl, 3,4,5-trimethylbenzoyl, and the like.

The cycloalkyl group includes a cycloalkyl group having 3 to 8 carbon atoms, for example, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl, and the like.

The lower alkylthio group includes a straight chain or branched chain alkylthio group having 1 to 6 carbon atoms, for example, methylthio, ethylthio, propylthio, isopropylthio, butylthio, tert-butylthio, pentylthio, hexylthio, and the like.

The phenyl-lower alkanoyl group having optionally a lower alkyl substituent on the phenyl ring includes a phenylalkanoyl group wherein the alkanoyl moiety is a straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms, which may optionally have 1 to 3 straight chain or branched chain alkyl substituents having 1 to 6 carbon atoms on the phenyl ring, for example, 2-phenylacetyl, 3-phenylpropionyl, 4-phenylbutyryl, 2,2-dimethyl-3-phenylpropionyl, 5-phenylpentanoyl, 6-phenylhexanoyl, 2-(2-methylphenyl)-acetyl, 2-(3-methylphenyl)acetyl, 2-(4-methylphenyl)acetyl, 3-(2-ethylphenyl)-propionyl, 2-(3-ethylphenyl)propionyl, 4-(4-ethylphenyl)butyryl, 5-(4-isopropylphenyl)pentanoyl, 6-(3-butylphenyl)hexanoyl, 3-(4-pentylphenyl)propionyl, 2-(4-hexylphenyl)acetyl, 2-(3,4-dimethylphenyl)acetyl, 2-(3,4-diethylphenyl)-acetyl, 2-(2,4-dimethylphenyl)acetyl, 2-(2,5-dimethylphenyl)acetyl, 2-(2,6-

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dimethylphenyl)acetyl, 2-(3,4,5-trimethylphenyl)acetyl, and the like.

The halogen-substituted lower alkoxy group includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by 1 to 3 halogen atoms, for example, trifluoromethoxy, trichloromethoxy, chloromethoxy, bromomethoxy, fluoromethoxy, iodomethoxy, difluoromethoxy, dibromomethoxy, 2-chloroethoxy, 2,2,2-trifluoroethoxy, 2,2,2-trichloroethoxy, 3-bromopropoxy, 3-chloropropoxy, 2,3-dichloropropoxy, 4,4,4-trichlorobutoxy, 4-fluorobutoxy, 5-chloropentyloxy, 3-chloro-2-methylpropoxy, 5-bromohexyloxy, 5,6-dichlorohexyloxy, and the like.

The amino-substituted lower alkoxy group having optionally a lower alkyl substituent includes a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by an amino group having optionally 1 to 2 straight chain or branched chain alkyl substituents having 1 to 6 carbon atoms, for example, aminomethoxy, 2-aminoethoxy, 1-aminoethoxy, 3-aminopropoxy, 4-aminobutoxy, 5-aminopentyloxy, 6-aminohexyloxy, 1,1-dimethyl-2-aminoethoxy, 2-methyl-3-aminopropoxy, methylaminomethoxy, 1-ethylaminomethoxy, 2-propylaminomethoxy, 3-isopropylaminopropoxy, 4-isopropylaminobutoxy, 4-butylaminobutoxy, 4-tert-butylaminobutoxy, 5-pentylaminopentyloxy, 6-hexylaminohexyloxy, dimethylaminomethoxy, 2-diethylaminoethoxy, 2-dimethylaminoethoxy, (N-ethyl-N-propylamino)methoxy, 2-(N-methyl-N-hexylamino)ethoxy, and the like.

The phenyl group having optionally a substituent selected from a lower alkyl group, a lower alkoxy group, a phenyl-lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, a halogen-substituted lower alkoxy group, a nitro group, an amino group having optionally a lower alkanoyl substituent, a phenyl group and an amino-substituted lower alkoxy group having optionally a lower alkyl substituent includes a phenyl group which may optionally have 1 to 3 substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, a hydroxy group, a straight chain or branched chain alkanoyloxy group having 1 to 6 carbon atoms, a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by 1 to 3 halogen atoms, a nitro group, an amino group

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having optionally a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, a phenyl group, and a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms which is substituted by an amino group having optionally 1 to 2 straight chain or branched chain alkyl substituents

5 having 1 to 6 carbon atoms, for example, phenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 2-ethylphenyl, 3-propylphenyl, 4-butylphenyl, 2-pentylphenyl, 3-hexylphenyl, 3,4-dimethylphenyl, 3,4,5-trimethylphenyl, 2-methoxyphenyl, 3-methoxyphenyl, 4-methoxyphenyl, 2-ethoxyphenyl, 4-ethoxyphenyl, 3-propoxyphenyl, 4-propoxyphenyl, 4-butoxyphenyl, 2-pentyloxyphenyl, 3-hexyloxyphenyl, 2,4-dimethoxyphenyl, 3,4-diethoxyphenyl, 3,4,5-trimethoxyphenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 2,4-dihydroxyphenyl, 3,4-dihydroxyphenyl, 2,4,6-trihydroxyphenyl, 2-acetyloxyphenyl, 3-propionyloxyphenyl, 2-benzyloxyphenyl, 3-benzyloxyphenyl, 4-benzyloxyphenyl, 2-(2-phenylethoxy)phenyl, 3-(3-phenylpropoxy)phenyl, 4-(4-phenylbutoxy)phenyl, 3-(1-phenylethoxy)phenyl, 2-(5-phenylpentyloxy)phenyl, 3-(6-phenylhexyloxy)phenyl, 2,4-dibenzyloxyphenyl, 3,4-dibenzyloxyphenyl, 3,4,5-tribenzyloxyphenyl, 4-butyryloxyphenyl, 2-pentanoyloxyphenyl, 4-hexanoyloxyphenyl, 2,4-diacetyloxyphenyl, 2,6-diacetyloxyphenyl, 3,4,5-triacetyloxyphenyl, 2-trifluoromethoxyphenyl, 3-(2-chloroethoxy)phenyl, 2-(3-bromopropoxy)phenyl, 4-iodomethoxyphenyl, 2-(2,3-dichloropropoxy)phenyl, 3-(4-fluorobutoxy)phenyl, 4-(3-chloro-2-methylpropoxy)phenyl, 2-(5-bromohexyloxy)phenyl, 3-(5,6-dichlorohexyloxy)phenyl, 4-(2,2,2-trichloroethoxy)phenyl, 2,4-bistrifluoromethoxyphenyl, 2,4,6-tri(trifluoromethoxy)phenyl, 2-aminomethoxyphenyl, 3-(1-aminoethoxy)phenyl, 4-(3-aminopropoxy)phenyl, 2-(4-aminobutoxy)phenyl, 3-(5-aminopentyloxy)phenyl, 4-(6-aminohexyloxy)phenyl, 2-methylaminomethoxyphenyl, 3-(2-propylaminoethoxy)phenyl, 2-(3-isopropylaminopropoxy)phenyl, 4-(4-butylaminobutoxy)phenyl, 2-(5-pentylaminopentyloxy)phenyl, 3-(6-hexylaminohexyloxy)phenyl, 4-dimethylaminomethoxyphenyl, 2-(N-ethyl-N-propylaminomethoxy)phenyl, 2-methyl-4-methoxyphenyl, 2-methyl-6-hydroxyphenyl, 4-methyl-2-(3-bromopropoxy)phenyl, 4-methoxy-2-(3-isopropylaminopropoxy)phenyl, 2-phenylphenyl, 3-phenylphenyl, 4-phenylphenyl, 2-nitrophenyl, 3-nitrophenyl, 4-nitrophenyl, 2,3-dinitrophenyl, 2,4,6-trinitrophenyl, 2-aminophenyl, 3-aminophenyl, 4-aminophenyl,

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2,4-diaminophenyl, 3,4,5-triaminophenyl, 4-acetylaminophenyl, 2-propionylaminophenyl, 3-butyrylamino-phenyl, 4-pentanoylamino-phenyl, 4-hexanoylaminophenyl, 2,3-diacetylaminophenyl, 2,4,6-triacetylaminophenyl, and the like.

5 The anilino-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring includes an anilino-alkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, which may optionally have 1 to 3 straight chain or branched chain alkyl substituents having 1 to 6 carbon atoms on the phenyl ring, for example,
10 anilinomethyl, 2-anilinoethyl, 1-anilinoethyl, 3-anilinopropyl, 4-anilinobutyl, 1,1-dimethyl-2-anilinoethyl, 5-anilinopentyl, 6-anilinohexyl, 2-methyl-3-anilino-propyl, (2-methylanilino)methyl, 2-(2-methylanilino)ethyl, 1-(3-methylanilino)-ethyl, 3-(4-methylanilino)propyl, 4-(2-ethylanilino)butyl, 5-(3-propylanilino)-pentyl, 6-(4-buthylanilino)hexyl, 2-(2-pentylanilino)ethyl, 1-(3-hexylanilino)ethyl,
15 3-(3,4-dimethylanilino)propyl, 2-(3,4,5-trimethylanilino)ethyl, and the like.

 The phenyl-lower alkoxy group having optionally a substituent selected from a halogen atom, a lower alkoxycarbonyl group and an amino-carbonyl group having optionally a substituent selected from a lower alkyl group and an amino-lower alkyl group having optionally a lower alkyl
20 substituent on the phenyl ring includes a phenylalkoxy group wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, which may optionally have 1 to 3 substituents selected from a halogen atom, a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms in the alkoxy moiety, and an aminocarbonyl group having
25 optionally 1 to 2 substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by an amino group having optionally 1 to 2 straight chain or branched chain alkyl substituents
30 having 1 to 6 carbon atoms on the phenyl ring, for example, phenylmethoxy, 2-phenylethoxy, 1-phenylethoxy, 3-phenylpropoxy, 4-phenylbutoxy, 5-phenyl-pentyloxy, 6-phenylhexyloxy, 1,1-dimethyl-2-phenylethoxy, 2-methyl-3-phenyl-propoxy, (2-chlorophenyl)methoxy, (2-bromophenyl)methoxy, 2-(4-fluoro-phenyl)ethoxy, 1-(4-bromophenyl)ethoxy, 3-(3-bromophenyl)propoxy, 4-(4-

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chlorophenyl)butoxy, 5-(2-iodophenyl)pentylloxy, 6-(3-iodophenyl)hexylloxy, (2,6-dichlorophenyl)methoxy, (2,3-dichlorophenyl)methoxy, (2,4-dichlorophenyl)methoxy, (3,4-difluorophenyl)methoxy, (3,4,5-trichlorophenyl)methoxy, (2-methoxycarbonylphenyl)methoxy, (3-ethoxycarbonylphenyl)methoxy, 2-(4-isopropoxycarbonylphenyl)ethoxy, 3-(2-butoxycarbonylphenyl)propoxy, 4-(3-pentylloxycarbonylphenyl)butoxy, 5-(4-hexylloxycarbonylphenyl)pentylloxy, 6-(2-methoxycarbonylphenyl)hexylloxy, (2,4-dimethoxycarbonylphenyl)methoxy, (2,4,6-triethoxycarbonylphenyl)methoxy, (2-carbamoylphenyl)methoxy, 2-(3-methylaminocarbonylphenyl)ethoxy, 1-(4-ethylaminocarbonylphenyl)ethoxy, 3-(2-isopropylaminocarbonylphenyl)propoxy, 4-(3-butylaminocarbonylphenyl)butoxy, 5-(4-pentylaminocarbonylphenyl)pentylloxy, 6-(2-hexylaminocarbonylphenyl)hexylloxy, (2-dimethylaminocarbonylphenyl)methoxy, 2-(3-dibutylaminocarbonylphenyl)ethoxy, 1-(4-dihexylaminocarbonylphenyl)ethoxy, 3-[2-(N-ethyl-N-propylaminocarbonyl)phenyl]propoxy, (2-aminomethylaminocarbonylphenyl)methoxy, 2-[3-(2-aminoethylaminocarbonyl)phenyl]ethoxy, 3-[4-(3-aminopropylaminocarbonyl)phenyl]propoxy, 4-[2-(4-aminobutylaminocarbonyl)phenyl]butoxy, 5-[3-(5-aminopentylaminocarbonyl)phenyl]pentylloxy, 6-[4-(6-aminoethylaminocarbonyl)phenyl]hexylloxy, [2-(N-methyl-N-methylamino-methyl)aminocarbonylphenyl]methoxy, 2-[3-(3-isopropylaminopropylaminocarbonyl)phenyl]ethoxy, 3-[4-[N-propyl-N-(5-pentylaminopentyl)aminocarbonyl]phenyl]propoxy, {2-[N-methyl-N-(2-diethylaminoethyl)aminocarbonyl]phenyl}methoxy, {2-[N,N-bis(diethylaminoethyl)aminocarbonyl]phenyl}methoxy, 4-[3-(N-ethyl-N-propylamino)methylaminocarbonylphenyl]butoxy, 5-[4-[N-[2-(N-methyl-N-hexylamino)ethyl]-N-ethylaminocarbonyl]phenyl]pentylloxy, 6-[4-chloro-2-[N-butyl-N-(6-hexylaminoethyl)aminocarbonyl]phenyl]hexylloxy, [2-bromo-4-(N-hexyl-N-dimethylaminomethyl)aminocarbonylphenyl]methoxy, (2-methoxycarbonyl-3-chlorophenyl)methoxy, and the like.

The benzoyl-lower alkoxy group having optionally a halogen substituent on the phenyl ring includes a benzoylalkoxy group wherein the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, which may optionally have 1 to 3 halogen substituents on the phenyl ring, for example, benzoylmethoxy, 2-benzoylethoxy, 1-benzoylethoxy, 3-benzoylpropoxy, 4-benzoylbutoxy, 5-benzoylhexylloxy, 6-benzoylhexylloxy,

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1,1-dimethyl-2-benzoylethoxy, 2-methyl-3-benzoylpropoxy, 2-(2-chlorobenzoyl)-ethoxy, 1-(3-chlorobenzoyl)ethoxy, (4-chlorobenzoyl)methoxy, 3-(2-fluorobenzoyl)propoxy, 4-(3-fluorobenzoyl)butoxy, 5-(4-fluorobenzoyl)pentylloxy, 6-(2-bromobenzoyl)hexylloxy, 1,1-dimethyl-2-(3-bromobenzoyl)ethoxy, 2-methyl-3-(4-bromobenzoyl)propoxy, (2-iodobenzoyl)methoxy, 2-(3-iodobenzoyl)-ethoxy, 3-(4-iodobenzoyl)propoxy, 4-(3,4-dichlorobenzoyl)butoxy, 5-(2,6-dichlorobenzoyl)pentylloxy, 6-(2,3-dichlorobenzoyl)hexylloxy, (2,4-dichlorobenzoyl)methoxy, (3,4-difluorobenzoyl)methoxy, (3,5-dibromobenzoyl)-methoxy, (3,4,5-trichlorobenzoyl)methoxy, and the like.

10 The phenyl-lower alkenyl group having optionally a halogen substituent on the phenyl ring includes a straight chain or branched chain alkenyl group having 2 to 6 carbon atoms, which is substituted by a phenyl group having optionally 1 to 3 halogen substituents on the phenyl ring, for example, styryl, 3-phenyl-2-propenyl, 3-phenyl-1-propenyl, 4-phenyl-3-butenyl, 4-phenyl-2-butenyl, 4-phenyl-1-butenyl, 5-phenyl-4-pentenyl, 5-phenyl-3-pentenyl, 5-phenyl-2-pentenyl, 5-phenyl-1-pentenyl, 1-methyl-3-phenyl-2-butenyl, 6-phenyl-5-hexenyl, 1-methylstyryl, 2-, 3- or 4-chlorostyryl, 3-(4-bromophenyl)-2-propenyl, 3-(3-fluorophenyl)-1-propenyl, 4-(4-iodophenyl)-3-butenyl, 5-(2-chlorophenyl)-4-pentenyl, 2-methyl-3-bromostyryl, 3,4-dichlorostyryl, 3,4,5-trichlorostyryl, and the like.

25 The benzoyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring includes a benzoylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, which may optionally have 1 to 3 alkyl substituents having 1 to 6 carbon atoms on the phenyl ring, for example, benzoylmethyl, 2-benzoylethyl, 1-benzoylethyl, 3-benzoylpropyl, 4-benzoylbutyl, 1,1-dimethyl-2-benzoylethyl, 5-benzoylpentyl, 6-benzoylhexyl, 2-methyl-3-benzoylpropyl, 2-(methylbenzoyl)-methyl, 2-(2-methylbenzoyl)ethyl, 1-(3-methylbenzoyl)ethyl, 3-(4-methylbenzoyl)propyl, 4-(2-ethylbenzoyl)butyl, 5-(3-propylbenzoyl)pentyl, 6-(4-butylbenzoyl)hexyl, 2-(2-pentylbenzoyl)ethyl, 1-(3-hexylbenzoyl)ethyl, 3-(3,4-dimethylbenzoyl)propyl, 2-(3,4,5-trimethylbenzoyl)ethyl, and the like.

30 The pyrrolidinyl-substituted lower alkoxy group includes a pyrrolidinylalkoxy group wherein the alkoxy moiety is a straight chain or

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5 branched chain alkoxy group having 1 to 6 carbon atoms, for example, (2-pyrrolidinyl)methoxy, 2-(2-pyrrolidinyl)ethoxy, 1-(3-pyrrolidinyl)ethoxy, 3-(2-pyrrolidinyl)propoxy, 4-(3-pyrrolidinyl)butoxy, 5-(2-pyrrolidinyl)pentyloxy, 6-(3-pyrrolidinyl)hexyloxy, 1,1-dimethyl-2-(2-pyrrolidinyl)ethoxy, 2-methyl-3-(3-pyrrolidinyl)propoxy, 5-(1-pyrrolidinyl)pentyloxy, 2-(1-pyrrolidinyl)ethoxy, and the like.

10 The phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring includes a phenylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, which may optionally have 1 to 3 straight chain or branched chain alkyl substituents having 1 to 6 carbon atoms on the phenyl ring, for example, benzyl, 2-phenylethyl, 1-phenylethyl, 3-phenylpropyl, 4-phenylbutyl, 1,1-dimethyl-2-phenylethyl, 5-phenylpentyl, 6-phenylhexyl, 2-methyl-3-phenylpropyl, 2-methylbenzyl, 2-(2-methylphenyl)ethyl, 1-(3-methylphenyl)ethyl, 3-(4-methylphenyl)propyl, 4-(2-ethylphenyl)butyl, 5-(3-propylphenyl)pentyl, 6-(4-butylphenyl)hexyl, 2-(2-pentylphenyl)ethyl, 1-(3-hexylphenyl)ethyl, 3-(3,4-dimethylphenyl)propyl, 2-(3,4,5-trimethylphenyl)ethyl, (2-methyl-6-chlorophenyl)methyl, and the like.

20 The lower alkoxy carbonyl group includes a straight chain or branched chain alkoxy carbonyl group having 1 to 6 carbon atoms in the alkoxy moiety, for example, methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isopropoxycarbonyl, butoxycarbonyl, tert-butoxycarbonyl, pentyloxycarbonyl, hexyloxycarbonyl, and the like.

25 The aminocarbonyl group having optionally a substituent selected from a lower alkyl group and an amino-substituted lower alkyl group having optionally a lower alkyl substituent includes an aminocarbonyl group which may optionally have 1 to 2 substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by an amino group having optionally 1 to 2 straight chain or branched chain alkyl substituents having 1 to 6 carbon atoms, for example, aminocarbonyl, methylaminocarbonyl, ethylaminocarbonyl, propylaminocarbonyl, isopropylaminocarbonyl, butylaminocarbonyl, tert-butylaminocarbonyl, pentylaminocarbonyl,

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hexylaminocarbonyl, dimethylaminocarbonyl, diethylaminocarbonyl, dipropylaminocarbonyl, dibutylaminocarbonyl, dipentylaminocarbonyl, dihexylaminocarbonyl, N-methyl-N-ethylaminocarbonyl, N-ethyl-N-propylaminocarbonyl, N-methyl-N-butylaminocarbonyl, N-methyl-N-hexylaminocarbonyl, aminomethylaminocarbonyl, 2-aminoethylaminocarbonyl, 1-aminoethylaminocarbonyl, 3-aminopropylaminocarbonyl, 4-aminobutylaminocarbonyl, 5-aminopentylaminocarbonyl, 6-aminoethylaminocarbonyl, 1,1-dimethyl-2-aminoethylaminocarbonyl, 2-methyl-3-aminopropylaminocarbonyl, methylaminomethylaminocarbonyl, 1-ethylaminoethylaminocarbonyl, 2-propylaminoethylaminocarbonyl, 3-isopropylaminopropylaminocarbonyl, 4-butylaminobutylaminocarbonyl, 5-pentylaminopentylaminocarbonyl, 6-hexylaminoethylaminocarbonyl, dimethylaminomethylaminocarbonyl, 2-diethylaminoethylaminocarbonyl, 2-dimethylaminoethylaminocarbonyl, (N-ethyl-N-propylamino)methylaminocarbonyl, 2-(N-methyl-N-hexylamino)ethylaminocarbonyl, N-methyl-N-(2-diethylaminoethyl)aminocarbonyl, N-ethyl-N-(methylaminomethyl)aminocarbonyl, and the like.

The lower alkyl group having optionally a hydroxy substituent includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which may optionally have 1 to 3 hydroxy substituents, for example, hydroxymethyl, 2-hydroxyethyl, 1-hydroxyethyl, 3-hydroxypropyl, 2,3-dihydroxypropyl, 4-hydroxybutyl, 1,1-dimethyl-2-hydroxyethyl, 5,5,4-trihydroxypentyl, 5-hydroxypentyl, 6-hydroxyhexyl, 1-hydroxyisopropyl, 2-methyl-3-hydroxypropyl, and the like.

The carbamoyl-lower alkyl group includes a carbamoylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, carbamoylmethyl, 2-carbamoylethyl, 1-carbamoylethyl, 3-carbamoylpropyl, 4-carbamoylbutyl, 5-carbamoylpentyl, 6-carbamoylhexyl, 1,1-dimethyl-2-carbamoylethyl, 2-methyl-3-carbamoylpropyl, and the like.

The adamantyl-substituted lower alkyl group includes an adamantyl-alkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, adamantylmethyl, 2-adamantylethyl, 1-adamantylethyl, 3-adamantylpropyl, 4-adamantylbutyl, 5-

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adamantylpentyl, 6-adamantylhexyl, 1,1-dimethyl-2-adamantylethyl, 2-methyl-3-adamantylpropyl, and the like.

The lower alkylsulfonyl group includes an alkylsulfonyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, methylsulfonyl, ethylsulfonyl, propylsulfonyl, isopropylsulfonyl, butylsulfonyl, tert-butylsulfonyl, pentylsulfonyl, hexylsulfonyl, and the like.

The hydroxy-substituted lower alkyl group includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which have 1 to 3 hydroxy substituents, for example, hydroxymethyl, 2-hydroxyethyl, 1-hydroxyethyl, 3-hydroxypropyl, 2,3-dihydroxypropyl, 4-hydroxybutyl, 1,1-dimethyl-2-hydroxyethyl, 5,5,4-trihydroxypentyl, 5-hydroxypentyl, 6-hydroxyhexyl, 1-hydroxyisopropyl, 2-methyl-3-hydroxypropyl, and the like.

The phenyl-lower alkyl group includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, which is substituted by 1 to 2 phenyl groups, for example, benzyl, 2-phenylethyl, 1-phenylethyl, 3-phenylpropyl, 4-phenylbutyl, 5-phenylpentyl, 6-phenylhexyl, 1,1-dimethyl-2-phenylethyl, 2-methyl-3-phenylpropyl, diphenylmethyl, 2,2-diphenylethyl, and the like.

The quinolylcarbonyl group having optionally a phenyl substituent on the quinoline ring includes, for example, quinolylcarbonyl, 2-phenylquinolylcarbonyl, 3-phenylquinolylcarbonyl, 4-phenylquinolylcarbonyl, 5-phenylquinolylcarbonyl, 6-phenylquinolylcarbonyl, 7-phenylquinolylcarbonyl, 8-phenylquinolylcarbonyl, and the like.

The thienylcarbonyl group having optionally a phenyl substituent on the thiophene ring includes, for example, thienylcarbonyl, 2-phenylthienylcarbonyl, 3-phenylthienylcarbonyl, 4-phenylthienylcarbonyl, and the like.

The thiazolylcarbonyl group having optionally a phenyl substituent on the thiazole ring includes, for example, thiazolylcarbonyl, 2-phenylthiazolylcarbonyl, 4-phenylthiazolylcarbonyl, 5-phenylthiazolylcarbonyl, and the like.

The cycloalkylcarbonyl group includes a cycloalkylcarbonyl group having 3 to 8 carbon atoms in the cycloalkyl moiety, for example, cyclopropylcarbonyl, cyclobutylcarbonyl, cyclopentylcarbonyl, cyclohexylcarbonyl, cycloheptylcarbonyl, cyclooctylcarbonyl, and the like.

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The lower alkanoyl group having optionally a substituent selected from a halogen atom and a hydroxy group includes a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms which may optionally have 1 to 3 substituents selected from a halogen atom and a hydroxy group, for example, in addition to the above-mentioned lower alkanoyl groups having optionally a halogen substituent, 2-hydroxyacetyl, 3-hydroxypropionyl, 2-hydroxypropionyl, 4-hydroxybutyryl, 5-hydroxypentanoyl, 6-hydroxyhexanoyl, 2,2-dimethyl-3-hydroxypropionyl, and the like.

The halogen-substituted lower alkyl group includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms which is substituted by 1 to 3 halogen atoms, for example, trifluoromethyl, trichloromethyl, chloromethyl, bromomethyl, fluoromethyl, iodomethyl, difluoromethyl, dibromomethyl, 2-chloroethyl, 2,2,2-trifluoroethyl, 2,2,2-trichloroethyl, 3-bromopropyl, 3-chloropropyl, 2,3-dichloropropyl, 4,4,4-trichlorobutyl, 4-fluorobutyl, 5-chloropentyl, 3-chloro-2-methylpropyl, 5-bromohexyl, 5,6-dichlorohexyl, and the like.

The amino group having optionally a lower alkyl substituent includes an amino group having optionally 1 to 2 straight chain or branched chain alkyl substituents having 1 to 6 carbon atoms, for example, amino, methylamino, ethylamino, propylamino, isopropylamino, butylamino, tert-butylamino, pentylamino, hexylamino, dimethylamino, diethylamino, dipropylamino, dibutylamino, dipentylamino, dihexylamino, N-methyl-N-ethylamino, N-ethyl-N-propylamino, N-methyl-N-butylamino, N-methyl-N-hexylamino, and the like.

The lower alkoxycarbonyl group having optionally a halogen substituent includes a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms in the alkoxy moiety, which may optionally have 1 to 3 halogen substituents, for example, in addition to the above-mentioned lower alkoxycarbonyl groups, trifluoromethoxycarbonyl, trichloromethoxycarbonyl, chloromethoxycarbonyl, bromomethoxycarbonyl, fluoromethoxycarbonyl, iodomethoxycarbonyl, difluoromethoxycarbonyl, dibromomethoxycarbonyl, 2-chloroethoxycarbonyl, 2,2,2-trifluoroethoxycarbonyl, 2,2,2-trichloroethoxycarbonyl, 3-bromopropoxy, 3-chloropropoxy, 2,3-dichloropropoxy, 4,4,4-

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trichlorobutoxycarbonyl, 4-fluorobutoxycarbonyl, 5-chloropentyloxycarbonyl, 3-chloro-2-methylpropoxycarbonyl, 5-bromohexyloxycarbonyl, 5,6-dichlorohexyloxycarbonyl, and the like.

5 The lower alkoxy-substituted lower alkanoyl group includes an alkoxyalkanoyl group wherein the alkanoyl moiety is a straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms and the alkoxy moiety is a straight chain or branched chain alkoxy group having 1 to 6 carbon atoms, for example, 2-methoxyacetyl, 3-methoxypropionyl, 2-ethoxyacetyl, 3-ethoxypropionyl, 4-ethoxybutyryl, 3-propoxypropionyl, 2-methoxypropionyl, 6-
10 propoxyhexanoyl, 5-isopropoxypentanoyl, 2,2-dimethyl-3-butoxypropionyl, 2-methyl-3-tert-butoxypropionyl, 2-pentyloxyacetyl, 2-hexyloxyacetyl, and the like.

The lower alkanoyloxy-substituted lower alkanoyl group includes an alkanoyloxyalkanoyl group wherein the alkanoyl moieties are a straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms which is
15 substituted by a straight chain or branched chain alkanoyloxy group having 1 to 6 carbon atoms, for example, 2-acetyloxyacetyl, 3-acetyloxypropionyl, 2-propionyloxyacetyl, 3-propionyloxypropionyl, 4-propionyloxybutyryl, 3-butyryloxypropionyl, 2-acetyloxypropionyl, 6-propionyloxyhexanoyl, 5-butyryloxy-pentanoyl, 2,2-dimethyl-3-butyryloxypropionyl, 2-pentanoyloxyacetyl, 2-
20 hexanoyloxyacetyl, and the like.

The quinolyloxy-substituted alkanoyl group includes a quinolyloxyalkanoyl group wherein the alkanoyl moiety is a straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms, for example, 2-quinolyloxy-
25 acetyl, 3-quinolyloxypropionyl, 2-quinolyloxypropionyl, 4-quinolyloxybutyryl, 2,2-dimethyl-3-quinolyloxypropionyl, 5-quinolyloxypentanoyl, 6-quinolyloxyhexanoyl, and the like.

The phenyl-lower alkoxycarbonyl group includes a phenylalkoxycarbonyl group wherein the alkoxycarbonyl moiety is a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms in the alkoxy
30 moiety, for example, benzyloxycarbonyl, 2-phenylethoxycarbonyl, 1-phenylethoxycarbonyl, 3-phenylpropoxycarbonyl, 4-phenylbutoxycarbonyl, 5-phenylpentyloxycarbonyl, 6-phenylhexyloxycarbonyl, 1,1-dimethyl-2-phenylethoxycarbonyl, 2-methyl-3-phenylpropoxycarbonyl, and the like.

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The benzoyl-lower alkyl group includes a benzoylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, benzoylmethyl, 2-benzoylethyl, 1-benzoylethyl, 3-benzoylpropyl, 4-benzoylbutyl, 5-benzoylpentyl, 6-benzoylhexyl, 1,1-dimethyl-2-benzoylethyl, 2-methyl-3-benzoylpropyl, and the like.

The tetrahydroquinolyloxy-substituted lower alkanoyl group having optionally a substituent selected from a lower alkyl group and an oxo group on the quinoline ring includes a straight chain or branched chain alkanoyl group having 2 to 6 carbon atoms, which is substituted by a tetrahydroquinolyloxy group having optionally 1 to 3 substituents selected from a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and an oxo group on the quinoline ring, for example, 2-tetrahydroquinolyloxyacetyl, 3-tetrahydroquinolyloxypropionyl, 2-tetrahydroquinolyloxypropionyl, 4-tetrahydroquinolyloxybutyryl, 2,2-dimethyl-3-tetrahydroquinolyloxypropionyl, 5-tetrahydroquinolyloxy-pentanoyl, 6-tetrahydroquinolyloxyhexanoyl, 2-(1-methyltetrahydroquinolyloxy)acetyl, 2-(2-oxotetrahydroquinolyloxy)acetyl, 3-(2-ethyltetrahydroquinolyloxy)propionyl, 2-(3-propyltetrahydroquinolyloxy)propionyl, 4-(4-butyltetrahydroquinolyloxy)butyryl, 2,2-dimethyl-3-(5-pentyltetrahydroquinolyloxy)propionyl, 5-(6-hexyltetrahydroquinolyloxy)pentanoyl, 6-(7-methyltetrahydroquinolyloxy)-hexanoyl, 2-(8-methyltetrahydroquinolyloxy)acetyl, 2-(1,4-dimethyltetrahydroquinolyloxy)acetyl, 2-(2,4,6-trimethyltetrahydroquinolyloxy)acetyl, 2-(1-methyl-2-oxotetrahydroquinolyloxy)acetyl, 3-(2-oxotetrahydroquinolyloxy)propionyl, 4-(2-oxotetrahydroquinolyloxy)butyryl, 5-(2-oxotetrahydroquinolyloxy)pentanoyl, 6-(2-oxotetrahydroquinolyloxy)hexanoyl, 2-(1,6-dimethyl-2-oxotetrahydroquinolyloxy)acetyl, and the like.

The tetrahydronaphthylloxy-lower alkanoyl group includes a tetrahydronaphthylloxyalkanoyl group wherein the alkanoyl group is a straight chain or branched chain alkanoyl group having 2 to 6 carbon atom, for example, 2-tetrahydronaphthylloxyacetyl, 3-tetrahydronaphthylloxypropionyl, 2-tetrahydronaphthylloxypropionyl, 4-tetrahydronaphthylloxybutyryl, 2,2-dimethyl-3-tetrahydronaphthylloxypropionyl, 5-tetrahydronaphthylloxypentanoyl, 6-tetrahydronaphthylloxyhexanoyl, and the like.

The phenyl-lower alkenylcarbonyl group includes a

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phenylalkenylcarbonyl group wherein the alkenylcarbonyl moiety is a straight chain or branched chain alkenylcarbonyl group having 3 to 6 carbon atoms in the alkenyl moiety, for example cinnamoyl, 3-phenyl-2-propenylcarbonyl, 3-phenyl-1-propenylcarbonyl, 4-phenyl-3-butenylcarbonyl, 4-phenyl-2-butenylcarbonyl, 4-phenyl-1-butenylcarbonyl, 5-phenyl-4-pentenylcarbonyl, 5-phenyl-3-pentenylcarbonyl, 5-phenyl-2-pentenylcarbonyl, 5-phenyl-1-pentenylcarbonyl, 1-methyl-3-phenyl-2-butenylcarbonyl, 1-methylcinnamoyl, and the like.

The cycloalkenyl group includes a cycloalkenyl group having 3 to 8 carbon atoms, for example, cyclopropenyl, cyclobutenyl, cyclopentenyl, cyclohexenyl, cycloheptenyl, cyclooctenyl, and the like.

The phenyl-lower alkylaminocarbonyl group includes a phenylalkylaminocarbonyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, benzylaminocarbonyl, (2-phenylethyl)aminocarbonyl, (1-phenylethyl)aminocarbonyl, (3-phenylpropyl)aminocarbonyl, (4-phenylbutyl)aminocarbonyl, (5-phenylpentyl)aminocarbonyl, (6-phenylhexyl)aminocarbonyl, (1,1-dimethyl-2-phenylethyl)aminocarbonyl, (2-methyl-3-phenylpropyl)aminocarbonyl, and the like.

The 5- to 11-membered, saturated or unsaturated heteromonocyclic or heterobicyclic group containing 1 to 4 heteroatoms selected from a nitrogen atom, an oxygen atom and a sulfur atom includes, for example, pyrrolidiny, piperidiny, piperaziny, morpholino, thiomorpholino, pyridyl, homopiperaziny, 1,2,5,6-tetrahydropyridyl, thienyl, quinolyl, 1,4-dihydroquinolyl, benzothiazolyl, pyrazyl, pyrimidyl, pyridazyl, pyrrolyl, carbostyryl, 3,4-dihydrocarbostyryl, 1,2,3,4-tetrahydroquinolyl, indolyl, isoindolyl, indolinyl, benzimidazolyl, benzoxazolyl, imidazolidinyl, isoquinolyl, quinazolidinyl, 1,2,3,4-tetrahydroquinolyl, 1,2-dihydroisoquinolyl, quinoxaliny, cinnolinyl, phthalazinyl, 1,2,3,4-tetrazolyl, 1,2,4-triazolyl, chromanyl, isoindolinyl, isochromanyl, pyrazolyl, imidazolyl, pyrazolidinyl, imidazo[1,2-a]pyridyl, benzofuryl, 2,3-dihydrobenzo[b]furyl, benzothieryl, 1-azacycloheptyl, 4H-chromenyl, 1H-indazolyl, isoindolinyl, 2-imidazolinyl, 2-pyrrolinyl, furyl, oxazolyl, isooxazolyl, thiazolyl, isothiazolyl, pyranyl, pyrazolidinyl, 2-pyrazolinyl, quinuclidinyl, 1,4-benzoxazinyl, 3,4-dihydro-2H-1,4-benzoxazinyl,

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3,4-dihydro-2H-1,4-benzothiazinyl, 1,4-benzothiadinyl, 1,2,3,4-tetrahydro-
quinoxaliny, 1,3-dithia-2,4-dihydronaphthalenyl, tetrahydro-1,3-oxazinyl,
tetrahydrooxazolyl, 1,4-dithianaphthalenyl, and the like.

The above-mentioned heterocyclic group having 1 to 3 substituents
5 selected from a lower alkyl group, a phenyl group, a lower alkanoyl group, a
halogen atom, a phenyl-lower alkyl group and an oxo group includes the
above-mentioned heterocyclic groups having 1 to 3 substituents selected from
a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, a
phenyl group, a straight chain or branched chain alkanoyl group having 1 to 6
10 carbon atoms, a halogen atom, a phenylalkyl group wherein the alkyl moiety is
a straight chain or branched chain alkyl group having 1 to 6 carbon atoms and
an oxo group, for example, 1-oxo-1,2,3,4-tetrahydroisoquinolyl, 2-oxo-
piperidinyl, 2-oxo-1-azabicycloheptyl, 2-oxopyrrolidinyl, 5-phenylthiazolyl, 1-
methylimidazolyl, 1-propylimidazolyl, 4-methylimidazolyl, 4-phenylimidazolyl,
15 1,4-dimethylpyrrolyl, 4-methylpiperazinyl, 4-phenylpiperidinyl, 4-methyl-
thiazolyl, 2-oxothiazolyl, 5-ethylthiazolyl, 4-phenylthiazolyl, 4-propylthiazolyl, 5-
butylthiazolyl, 4-pentylthiazolyl, 2-hexylthiazolyl, 4,5-dimethylthiazolyl, 5-
phenyl-4-methylthiazolyl, 1-ethylimidazolyl, 4-propylimidazolyl, 5-butyl-
imidazolyl, 1-pentylimidazolyl, 1-hexylimidazolyl, 1,4-dimethylimidazolyl, 1,4,5-
20 trimethylimidazolyl, 1-phenylimidazolyl, 2-phenylimidazolyl, 5-phenyl-
imidazolyl, 1-methyl-4-phenylimidazolyl, 3-methyl-1,2,4-triazolyl, 5-ethyl-1,2,4-
triazolyl, 3-phenyl-1,2,4-triazolyl, 2-oxo-1-methylimidazolyl, 2-oxoimidazolyl, 2-
ethylpyrrolyl, 3-propylpyrrolyl, 5-butylpyrrolyl, 4-pentylpyrrolyl, 2-hexylpyrrolyl,
2,4,5-trimethylpyrrolyl, 2-phenylpyrrolyl, 2,5-diphenylpyrrolyl, 2-methyl-5-
25 phenylpyrrolyl, 2-oxopyrrolyl, 1-methyl-1,2,3,4-tetrazolyl, 1-phenyl-1,2,3,4-
tetrazolyl, 1-ethyl-1,2,3,4-tetrazolyl, 1-propyl-1,2,3,4-tetrazolyl, 1-butyl-1,2,3,4-
tetrazolyl, 1-pentyl-1,2,3,4-tetrazolyl, 1-hexyl-1,2,3,4-tetrazolyl, 1-phenyl-
1,2,3,4-tetrazolyl, 2-methylpyridyl, 3-ethylpyridyl, 4-propylpyridyl, 2-butylpyridyl,
3-pentylpyridyl, 4-hexylpyridyl, 2-phenylpyridyl, 3-phenylpyridyl, 4-phenyl-
30 pyridyl, 2,4-dimethylpyridyl, 2,4,6-trimethylpyridyl, 2-methyl-4-phenylpyridyl,
2,4-diphenylpyridyl, 2,4,6-triphenylpyridyl, 2-oxopyridyl, 4-oxopyridyl, 4-methyl-
2-oxopyridyl, 2-phenyl-4-oxopyridyl, 3-methylimidazo[1,2-a]pyridyl, 4-ethyl-
imidazo[1,2-a]pyridyl, 3-phenylimidazo[1,2-a]pyridyl, 5-phenylimidazo[1,2-a]-

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pyridyl, 3-methyl-1H-indazolyl, 3-phenyl-1H-indazolyl, 1-methyl-1,2,3,4-tetrahydroisoquinolyl, 5-ethyl-1,2,3,4-tetrahydroisoquinolyl, 6-phenyl-1,2,3,4-tetrahydroisoquinolyl, 1-oxo-6-methyl-1,2,3,4-tetrahydroisoquinolyl, 1-oxo-7-phenyl-1,2,3,4-tetrahydroisoquinolyl, 3,4-dimethylpiperazinyl, 3-ethylpyrrolidinyl, 2-propylpyrrolidinyl, 1-methylpyrrolidinyl, 3,4,5-trimethylpiperidinyl, 4-butylpiperidinyl, 4-pentylmorpholino, 4-hexylpiperazinyl, 4-butylpiperidinyl, 3-pentylmorpholino, 4-hexylpiperazinyl, 3-methylthiomorpholino, 4-phenylpiperazinyl, 3-phenylpyrrolidinyl, 2-oxo-4-methylpiperidinyl, 2-oxo-3-methylpyrrolidinyl, 2-oxo-4-phenylpiperidinyl, 4-methyl-1-azabicycloheptyl, 5-phenyl-1-azacycloheptyl, 6-methyl-2-oxo-1-azacycloheptyl, 1-methyl-2-oxoimidazolidinyl, 1-isobutyl-2-oxoimidazolidinyl, 1-benzyl-2-oxoimidazolidinyl, 2-oxotetrahydro-1,3-oxazinyl, 3-phenyl-2-oxo-1-azacycloheptyl, 2-oxotetrahydrooxazolyl, 3-chloropyridyl, 4-methylpiperazinyl, 4-isobutylpiperazinyl, 4-methylhomopiperazinyl, 4-acetylpiperazinyl, 4-benzylpiperazinyl, 4-ethylhomopiperazinyl, and the like.

The cyano-substituted lower alkyl group includes a cyanoalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, cyanomethyl, 2-cyanoethyl, 1-cyanoethyl, 3-cyanopropyl, 4-cyanobutyl, 5-cyanopentyl, 6-cyanoethyl, 1,1-dimethyl-2-cyanoethyl, 2-methyl-3-cyanopropyl, and the like.

The tetrazolyl-substituted lower alkyl group includes a tetrazolylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, tetrazolylmethyl, 2-tetrazolyethyl, 1-tetrazolyethyl, 3-tetrazolylpropyl, 4-tetrazolylbutyl, 5-tetrazolylpentyl, 6-tetrazolylhexyl, 1,1-dimethyl-2-tetrazolyethyl, 2-methyl-3-tetrazolylpropyl, and the like.

The lower alkanoyloxy-substituted lower alkyl group includes a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, which is substituted by a straight chain or branched chain alkanoyloxy group having 2 to 6 carbon atoms, for example, acetyloxymethyl, 2-propionyloxyethyl, 1-butyryloxyethyl, 3-acetyloxypropyl, 4-acetyloxybutyl, 4-isobutyryloxybutyl, 5-pentanoyloxyethyl, 6-acetyloxyhexyl, 6-tert-butylcarbonyloxyhexyl, 1,1-dimethyl-2-hexanoyloxyethyl, 2-methyl-3-acetyloxypropyl, and the like.

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The amino group having optionally a lower alkanoyl substituent includes an amino group having optionally a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, amino, formylamino, acetylamino, propionylamino, butyrylamino, isobutyrylamino, pentanoylamino, 5 tert-butylcarbonylamino, hexanoylamino, and the like.

The pyridyl-lower alkyl group includes a pyridylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, (4-pyridyl)methyl, 1-(3-pyridyl)ethyl, 2-(2-pyridyl)-ethyl, 3-(2-pyridyl)propyl, 4-(3-pyridyl)butyl, 5-(4-pyridyl)pentyl, 6-(2-pyridyl)- 10 hexyl, 1,1-dimethyl-2-(3-pyridyl)ethyl, 2-methyl-3-(4-pyridyl)propyl, and the like.

The phenoxy-lower alkoxycarbonyl group includes a phenoxyalkoxycarbonyl group wherein the alkoxycarbonyl moiety is a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms in the alkoxy moiety, for example, phenoxymethoxycarbonyl, 2-phenoxyethoxy- 15 carbonyl, 1-phenoxyethoxycarbonyl, 3-phenoxypropoxycarbonyl, 4-phenoxybutoxycarbonyl, 5-phenoxypropyloxycarbonyl, 6-phenoxyhexyloxycarbonyl, 1,1-dimethyl-2-phenoxyethoxycarbonyl, 2-methyl-3-phenoxypropoxycarbonyl, and the like.

The pyridyl-lower alkoxycarbonyl group includes a pyridylalkoxy- 20 carbonyl group wherein the alkoxycarbonyl group is a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms in the alkoxy moiety, for example, (4-pyridyl)methoxycarbonyl, (2-pyridyl)methoxycarbonyl, (3-pyridyl)methoxycarbonyl, 2-(2-pyridyl)ethoxycarbonyl, 1-(1-pyridyl)ethoxy- carbonyl, 3-(3-pyridyl)propoxycarbonyl, 4-(4-pyridyl)butoxycarbonyl, 5-(3- 25 pyridyl)pentyloxycarbonyl, 6-(2-pyridyl)hexyloxycarbonyl, 1,1-dimethyl-2-(4-pyridyl)ethoxycarbonyl, 2-methyl-3-(3-pyridyl)propoxycarbonyl, and the like.

The fluorenyl-lower alkoxycarbonyl group includes a fluorenyl-alkoxycarbonyl group wherein the alkoxycarbonyl moiety is a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms in the alkoxy 30 moiety, for example, (5-fluorenyl)methoxycarbonyl, 2-(2-fluorenyl)ethoxy- carbonyl, 1-(1-fluorenyl)ethoxycarbonyl, 3-(3-fluorenyl)propoxycarbonyl, 4-(4-fluorenyl)butoxycarbonyl, 5-(5-fluorenyl)pentyloxycarbonyl, 6-(1-fluorenyl)- hexyloxycarbonyl, 1,1-dimethyl-2-(2-fluorenyl)ethoxycarbonyl, 2-methyl-3-(3-

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fluorenyl)propoxycarbonyl, and the like.

The lower alkenyloxycarbonyl group includes an alkenyloxy-carbonyl group wherein the alkenyloxycarbonyl moiety is a straight chain or branched chain alkenyloxycarbonyl group having 2 to 6 carbon atoms in the alkenyloxy moiety, for example, vinyloxycarbonyl, allyloxycarbonyl, 2-butenyl-oxycarbonyl, 3-butenyloxycarbonyl, 1-methylallyloxycarbonyl, 2-pentenyl-oxycarbonyl, 2-hexenyloxycarbonyl.

The piperidiny-lower alkoxycarbonyl group having optionally a substituent selected from a lower alkanoyl group, a lower alkoxycarbonyl group and a lower alkyl group on the piperidine ring includes a piperidinylalkoxycarbonyl group wherein the alkoxycarbonyl group is a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms in the alkoxy moiety, which may have optionally 1 to 3 substituents selected from a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, a straight chain or branched chain alkoxycarbonyl group having 1 to 6 carbon atoms in the alkoxy moiety and a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, (4-piperidinyl)methoxycarbonyl, 2-(3-piperidinyl)ethoxycarbonyl, 1-(2-piperidinyl)ethoxycarbonyl, 3-(1-piperidinyl)-propoxycarbonyl, 4-(4-piperidinyl)butoxycarbonyl, 5-(3-piperidinyl)pentyloxy-carbonyl, 6-(2-piperidinyl)hexyloxycarbonyl, 1,1-dimethyl-2-(4-piperidinyl)-ethoxycarbonyl, 2-methyl-3-(1-piperidinyl)propoxycarbonyl, (1-ethyl-4-piperidinyl)methoxycarbonyl, (1-t-butoxycarbonyl-4-piperidinyl)methoxy-carbonyl, (1-acetyl-4-piperidinyl)methoxycarbonyl, 2-(1-methyl-4-piperidinyl)-ethoxycarbonyl, 1-(4-propyl-2-piperidinyl)ethoxycarbonyl, 3-(4-butyl-3-piperidinyl)propoxycarbonyl, 4-(3-pentyl-2-piperidinyl)butoxycarbonyl, 5-(1-hexyl-4-piperidinyl)pentyloxycarbonyl, (1,2-dimethyl-4-piperidinyl)methoxy-carbonyl, (3,4,5-trimethyl-1-piperidinyl)methoxycarbonyl, 2-(1-methoxycar-bonyl-4-piperidinyl)ethoxycarbonyl, 1-(1-ethoxycarbonyl-4-piperidinyl)ethoxy-carbonyl, 3-(4-propoxycarbonyl-1-piperidinyl)propoxycarbonyl, 4-(3-pentyloxy-carbonyl-2-piperidinyl)butoxycarbonyl, 5-(1-hexyloxycarbonyl-4-piperidinyl)-pentyloxycarbonyl, 6-(4-methoxycarbonyl-1-piperidinyl)hexyloxycarbonyl, 2-(2-acetyl-1-piperidinyl)ethoxycarbonyl, 1-(3-propionyl-2-piperidinyl)ethoxy-carbonyl, 3-(4-butyryl-3-piperidinyl)propoxycarbonyl, 4-(4-pentanoyl-1-

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piperidinyl)butoxycarbonyl, 5-(1-hexanoyl-4-piperidinyl)pentyloxycarbonyl, 6-(1-acetyl-2-methyl-4-piperidinyl)hexyloxycarbonyl, (1-ethoxycarbonyl-2,6-dimethyl-4-piperidinyl)methoxycarbonyl, and the like.

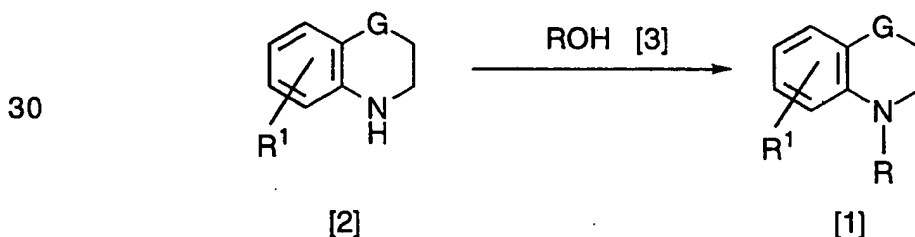
The aminosulfonyloxy group having optionally a lower alkyl substituent includes an aminosulfonyloxy group having optionally 1 to 2 straight chain or branched chain alkyl substituents having 1 to 6 carbon atoms, for example, aminosulfonyloxy, methylaminosulfonyloxy, ethylaminosulfonyloxy, propylaminosulfonyloxy, isopropylaminosulfonyloxy, butylaminosulfonyloxy, tert-butylaminosulfonyloxy, pentylaminosulfonyloxy, hexylaminosulfonyloxy, dimethylaminosulfonyloxy, diethylaminosulfonyloxy, dipropylaminosulfonyloxy, dibutylaminosulfonyloxy, dipentylaminosulfonyloxy, dihexylaminosulfonyloxy, N-methyl-N-ethylaminosulfonyloxy, N-ethyl-N-propylaminosulfonyloxy, N-methyl-N-butylaminosulfonyloxy, N-methyl-N-hexylaminosulfonyloxy, and the like.

The phenyl-lower alkyl group includes a phenylalkyl group wherein the alkyl moiety is a straight chain or branched chain alkyl group having 1 to 6 carbon atoms, for example, benzyl, 2-phenylethyl, 1-phenylethyl, 3-phenylpropyl, 4-phenylbutyl, 1,1-dimethyl-2-phenylethyl, 5-phenylpentyl, 6-phenylhexyl, 2-methyl-3-phenylpropyl, and the like.

The lower alkanoyl-substituted amino group includes an amino group substituted by a straight chain or branched chain alkanoyl group having 1 to 6 carbon atoms, for example, formylamino, acetylamino, propionylamino, butyrylamino, isobutyrylamino, pentanoylamino, tert-butylcarbonylamino, hexanoylamino, and the like.

The benzoheterocyclic derivatives of the present invention can be prepared by the following processes.

Reaction Scheme-1



wherein G, R¹, R², R³, R and X are the same as defined above.

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The process of Reaction Scheme-1 is carried out by reacting a benzoheterocyclic compound [2] and a carboxylic acid compound [3] by the conventional amido bond producing reaction. The amido bond producing reaction can be carried out under the conditions for the conventional amido bond producing reaction, for example,

(a) a mixed acid anhydride process, i.e. a process of reacting the carboxylic acid compound [3] with an alkyl carbonate to form a mixed acid anhydride and reacting the resultant with the amine compound [2],

(b) an activated ester process, i.e. a process of converting the carboxylic acid compound [3] into an activated ester such as p-nitrophenyl ester, N-hydroxysuccinimide ester, 1-hydroxybenzotriazole ester, etc., and reacting the resultant with the amine compound [2],

(c) a carbodiimide process, i.e. a process of condensing the carboxylic acid compound [3] and the amine compound [2] in the presence of an activating agent such as dicyclohexylcarbodiimide, carbonyldiimidazole, etc.,

(d) other processes, i.e. a process of converting the carboxylic acid compound [3] into a carboxylic anhydride by treating it with a dehydrating agent such as acetic anhydride, and reacting the resultant with the amine compound [2]; a process of reacting an ester of the carboxylic acid compound [3] with a lower alcohol and the amine compound [2] at a high temperature under high pressure; a process of reacting an acid halide compound of the carboxylic acid compound [3], i.e. a carboxylic acid halide, with the amine compound [2], and the like.

The mixed acid anhydride used in the above mixed acid anhydride process (a) is obtained by the known Schotten-Baumann reaction, and the reaction product is used without isolating from the reaction mixture for the reaction with the amine compound [2] to give the desired compound [1] of the present invention. The above Schotten-Baumann reaction is usually carried out in the presence of a basic compound. The basic compound is any conventional compounds used in the Schotten-Baumann reaction and includes, for example, organic basic compounds such as triethylamine, trimethylamine, pyridine, dimethylaniline, 1-methyl-2-pyrrolidine (NMP), N-methylmorpholine, 1,5-diazabicyclo[4.3.0]nonene-5 (DBN), 1,8-diazabicyclo-

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[5.4.0]undecene-7 (DBU), 1,4-diazabicyclo[2.2.2]octane (DABCO), and inorganic basic compounds such as potassium carbonate, sodium carbonate, potassium hydrogen carbonate, sodium hydrogen carbonate, etc. The reaction is usually carried out at a temperature from about -20°C to about 100°C, preferably at a temperature from 0°C to about 50°C, for about 5 minutes to about 10 hours, preferably for 5 minutes to about 2 hours.

The reaction between the mixed acid anhydride thus obtained and the amine compound [2] is usually carried out at a temperature from -20°C to about 150°C, preferably at a temperature from 10°C to about 50°C, for 5 minutes to about 10 hours, preferably for 5 minutes to about 5 hours. The mixed acid anhydride process is usually carried out in a solvent. The solvent may be any conventional solvents which are usually used in the mixed acid anhydride process and includes, for example, halogenated hydrocarbons (e.g. chloroform, dichloromethane, dichloroethane, etc.), aromatic hydrocarbons (e.g. benzene, p-chlorobenzene, toluene, xylene, etc.), ethers (e.g. diethyl ether, diisopropyl ether, tetrahydrofuran, dimethoxyethane, etc.), esters (e.g. methyl acetate, ethyl acetate, etc.), aprotic polar solvents (e.g. N,N-dimethylformamide, dimethylsulfoxide, acetonitrile, hexamethylphosphoric triamide, etc.), or a mixture of these solvents. The alkyl halocarbonate used in the mixed acid anhydride process includes, for example, methyl chloroformate, methyl bromoformate, ethyl chloroformate, ethyl bromoformate, isobutyl chloroformate, and the like. In said process, the carboxylic acid compound [3], the alkyl halocarbonate and the amine compound [2] are usually used in each equimolar amount, but preferably, the alkyl halocarbonate and the carboxylic acid compound [3] are used each in an amount of about 1 to 1.5 mole to 1 mole of the amine compound [2].

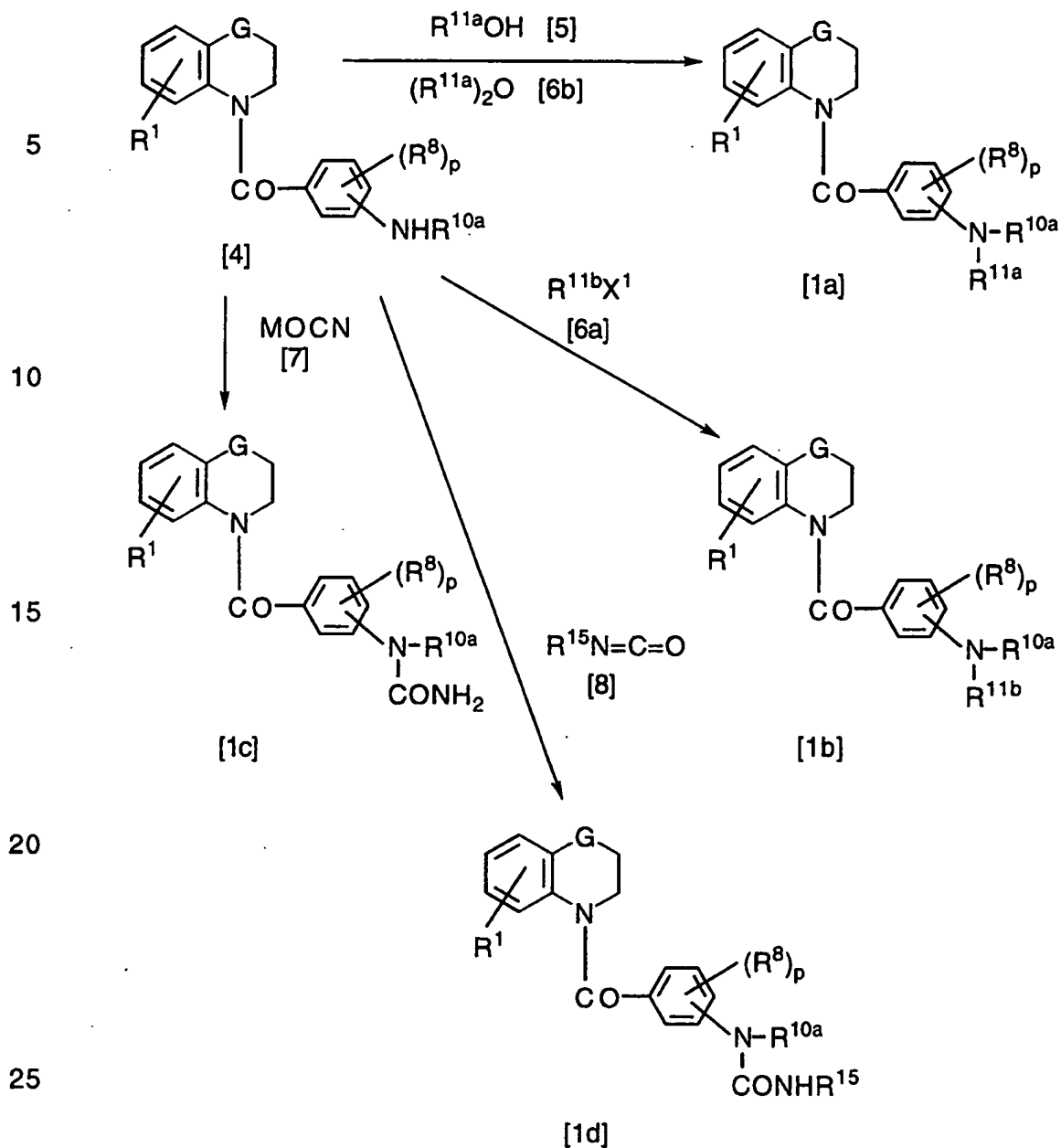
Among the above other processes (d), in case of the process of reacting the carboxylic acid halide with the amine compound [2], the reaction is usually carried out in the presence of a basic compound in an appropriate solvent. The basic compound is any conventional compounds and includes, for example, in addition to the basic compounds used in the above Schöttén-Baumann reaction, sodium hydroxide, potassium hydroxide, sodium hydride, potassium hydride, and the like. The solvent includes, for example, in addition

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to the solvents used in the mixed acid anhydride process, alcohols (e.g. methanol, ethanol, propanol, butanol, 3-methoxy-1-butanol, ethylcellosolve, methylcellosolve, etc.), pyridine, acetone, water, and the like. The amount of the amine compound [2] and the carboxylic acid halide is not critical, but the
5 carboxylic acid halide is usually used at least in equimolar amount, preferably about in an amount of 1 mole to 5 moles to 1 mole of the amine compound [2]. The reaction is usually carried out at a temperature from about -20°C to about 180°C, preferably at a temperature from 0°C to about 150°C, for about 5 minutes to about 30 hours.

10 The amido bond producing reaction in above Reaction Scheme-1 may also be carried out by reacting the carboxylic acid compound [3] and the amine compound [2] in the presence of a condensing agent such as phosphorus compounds (e.g. phenylphosphine-2,2'-dithiodipyridine, diphenylphosphinyl chloride, phenyl-N-phenylphosphoramidate chloride,
15 diethyl chlorophosphate, diethyl cyanophosphate, diphenylphosphoric azide, bis(2-oxo-3-oxazolidinyl)phosphinic chloride, etc.).

The reaction is usually carried out in the presence of the solvent and the basic compound as used in the above reaction of the carboxylic acid halide and the amine compound [2] at a temperature from -20°C to 150°C,
20 preferably at a temperature from 0°C to about 100°C, for about 5 minutes to about 30 hours. The condensing agent and the carboxylic acid compound [3] are used at least in an equimolar amount, preferably in an amount of about 1 to 2 moles, to 1 mole of the amine compound [2].

Reaction Scheme-2

wherein G, p, R¹, R², R³, R⁸ and X are the same as defined above, R^{10a} is a hydrogen atom, a lower alkyl group or a lower alkanoyl group having optionally a halogen substituent, R^{11a} is a lower alkanoyl group having optionally a substituent selected from a halogen atom and a hydroxy group, a phenoxy-lower alkanoyl group having optionally a substituent selected from a lower alkyl group, a phenyl group, a lower alkoxy group, a halogen-substituted lower alkyl group, an amino group having optionally a lower alkyl substituent, a nitro

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group, a lower alkanoyl-substituted amino group and a halogen atom, wherein the alkanoyl moiety may optionally be substituted by a halogen atom, a lower alkoxy-substituted lower alkanoyl group, a lower alkanoyloxy-substituted lower

alkanoyl group, a group of the formula: , a phenoxy-lower

- 5 alkoxy-carbonyl group, a quinoly-carbonyl group, a quinolyloxy-substituted lower alkanoyl group, a tetrahydroquinolyloxy-substituted lower alkanoyl group having optionally a substituent selected from a lower alkyl group and an oxo group on the quinoline ring, a pyridyl-lower alkoxy-carbonyl group, a fluorenyl-lower alkoxy-carbonyl group, a lower alkenyloxy-carbonyl group, a tetrahydro-
- 10 naphthyloxy-substituted lower alkanoyl group, a piperidiny-lower alkoxy-carbonyl group having optionally a substituent selected from a lower alkanoyl group, a lower alkoxy-carbonyl group and a lower alkyl group on the piperidine ring, a lower alkoxy-carbonyl group having optionally a halogen substituent, a benzofuryl-carbonyl group, a benzimidazolyl-carbonyl group, a tetrahydro-
- 15 isoquinoly-carbonyl group, a phenyl-lower alkoxy-carbonyl group or a phenyl-lower alkenyl-carbonyl group, R^{11b} is a lower alkyl group, a cycloalkyl group, a phenyl-lower alkyl group having optionally a substituent selected from a lower alkyl group and a halogen atom on the phenyl ring, wherein the alkyl moiety may optionally be substituted by a hydroxy group, a phenoxy-lower alkyl group
- 20 having optionally a lower alkyl substituent on the phenyl ring, an amino-carbonyl group having optionally a substituent selected from a lower alkyl group, a pyridyl-lower alkyl group and a phenyl-lower alkyl group, a benzoyl-lower alkyl group or a lower alkylsulfonyl group, X^1 is a halogen atom, M is an alkali metal such as sodium, potassium, etc., and R^{15} is a lower alkyl group, a
- 25 pyridyl-lower alkyl group or a phenyl-lower alkyl group.

The reaction of the compound [4] and the compound [5] is carried out in the same conditions as in the reaction of the compound [2] and the compound [3] in above Reaction Scheme-1.

- 30 The reaction of the compound [4] and the compound [6a] is usually carried out in the presence or absence of a basic compound in an appropriate inert solvent. The inert solvent includes, for example, aromatic hydrocarbons

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(e.g. benzene, toluene, xylene, etc.), ethers (e.g. tetrahydrofuran, dioxane, diethylene glycol dimethyl ether, etc.), halogenated hydrocarbons (e.g. dichloromethane, chloroform, carbon tetrachloride, etc.), lower alcohols (e.g. methanol, ethanol, isopropanol, butanol, t-butanol, etc.), acetic acid, ethyl acetate, acetone, acetonitrile, pyridine, dimethylsulfoxide, dimethylformamide, hexamethylphosphoric triamide, or a mixture of these solvents. The basic compound includes, for example, carbonates or hydrogen carbonates of alkali metal (e.g. sodium carbonate, potassium carbonate, sodium hydrogen carbonate, potassium hydrogen carbonate, etc.), metal hydroxides (e.g. sodium hydroxide, potassium hydroxide, etc.), sodium hydride, potassium, sodium, sodium amide, metal alcoholates (e.g. sodium methylate, sodium ethylate, etc.), or organic basic compounds such as pyridine, N-ethyldiisopropylamine, dimethylaminopyridine, triethylamine, 1,5-diazabicyclo[4.3.0]nonene-5 (DBN), 1,8-diazabicyclo[5.4.0]undecene-7 (DBU), 1,4-diazabicyclo[2.2.2]octane (DABCO). The amount of the compound [4] and the compound [6a] is not critical, but the compound [6a] is usually used at least in an equimolar amount, preferably in an amount of 1 to 10 moles, to 1 mole of the compound [4]. The reaction is usually carried out at a temperature from 0°C to about 200°C, preferably at a temperature from 0°C to about 170°C, for 30 minutes to about 75 hours. There may be added an alkali metal halide such as sodium iodide, potassium iodide, copper powder, etc. into the reaction system.

The reaction of the compound [4] and the compound [6b] is carried out under the same condition as in the reaction of the compound [1t] and the compound [18] in the following Reaction Scheme-9.

The reaction of the compound [4] and the compound [7] is carried out in the presence of an acid in an appropriate solvent. The acid includes, for example, organic acids (e.g. acetic acid, trifluoroacetic acid, etc.), or inorganic acids (e.g. hydrochloric acid, sulfuric acid, etc.). The solvent may be the same solvents as those used in the reaction of the carboxylic acid halide and the amine compound [2] in above Reaction Scheme-1. The compound [7] is used at least in an equimolar amount, preferably in an amount of 1 to 3 moles, to 1 mole of the compound [4]. The reaction is carried out at a temperature from 0°C to about 150°C, preferably at a temperature from room temperature to

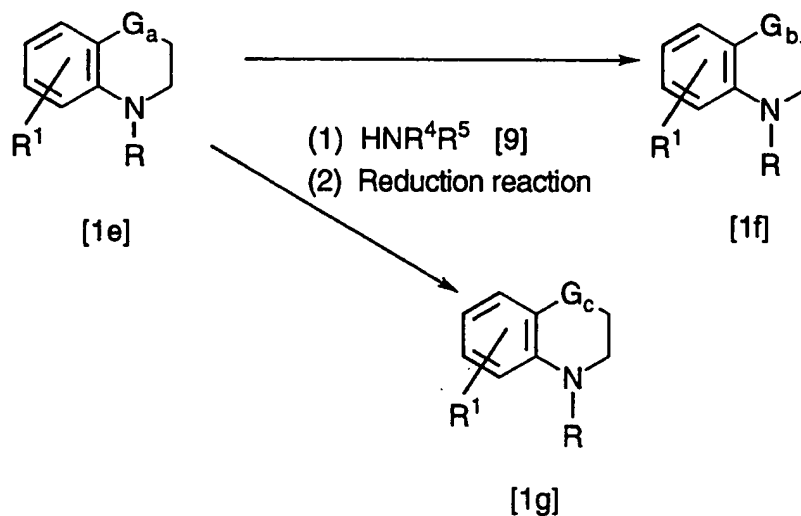
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about 100°C, for 10 minutes to about 5 hours.

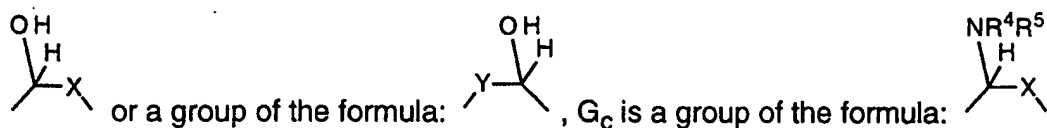
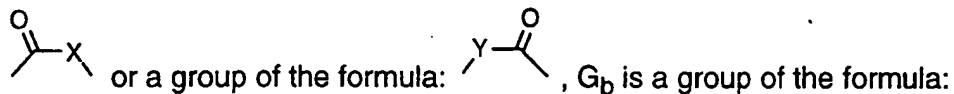
The reaction of the compound [4] and the compound [8] is carried out in the presence or absence of a basic compound, preferably in the absence of a basic compound, in an appropriate solvent or without a solvent. The solvent and the basic compound used therein are the same ones as those used in the reaction of the carboxylic acid halide and the amine compound [2] in above Reaction Scheme-1.

The compound [8] is usually used at least in an amount of 1 to 5 moles, preferably in an amount of 1 to 3 moles, to 1 mole of the compound [4]. The reaction is usually carried out at a temperature from 0°C to about 200°C, preferably at a temperature from room temperature to 150°C, for 5 minutes to about 30 hours. There may be added a boron compound such as boron trifluoride ethyl ether, etc. into the reaction system.

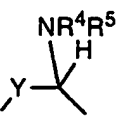
Reaction Scheme-3



wherein R^1 and R are the same as defined above, G^a is a group of the formula:



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or a group of the formula: , and X, Y, R⁴ and R⁵ are the same as defined above.

The reaction of converting the compound [1e] into the compound [1f] is carried out by reduction. The reduction reaction is carried out by using a hydrogenating agent. The hydrogenating agent includes, for example, lithium aluminum hydride, lithium borohydride, sodium borohydride, diboran, etc., and is used at least in an equimolar amount, preferably in an amount of 1 to 15 moles, to 1 mole of the starting compound. The reduction reaction is usually carried out in an appropriate solvent such as water, lower alcohols (e.g. methanol, ethanol, isopropanol, etc.), ethers (e.g. tetrahydrofuran, diethyl ether, diisopropyl ether, diglyme, etc.), or a mixture of these solvents. The reduction is usually carried out at a temperature from about -60°C to 150°C, preferably at a temperature from -30°C to 100°C, for about 10 minutes to 15 hours. When lithium aluminum hydride or diboran is used as a reducing agent, the reaction is preferably carried out in an anhydrous solvent such as tetrahydrofuran, diethyl ether, diisopropyl ether, diglyme, etc.

The reaction of converting the compound [1e] into the compound [1g] is carried out in an appropriate solvent or without a solvent in the presence or absence of a dehydrating agent. The solvent includes, for example, alcohols (e.g. methanol, ethanol, isopropanol, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), halogenated hydrocarbons (e.g. dichloromethane, dichloroethane, chloroform, carbon tetrachloride, etc.), aprotic polar solvents (e.g. dimethylformamide, dimethylacetamide, N-methylpyrrolidone, etc.), or a mixture of these solvents. The dehydrating agent includes, for example, drying agents which are conventionally used for drying solvents (e.g. molecular sieves, etc.), mineral acids (e.g. hydrochloric acid, sulfuric acid, boron trifluoride, etc.), organic acids (e.g. p-toluenesulfonic acid, acetic acid, etc.). The reaction is usually carried out at a temperature from room temperature to 250°C, preferably at a temperature from about 50°C to about 200°C, for one to about 48 hours. The amount of the compound [9] is not

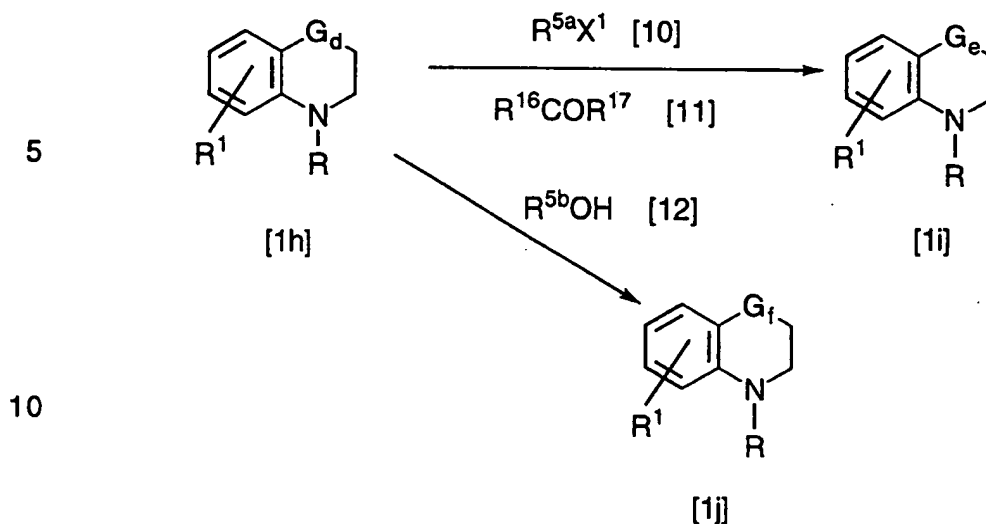
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critical, but it is used at least in an equimolar amount, preferably in an amount of 1 mole to excess amount, to 1 mole of the compound [1e]. The dehydrating agent is used in an excess amount when a drying agent is used, and when an acid is used as a dehydrating agent, it is used in a catalytic amount.

5 The subsequent reduction is carried out by various reduction reactions, for example, by catalytic hydrogenation in the presence of a catalyst in an appropriate solvent. The solvent includes, for example, water, acetic acid, alcohols (e.g. methanol, ethanol, isopropanol, etc.), hydrocarbons (e.g. hexane, cyclohexane, etc.), ethers (e.g. diethylene glycol dimethyl ether, dioxane, 10 tetrahydrofuran, diethyl ether, etc.), esters (e.g. ethyl acetate, methyl acetate, etc.), aprotic polar solvents (e.g. dimethylformamide, etc.), or a mixture of these solvents. The catalyst is, for example, palladium, palladium-black, palladium-carbon, platinum, platinum oxide, copper chromite, Raney nickel, and the like. The catalyst is usually used in an amount of 0.02 to 1 mole to 1 mole of the 15 starting compound. The reaction is usually carried out at a temperature from -20°C to about 100°C, preferably at a temperature from 0°C to about 70°C, under a pressure of 1 atm to 10 atms of hydrogen, for 0.5 hour to about 20 hours.

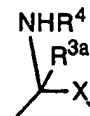
 The above mentioned conditions for the reduction can be 20 employed in the present reduction, but the reduction using a hydrogenating agent is more preferable. The hydrogenating agent includes, for example, lithium aluminum hydride, sodium borohydride, sodium cyanoborohydride, diboran, etc., and is used at least in an amount of 0.1 mole, preferably in an amount of 0.1 mole to 10 moles, to 1 mole of the compound [1e]. The reduction 25 is carried out in an appropriate solvent such as water, lower alcohols (e.g. methanol, ethanol, isopropanol, etc.), ethers (e.g. tetrahydrofuran, diethyl ether, diglyme, etc.), dimethylformamide, or a mixture of these solvents, at a temperature from about -60°C to about 50°C, preferably at a temperature from -30°C to room temperature, for about 10 minutes to about 5 hours. When lithium 30 aluminum hydride or diboran is used as a reducing agent, an anhydrous solvent such as diethyl ether, tetrahydrofuran, diglyme, etc., is preferably used.

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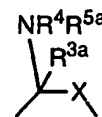
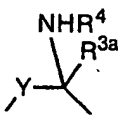
Reaction Scheme-4

wherein R¹, R and X¹ are the same as defined above, R^{5a} is a lower alkyl group having optionally a hydroxy substituent, R¹⁶ and R¹⁷ are each a hydrogen atom or a lower alkyl group, R^{5b} is a benzoyl group having optionally

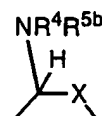
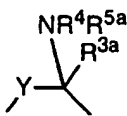
a halogen substituent on the phenyl ring, G_d is a group of the formula:



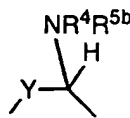
or a group of the formula:



group of the formula:



of the formula:



lower alkyl group, and X, Y, R⁴, R^{5a} and R^{5b} are the same as defined above.
The reaction of the compound [1h] and the compound [10] is

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carried out under the same conditions as those in the reaction of the compound [4] and the compound [6] in above Reaction Scheme-2.

5 The reaction of the compound [1h] and the compound [11] is carried out in the presence of a reducing agent in an appropriate solvent or without a solvent. The solvent includes, for example, water, alcohols (e.g. methanol, ethanol, isopropanol, etc.), acetonitrile, formic acid, acetic acid, ethers (e.g. dioxane, diethyl ether, diglyme, tetrahydrofuran, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), or a mixture thereof. The reducing agent includes, for example, formic acid, ammonium formate, alkali
10 metal salts of fatty acids (e.g. sodium formate, etc.), hydrogenating agents (e.g. sodium borohydride, sodium cyanoborohydride, lithium aluminum hydride, etc.), catalysts (e.g. palladium-black, palladium-carbon, platinum oxide, platinum-black, Raney nickel, etc.), and the like.

15 When formic acid is used as a reducing agent, the reaction is usually carried out at a temperature from room temperature to about 200°C, preferably at a temperature from about 50°C to about 150°C, for about one to about 10 hours. Formic acid is used in an excess amount to the compound [1h].

20 When a hydrogenating agent is used, the reaction is usually carried out at a temperature from about -30°C to about 100°C, preferably at a temperature from about 0°C to about 70°C, for about 30 minutes to about 12 hours. The hydrogenating agent is used in an amount of 1 mole to 20 moles, preferably in an amount of 1 mole to 6 moles, to 1 mole of the compound [1h]. Especially, when lithium aluminum hydride is used as a reducing agent, the
25 solvent is preferably ethers (e.g. diethyl ether, dioxane, tetrahydrofuran, diglyme, etc.) or aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.).

Moreover, when a catalyst is used, the reaction is usually carried out under atmospheric pressure to 20 atms of hydrogen, preferably, under atmospheric pressure to 10 atms of hydrogen, or in the presence of a hydrogen
30 donor such as formic acid, ammonium formate, cyclohexene, hydrazine hydrate, etc., at a temperature from -30°C to 100°C, preferably at a temperature from 0°C to 60°C, for about one to 12 hours. The catalyst is usually used in an amount of 0.1 to 40 % by weight, preferably in an amount of 1 to 20 % by

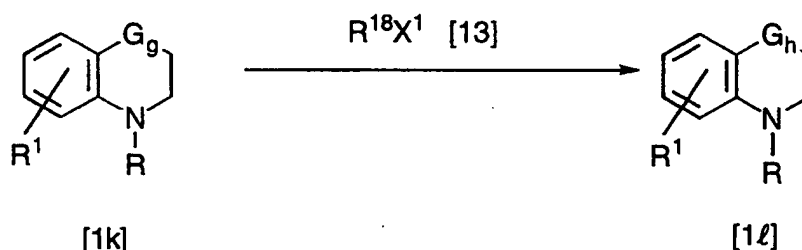
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weight to the amount of the compound [1h]. The hydrogen donor is usually used in an excess amount to the compound [1h].

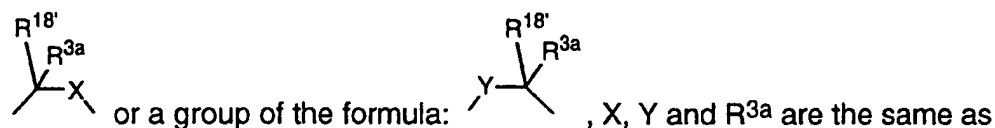
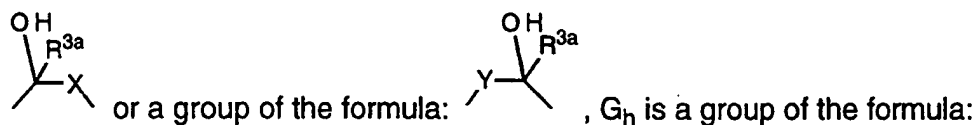
The compound [11] is usually used at least in an equimolar amount, preferably in an amount of 1 mole to excess amount, to 1 mole of the compound [1h].

The reaction of the compound [11] and the compound [12] is carried out under the same conditions as those of the reaction of the compound [2] and the compound [3] in above Reaction Scheme-1.

Reaction Scheme-5



wherein R¹, R and X¹ are the same as defined above, R¹⁸ is an amino-substituted lower alkanoyl group having optionally a lower alkyl substituent, a lower alkyl group, a lower alkoxy-carbonyl-substituted lower alkyl group, a carboxy-substituted lower alkyl group or a group of the formula: -ACONR⁶R⁷ (A, R⁶ and R⁷ are the same as defined above), G_g is a group of the formula:

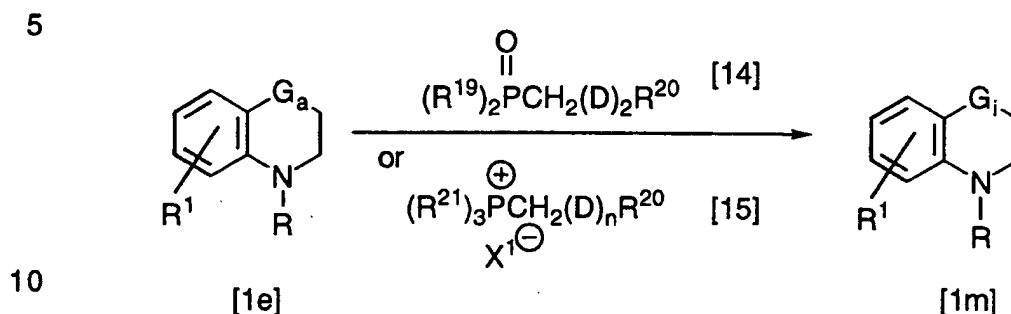


defined above, R^{18'} is an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent, a lower alkoxy group, a lower alkoxy-carbonyl-substituted lower alkoxy group, a carboxy-substituted lower alkoxy group or a group of the formula: -O-ACONR⁶R⁷ (A, R⁶ and R⁷ are the same as defined above).

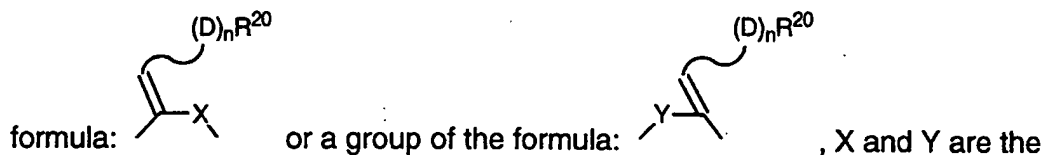
- 70 -

The reaction of the compound [1k] and the compound [13] is carried out under the same conditions as those in the reaction of the compound [4] and the compound [6a] in above Reaction Scheme-2.

Reaction Scheme-6



wherein R¹, R, X¹ and G^a are the same as defined above, G_i is a group of the



15 same as defined above, R¹⁹ is a lower alkoxy group, R²⁰ is a hydrogen atom, a lower alkoxy carbonyl group, a lower alkoxy group or a phenyl group, D is a lower alkylene group, n is 0 or 1, and R²¹ is a phenyl group.

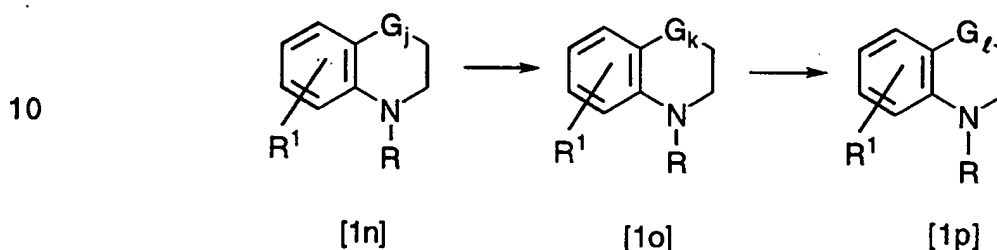
The reaction of the compound [1e] and the compound [14] or the compound [15] is carried out in the presence of a basic compound in an appropriate solvent. The basic compound includes, for example, inorganic bases (e.g. sodium, potassium, sodium hydride, sodium amide, sodium hydroxide, potassium hydroxide, sodium carbonate, potassium carbonate, sodium hydrogen carbonate, etc.), organic bases such as alkali metal alcoholates (e.g. sodium methylate, sodium ethylate, potassium t-butoxide, etc.), an alkyl lithium, aryl lithium or lithium amide (e.g. methyl lithium, n-butyl lithium, phenyl lithium, lithium diisopropyl amide, etc.), pyridine, piperidine, quinoline, triethylamine, N,N-dimethylaniline, and the like. The solvent may be any solvent which does not affect the reaction, for example, ethers (e.g. diethyl ether, dioxane, tetrahydrofuran, monoglyme, diglyme, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), hydrocarbons (e.g. n-

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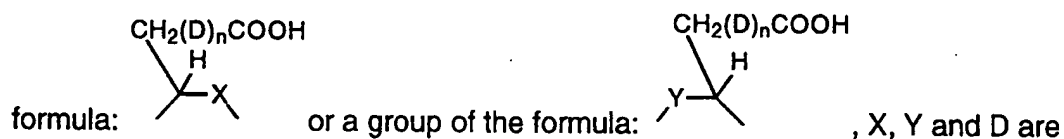
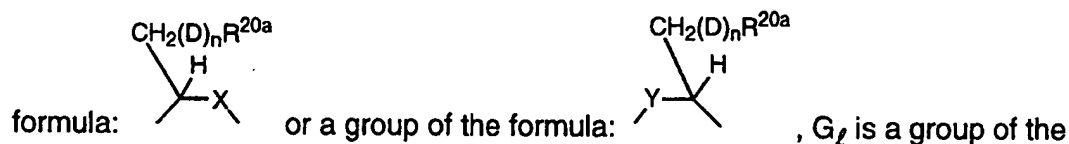
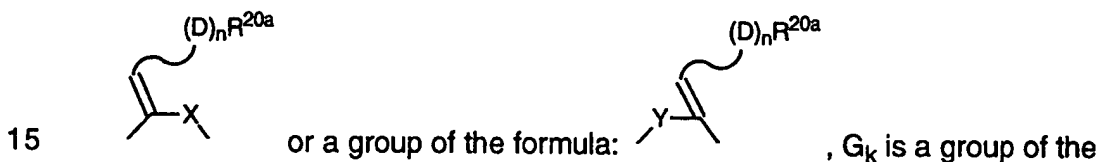
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hexane, heptane, cyclohexane, etc.), amines (e.g. pyridine, N,N-dimethylaniline, etc.), aprotic polar solvents (e.g. N,N-dimethylformamide, dimethylsulfoxide, hexamethylphosphoric triamide, etc.), alcohols (e.g. methanol, ethanol, isopropanol, etc.), and the like. The reaction is usually carried out at a temperature from -80°C to 150°C, preferably at a temperature from -80°C to about 120°C, for 0.5 to about 15 hours.

Reaction Scheme-7

wherein R¹ and R are the same as defined above, G_j is a group of the formula:



the same as defined above, and R^{20a} is a lower alkoxy carbonyl group.

20 The reaction of converting the compound [1n] into the compound [1o] is carried out under the same conditions as those in the reduction reaction of converting the compound [1e] into the compound [1g] in above Reaction Scheme-3. When a hydrogenating agent is used in said reduction reaction, there may preferably be added a metal halide such as a nickel chloride into the

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reaction system.

The compound [1n] may be also converted into the compound [1o] by reducing the compound [1n] with metal magnesium-methanol. The reaction is usually carried out at a temperature from 0°C to 50°C, preferably at a temperature from 0°C to room temperature, for one to about 10 hours. Metal magnesium is usually used in an amount of 1 to 10 moles, preferably in an amount of 1 to 7 moles, to 1 mole of the compound [1n]. When the compound [1n] wherein X is a methylene group is used in this reaction, there may be obtained the compound [1o] wherein X is a methylene group and the compound [1o] wherein X is a group of the formula: =CH-, but these compounds [1o] are easily separated.

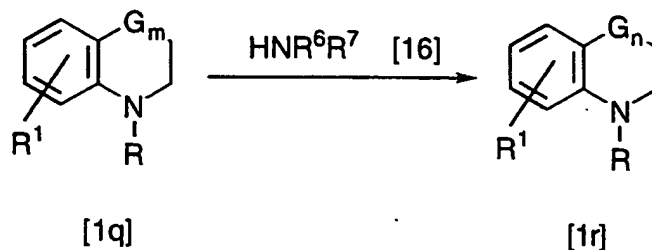
The reaction of converting the compound [1o] into the compound [1p] is carried out in the presence or absence of an acid or a basic compound in an appropriate solvent or without a solvent. The solvent includes, for example, water, lower alcohols (e.g. methanol, ethanol, isopropanol, etc.), ketones (e.g. acetone, methyl ethyl ketone, etc.), ethers (e.g. dioxane, tetrahydrofuran, ethylene glycol dimethyl ether, etc.), fatty acids (e.g. acetic acid, formic acid, etc.), or a mixture of these solvents. The acid includes, for example, mineral acids (e.g. hydrochloric acid, sulfuric acid, hydrobromic acid, etc.) and organic acids (e.g. formic acid, acetic acid, aromatic sulfonic acid, etc.). The basic compounds includes, for example, metal carbonates (e.g. sodium carbonate, potassium carbonate, etc.), metal hydroxides (e.g. sodium hydroxide, potassium hydroxide, calcium hydroxide, lithium hydroxide, etc.), and the like. The reaction is usually carried out at a temperature from room temperature to 200°C, preferably at a temperature from room temperature to 150°C, for 10 minutes to about 25 hours.

The compound [1p] is also prepared by treating the compound [1o] in an appropriate solvent in the presence of a dialkyl sulfide-Lewis acid such as dimethyl sulfide-aluminum chloride. The solvent may be the same solvents for the reaction of the compound [4] and the compound [6a] in above Reaction Scheme-2. The reaction is usually carried out at a temperature from 0°C to 70°C, preferably at a temperature from 0°C to 50°C, for one to 10 hours.

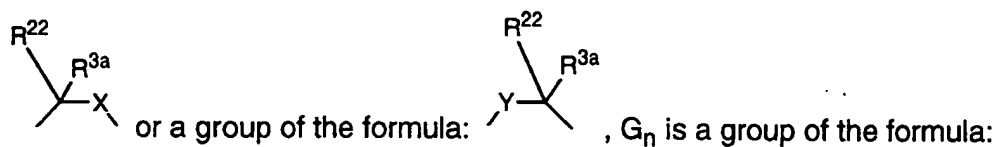
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Reaction Scheme-8

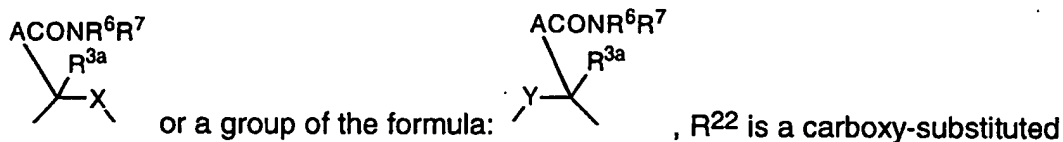
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wherein R^1 and R are the same as defined above, G_m is a group of the formula:



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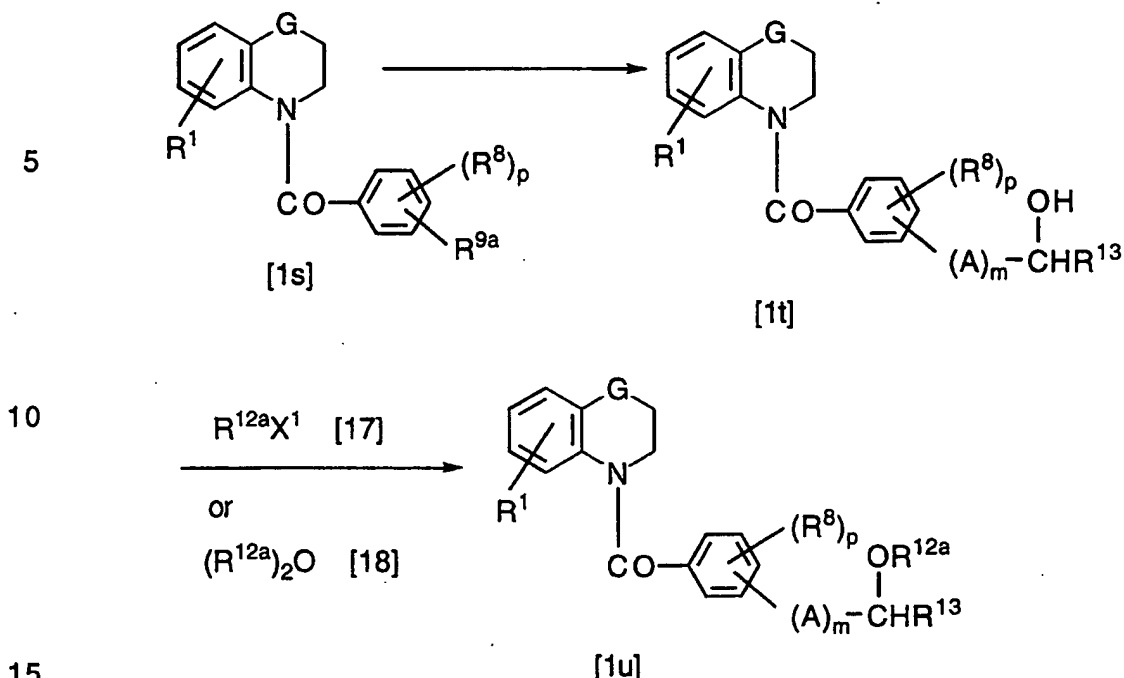
lower alkyl group, and R^{3a} , R^6 , R^7 , A , X and Y are the same as defined above.

The reaction of the compound [1q] and the compound [16] is carried out under the same conditions as those in the reaction of the compound [2] and the compound [3] in above Reaction Scheme-1.

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Reaction Scheme-9



wherein G , p , R^1 , R^8 , X^1 , A , m and R^{13} are the same as defined above, R^{9a} is a benzoyl group having optionally a lower alkyl substituent on the phenyl ring, a phenyl-lower alkanoyl group having optionally a lower alkyl substituent on the phenyl ring or a benzoyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, and R^{12a} is a lower alkanoyl group.

20

The reaction of converting the compound [1s] into the compound [1t] is carried out under the same conditions as those in the reaction of converting the compound [1e] into the compound [1f] in above Reaction Scheme-3.

25 The reaction of the compound [1t] and the compound [17] is carried out under the same conditions as those in the reaction of the compound [4] and the compound [6a] in above Reaction Scheme-2.

The reaction of the compound [1t] and the compound [18] is carried out in the presence or absence of a basic compound in an appropriate solvent or without a solvent. The solvent includes, for example, the above-mentioned aromatic hydrocarbons, lower alcohols (e.g. methanol, ethanol, propanol, etc.), dimethyl formamide, dimethyl sulfoxide, halogenated hydrocarbons (e.g. chloroform, methylene chloride, etc.), acetone, pyridine, and the like. The basic

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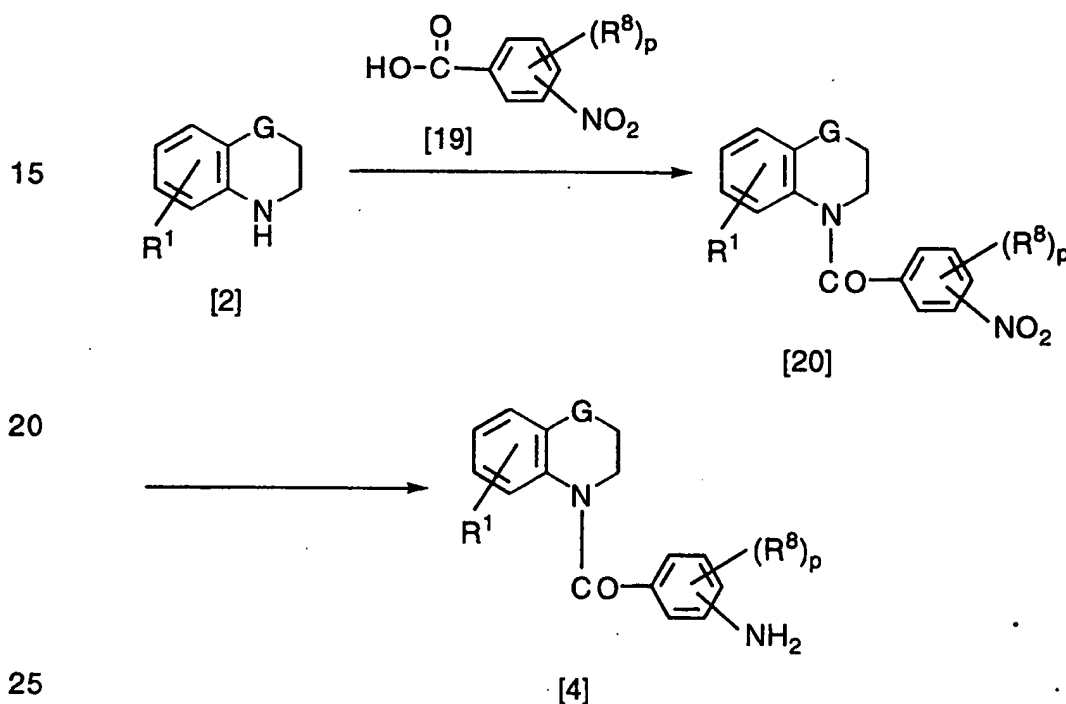
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compound includes, for example, organic bases (e.g. triethylamine, pyridine, etc.), sodium hydroxide, potassium hydroxide, sodium hydride, and the like. The reaction is also carried out in the presence of a mineral acid (e.g. sulfuric acid, etc.) in a solvent such as acetic acid.

5 The compound [18] is used in an amount of 1 mole to excess amount, to 1 mole of the starting compound. The reaction is usually carried out at a temperature from 0°C to about 200°C, preferably at a temperature from 0°C to about 150°C, for 0.5 hour to about 20 hours.

10 The starting compound [2a] can be prepared by the processes as illustrated by the following Reaction Scheme.

Reaction Scheme-10



wherein G, p, R^1 and R^8 are the same as defined above.

30 The reaction of the compound [2] and the compound [19] is carried out under the same conditions as those in the reaction of the compound [2] and the compound [3] in above Reaction Scheme-1.

The reaction of converting the compound [20] into the compound [4] is carried out by (i) subjecting the compound [20] to reduction reaction by using a catalyst in an appropriate solvent, or (ii) subjecting the compound [20] to

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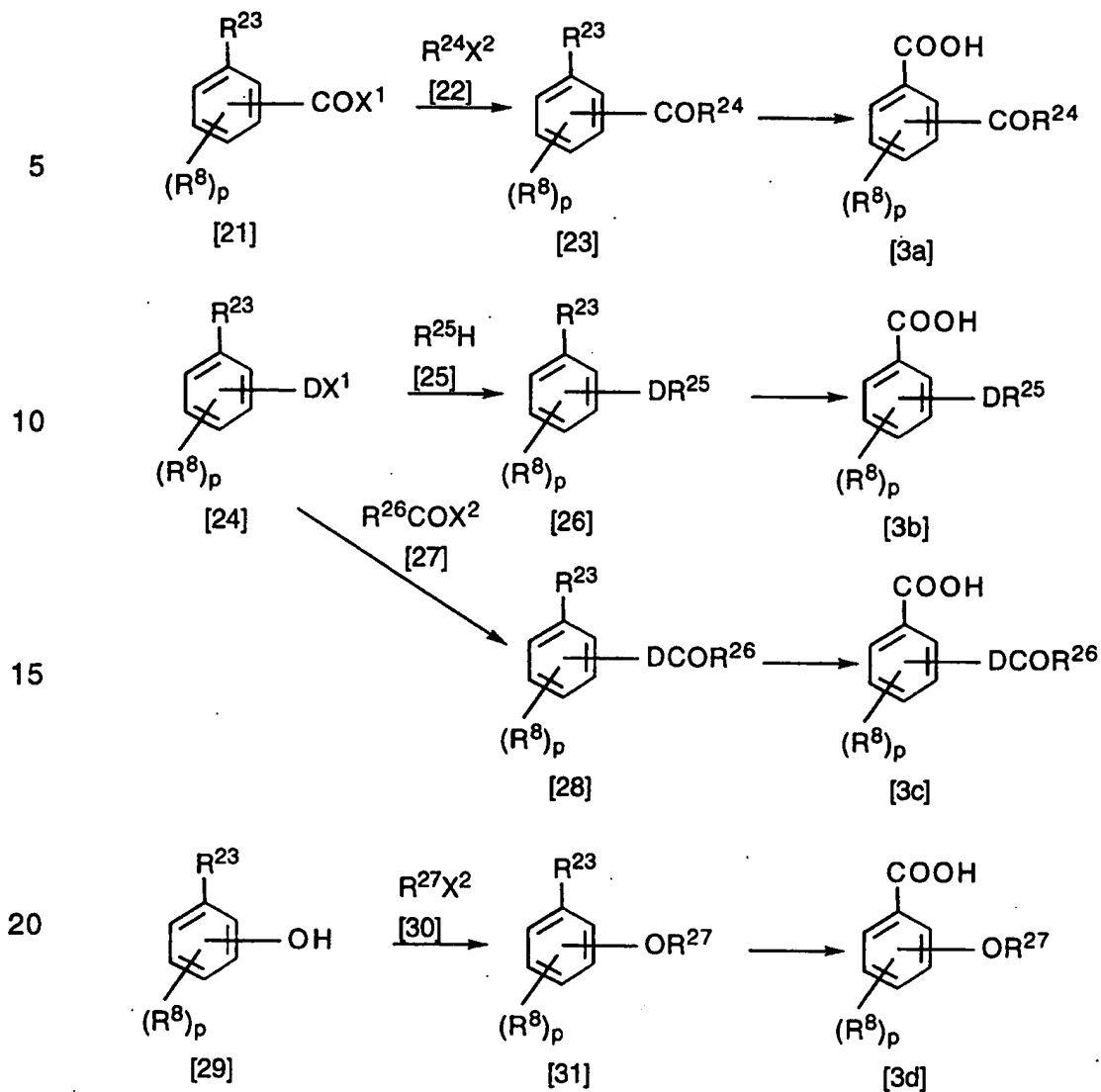
reduction reaction by using a mixture of a metal or a metal salt with an acid, a metal or a metal salt with an alkali metal hydroxide, a sulfide, an ammonium salt in an appropriate inert solvent.

When (i) a catalyst is used, the solvent includes, for example, water, acetic acid, alcohols (e.g. methanol, ethanol, isopropanol, etc.), hydrocarbons (e.g. hexane, cyclohexane, etc.), ethers (e.g. dioxane, tetrahydrofuran, diethyl ether, diethylene glycol dimethyl ether, etc.), esters (e.g. ethyl acetate, methyl acetate, etc.), aprotic polar solvents (e.g. N,N-dimethylformamide, etc.), or a mixture of these solvents. The catalyst includes, for example, palladium, palladium-black, palladium-carbon, platinum, platinum oxide, copper chromite, Raney nickel, and the like. The catalyst is used in an amount of 0.02 to 1 mole, to 1 mole of the starting compound. The reaction is usually carried out at a temperature from -20°C to 150°C, preferably at a temperature from 0°C to about 100°C, under a pressure of 1 to 10 atms of hydrogen, for 0.5 hour to 10 hours. There may be added an acid such as hydrochloric acid into the reaction system.

When the method (ii) is employed, there is used as a reducing agent a mixture of iron, zinc, tin or stannous chloride and a mineral acid (e.g. hydrochloric acid, sulfuric acid, etc.), or a mixture of iron, iron sulfide, zinc or tin and an alkali metal hydroxide (e.g. sodium hydroxide, etc.), a sulfide (e.g. ammonium sulfide, etc.), aqueous ammonia, an ammonium salt (e.g. ammonium chloride, etc.). The inert solvent includes, for example, water, acetic acid, methanol, ethanol, dioxane, and the like. The conditions for the above reduction can be selected according to the kinds of the reducing agent to be used. For example, when a mixture of stannous chloride and hydrochloric acid is used as a reducing agent, the reaction is preferably carried out at a temperature from 0°C to about 80°C, for 0.5 hour to about 10 hours. The reducing agent may be used at least in an equimolar amount, usually in an amount of 1 to 5 moles, to 1 mole of the starting compound.

The starting compound [3] is prepared by the processes as illustrated by the following Reaction Schemes.

Reaction Scheme-11



wherein p , R^8 , D and X^1 are the same as defined above, R^{24} is a phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, X^2 is a halogen atom, R^{23} is a lower alkoxy carbonyl group, R^{25} is a phenoxy group having optionally a lower alkyl substituent on the phenyl ring, R^{26} is a phenyl group having optionally a lower alkyl substituent on the phenyl ring, R^{27} is a phenyl-lower alkyl group having optionally a substituent selected from a halogen atom, a lower alkoxy carbonyl group and an aminocarbonyl group having optionally a substituent selected from a lower alkyl group and an amino-substituted lower alkyl group having optionally a lower alkyl substituent, or a benzoyl-lower alkyl group having optionally a halogen substituent on the

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phenyl ring.

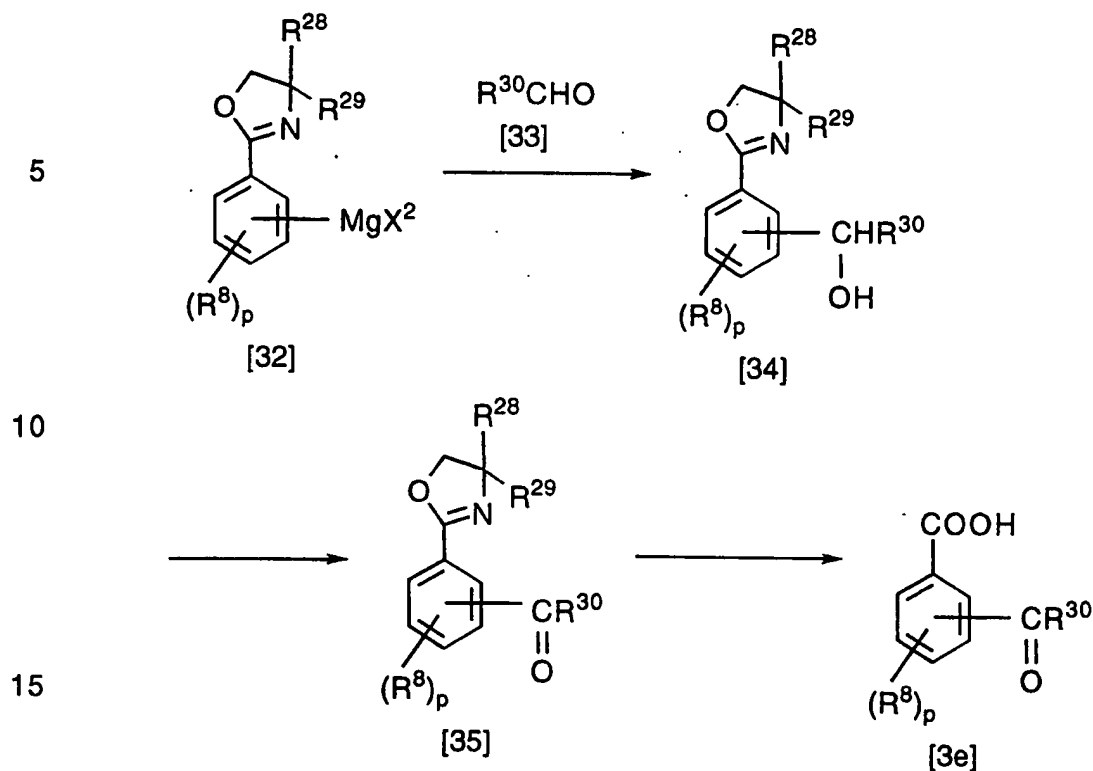
The reaction of the compound [21] and the compound [22] and the reaction of the compound [24] and the compound [27] are carried out in the presence of zinc and a catalyst in an appropriate solvent. The solvent includes, for example, ethers (e.g. 1,2-dimethoxyethane, diethyl ether, tetrahydrofuran, dioxane, etc.), acetonitrile, dimethylformamide, and the like. The catalyst includes, for example, palladium compounds or nickel compounds such as tetrakis(triphenylphosphine) palladium [Pd(PPh₃)₄], palladium acetate [Pd(OCOCH₃)₂], palladium chloride [PdCl₂], bis(triphenylphosphine)nickel dichloride [Ni(PPh₃)₂Cl₂], and the like. The reaction is usually carried out at a temperature from 0°C to 70°C, preferably at a temperature from 0°C to about 50°C, for 1 hour to about 80 hours.

The compound [24] or the compound [27] is used at least in an equimolar amount, preferably in an amount of 1 to 2 moles, to 1 mole of the compound [21] or the compound [22], respectively. The catalyst is usually used in an amount of 0.01 to about 1 mole, preferably in an amount of 0.03 to about 0.3 mole, to 1 mole of the starting compound.

The reaction of the compound [24] and the compound [25] and the reaction of the compound [29] and the compound [30] are carried out under the same conditions as those in the reaction of the compound [4] and the compound [6a] in above Reaction Scheme-2.

The reaction of converting the compound [23], [26], [28] or [31] into the compound [3a], [3b], [3c] or [3d], respectively, is carried out under the same conditions as those in the reaction of converting the compound [1o] into the compound [1p] in above Reaction Scheme-7.

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Reaction Scheme-12

wherein R^{28} and R^{29} are each a lower alkyl group, R^{30} is a phenyl group having optionally a lower alkyl substituent on the phenyl ring, and p , R^8 and X^2 are the same as defined above.

The reaction of the compound [32] and the compound [33] is carried out in an appropriate solvent. The solvent may be any solvents used in Grignard reaction, but preferably ethers (e.g. diethyl ether, dioxane, tetrahydrofuran, etc.), aromatic hydrocarbons (e.g. benzene, toluene, etc.), saturated hydrocarbons (e.g. pentane, hexane, heptane, cyclohexane, etc.), and the like. The compound [33] is usually used at least in an equimolar amount, preferably in an amount of 1 to 2 moles, to 1 mole of the compound [32]. The reaction is usually carried out at a temperature from $-70^{\circ}C$ to $50^{\circ}C$, preferably at a temperature from $-30^{\circ}C$ to room temperature, for 1 to about 50 hours.

The reaction of converting the compound [34] into the compound [35] is carried out in the presence of an oxidizing agent in an appropriate solvent. The oxidizing agent includes, for example, chromic acid pyridinium

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salts (e.g. pyridinium chlorochromate, pyridinium dichlorochromate, etc.), dimethyl sulfoxide-oxazolyl chloride, dichromic acid, dichromates (e.g. sodium dichromate, potassium dichromate, etc.), permanganic acid, permanganates (e.g. potassium permanganate, sodium permanganate, etc.), manganese dioxide, 2,3-dichloro-5,6-dicyano-1,4-benzoquinone (DDQ), and the like.

The solvent used in the reaction with an oxidizing agent includes, for example, water, organic acids (e.g. formic acid, acetic acid, trifluoroacetic acid, etc.), alcohols (e.g. methanol, ethanol, etc.), halogenated hydrocarbons (e.g. chloroform, dichloromethane, etc.), ethers (e.g. tetrahydrofuran, diethyl ether, dioxane, etc.), dimethylsulfoxide, dimethylformamide, or a mixture of these solvents. The oxidizing agent is usually used at least in an equimolar amount, preferably in an amount of 1 mole to 25 moles, to 1 mole of the starting compound. The reaction is usually carried out at a temperature from about 0°C to about 100°C, preferably at a temperature from 0°C to about 70°C, for 1 hour to about 7 hours.

The reaction of converting the compound [35] into the compound [3e] is carried out by subjecting the compound [35] to alkylation in the presence of an alkylating agent in an appropriate solvent, followed by subjecting the product to hydrolysis, or by subjecting directly the compound [35] to hydrolysis.

In the alkylation of the compound [35], the alkylating agent used therein includes, for example, an alkyl halide such as methyl iodide, etc. The alkylation reaction is usually carried out at a temperature from room temperature to about 200°C, preferably at a temperature from room temperature to about 150°C, for 1 hour to about 30 hours. The solvent includes, for example, ethers (e.g. dioxane, tetrahydrofuran, ethylene glycol dimethyl ether, diethyl ether, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), halogenated hydrocarbons (e.g. dichloromethane, chloroform, carbon tetrachloride, etc.), lower alcohols (e.g. methanol, ethanol, isopropanol, etc.), polar solvents (e.g. dimethylsulfoxide, dimethylformamide, hexamethylphosphoric triamide, acetone, acetonitrile, nitromethane, etc.), and the like. The alkylating agent is usually used in an equimolar amount, preferably in an amount of 1 to 8 moles, to 1 mole of the compound [35].

The subsequent hydrolysis may be carried out by a conventional

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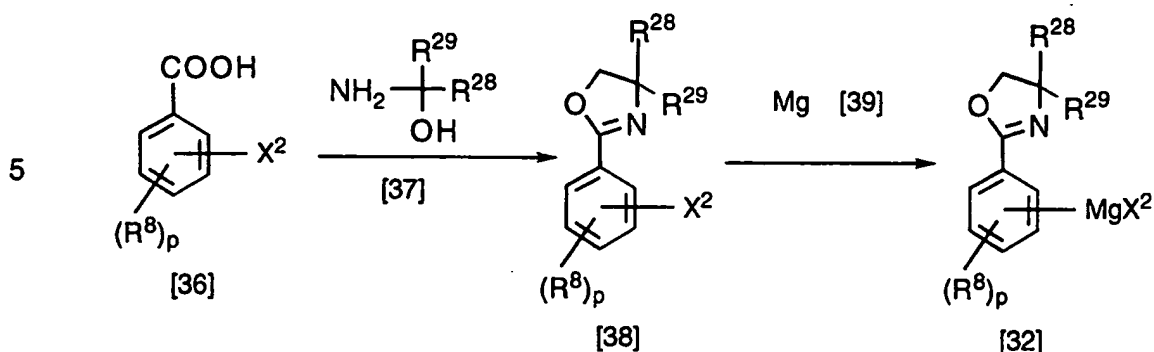
method, for example, by in the presence of a basic compound (e.g. sodium carbonate, potassium carbonate, lithium hydroxide, sodium hydroxide, potassium hydroxide, barium hydroxide, etc.), or a mineral acid (e.g. hydrochloric acid, sulfuric acid, etc.), or an organic acid (e.g. acetic acid, etc.), in a solvent such as water, alcohols (e.g. methanol, ethanol, isopropanol, etc.), ketones (e.g. acetone, methyl ethyl ketone, etc.), ethers (e.g. dioxane, ethylene glycol dimethyl ether, etc.), acetic acid, or a mixture of these solvents. The reaction is usually carried out at a temperature from room temperature to 200°C, preferably at a temperature from room temperature to 150°C, for 0.5 hour to 20 hours.

In the reaction of subjecting directly the compound [35] to hydrolysis, the reaction is carried out under the same conditions as those in the above hydrolysis. The reaction is carried out for 1 hour to 30 hours.

The compound [3e] is also prepared by subjecting the compound [35] to hydrolysis in the presence of a mineral acid (e.g. sulfuric acid, hydrochloric acid, nitric acid, etc.), or in the presence of an organic acid (e.g. acetic acid, aromatic sulfonic acid, etc.) under the same conditions such as solvents, reaction temperature, reaction period, as those in the above hydrolysis reaction.

The starting compound [32] is prepared by the processes as illustrated in the following Reaction Scheme.

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Reaction Scheme-13

10 wherein p, R⁸, X², R²⁸, R²⁹ and X² are the same as defined above.

The reaction of the compound [36] and the compound [37] is carried out under the same conditions as those in the reaction of the compound [2] and the compound [3] in above Reaction Scheme-1 wherein a carboxylic acid halide is used. The compound [37] is used at least in an equimolar amount, preferably in an amount of 1 to 2 moles, to 1 mole of the compound [36].

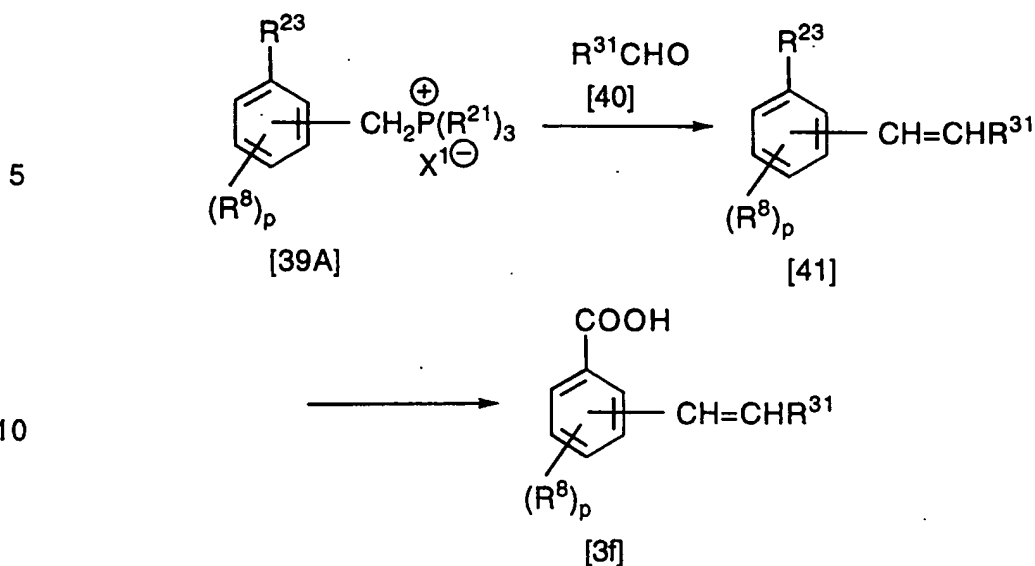
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The reaction of the compound [38] and the compound [39] is carried out in a solvent such as ethers (e.g. diethyl ether, tetrahydrofuran, dioxane, monoglyme, diglyme, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), aliphatic hydrocarbons (e.g. n-hexane, heptane, cyclohexane, etc.), at a temperature from 0°C to 150°C, preferably at a temperature from 0°C to about 100°C, for 0.5 hour to about 5 hours. The compound [39] is used at least in an equimolar amount, preferably in an amount of 1 to 1.5 mole, to 1 mole of the compound [38].

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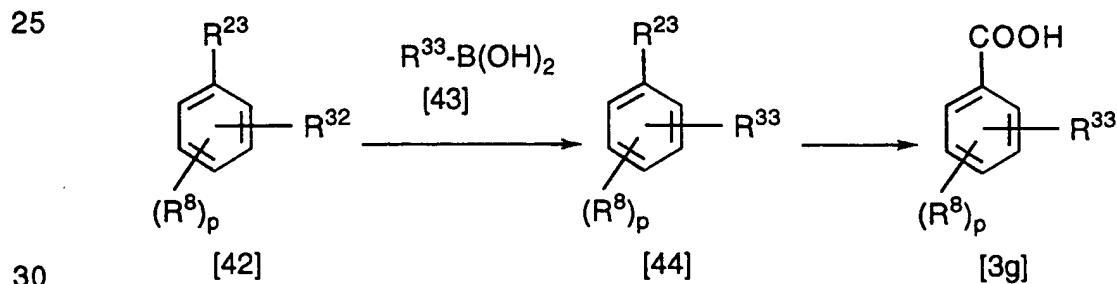
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Reaction Scheme-14

wherein p , R^8 , R^{23} , R^{21} and X^1 are the same as defined above, and R^{31} is a phenyl group having optionally a halogen substituent.

The reaction of the compound [39A] and the compound [40] is carried out under the same conditions as those in the reaction of the compound [1e] and the compound [14] or the compound [15] in above Reaction Scheme-6.

The reaction of converting the compound [41] into the compound [3f] is carried out under the same conditions as those in the reaction of converting the compound [1o] into the compound [1p] in above Reaction Scheme-6.

Reaction Scheme-15

wherein p , R^8 and R^{23} are the same as defined above, R^{32} is a lower alkylsulfonyloxy group having optionally a halogen substituent, or a halogen

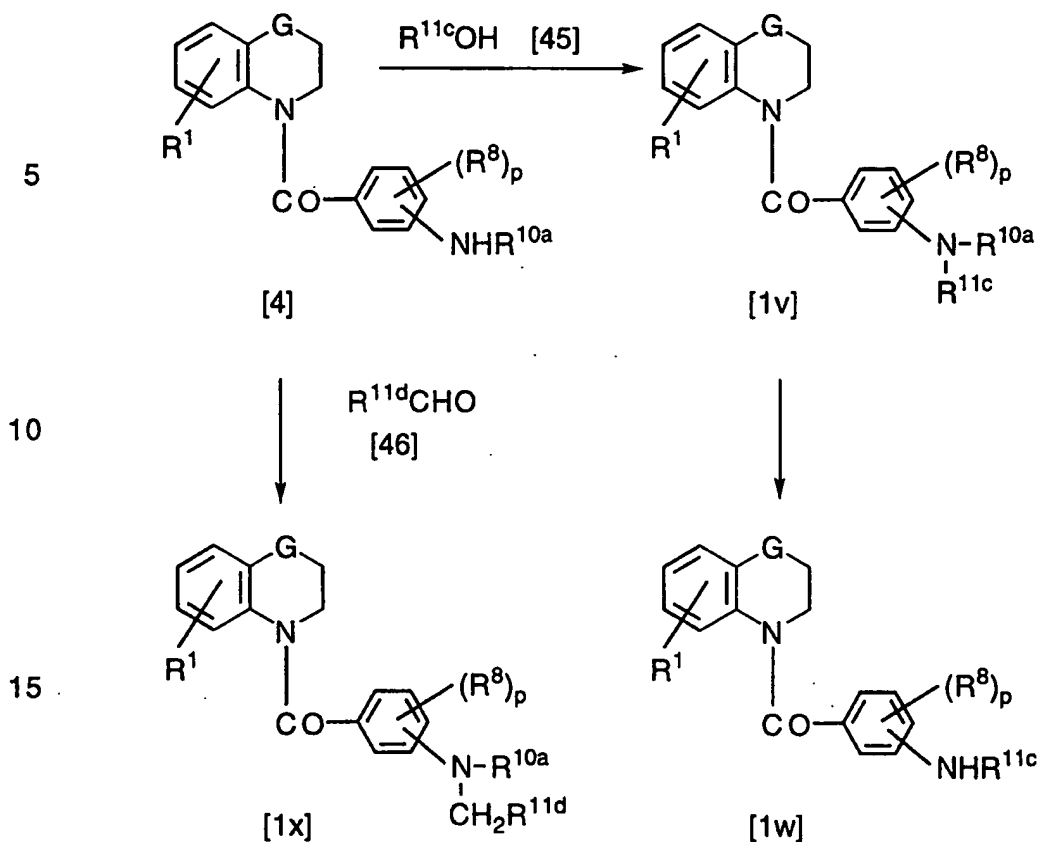
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atom, and R³³ is a phenyl group having optionally a substituent selected from a lower alkyl group, a lower alkoxy group, a phenyl-lower alkoxy group, a nitro group, an amino group having optionally a lower alkanoyl substituent, a hydroxy group, a lower alkanoyloxy group, a halogen-substituted lower alkoxy group, a phenyl group and an amino-substituted lower alkoxy group having optionally a lower alkyl substituent.

The reaction of the compound [42] and the compound [43] is carried out in an appropriate solvent in the presence or absence of a lithium compound such as lithium chloride, etc., in the presence of a basic compound and a catalyst. The solvent may be the same solvents as those used in the reaction of the compound [38] and the compound [39] in above Reaction Scheme-13. The basic compound may be the same basic compounds as those used in the reaction of the compound [2] and the compound [3] in above Reaction Scheme-1 wherein a carboxylic acid halide is used. The catalyst includes, for example, tetrakis(triphenylphosphine)palladium, palladium chloride, and the like. The reaction is usually carried out at a temperature from room temperature to 200°C, preferably at a temperature from room temperature to about 150°C, for one to about 10 hours. The basic compound and the lithium compound are each used at least in an equimolar amount, preferably in an amount of 1 to 2 moles, to 1 mole of the compound [42]. The catalyst is used in a catalytic amount.

The reaction of converting the compound [44] into the compound [3g] is carried out under the same conditions as those in the reaction of converting the compound [1o] into the compound [1p] in above Reaction Scheme-7.

Reaction Scheme-16



wherein G, p, R¹, R⁸ and R^{10a} are the same as defined above, R^{11c} is a phenyl-lower alkyl group having optionally a substituent selected from a lower alkyl group and a halogen atom on the phenyl ring, and having optionally a hydroxy substituent on the alkyl moiety, a benzoyl-lower alkyl group, or a phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, R^{11d} is in addition to the groups for R^{11c}, a phenyl group having optionally a substituent selected from a lower alkyl group and a halogen atom.

The reaction of the compound [4] and the compound [45] is carried out in the presence of a dialkyl azodicarboxylate (e.g. diethyl azodicarboxylate, dibutyl diazodicarboxylate, etc.), a dialkyl azodicarboxamide (e.g. 1,1'-azodicarbonyldi(piperidine), etc.), and a phosphorus compound (e.g. a trialkylphosphine, a triarylphosphine, etc.). The solvent includes, for example, ethers (e.g. tetrahydrofuran, 1,2-dimethoxyethane, diethyl ether, diisopropyl ether, diglyme, etc.), aromatic hydrocarbons (e.g. benzene, toluene, etc.), or a mixture of these solvents. The dialkyl azodicarboxylate, the phosphorus compound

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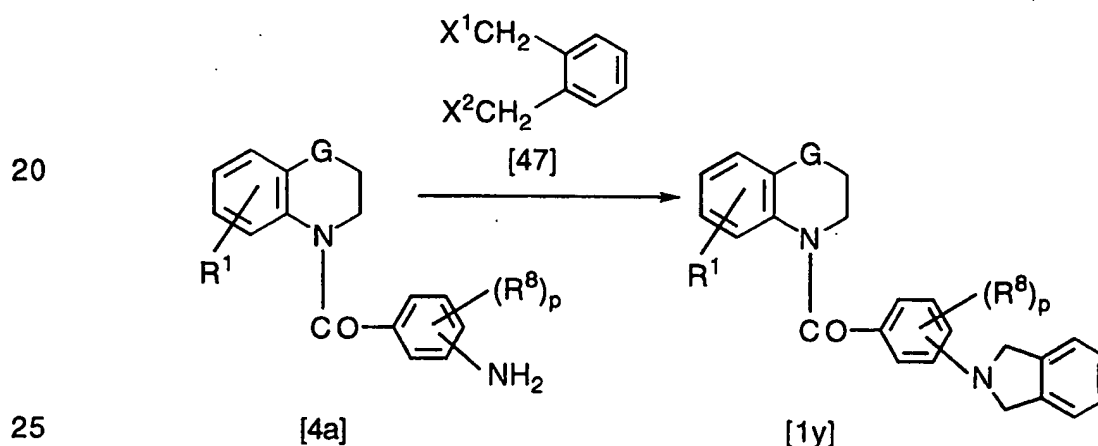
and the compound [45] are each used at least in an equimolar amount, preferably in an amount of 1 to 3 moles, to 1 mole of the compound [4]. The reaction is usually carried out at a temperature from -20°C to 100°C, preferably at a temperature from -20°C to 50°C, for 1 hour to 30 hours.

5 The compound [1v] wherein R^{10a} is a lower alkanoyl group having optionally a halogen substituent may be converted into the corresponding compound [1w] by subjecting the compound [1v] to hydrolysis. The hydrolysis is carried out under the same conditions as those in the hydrolysis of the compound [1] wherein R⁹ is a phenyl group having at least one lower

10 alkanoyloxy substituent on the phenyl ring.

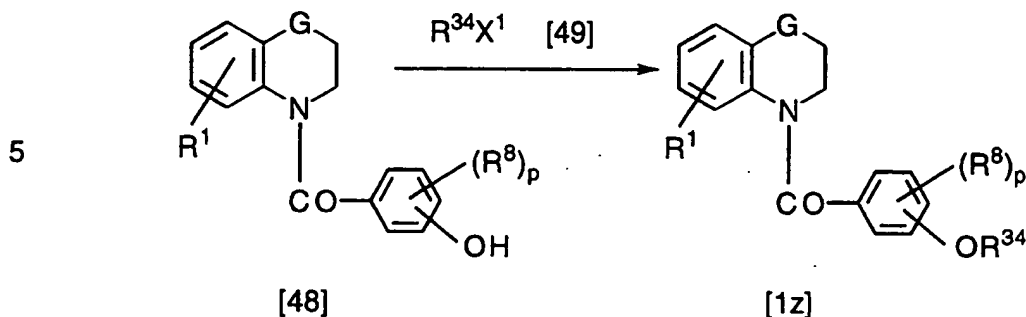
The reaction of the compound [4] and the compound [46] is carried out under the same conditions as those in the reaction of converting the compound [1e] into the compound [1g] in above Reaction Scheme-3. The compound [46] is used at least in an equimolar amount, preferably in an

Reaction Scheme-17



wherein G, p, R¹, R⁸, X¹ and X² are the same as defined above.

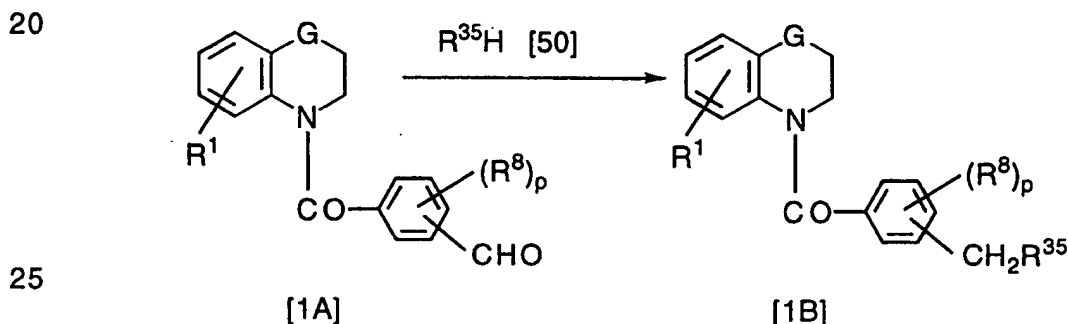
The reaction of the compound [4a] and the compound [47] is carried out under the same conditions as those in the reaction of the compound [4] and the compound [6a] in above Reaction Scheme-2.

Reaction Scheme-18

10 wherein G, p, R¹, R⁸ and X¹ are the same as defined above, and R³⁴ is a lower alkyl group, a lower alkanoyl group, a phenyl-lower alkyl group having optionally a substituent selected from a halogen atom, a lower alkoxycarbonyl group, an aminocarbonyl group having optionally a substituent selected from a lower alkyl group and an amino-substituted lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, or a pyrrolidinyl-substituted lower alkyl group.

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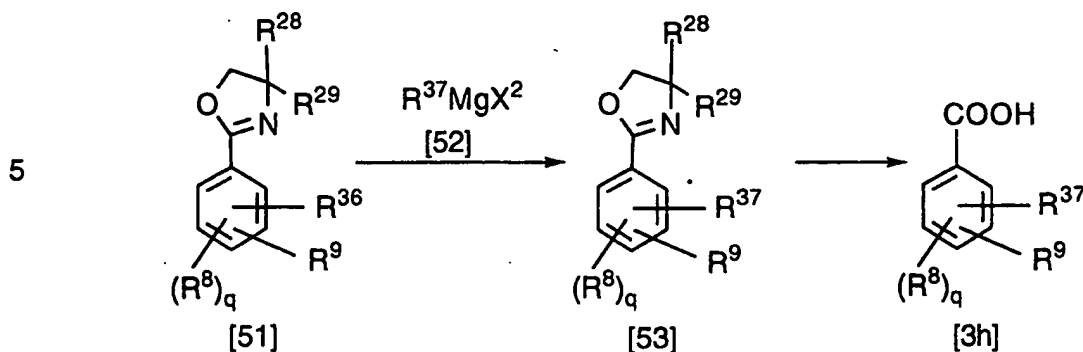
The reaction of the compound [48] and the compound [49] is carried out under the same conditions as those in the reaction of the compound [4] and the compound [6a] in above Reaction Scheme-2.

Reaction Scheme-19

wherein G, p, R¹ and R⁸ are the same as defined above, and R³⁵ is an anilino group having optionally a lower alkyl substituent on the phenyl ring.

30 The reaction of the compound [1A] and the compound [50] is carried out under the same conditions as those in the reaction of converting the compound [1e] into the compound [1g] in above Reaction Scheme-3. The compound [50] is used at least in an equimolar amount, preferably in an amount of 1 to 5 moles, to 1 mole of the compound [1A].

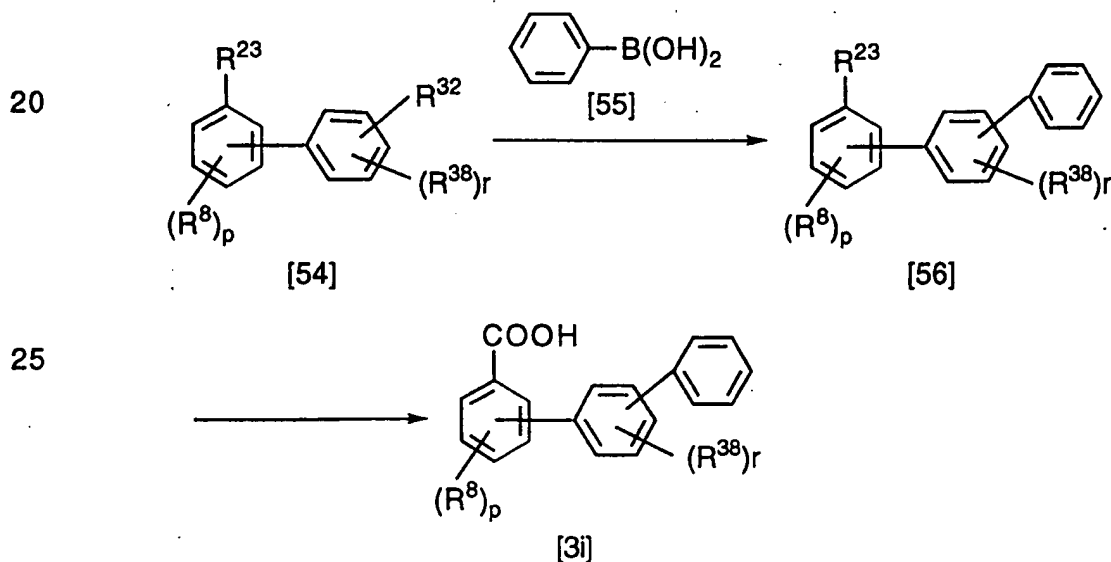
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Reaction Scheme-20

10 wherein R^{36} is a lower alkoxy group or a halogen atom, R^{37} is a lower alkyl group, R^8 , R^{28} , R^{29} , R^9 and X^2 are the same as defined above, and q is 0 or 1.

The reaction of the compound [51] and the compound [52] is carried out under the same conditions as those in the reaction of the compound [32] and the compound [33] in above Reaction Scheme-12. The compound [52] is used at least in an equimolar amount, preferably in an amount of 1 to 2 moles, to 1 mole of the compound [51].

15

Reaction Scheme-21

30 wherein R^8 , p , R^{32} and R^{23} are the same as defined above, R^{38} is a lower alkyl group, a lower alkoxy group, a phenyl-lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, a halogen-substituted lower alkoxy group, a nitro group, an amino group having optionally a lower alkanoyl substituent, a phenyl

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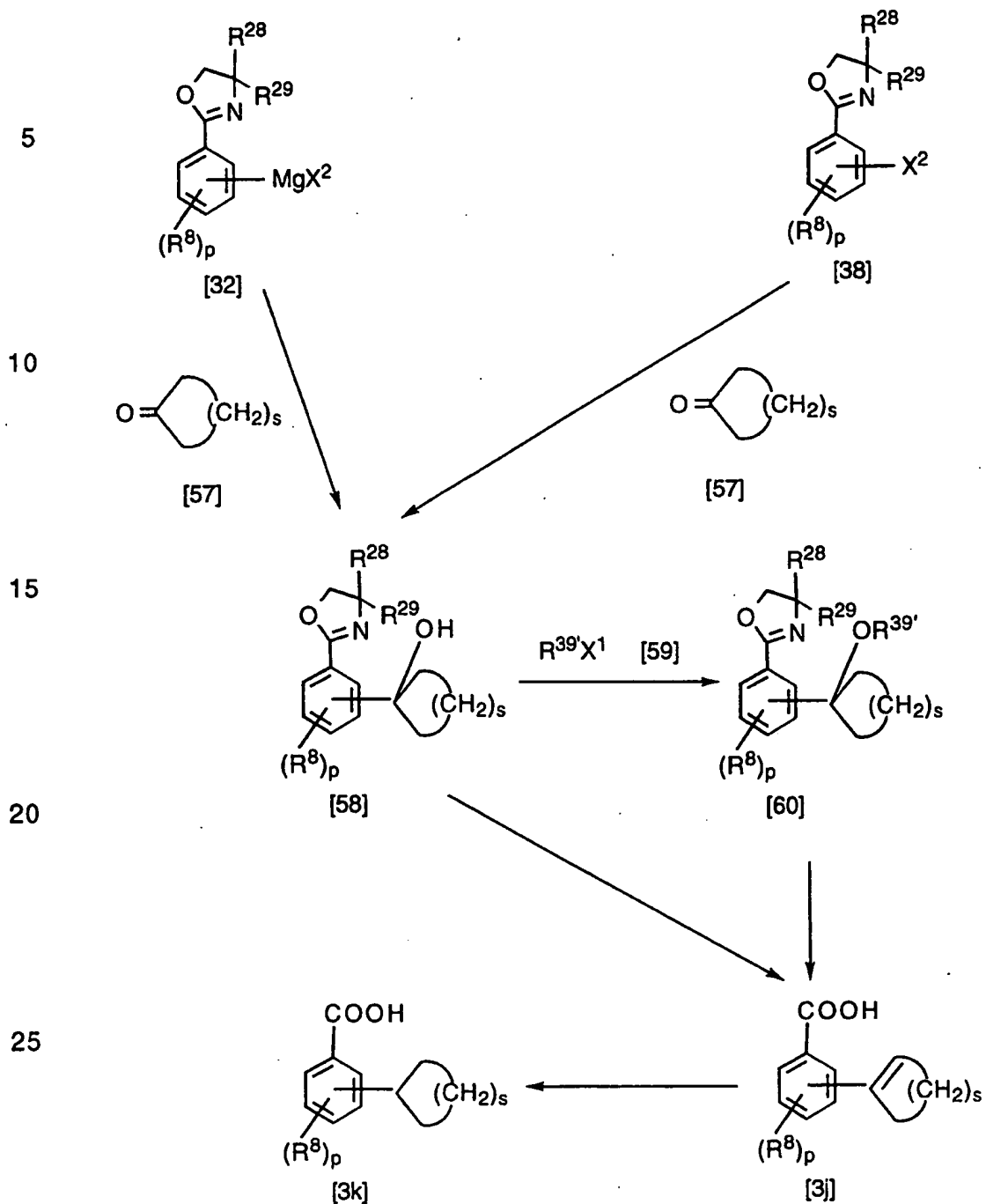
group, or an amino-substituted lower alkoxy group having optionally a lower alkyl substituent, and r is 0, 1 or 2.

5 The reaction of the compound [54] and the compound [55] is carried out under the same conditions as those in the reaction of the compound [42] and the compound [43] in above Reaction Scheme-15.

 The reaction of converting the compound [56] into the compound [3i] is carried out under the same conditions as those in the reaction of converting the compound [44] into the compound [3g] in above Reaction Scheme-15.

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Reaction Scheme-22



wherein R^{28} , R^{29} , R^8 , p , X^1 and X^2 are the same as defined above, s is an integer of 0 to 5, and $R^{39'}$ is a lower alkyl group.

The reaction of the compound [32] and the compound [57] is carried out under the same conditions as those in the reaction of the compound [32]

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and the compound [33] in above Reaction Scheme-12.

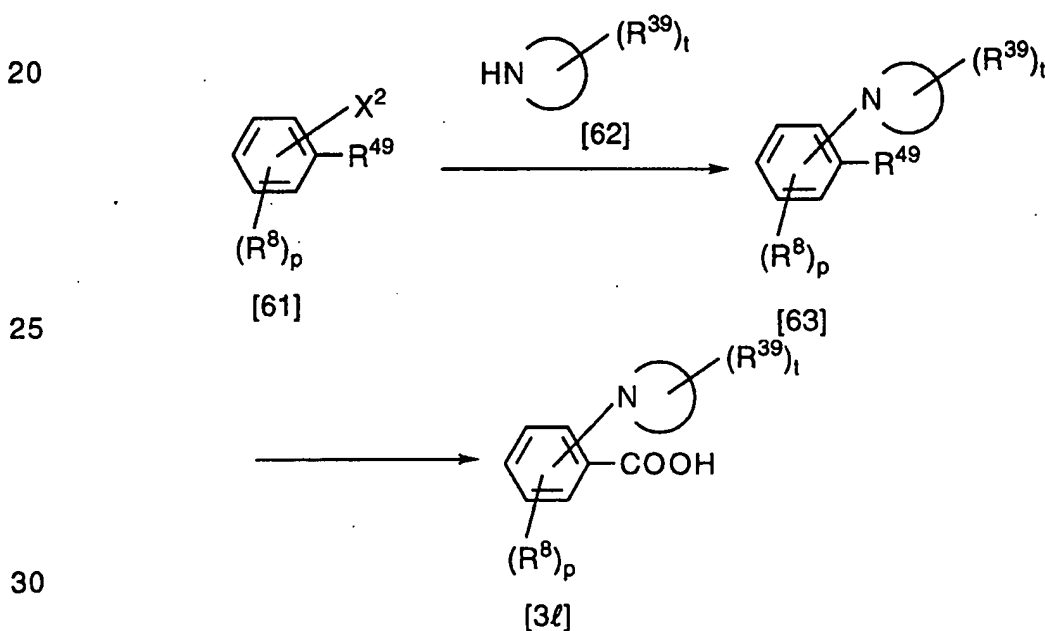
The reaction of the compound [58] and the compound [59] is carried out under the same conditions as those in the reaction of the compound [4] and the compound [6a] in above Reaction Scheme-2.

5 The reaction of the compound [38] and the compound [57] is carried out under the same conditions as those in the reaction of the compound [1e] and the compound [14] or the compound [15] in above Reaction Scheme-6. The compound [57] is used at least in an equimolar amount, preferably in an amount of 1 to 1.5 mole, to 1 mole of the compound [38].

10 The reaction of converting the compound [58] or the compound [60] into the compound [3j] is carried out under the same conditions as those in the reaction of converting the compound [1o] into the compound [1p] in above Reaction Scheme-7, except the reaction is carried out for 1 hour to about 50 hours.

15 The reaction of converting the compound [3j] into the compound [3k] is carried out under the same conditions as those in the reaction of converting the compound [1e] into the compound [1g] in above Reaction Scheme-3.

Reaction Scheme-23



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wherein R^8 , p and X^2 are the same as defined above, R^{49} is a cyano group, a lower alkoxy carbonyl group or a carboxy group, R^{39} is a lower alkyl group, a phenyl group, a lower alkanoyl group, a halogen atom, a phenyl lower alkyl group, or an oxo group, and t is an integer of 0 to 3, and the group of the

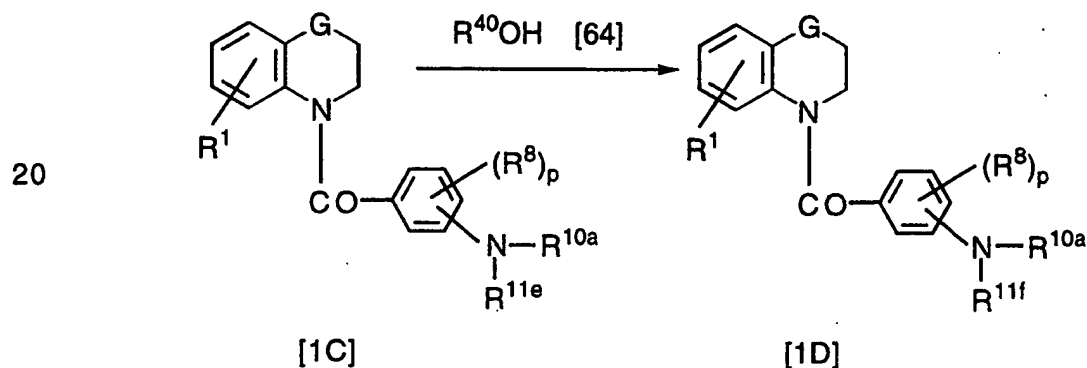
5 formula:  is a 5- to 11-membered, saturated or unsaturated

heteromonocyclic or heterobicyclic group containing 1 to 4 heteroatoms selected from a nitrogen atom, an oxygen atom and a sulfur atom.

The reaction of the compound [61] and the compound [62] is carried out under the same conditions as those in the reaction of the compound [4] and the compound [6a] in above Reaction Scheme-2.

When the compound [63] is a compound of the formula [63] wherein R^{49} is a cyano group or a lower alkoxy carbonyl group, the reaction of converting the compound [63] into the compound [3k] is carried out under the same conditions as those in the reaction of converting the compound [1o] into the compound [1p] in above Reaction Scheme-7.

Reaction Scheme-24



25 wherein G , R^1 , R^8 , p and R^{10a} are the same as defined above, R^{11e} is a lower alkanoyl group having a halogen substituent, R^{40} is a phenyl group having optionally a substituent selected from a lower alkyl group, a phenyl group, a lower alkoxy group, a halogen-substituted lower alkyl group, an amino group having optionally a lower alkyl substituent, a lower alkanoyl-substituted amino group, a nitro group and a halogen atom, a lower alkyl group, a lower alkanoyl group, a quinolyl group, a tetrahydroquinolyl group having optionally a

30

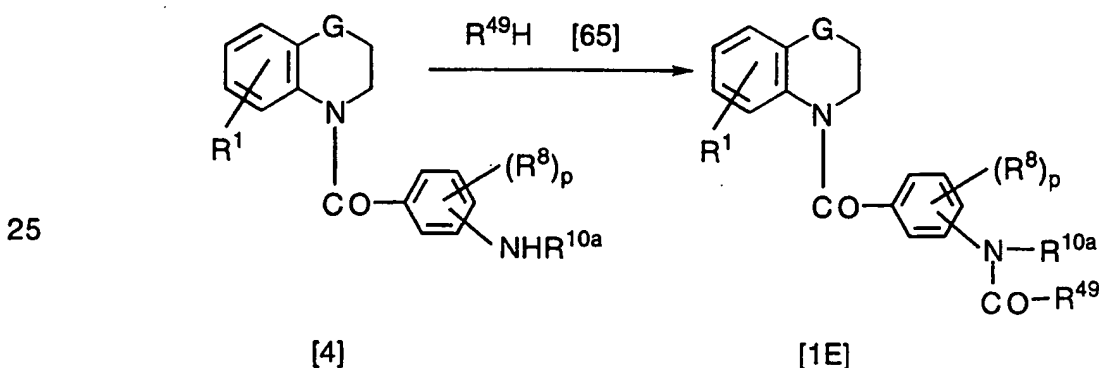
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substituent selected from a lower alkyl group and an oxo group on the quinoline ring, or a tetrahydronaphthyl group, R^{11f} is a phenoxy-lower alkanoyl group having optionally a substituent selected from a lower alkyl group, a phenyl group, a lower alkoxy group, a halogen-substituted lower alkyl group, an amino group having optionally a lower alkyl substituent, a lower alkanoyl-substituted amino group, a nitro group and a halogen atom on the phenyl ring, a lower alkoxy-substituted lower alkanoyl group, a lower alkanoyloxy-substituted lower alkanoyl group, a quinolyloxy-substituted lower alkanoyl group, a tetrahydroquinolyloxy-substituted lower alkanoyl group having optionally a substituent selected from a lower alkyl group and an oxo group on the quinoline ring, or a tetrahydronaphthyloxy-substituted lower alkanoyl group.

The reaction of the compound [1C] and the compound [63] is carried out under the same conditions as those in the reaction of the compound [4] and the compound [6a] in above Reaction Scheme-2.

The compound [1D] wherein R^{11f} is a lower alkanoyloxy-substituted lower alkanoyl group is reacted under the same conditions as those in the reaction of converting the compound [1o] into the compound [1p] in above Reaction Scheme-7 to give the compound [1D] wherein the corresponding R^{11f} is a lower alkanoyl group having a hydroxy substituent.

Reaction Scheme-25



wherein R^1 , G , R^8 , p and R^{10a} are the same as defined above, and R^{49} is a

tetrahydroisoquinolyl group or a group of the formula:

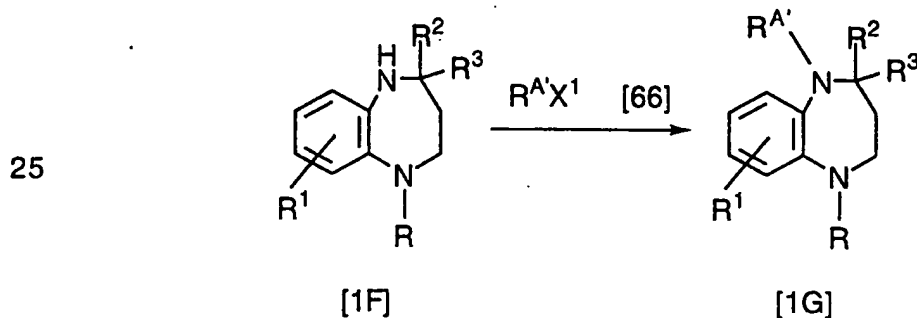
The reaction of converting the compound [4] into the compound [1E]

is carried out by (i) reacting the compound [4] with a carbonylating agent in the presence of a basic compound in an appropriate solvent, followed by (ii) reacting the resulting product with the compound [65] in the presence of a basic compound in an appropriate solvent.

5 The solvent and the basic compound used in the above process (i) may be each the same ones as those used in the reaction of reacting a carboxylic acid halide with the amine compound [2] in above Reaction Scheme-1. The carbonylating agent includes, for example, carbonyl-
diimidazole, phosgene, diphosgene, urea, triphosgene, etc. The carbonylating
10 agent is usually used in an amount of 0.05 to 1 mole, preferably in an amount of 0.1 to 1 mole, to 1 mole of the compound [4]. The reaction is usually carried out at a temperature from 0°C to 200°C, preferably at a temperature from 0°C to about 180°C, for 1 hour to about 10 hours.

The solvent and the basic compound used in the above process (ii) may be each the same ones as those used in the process (i). The processes (i) and (ii) are carried out in one-pot system. The compound [65] is used in an amount of 1 to 5 moles, preferably in an amount of 1 to 3 moles, to 1 mole of the compound [4]. The reaction is usually carried out at a temperature from room temperature to 150°C, preferably at a temperature from room temperature to about 120°C, for 0.5 hour to about 5 hours.

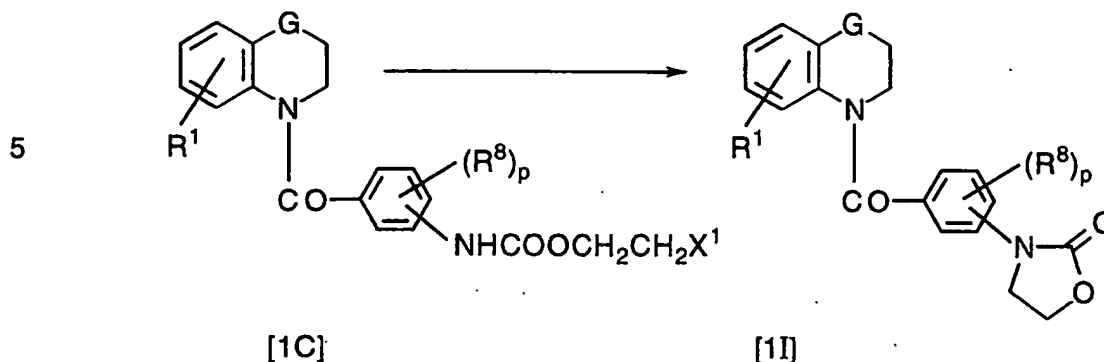
Reaction Scheme-26



wherein R¹, R², R³, R and X¹ are the same as defined above, and R^{A'} is the
30 same groups as those for R^A other than a hydrogen atom.

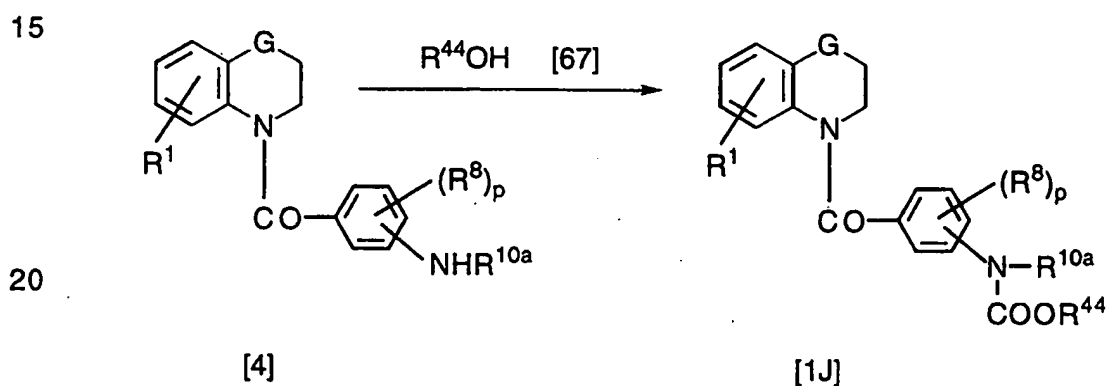
The reaction of the compound [1F] and the compound [66] is carried out under the same conditions as those in the reaction of the compound [4] and the compound [6a] in above Reaction Scheme-2.

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Reaction Scheme-27

10 wherein R¹, G, R⁸, p and X¹ are the same as defined above.

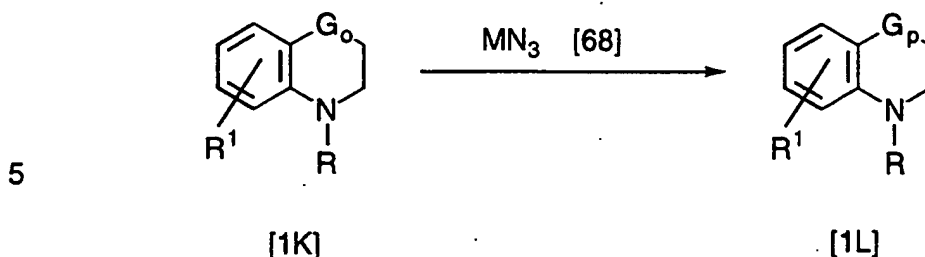
The reaction of converting the compound [1H] into the compound [1I] is carried out under the same conditions as those in the reaction of the compound [4] and the compound [6a] in above Reaction Scheme-2.

Reaction Scheme-28

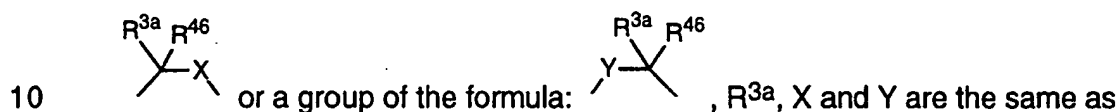
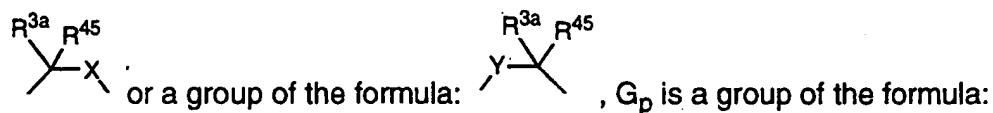
25 wherein R¹, G, R⁸, p and R^{10a} are the same as defined above, R⁴⁴ is a lower alkyl group having optionally a halogen substituent, a phenoxy-lower alkyl group, a phenyl-lower alkyl group, a pyridyl-lower alkyl group, a fluorenyl-lower alkyl group, a lower alkenyl group or a piperidiny-lower alkyl group having optionally a substituent selected from a lower alkanoyl group, a lower alkoxy-carbonyl group and a lower alkyl group on the piperidine ring.

30 The reaction of converting the compound [4] into the compound [67] is carried out under the same conditions as those in the reaction of converting the compound [4] into the compound [1E] in above Reaction Scheme-25.

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Reaction Scheme-29

wherein R^1 and R are the same as defined above, G_o is a group of the formula:



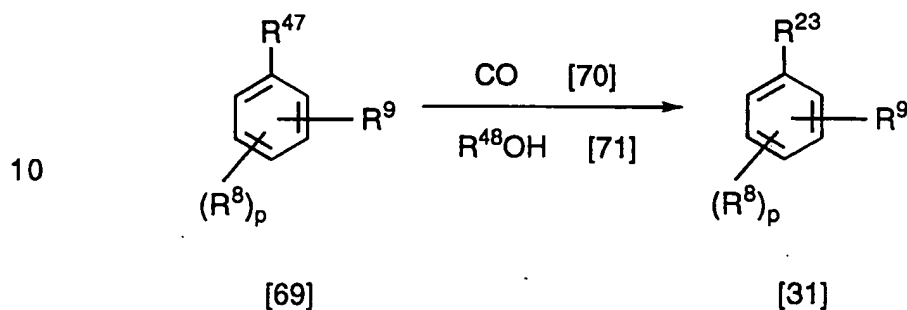
defined above, R^{45} is a cyano-substituted lower alkyl group, R^{46} is a tetrazolyl-substituted lower alkyl group, and M is an alkali metal such as sodium, potassium, etc.

The reaction of converting the compound [1K] into the compound [1L] is carried out by reacting the compound [1K] with the compound [68] in the presence of a basic compound in an appropriate solvent. The solvent includes, for example, halogenated hydrocarbons (e.g. chloroform, dichloromethane, dichloroethane, carbon tetrachloride, etc.), alcohols (e.g. methanol, ethanol, isopropanol, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), ethers (e.g. dioxane, diethylene glycol dimethyl ether, diethyl ether, tetrahydrofuran, etc.), polar solvents (e.g. dimethylformamide, dimethylacetamide, N-methylpyrrolidone, acetic anhydride, acetonitrile, dimethyl sulfoxide, hexamethylphosphoric triamide, etc.). The basic compound includes, for example, inorganic bases (e.g. sodium hydroxide, potassium hydroxide, sodium carbonate, sodium hydrogen carbonate, potassium hydrogen carbonate, potassium carbonate, sodium methoxide, sodium ethoxide, sodium hydride, sodium, potassium, sodium amide, etc.), or organic bases (e.g. N,N-dimethylaniline, piperidine, pyridine, triethylamine, sodium acetate, potassium

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acetate, etc.). The compound [68] is used at least in an equimolar amount, preferably in an amount of 1 to 5 moles, to 1 mole of the compound [1K]. The reaction is usually carried out at a temperature from room temperature to 200°C, preferably at a temperature from 50°C to about 150°C, for 1 hour to about 40 hours.

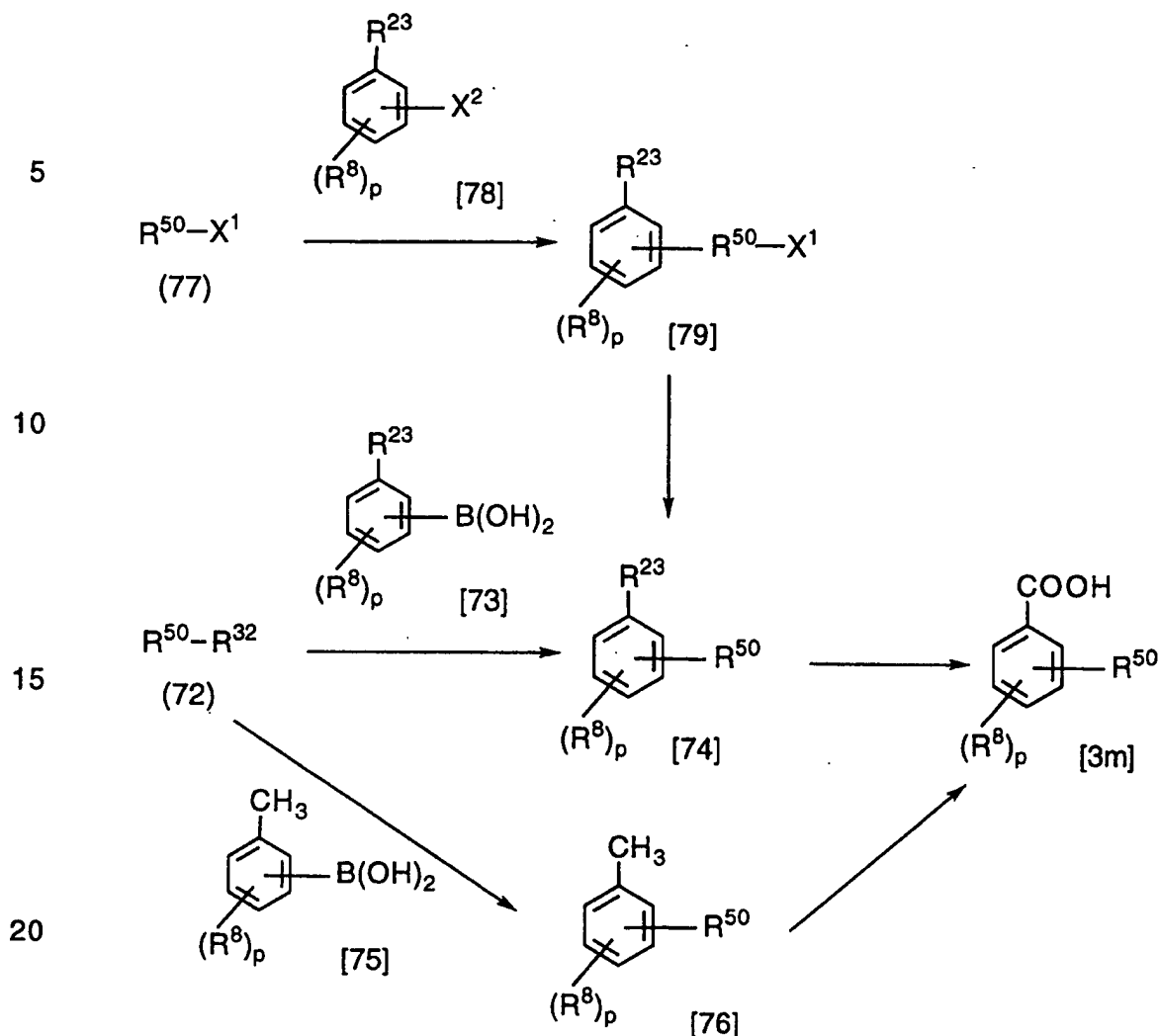
Reaction Scheme-30



wherein R^8 , p , R^9 and R^{23} are the same as defined above, R^{47} is a lower alkylsulfonyloxy group having optionally a halogen substituent, and R^{48} is a lower alkyl group.

The reaction of the compound [69], carbon monoxide [70] and the compound [71] is carried out in the presence of a catalyst and a basic compound in an appropriate solvent. The solvent and the basic compound used therein are the same ones as those used in the reaction of the compound [2] and the compound [3] in above Reaction Scheme-1 wherein a carboxylic acid halide is used. The catalyst includes, for example, palladium acetate, 1,3-bis(diphenylphosphino)propane (dppp), and the like. The reaction is usually carried out at a temperature from room temperature to 200°C, preferably at a temperature from room temperature to about 150°C, for 1 hour to about 10 hours. The compound [70], the compound [71] and the catalyst are each used in an excess amount to the compound [69].

Reaction Scheme-31



wherein R^{50} is a 5- to 11-membered, saturated or unsaturated hetero-monocyclic or heterobicyclic group containing 1 to 4 heteroatoms selected from a nitrogen atom, an oxygen atom and a sulfur atom, and said heterocyclic group being optionally substituted by 1 to 3 groups selected from a lower alkyl group, a phenyl group, a lower alkanoyl group, a halogen atom, a phenyl-lower alkyl group and an oxo group, and R^{32} , R^{23} , R^8 , p , X^1 and X^2 are the same as defined above.

The reaction of the compound [72] and the compound [73] is carried out under the same conditions as in the reaction of the compound [54] and the compound [55] in above Reaction Scheme-21.

The reaction of converting the compound [74] into the compound

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[3m] is carried out under the same conditions as in the reaction of converting the compound [1o] into the compound [1p] in above Reaction Scheme-7.

The reaction of the compound [72] and the compound [75] is carried out under the same conditions as in the reaction of the compound [54] and the compound [55] in above Reaction Scheme-21.

The reaction of converting the compound [76] into the compound [3m] is carried out in the presence of a basic compound and an oxidizing agent in an appropriate solvent. The solvent and the oxidizing agent used therein are the same ones as those used in the reaction of converting the compound [34] into the compound [35] in above Reaction Scheme-12.

The basic compound includes, for example, sodium hydroxide, potassium hydroxide, sodium hydride, etc.

The oxidizing agent is used at least in an equimolar amount, preferably in an amount of 1 to 4 moles, to 1 mole of the compound [76].

The reaction is usually carried out at a temperature from 0°C to about 150°C, preferably at a temperature from 0°C to about 120°C, for about 1 hour to about 7 hours.

The reaction of the compound [77] and the compound [78] is carried out by treating the compound [77] with a basic compound in an appropriate solvent, at a temperature from -80°C to 50°C, preferably at a temperature from -80°C to room temperature, for 0.5 hour to 5 hours, and followed by reacting the product with the compound [78] in the presence of a zinc compound (e.g. zinc, zinc chloride, etc.), a catalyst and a basic compound in the same solvent.

The basic compound used for the treatment of the compound [77] includes an alkyl lithium, an aryl lithium, or a lithium amide, for example, methyl lithium, n-butyl lithium, phenyl lithium, lithium diisopropylamide, and the like.

The basic compound is used at least in an equimolar amount, preferably in an amount of 1 to 2 moles, to 1 mole of the compound [77]. The solvent and the catalyst are the same as those in the reaction of the compound [21] and the compound [22] in above Reaction Scheme-11, and are used in the same amount as those in said reaction in above Reaction Scheme-11.

The reaction of the product derived from the compound [77] and the compound [78] is usually carried out at a temperature from 0°C to 150°C,

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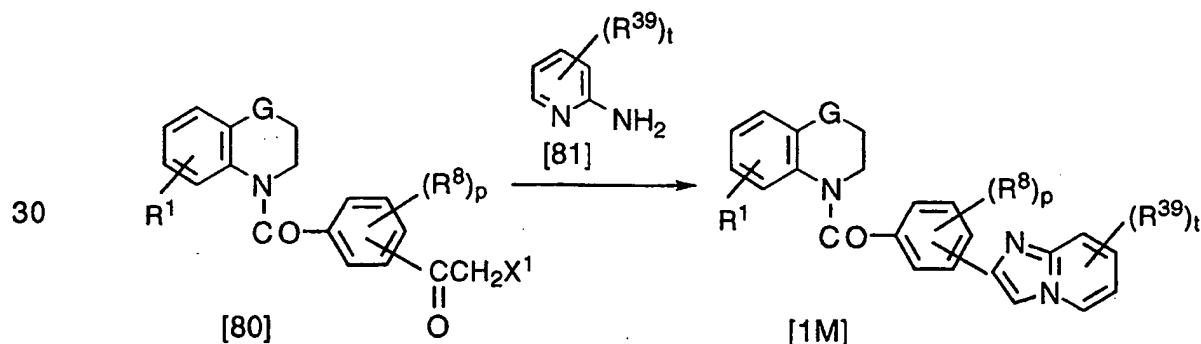
preferably at a temperature from 0°C to 100°C, for 1 hour to about 10 hours.

The basic compound used in the reaction of the product derived from the compound [77] and the compound [78] includes, for example, in addition to diisopropylethylamine, the same basic compounds used in the reaction of a
 5 carboxylic acid halide of the compound [3] and the amine compound [2] in above Reaction Scheme-1.

The zinc compound and the basic compound used in the reaction of the product derived from the compound [77] and the compound [78] are used at least in an equimolar amount, preferably in an amount of 1 to 2 moles, to 1
 10 mole of the compound [77].

The reaction of converting the compound [79] into the compound [74] is carried out by subjecting the compound [79] to catalytic hydrogenation in an appropriate solvent. The solvent includes, for example, water, acetic acid, alcohols (e.g. methanol, ethanol, isopropanol, etc.), hydrocarbons (e.g. hexane, cyclohexane, etc.), ethers (e.g. dioxane, tetrahydrofuran, diethyl ether, diethylene glycol dimethyl ether, etc.), esters (e.g. ethyl acetate, methyl acetate, etc.), aprotic polar solvents (e.g. N,N-dimethyl formamide, etc.), or a mixture of these solvents. The catalyst includes, for example, palladium, palladium-black, palladium-carbon, platinum, platinum oxide, copper chromite, Raney nickel,
 15 and the like. The catalyst is usually used in an amount of 0.02 to 1 mole, to 1 mole of the starting compound. The reaction is usually carried out at a temperature from -20°C to about 150°C, preferably at a temperature from 0°C to about 100°C, under 1 to 10 atms of hydrogen, for 0.5 hour to about 10 hours. There may be added sodium acetate, etc. into the reaction system.

25 Reaction Scheme-32

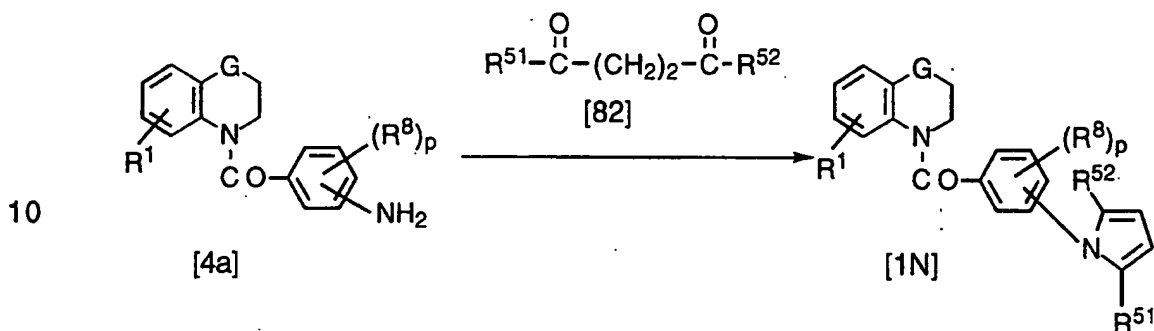


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wherein R^1 , G, R^8 , p, X^1 , R^{39} and t are the same as defined above.

The reaction of the compound [80] and the compound [81] is carried out under the same conditions as in the reaction of the compound [4] and the compound [6a] in above Reaction Scheme-2.

5 Reaction Scheme-33



wherein R^1 , G, R^8 and p are the same as defined above, and R^{51} and R^{52} are each a lower alkyl group.

The reaction of the compound [4a] and the compound [82] is carried out in the presence of an acid in an appropriate solvent. The solvent includes, for example, water, lower alcohols (e.g. methanol, ethanol, isopropanol, etc.), ketones (e.g. acetone, methyl ethyl ketone, etc.), ethers (e.g. dioxane, tetrahydrofuran, ethylene glycol dimethyl ether, etc.), fatty acids (e.g. acetic acid, formic acid, etc.), or a mixture of these solvents. The acid includes, for example, mineral acids (e.g. hydrochloric acid, sulfuric acid, hydrobromic acid, etc.), organic acids (e.g. formic acid, acetic acid, aromatic sulfonic acid, etc.).

The reaction is usually carried out at a temperature from room temperature to about 200°C, preferably at a temperature from room temperature to about 150°C, for 0.5 hour to about 5 hours. The compound [82] is used at least in an equimolar amount, preferably in an amount of 1 to 2 moles, to 1 mole of the compound [4a].

The compound of the formula [1] wherein R^1 is a hydroxy group can be prepared by subjecting the compound of the formula [1] wherein R^1 is a lower alkoxy group to de-alkylation. The de-alkylation reaction is carried out in the presence of an acid in an appropriate solvent. The solvent includes, for example, water, lower alcohols (e.g. methanol, ethanol, isopropanol, etc.),

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ethers (e.g. dioxane, tetrahydrofuran, etc.), halogenated hydrocarbons (e.g. dichloromethane, chloroform, carbon tetrachloride, etc.), polar solvents (e.g. acetonitrile, etc.), organic acids (e.g. acetic acid, etc.), or a mixture of these solvents. The acid includes, for example, mineral acids (e.g. hydrochloric acid, sulfuric acid, hydrobromic acid, etc.), Lewis acids (e.g. boron trifluoride, aluminum chloride, boron tribromide, etc.), iodides (e.g. sodium iodide, potassium iodide, etc.), and a mixture of a Lewis acid and a iodide. The reaction is usually carried out at a temperature from room temperature to 150°C, preferably at a temperature from room temperature to 120°C, for 0.5 hour to 15 hours.

The compound of the formula [1] wherein R⁹ is a phenyl group having at least one phenyl-lower alkoxy substituent on the phenyl ring is converted into the compound of the formula [1] wherein R⁹ is a phenyl group having at least one hydroxy substituent on the phenyl ring by subjecting it to catalytic reduction. The catalytic reduction is carried out in the presence of a reducing agent in an appropriate solvent. The solvent includes, for example, water, alcohols (e.g. methanol, ethanol, isopropanol, etc.), acetic acid, ethyl acetate, ethers (e.g. dioxane, tetrahydrofuran, diethyl ether, diglyme, etc.), aromatic hydrocarbons (e.g. benzene, toluene, xylene, etc.), or a mixture of these solvents. The reducing agent includes, for example, catalysts such as palladium-black, palladium-carbon, platinum oxide, platinum-black, platinum-carbon, Raney nickel, etc. The reaction is usually carried out at a temperature from -30° to 100°C, preferably at a temperature from 0°C to 60°C, under a pressure from atmospheric pressure to 20 atms of hydrogen, preferably under a pressure from atmospheric pressure to 10 atms of hydrogen. The catalyst is usually used in an amount of 0.1 to 40 % by weight, preferably in an amount of 0.1 to 20 % by weight, to the amount of the starting compound.

The compound of the formula [1] wherein R⁹ is a phenyl group having at least one lower alkanoyloxy substituent on the phenyl ring, or a lower alkanoyloxy group can be converted into the compound of the formula [1] wherein R⁹ is a phenyl group having at least one hydroxy substituent on the phenyl ring or a hydroxy group, respectively, by subjecting them to hydrolysis. The hydrolysis is carried out in the presence of an acid or a basic compound in

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an appropriate solvent or without a solvent. The solvent includes, for example, water, lower alcohols (e.g. methanol, ethanol, isopropanol, etc.), ketones (e.g. acetone, methyl ethyl ketone, etc.), ethers (e.g. dioxane, tetrahydrofuran, ethylene glycol dimethyl ether etc.), fatty acids (e.g. formic acid, acetic acid, etc.), or a mixture of these solvents. The acid includes, for example, mineral acids (e.g. hydrochloric acid, sulfuric acid, hydrobromic acid, etc.), organic acids (e.g. formic acid, acetic acid, aromatic sulfonic acids, etc.), and the like. The basic compound includes, for example, metal carbonates (e.g. sodium carbonate, potassium carbonate, etc.), metal hydroxides (e.g. lithium hydroxide, sodium hydroxide, potassium hydroxide, calcium hydroxide, etc.), and the like. The reaction is usually carried out at a temperature from room temperature to about 200°C, preferably at a temperature from room temperature to about 150°C, for 0.5 to about 25 hours.

The compound of the formula [1] wherein R⁸ is a lower alkoxy group can be converted into the compound of the formula [1] wherein R⁸ is a hydroxy group by subjecting it to de-alkylation reaction. The compound [1] wherein R⁹ is a phenyl group having at least one lower alkoxy substituent on the phenyl ring can be converted into the compound of the formula [1] wherein R⁹ is a phenyl group having at least one hydroxy substituent on the phenyl ring by subjecting it to de-alkylation reaction. The de-alkylation reaction is carried out in the presence of an acid in an appropriate solvent. The solvent includes, for example, water, lower alcohols (e.g. methanol, ethanol, isopropanol, etc.), ethers (e.g. dioxane, tetrahydrofuran, etc.), halogenated hydrocarbons (e.g. dichloromethane, chloroform, carbon tetrachloride, etc.), polar solvents (e.g. acetonitrile, etc.), organic acids (e.g. acetic acid, etc.), or a mixture of these solvents. The acid includes, for example, mineral acids (e.g. hydrochloric acid, sulfuric acid, hydrobromic acid, etc.), Lewis acids (e.g. boron trifluoride, aluminum chloride, boron tribromide, etc.), iodides (e.g. sodium iodide, potassium iodide, etc.), and a mixture of a Lewis acid and a iodide. The reaction is usually carried out at a temperature from room temperature to 150°C, preferably at a temperature from room temperature to 120°C, for 0.5 hour to about 15 hours.

The compound of the formula [1] wherein R¹¹ is a phenoxy-lower

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alkanoyl group having at least one amino substituent on the phenyl ring can be converted into the compound of the formula [1] wherein R¹¹ is a phenoxy-lower alkanoyl group having at least one amino substituent having a lower alkyl substituent on the phenyl ring by reacting it with a compound of the formula: R⁴¹X¹ [10a] (wherein R⁴¹ is a lower alkyl group and X¹ is the same as defined above), or a compound of the formula: R¹⁶COR¹⁷ [11] (wherein R¹⁶ and R¹⁷ are the same as defined above) under the same conditions as those in the reaction of the compound [1h] and the compound [10] or the compound [11] in above Reaction Scheme-4.

The compound of the formula [1] wherein R⁹ is a 5- to 11-membered, saturated or unsaturated heteromonocyclic or heterobicyclic group containing 1 to 4 heteroatoms selected from a nitrogen atom, an oxygen atom and a sulfur atom wherein these heteroatoms may optionally be substituted, and said heterocyclic group has a secondary amino group on the heterocyclic nucleus, is reacted with a compound of the formula: R⁴²X [10b] (wherein X is the same as defined above and R⁴² is a lower alkyl group, a phenyl-lower alkyl group or a lower alkanoyl group) or a group of the formula: R¹⁶COR¹⁷ [11] (wherein R¹⁶ and R¹⁷ are the same as defined above) under the same conditions as those in the reaction of the compound [1h] with the compound [10] or the compound [11] in above Reaction Scheme-4, to give the compound of the formula [1] wherein the corresponding R⁹ is the above heterocyclic group wherein the secondary amino group on the heterocyclic nucleus is substituted by a lower alkyl group, a phenyl-lower alkyl group or a lower alkanoyl group, or reacted with a compound of the formula: R⁴³OH [12a] (wherein R⁴³ is a lower alkanoyl group) under the same conditions as those in the reaction of the compound [2] with the compound [3] in above Reaction Scheme-1 to give the compound of the formula [1] wherein the corresponding R⁹ is a heterocyclic group wherein the secondary amino group on the heterocyclic nucleus is substituted by a lower alkanoyl group.

Among the desired compounds [1] of the present invention, the compounds having an acidic group can easily be converted into salts by treating with a pharmaceutically acceptable basic compound. The basic compound includes, for example, metal hydroxides (e.g. sodium hydroxide,

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potassium hydroxide, lithium hydroxide, calcium hydroxide, etc.), alkali metal carbonates or hydrogen carbonates (e.g. sodium carbonate, sodium hydrogen carbonate, etc.) and alkali metal alcoholates (e.g. sodium methylate, potassium ethylate, etc.). Besides, among the desired compounds [1] of the present invention, the compounds having a basic group can easily be converted into acid addition salts thereof by treating with a pharmaceutically acceptable acid. The acid includes, for example, inorganic acids (e.g. sulfuric acid, nitric acid, hydrochloric acid, hydrobromic acid, etc.), and organic acids (e.g. acetic acid, p-toluenesulfonic acid, ethanesulfonic acid, oxalic acid, maleic acid, fumaric acid, citric acid, succinic acid, benzoic acid, etc.). These salts show as well excellent pharmacological activities as the desired compounds [1].

In addition, the compounds [1] of the present invention include stereoisomers and optical isomers, and these isomers are also useful as a vasopressin antagonist, vasopressin agonist or an oxytocin antagonist.

The compounds of the present invention thus obtained can easily be isolated and purified by conventional isolation methods. The isolation methods are, for example, distillation method, recrystallization method, column chromatography, ion exchange chromatography, gel chromatography, affinity chromatography, preparative thin layer chromatography, extraction with a solvent, and the like.

The desired compounds [1] of the present invention and salts thereof are useful as a vasopressin antagonist, vasopressin agonistic activities and an oxytocin antagonist, and are used in the form of a conventional pharmaceutical preparation. The preparation is prepared by using conventional diluents or carriers such as fillers, thickening agents, binders, wetting agent, disintegrators, surfactants, lubricants, and the like. The pharmaceutical preparations can be selected from various forms in accordance with the desired utilities, and the representative forms are tablets, pills, powders, solutions, suspensions, emulsions, granules, capsules, suppositories, injections (solutions, suspensions, etc.), and the like. In order to form in tablets, there are used carriers such as vehicles (e.g. lactose, white sugar, sodium chloride, glucose, urea, starch, calcium carbonate, kaolin, crystalline cellulose, silicic acid, etc.), binders (e.g. water, ethanol, propanol, simple syrup, glucose solution, starch

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solution, gelatin solution, carboxymethyl cellulose, shellac, methyl cellulose, potassium phosphate, polyvinylpyrrolidone, etc.), disintegrators (e.g. dry starch, sodium alginate, agar powder, laminaran powder, sodium hydrogen carbonate, calcium carbonate, polyoxyethylene sorbitan fatty acid esters, sodium laurylsulfate, stearic monoglyceride, starches, lactose, etc.), disintegration inhibitors (e.g. white sugar, stearin, cacao butter, hydrogenated oils, etc.), absorption promoters (e.g. quaternary ammonium base, sodium laurylsulfate, etc.), wetting agents (e.g. glycerin, starches, etc.), adsorbents (e.g. starches, lactose, kaolin, bentonite, colloidal silicates, etc.), lubricants (e.g. purified talc, stearates, boric acid powder, polyethylene glycol, etc.), and the like. Moreover, the tablets may also be in the form of a conventional coated tablet, such as sugar-coated tablets, gelatin-coated tablets, enteric coated tablets, film coating tablets, or double or multiple layer tablets. In the preparation of pills, the carriers include vehicles (e.g. glucose, lactose, starches, cacao butter, hydrogenated vegetable oils, kaolin, talc, etc.), binders (e.g. gum arabic powder, tragacanth powder, gelatin, ethanol, etc.), disintegrators (e.g. laminaran, agar, etc.), and the like. In the preparation of suppositories, the carriers include, for example, polyethylene glycol, cacao butter, higher alcohols, higher alcohol esters, gelatin, semi-synthetic glycerides, and the like. Capsules can be prepared by charging a mixture of the compound of the present invention and the above carriers into hard gelatin capsules or soft capsules in usual manner. In the preparation of injections, the solutions, emulsions and suspensions are sterilized and are preferably made isotonic with the blood. In the preparation of these solutions, emulsions and suspensions, there are used conventional diluents, such as water, ethyl alcohol, macrogol, propylene glycol, ethoxylated isostearyl alcohol, polyoxylated isostearyl alcohol, polyoxyethylene sorbitan fatty acid esters, and the like. In this case, the pharmaceutical preparations may also be incorporated with sodium chloride, glucose, or glycerin in an amount sufficient to make them isotonic, and may also be incorporated with conventional solubilizers, buffers, anesthetizing agents. Besides, the pharmaceutical preparations may optionally be incorporated with coloring agents, preservatives, perfumes, flavors, sweetening agents, and other medicaments, if

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required.

The amount of the desired compound of the present invention to be incorporated into the vasopressin antagonist, vasopressin agonist or the oxytocin antagonist is not specified but may be selected from a broad range, but usually, it is preferably in the range of 1 to 70 % by weight, more preferably 5 to 50 % by weight.

The vasopressin antagonist, the vasopressin agonist or the oxytocin antagonist containing as an active ingredient the compounds [1] of the present invention or a salt thereof may be administered in any method, and a suitable method for administration may be determined in accordance with various forms of preparations, ages, sexes and other conditions of the patients, the degree of severity of diseases, and the like. For example, tablets, pills, solutions, suspensions, emulsions, granules and capsules are administered orally. The injections are intravenously administered alone or together with a conventional auxiliary liquid (e.g. glucose, amino acid solutions), and further are optionally administered alone in intramuscular, intracutaneous, subcutaneous, or intraperitoneal route, if required. Suppositories are administered in intrarectal route.

The dosage of the vasopressin antagonist, the vasopressin agonist and the oxytocin antagonist of the present invention may be selected in accordance with the usage, ages, sexes and other conditions of the patients, the degree of severity of the diseases, and the like, but it is usually in the range of about 0.6 to 50 mg of the active compound of the present invention per 1 kg of body weight of the patient per day. The active compound is preferably contained in an amount of about 10 to about 1000 mg per the dosage unit.

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Best Mode for Carrying Out the InventionExamples

The present invention is illustrated in more detail by the following Preparations of vasopressin antagonist, vasopressin agonist or oxytocin antagonist, Reference Examples of processes for preparing the starting compounds to be used for preparing the desired compounds of the present invention, and Examples of processes for preparing the desired compounds, and Experiments of the activities of the desired compounds of the present invention.

10 Preparation 1

Film coated tables are prepared from the following components.

	<u>Components</u>	<u>Amount</u>
15	7-Chloro-5-[(4-methyl-1-piperazinyl)carbonyl-methyl]-1-[2-methoxy-4-(2-methylphenyl)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine	150 g
	Avicel (trademark of microcrystalline cellulose manufactured by Asahi Chemical Industry, Co., Ltd., Japan)	40 g
	Corn Starch	30 g
20	Magnesium stearate	2 g
	Hydroxypropyl methylcellulose	10 g
	Polyethylene glycol-6000	3 g
	Castor oil	40 g
	Ethanol	40 g

25 The active compound of the present invention, Avicel, corn starch and magnesium stearate are mixed and kneaded and the mixture is tabletted by using a conventional pounder (R 10 mm) for sugar coating. The tablets thus obtained are coated with a film coating agent consisting of hydroxypropyl methylcellulose, polyethylene glycol-6000, castor oil and ethanol to give film
30 coated tablets.

Preparation 2

Tablets are prepared from the following components.

<u>Components</u>	<u>Amount</u>
7-Chloro-5-[[N-(2-diethylaminoethyl)-N-methyl-	

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	amino]carbonylmethyl)-1-(3,4-dimethoxybenzoyl)- 2,3,4,5-tetrahydro-1H-benzazepine	150 g
	Citric acid	1.0 g
	Lactose	33.5 g
5	Dicalcium phosphate	70.0 g
	Pullonic F-68	30.0 g
	Sodium laurylsulfate	15.0 g
	Polyvinylpyrrolidone	15.0 g
	Polyethylene glycol (Carbowax 1500)	4.5 g
10	Polyethylene glycol (Carbowax 6000)	45.0 g
	Corn starch	30.0 g
	Dry sodium stearate	3.0 g
	Dry magnesium stearate	3.0 g
	Ethanol	q.s.
15	The active compound of the present invention, citric acid, lactose, dicalcium phosphate, Pullonic F-68 and sodium laurylsulfate are mixed. The mixture is screened with No. 60 screen and is granulated with an alcohol solution containing polyvinylpyrrolidone, Carbowax 1500 and 6000. If required, an alcohol is added thereto so that the powder mixture is made a	
20	paste-like mass. Corn starch is added to the mixture and the mixture is continuously mixed to form uniform particles. The resulting particles are passed through No. 10 screen and entered into a tray and then dried in an oven at 100°C for 12 to 14 hours. The dried particles are screened with No. 16 screen and thereto are added dry sodium laurylsulfate and dry magnesium	
25	stearate, and the mixture is tabletted to form the desired shape.	
30	The core tablets thus prepared are vanished and dusted with talc in order to guard them from wetting. Undercoating is applied to the core tablets. In order to administer the tablets orally, the core tablets are vanished several times. In order to give round shape and smooth surface to the tablets, further undercoating and coating with a lubricant are applied thereto. The tablets are further coated with a coloring coating materials until the desired colored tablets are obtained. After drying, the coated tablets are polished to obtain the desired tablets having uniform gross.	

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Preparation 3

An injection preparation is prepared from the following

components.

	<u>Components</u>	<u>Amount</u>
5	7-Chloro-5-[(4-methyl-1-piperazinyl)carbonyl-methyl]-1-[2-methoxy-4-(2,4-dichlorobenzylamino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine	5 g
	Polyethylene glycol (molecular weight; 4000)	0.3 g
	Sodium chloride	0.9 g
10	Polyoxyethylene-sorbitan monooleate	0.4 g
	Sodium metabisulfite	0.1 g
	Methyl-paraben	0.18 g
	Propyl-paraben	0.02 g
	Distilled water for injection	10.0 ml

15 The above parabens, sodium metabisulfite and sodium chloride are dissolved in distilled water of about half volume of the above with stirring at 80°C. The solution thus obtained is cooled to 40°C, and the active compound of the present invention and further polyethylene glycol and polyoxyethylene sorbitan monooleate are dissolved in the above solution. To the solution is

20 added distilled water for injection to adjust to the desired volume, and the solution is sterilized by filtering with an appropriate filter paper to give an injection preparation.

Reference Example 1

25 4-Chloromethylbenzoic acid methyl ester (26.36 g) is dissolved in 1,2-dimethoxyethane (700 ml), and thereto are added with stirring zinc powder (20.6 g) and bistriphenylphosphine palladium dichloride (5 g) over an ice-bath. To the mixture is added dropwise o-toluoyl chloride (26.5 g), and the mixture is stirred over an ice-bath for three hours, and then stirred at room temperature for three days. The insoluble materials are removed by filtration, and the residue

30 is washed with ethyl acetate. To the mother liquor is added a saturated sodium hydrogen carbonate solution, and the mixture is extracted with ethyl acetate. The organic layer is washed successively with a saturated sodium hydrogen carbonate solution, a 0.5N hydrochloric acid and a saturated sodium chloride

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solution, dried over magnesium sulfate and concentrated. The residue is purified by silica gel column chromatography (solvent; ethyl acetate:n-hexane = 50:1 ~ 10:1), and recrystallized from toluene/n-hexane to give 4-[(2-methylbenzoyl)methyl]benzoic acid methyl ester (15 g).

5 Reference Example 2

4-Methoxycarbonylbenzyltriphenylphosphonium chloride (19.1 g) and sodium methylate (2.77 g) are suspended in methanol (20 ml), and the mixture is stirred at room temperature for one hour. To the mixture is added o-chlorobenzaldehyde (5 g), and the mixture is stirred at room temperature for one hour. Sodium methylate (5.54 g) is added to the mixture, and the mixture is stirred at room temperature for one hour. The reaction mixture is concentrated, and to the residue is added water, and the mixture is extracted with ethyl acetate. The organic layer is washed successively with water, a 0.5N hydrochloric acid and a saturated sodium chloride solution, and dried over magnesium sulfate, and concentrated. To the residue is added diethyl ether/n-hexane, and the insoluble materials are removed by filtration. The mother liquor is concentrated, and the residue is purified by silica gel column chromatography (solvent; n-hexane:ethyl acetate = 30:1). Methyl 4-(2-chlorostyryl)benzoate (cis form) (2.15 g) is firstly eluted, and then, methyl 4-(2-chlorostyryl)benzoate (trans form) (1.42 g) is eluted, and both are collected as white powder.

Reference Example 3

A mixture of methyl 4-(2-chlorostyryl)benzoate (trans form) (1.42 g), 5N sodium hydroxide (1.6 ml) and methanol (20 ml) is stirred at room temperature for three hours, and refluxed for two hours. The mixture is evaporated to remove the methanol, and to the residue is added water. The mixture is acidified with conc. hydrochloric acid, and stirred at room temperature for 16 hours. The precipitated crystals are collected by filtration to give 4-(2-chlorostyryl)benzoic acid (1.36 g) as white powder.

30 Reference Example 4

4-Bromobenzoic acid (7.2 g) and thionyl chloride (20 ml) are refluxed for one hour, and concentrated. To the residue is added toluene, and the mixture is concentrated. The obtained 4-bromobenzoic chloride is added

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dropwise to a mixture of 2-amino-2-methyl-1-propanol (5.1 ml), triethylamine (10 ml) and dichloromethane (70 ml) under ice-cooling. The reaction mixture is stirred at room temperature for five hours, and thereto is added ice, and the mixture is extracted with dichloromethane. The organic layer is washed successively with 0.5N hydrochloric acid, a saturated aqueous sodium hydrogen carbonate solution and a saturated sodium chloride solution, dried over magnesium sulfate, and concentrated. The residue is dissolved in dichloromethane (50 ml), and thereto is added dropwise thionyl chloride (7.8 ml) under ice-cooling. The mixture is stirred at room temperature for three hours, cooled with ice, and basified with a 5N aqueous sodium hydroxide solution. The mixture is extracted with dichloromethane, and the organic layer is washed with water, dried over magnesium sulfate to give 2-(4-bromophenyl)-4,4-dimethyl-2-oxazoline (8.35 g) as colorless oil.

B.p. 162-164°C/22 mmHg

Reference Example 5

To a solution of 2-(4-bromophenyl)-4,4-dimethyl-2-oxazoline (1 g) in dry tetrahydrofuran (10 ml) are added dropwise magnesium (526 mg) and dry tetrahydrofuran (50 ml) under refluxing. The heating of the mixture is stopped, and thereto is added 2-(4-bromophenyl)-4,4-dimethyl-2-oxazoline (4 g) at a slowly refluxing rate, during which the mixture is stirred for 30 minutes, and then thereto is added o-tolualdehyde (2.16 ml) under ice-cooling. The mixture is stirred under ice-cooling for one hour, and stirred at room temperature for two hours, and the reaction is quenched with adding thereto a saturated aqueous ammonium chloride solution. The mixture is extracted with ethyl acetate, and the organic layer is washed successively with 1N hydrochloric acid, a saturated aqueous sodium hydrogen carbonate solution and a saturated sodium chloride solution, dried over magnesium sulfate, and concentrated. The residue is purified by silica gel column chromatography (solvent; n-hexane:ethyl acetate = 4 ~ 2:1) to give 2-{4-[1-(2-methylphenyl)-1-hydroxymethyl]phenyl}-4,4-dimethyl-2-oxazoline (3.07 g) as white powder.

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.35 (6H, s), 2.24 (3H, s), 3.04 (1H, d, J=4 Hz), 4.08 (1H, s), 5.99 (1H, d, J=4 Hz), 7.03-7.05 (6H, m), 7.76-7.94 (2H, m)

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Reference Example 6

2-[4-[1-(2-Methylphenyl)-1-hydroxymethyl]phenyl]-4,4-dimethyl-2-oxazoline (3.0 g), manganese dioxide (20 g) and dichloromethane (50 ml) are mixed at room temperature. The mixture is stirred at room temperature for two hours, and refluxed for three hours. The insoluble materials are removed by filtration through celite, and washed with chloroform, and the mother liquor is concentrated to give 2-[4-(2-methylbenzoyl)phenyl]-4,4-dimethyl-2-oxazoline (2.86 g) as pale yellow oil.

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.40 (6H, s), 2.33 (3H, s), 4.14 (2H, s), 7.12-7.54 (4H, m), 7.76-7.92 (2H, m), 7.95-8.14 (2H, m)

Reference Example 7

2-[4-(2-Methylbenzoyl)phenyl]-4,4-dimethyl-2-oxazoline (2.86 g) and 4.5M hydrochloric acid (150 ml) are refluxed for 8 hours. The mixture is cooled to room temperature, and thereto is added water. The precipitates are collected by filtration to give 4-(2-methylbenzoyl)benzoic acid (2.23 g) as white powder.

¹H-NMR (200 MHz, CDCl₃) δ ppm: 2.37 (3H, s), 7.19-7.58 (4H, m), 7.80-8.03 (2H, m), 8.10-8.35 (2H, m), 10.4 (1H, brs)

Reference Example 8

To a solution of 3-methoxy-4-trifluoromethylsulfonyloxybenzoic acid methyl ester (5 g) in toluene (200 ml) is added tetrakis(triphenylphosphine)palladium (0.9 g) under argon atmosphere, and the mixture is stirred at room temperature for five minutes. To the mixture are added (2-methylphenyl)boric acid (3.2 g), lithium chloride (1.01 g) and a 2M aqueous sodium carbonate solution (11.9 ml), and the mixture is stirred at 100°C for two hours. To the mixture is added water, and the mixture is filtered through celite to remove the palladium. The filtrate is extracted with diethyl ether, and the ether layer is washed with water, dried, and evaporated. The residue is purified by silica gel column chromatography (solvent; n-hexane → ethyl acetate:n-hexane = 1:10) to give 3-methoxy-4-(2-methylphenyl)benzoic acid methyl ester (4.07 g) as oil.

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¹H-NMR (200 MHz, CDCl₃) δ ppm: 2.12 (3H, s), 3.82 (3H, s), 3.95 (3H, s), 7.02-7.48 (5H, m), 7.63 (1H, d, J=1.51 Hz), 7.71 (1H, dd, J=1.5 Hz, 7.74 Hz)

The suitable starting compounds are treated in the same manner as in Reference Example 8 to give the compounds of Examples 22, 23 and 35-64 as mentioned below.

Reference Example 9

2-(4-Phenyl-2-methoxyphenyl)-4,4-dimethyl-2-oxazoline (3.00 g) is dissolved in tetrahydrofuran (30 ml) under argon atmosphere, and the mixture is stirred with cooling over an ice-bath. To the mixture is added dropwise gradually an about 2M solution of 1-n-propylmagnesium bromide in tetrahydrofuran (8.0 ml) at the same temperature. After addition, the mixture is warmed to room temperature, and stirred for 16 hours. The reaction solution is stirred with cooling over an ice-bath, and thereto is added a saturated aqueous ammonium chloride solution (30 ml). The mixture is warmed to room temperature, and the organic layer is collected. The aqueous layer is extracted with ethyl acetate (30 ml x 2), and the extract is combined with the organic layer, washed with a saturated aqueous sodium chloride solution (100 ml x 2), dried over magnesium sulfate, and evaporated to remove the solvent. The residue is purified by silica gel column chromatography (solvent; dichloromethane:n-hexane = 1:2 → 1:1), and evaporated to remove the solvent to give 2-(4-phenyl-2-n-propylphenyl)-4,4-dimethyl-2-oxazoline (2.81 g) as colorless transparent viscous oil.

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.98 (3H, t, J=11.3 Hz), 1.39 (6H, s), 1.52-1.77 (2H, m), 2.99 (2H, dd, J=7.5Hz, J=9.5 Hz), 4.08 (2H, s), 7.30-7.51 (5H, m), 7.52-7.63 (2H, m), 7.78 (1H, d, J=6.5 Hz)

Reference Example 10

To a mixture of conc. hydrochloric acid (30 ml) and glacial acetic acid (10 ml) is added 2-(4-phenyl-2-n-propylphenyl)-4,4-dimethyl-2-oxazoline (2.70 g), and the mixture is refluxed for three days (nine hours x 3). The reaction solution is concentrated to about half volume thereof under reduced pressure, and cooled over an ice-bath. The precipitated crystals are collected by filtration, and purified by silica gel column chromatography (solvent;

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dichloromethane → dichloromethane:methanol = 50:1). The desired fractions are combined, evaporated to remove the solvent, and the crystalline residue is recrystallized from n-hexane to give 4-phenyl-2-n-propylbenzoic acid (1.67 g) as colorless needles.

5 M.p. 107.5-108.5°C

Reference Example 11

To a solution of 2-(4-bromo-2-methylphenyl)-4,4-dimethyl-2-oxazoline (5 g) in dry tetrahydrofuran (40 ml) is added dropwise a 1.6M solution of n-butyl lithium in n-hexane (14.0 ml) at -70°C. The mixture is stirred
10 at the same temperature for 30 minutes, and thereto is added dropwise cyclohexanone (2.1 ml), and the mixture is stirred for one hour. To the mixture is added water, and the mixture is evaporated to remove the tetrahydrofuran, and then extracted with diethyl ether. The diethyl ether layer is dried over anhydrous magnesium sulfate, evaporated to remove the solvent, and the
15 residue is purified by silica gel column chromatography (solvent; dichloromethane:methanol = 100:1 → 60:1), recrystallized from acetone/n-hexane to give 2-[4-(1-hydroxy-1-cyclohexyl)-2-methylphenyl]-4,4-dimethyl-2-oxazoline (4.29 g) as white powder.

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.14-1.98 (11H, m), 1.38 (6H, s), 2.57
20 (3H, s), 4.05 (2H, s), 7.19-7.45 (2H, m), 7.72 (1H, d, J=8.1 Hz)

Reference Example 12

To a solution of 2-[4-(1-hydroxy-1-cyclohexyl)-2-methylphenyl]-4,4-dimethyl-2-oxazoline (4.29 g) in acetic acid (40 ml) is added a 10 % hydrochloric acid (20 ml), and the mixture is refluxed for two days. The
25 precipitated crystals are collected by filtration, washed with water, and dried to give 4-cyclohexenyl-2-methylbenzoic acid (2.61 g) as white powder.

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.55-1.93 (4H, m), 2.13-2.31 and 2.32-
2.56 (each 2H, each m), 2.66 (3H, s), 6.17-6.34 (1H, m), 7.15-7.42 (2H, m),
7.90-8.18 (1H, m)

30 Reference Example 13

To a solution of 4-cyclohexenyl-2-methylbenzoic acid (2.61 g) in

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ethanol (30 ml) and ethyl acetate (30 ml) is added 5 % palladium-carbon (0.4 g), and the mixture is stirred at room temperature under atmospheric pressure of hydrogen gas for 30 minutes. The palladium-carbon is removed by filtration through celite, and the filtrate is evaporated to remove the solvent. The precipitated crystals are collected by filtration, crystallized from acetone/n-hexane, and washed to give 4-cyclohexyl-2-methylbenzoic acid (2.27 g) as white powder.

M.p. 129-130°C

Reference Example 14

4-Phenylpiperidine (0.5 g), p-fluorobenzonitrile (0.37 g) and potassium carbonate (0.78 g) are dissolved in N-methylpiperidone (5 ml), and the mixture is stirred at 120°C for five hours. To the reaction solution is added ethyl acetate (50 ml), and the mixture is washed with water, dried over magnesium sulfate, filtered, and concentrated under reduced pressure. To the resulting residue is added methanol, and the insoluble crystals are collected by filtration, dried under reduced pressure to give 4-phenyl-1-(4-cyanophenyl)-piperidine (0.39 g) as white needles.

M.p. 167-169°C

Reference Example 15

To 4-phenyl-1-(4-cyanophenyl)piperidine (0.39 g) are added acetic acid (10 ml) and conc. hydrochloric acid (10 ml), and the mixture is refluxed for five hours. The reaction solution is concentrated, and to the residue is added diethyl ether/methanol. The insoluble crystals are collected by filtration, and dried under reduced pressure to give 4-phenyl-1-(4-carboxyphenyl)piperidine (0.39 g) as white powder.

M.p. 257-259°C (decomposed)

Reference Example 16

Homopiperazine (100 g) is dissolved in ethanol (500 ml), and thereto is added dropwise gradually ethyl iodide (19.8 ml). The mixture is stirred at room temperature overnight, and the insoluble materials are removed by filtration. The filtrate is evaporated to remove the solvent. Purification is performed by distillation to give 1-ethylhomopiperazine (50 g) as colorless oil.

B.p. 86-88°C/37 mmHg

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^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.06 (3H, t, $J=7.2$ Hz), 1.68-1.93 (2H, m), 2.54 (2H, q, $J=7.2$ Hz), 2.63-2.76 (7H, m), 2.87-3.01 (2H, m)

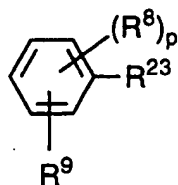
Reference Example 17

To a solution of 4-phenyl-2-chloro-1-trifluoromethylsulfonyloxy-benzene (35 g) in dimethylformamide (300 ml) are added palladium acetate (1.17 g), 1,3-bis(diphenylphosphino)propane (4.29 g), ethanol (91.5 ml) and triethylamine (29.0 ml) under carbon monoxide atmosphere, and the mixture is heated with stirring at 80-90°C for 6 hours. To the reaction solution is added water, and further added a small amount of n-hexane. The mixture is extracted with ethyl acetate, and the ethyl acetate layer is washed with water, dried, and the residue is purified by silica gel column chromatography (solvent; n-hexane → ethyl acetate:n-hexane = 1:100) to give ethyl 4-phenyl-2-chlorobenzoate (20.9 g) as colorless oil.

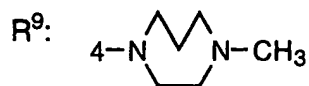
^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.42 (3H, t, $J=7.0$ Hz), 4.42 (2H, q, $J=7.0$ Hz), 7.28-7.78 and 7.85-8.18 (all 8H, m)

The suitable starting compounds are treated in the same manner as in Reference Example 17 to give the following compounds.

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Reference Example 18**Structure:**

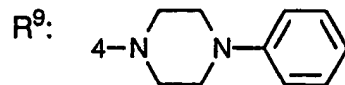
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 p : 1 R^8 : 2-Cl R^{23} : $-\text{COOCH}_3$

Crystalline form: Brown Oil

Form: Free

15

Reference Example 19**Structure:**

20

 p : 1 R^8 : 2-Cl R^{23} : $-\text{COOCH}_3$

Crystalline form: Brown Oil

Form: Free

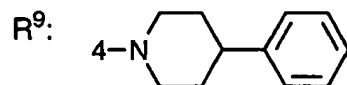
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Reference Example 20

Structure:

5



p: 1

R⁸: 2-ClR²³: -COOCH₃

Crystalline form: White powder

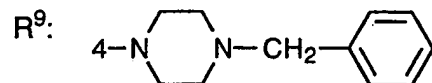
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Form: Free

Reference Example 21

Structure:

15



p: 1

R⁸: 2-ClR²³: -COOCH₃

Crystalline form: Yellow oil

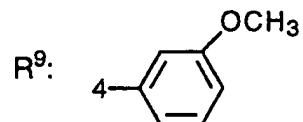
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Form: Free

Reference Example 22

Structure:

25



p: 1

R⁸: 2-CH₃R²³: -COOCH₃

Crystalline form: White powder

30

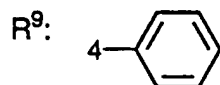
Form: Free

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Reference Example 23

Structure:

5



p: 1

R⁸: 2-NO₂R²³: -COOCH₃

Crystalline form: Yellow viscous oil

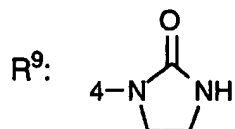
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Form: Free

Reference Example 24

Structure:

15



p: 1

R⁸: 2-ClR²³: -COOCH₃

20

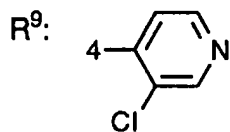
Crystalline form: White powder

Form: Free

Reference Example 25

Structure:

25



p: 1

R⁸: 2-CH₃R²³: -COOCH₃

30

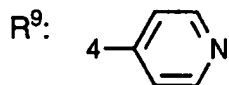
Crystalline form: Pale yellow solid

Form: Free

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Reference Example 26

Structure:



p: 1

R⁸: 2-CH₃R²³: -COOCH₃

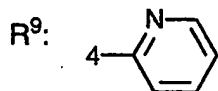
Crystalline form: Pale yellow oil

Form: Free

10

Reference Example 27

Structure:



p: 1

R⁸: HR²³: -COOCH₃

Crystalline form: Pale yellow powder

Solvent for recrystallization: Chloroform/diethyl ether

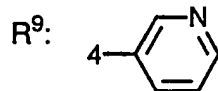
M.p. 96-98°C

Form: Free

20

Reference Example 28

Structure:



p: 1

R⁸: HR²³: -COOCH₃

Crystalline form: Pale yellow powder

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 100-102°C

Form: Free

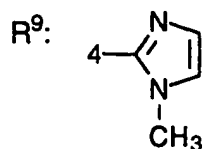
30

35

Reference Example 29

Structure:

5



p: 1

 R^8 : H R^{23} : -COOCH₃

10

Crystalline form: Pale brown powder

Solvent for recrystallization: Chloroform/diethyl ether

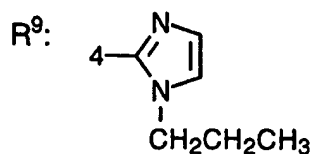
M.p. 126-128°C

Form: Free

Reference Example 30

Structure:

20



p: 1

 R^8 : H R^{23} : -COOCH₃

Crystalline form: Brown oil

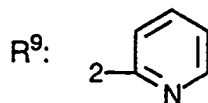
Form: Free

25

Reference Example 31

Structure:

30



p: 1

 R^8 : H R^{23} : -COOCH₃

Crystalline form: Pale brown oil

Form: Free

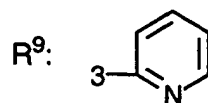
35

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Reference Example 32

Structure:

5



p: 1

R⁸: HR²³: -COOCH₃

Crystalline form: Pale yellow oil

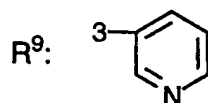
10

Form: Free

Reference Example 33

Structure:

15



p: 1

R⁸: HR²³: -COOCH₃

Crystalline form: Pale yellow oil

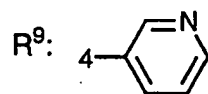
20

Form: Free

Reference Example 34

Structure:

25



p: 1

R⁸: 2-CH₃R²³: -COOCH₃

Crystalline form: Brown oil

30

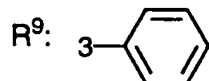
Form: Free

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Reference Example 35

Structure:

5



p: 1

 $R^8: \text{H}$ $R^{23}: -\text{COOCH}_3$

Crystalline form: Colorless oil

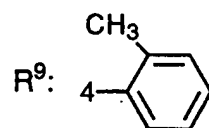
10

Form: Free

Reference Example 36

Structure:

15



p: 1

 $R^8: \text{2-OCH}_3$ $R^{23}: -\text{COOCH}_3$

Crystalline form: Slightly yellow oil

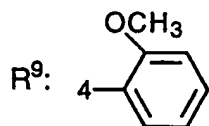
20

Form: Free

Reference Example 37

Structure:

25



p: 1

 $R^8: \text{2-OCH}_3$ $R^{23}: -\text{COOCH}_3$

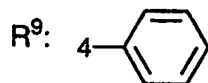
Crystalline form: Colorless oil

30

Form: Free

Reference Example 38

Structure:



p: 1

R⁸: 2-OCH₃R²³: -COOCH₃

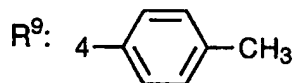
Crystalline form: Colorless oil

Form: Free

10

Reference Example 39

Structure:



p: 2

R⁸: 3,5-di-OCH₃R²³: -COOCH₃

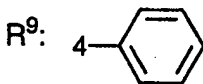
Crystalline form: Colorless prisms

Form: Free

20

Reference Example 40

Structure:



p: 1

R⁸: 3-OCH₃R²³: -COOCH₃

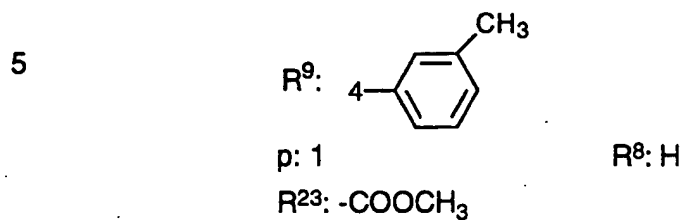
Crystalline form: Colorless oil

Form: Free

30

Reference Example 41

Structure:

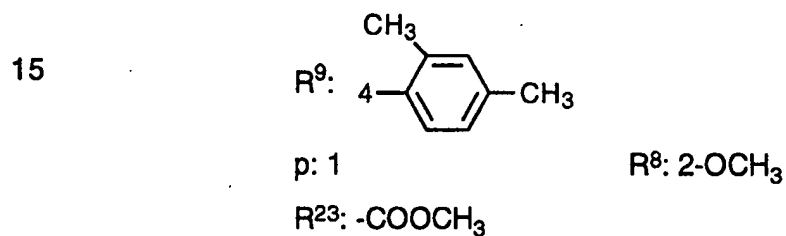


Crystalline form: White powder

10 Form: Free

Reference Example 42

Structure:

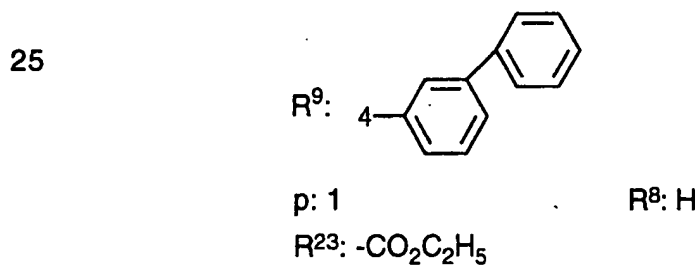


Crystalline form: Colorless oil

20 Form: Free

Reference Example 43

Structure:



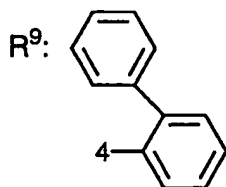
30 Crystalline form: White powder

Form: Free

Reference Example 44

Structure:

5



10

 p : 1 R^8 : H R^{23} : $-\text{CO}_2\text{C}_2\text{H}_5$

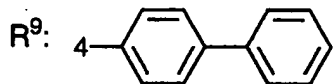
Crystalline form: Colorless oil

Form: Free

Reference Example 45

15

Structure:



20

 p : 1 R^8 : H R^{23} : $-\text{CO}_2\text{C}_2\text{H}_5$

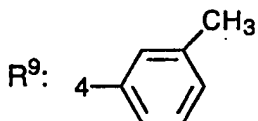
Crystalline form: White powder

Form: Free

Reference Example 46

25

Structure:



30

 p : 1 R^8 : 2- CH_3 R^{23} : $-\text{CO}_2\text{CH}_3$

Crystalline form: Slightly yellow oil

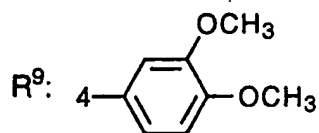
Form: Free

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Reference Example 47

Structure:

5



p: 1

 R^8 : 2-OCH₃ R^{23} : -CO₂CH₃

10

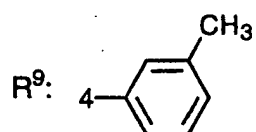
Crystalline form: White powder

Form: Free

Reference Example 48

Structure:

15



p: 1

 R^8 : 2-OCH₃

20

 R^{23} : -CO₂CH₃

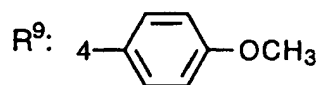
Crystalline form: Colorless oil

Form: Free

Reference Example 49

Structure:

25



30

p: 1

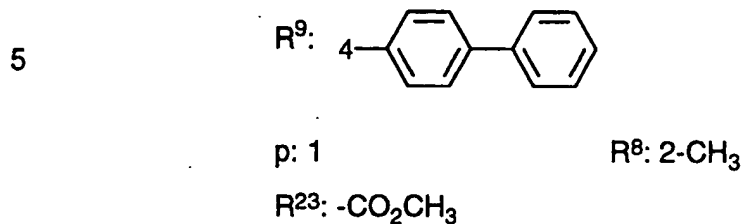
 R^8 : 2-CH₃ R^{23} : -CO₂CH₃

Crystalline form: White powder

Form: Free

Reference Example 50

Structure:

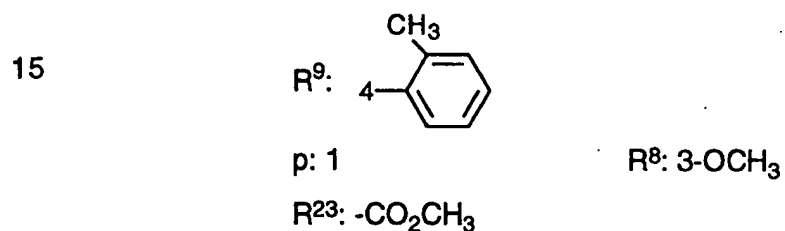


Crystalline form: White powder

Form: Free

Reference Example 51

Structure:

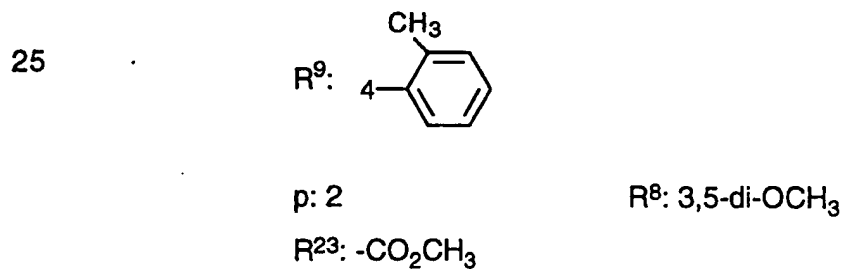


Crystalline form: Colorless oil

Form: Free

Reference Example 52

Structure:



Crystalline form: White powder

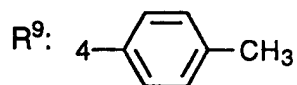
Form: Free

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Reference Example 53

Structure:

5



p: 1

 $R^8: 2\text{-OCH}_3$ $R^{23}: \text{-CO}_2\text{CH}_3$

10

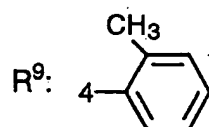
Crystalline form: Colorless prisms

Form: Free

Reference Example 54

Structure:

15



p: 1

 $R^8: 2\text{-CH}_3$ $R^{23}: \text{-CO}_2\text{CH}_3$

20

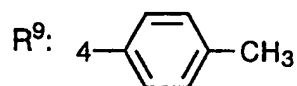
Crystalline form: Colorless oil

Form: Free

Reference Example 55

Structure:

25



p: 1

 $R^8: \text{H}$ $R^{23}: \text{-CO}_2\text{CH}_3$

30

Crystalline form: White powder

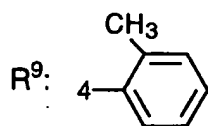
Form: Free

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Reference Example 56

Structure:

5



p: 1

 R^8 : H R^{23} : $-\text{CO}_2\text{CH}_3$

10

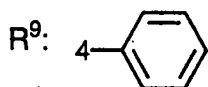
Crystalline form: White powder

Form: Free

Reference Example 57

Structure:

15



p: 1

 R^8 : 2- CH_3 R^{23} : $-\text{CO}_2\text{CH}_3$

20

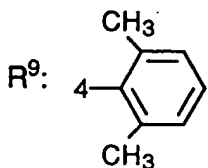
Crystalline form: Colorless prisms

Form: Free

Reference Example 58

Structure:

25



p: 1

 R^8 : 2- OCH_3 R^{23} : $-\text{CO}_2\text{CH}_3$

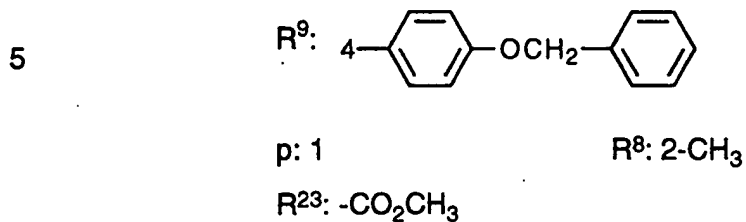
30

Crystalline form: Colorless oil

Form: Free

Reference Example 59

Structure:

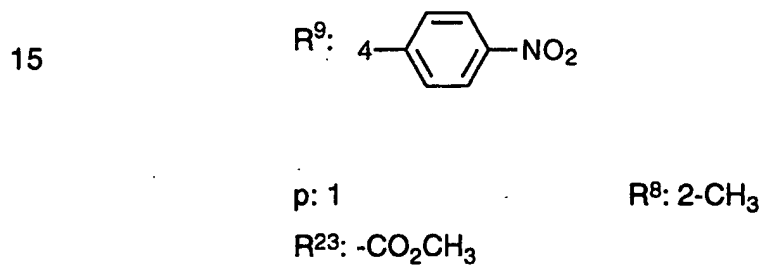


Crystalline form: White powder

10 Form: Free

Reference Example 60

Structure:

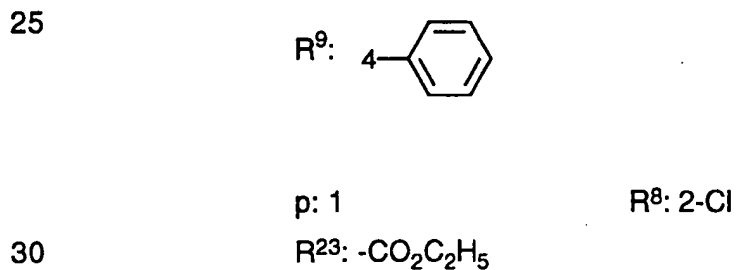


20 Crystalline form: Slightly yellow powder

Form: Free

Reference Example 61

Structure:



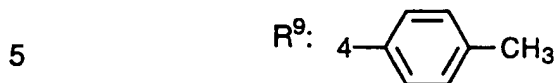
Crystalline form: Colorless oil

Form: Free

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Reference Example 62

Structure:



p: 1

 R^8 : 2-CH₃ R^{23} : -CO₂CH₃

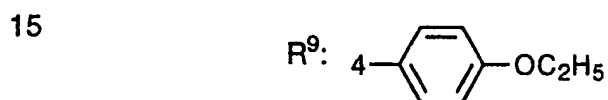
10

Crystalline form: Slightly yellow powder

Form: Free

Reference Example 63

Structure:



p: 1

 R^8 : 2-CH₃

20

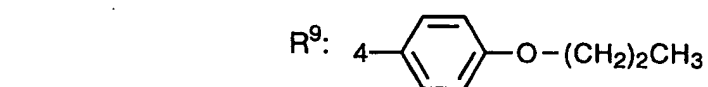
 R^{23} : -CO₂CH₃

Crystalline form: White powder

Form: Free

Reference Example 64

Structure:



30

p: 1

 R^8 : 2-CH₃ R^{23} : -CO₂CH₃

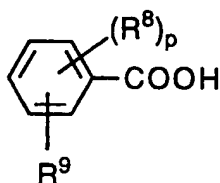
Crystalline form: White powder

Form: Free

35

The suitable starting compounds are treated in the same manner as in Reference Example 3, 7, 10 or 12 to give the following compounds.

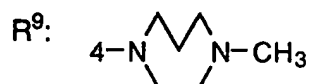
- 134 -



5

Reference Example 65

Structure:



10

p: 1

 R^8 : 2-Cl

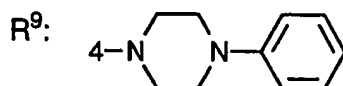
Crystalline form: White powder

Form: Free

15

Reference Example 66

Structure:



20

p: 1

 R^8 : 2-Cl

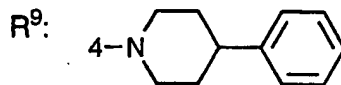
Crystalline form: Yellow powder

Form: Free

25

Reference Example 67

Structure:



30

p: 1

 R^8 : 2-Cl

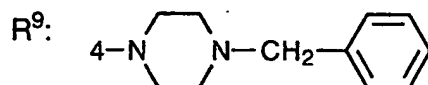
Crystalline form: White powder

Form: Free

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Reference Example 68

Structure:



p: 1

R⁸: 2-Cl

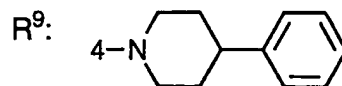
Crystalline form: White powder

Form: Free

10

Reference Example 69

Structure:



p: 1

R⁸: H

Crystalline form: White powder

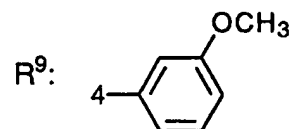
M.p. 257-259°C (decomposed)

Form: Free

20

Reference Example 70

Structure:



p: 1

R⁸: 2-CH₃

Crystalline form: White powder

Form: Free

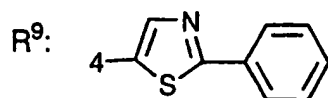
30

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Reference Example 71

Structure:

5



p: 1

R⁸: H

Crystalline form: Brown powder

M.p. 233-235°C

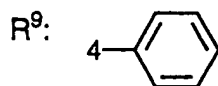
10

Form: Free

Reference Example 72

Structure:

15



p: 1

R⁸: 2-C₂H₅

Crystalline form: Colorless plates

Solvent for recrystallization: n-Hexane/chloroform

20

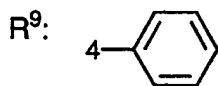
M.p. 164-165°C

Form: Free

Reference Example 73

Structure:

25



p: 1

R⁸: 2-n-C₃H₇

Crystalline form: Colorless needles

Solvent for recrystallization: n-Hexane

30

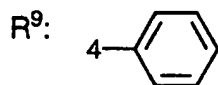
M.p. 107.5-108.5°C

Form: Free

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Reference Example 74

Structure:



p: 1

R⁸: 2-NO₂

Crystalline form: Red brown powder

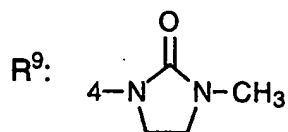
M.p. 199-201°C

Form: Free

10

Reference Example 75

Structure:



p: 1

R⁸: 2-Cl

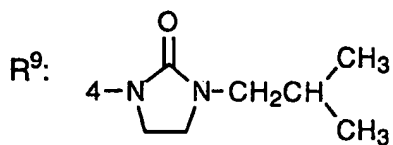
Crystalline form: White powder

Form: Free

20

Reference Example 76

Structure:



p: 1

R⁸: 2-Cl

Crystalline form: White powder

Form: Free

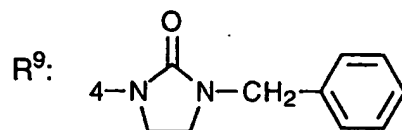
30

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Reference Example 77

Structure:

5



p: 1

R⁸: 2-Cl

Crystalline form: Slightly red powder

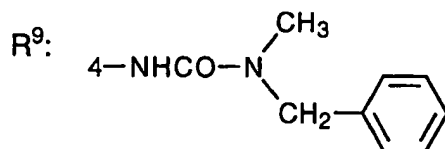
10

Form: Free

Reference Example 78

Structure:

15



p: 1

R⁸: H

Crystalline form: White powder

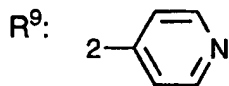
20

Form: Free

Reference Example 79

Structure:

25



p: 1

R⁸: H

Crystalline form: White powder

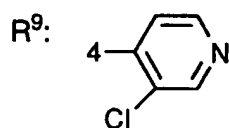
30

Form: Free

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Reference Example 80

Structure:



p: 1

R⁸: 2-CH₃

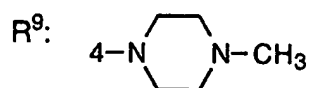
Crystalline form: White powder

Form: Hydrochloride

10

Reference Example 81

Structure:



p: 1

R⁸: H

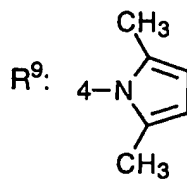
Crystalline form: Brown powder

Form: Free

20

Reference Example 82

Structure:



p: 1

R⁸: 2-OCH₃

Crystalline form: Pale brown powder

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 133-135°C

Form: Free

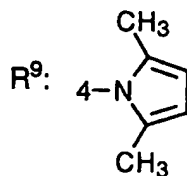
30

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Reference Example 83

Structure:

5



p: 1

 R^8 : 3-OCH₃

10

Crystalline form: Brown powder

Solvent for recrystallization: Chloroform/diethyl ether

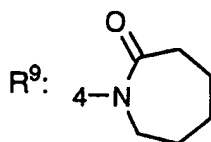
M.p. 126-128°C

Form: Free

Reference Example 84

Structure:

20



p: 1

 R^8 : H

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 198-201°C

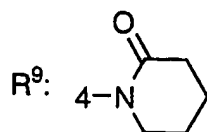
25

Form: Free

Reference Example 85

Structure:

30



p: 1

 R^8 : H

Crystalline form: White powder

35

Solvent for recrystallization: Chloroform/diethyl ether

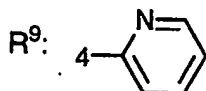
M.p. 236-239°C

Form: Free

Reference Example 86

Structure:

5



p: 1

R⁸: H

Crystalline form: White needles

Solvent for recrystallization: Methanol/diethyl ether

10

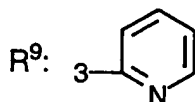
M.p. 257-260°C

Form: Free

Reference Example 87

Structure:

15



p: 1

R⁸: H

Crystalline form: Pale brown powder

20

Solvent for recrystallization: Methanol/diethyl ether

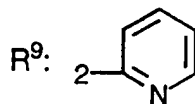
M.p. 201-203°C

Form: Free

Reference Example 88

25

Structure:



p: 1

R⁸: H

30

Crystalline form: White powder

Solvent for recrystallization: Methanol/diethyl ether

M.p. 230°C (decomposed)

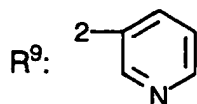
Form: Hydrochloride

- 142 -

Reference Example 89

Structure:

5



p: 1

 R^8 : H

Crystalline form: White powder

Solvent for recrystallization: Methanol/diethyl ether

10

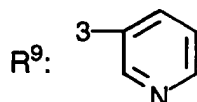
M.p. 206-207°C

Form: Hydrochloride

Reference Example 90

Structure:

15



p: 1

 R^8 : H

Crystalline form: Pale yellow powder

20

Solvent for recrystallization: Ethanol/diethyl ether

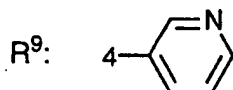
M.p. 266-269°C

Form: Hydrochloride

Reference Example 91

25

Structure:



p: 1

 R^8 : 2-CH₃

30

Crystalline form: Pale yellow powder

Solvent for recrystallization: Methanol

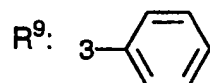
M.p. 276-279°C

Form: Hydrochloride

- 143 -

Reference Example 92

Structure:



p: 1

R⁸: H

Crystalline form: White powder

Solvent for recrystallization: Methanol/diethyl ether

10

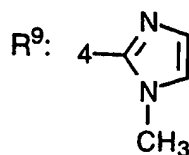
M.p. 161-163°C

Form: Free

Reference Example 93

Structure:

15



p: 1

R⁸: H

20

Crystalline form: Pale yellow powder

Solvent for recrystallization: Methanol/diethyl ether

M.p. 250-251°C

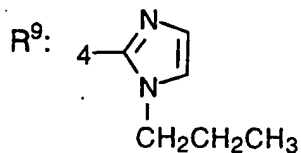
Form: Free

25

Reference Example 94

Structure:

30



p: 1

R⁸: H

Crystalline form: Yellow amorphous

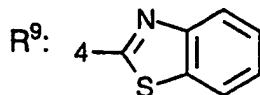
Form: Free

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Reference Example 95

Structure:

5



p: 1

 R^8 : H

Crystalline form: White needles

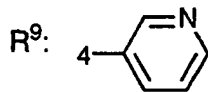
Form: Free

10

Reference Example 96

Structure:

15



p: 1

 R^8 : H

Crystalline form: Pale yellow needles

Solvent for recrystallization: Methanol/diethyl ether

M.p. 309-311°C

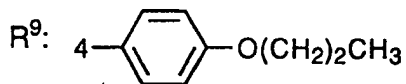
20

Form: Hydrochloride

Reference Example 97

Structure:

25



p: 1

 R^8 : 2-CH₃

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

30

M.p. 198-200°C

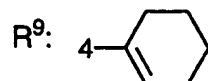
Form: Free

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Reference Example 98

Structure:

5



p: 1

 $R^8: 2\text{-CH}_3$

Crystalline form: White powder

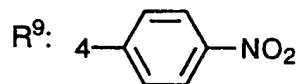
Form: Free

10

Reference Example 99

Structure:

15



p: 1

 $R^8: 2\text{-CH}_3$

Crystalline form: White powder

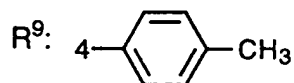
Form: Free

20

Reference Example 100

Structure:

25



p: 1

 $R^8: 2\text{-CH}_3$

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 208°C

Form: Free

30

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Reference Example 101

Structure:

5



p: 1

 $R^8: 2\text{-CH}_3$

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

10

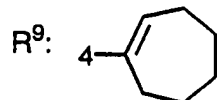
M.p. 234-236°C

Form: Free

Reference Example 102

Structure:

15



p: 1

 $R^8: 2\text{-CH}_3$

Crystalline form: White powder

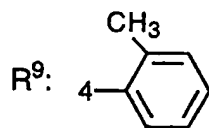
20

Form: Free

Reference Example 103

Structure:

25



p: 1

 $R^8: 2\text{-OCH}_3$

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

30

M.p. 132-133°C

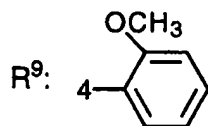
Form: Free

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Reference Example 104

Structure:

5



p: 1

R⁸: 2-OCH₃

Crystalline form: Colorless prisms

Solvent for recrystallization: Ethyl acetate

10

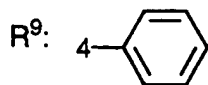
M.p. 153-154°C

Form: Free

Reference Example 105

Structure:

15



p: 1

R⁸: 2-OCH₃

Crystalline form: Colorless prisms

20

Solvent for recrystallization: Ethyl acetate

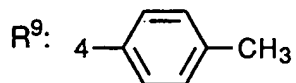
M.p. 118-119°C

Form: Free

Reference Example 106

25

Structure:



30

p: 2

R⁸: 3,5-di-OCH₃

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 239-240°C

Form: Free

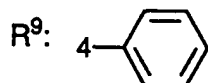
35

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Reference Example 107

Structure:

5



p: 1

 $R^8: 3\text{-OCH}_3$

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

10

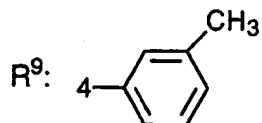
M.p. 179-182°C

Form: Free

Reference Example 108

Structure:

15



p: 1

 $R^8: \text{H}$

Crystalline form: White powder

20

Solvent for recrystallization: Acetone/n-hexane

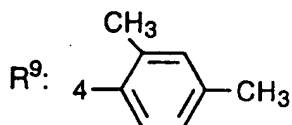
M.p. 194-197°C

Form: Free

Reference Example 109

Structure:

25



p: 1

 $R^8: 2\text{-OCH}_3$

30

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

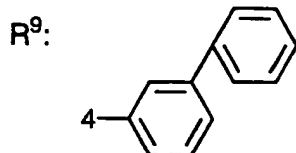
M.p. 149-150°C

Form: Free

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Reference Example 110

Structure:



p: 1

R⁸: H

Crystalline form: White powder

10

Solvent for recrystallization: Ethanol/diethyl ether

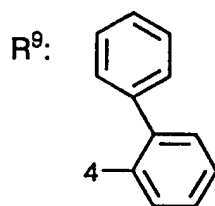
M.p. 202-204°C

Form: Free

Reference Example 111

15

Structure:



p: 1

R⁸: H

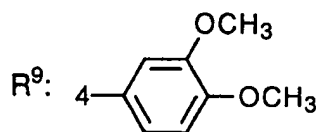
Crystalline form: White powder

Form: Free

Reference Example 112

25

Structure:



p: 1

R⁸: 2-OCH₃

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 134-135°C

35

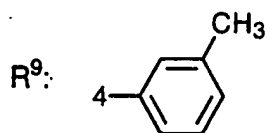
Form: Free

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Reference Example 113

Structure:

5



p: 1

 R^8 : 2-OCH₃

Crystalline form: White powder

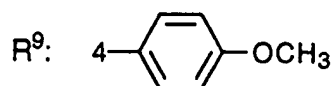
10

Form: Free

Reference Example 114

Structure:

15



p: 1

 R^8 : 2-CH₃

Crystalline form: White powder

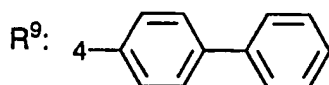
Form: Free

20

Reference Example 115

Structure:

25



p: 1

 R^8 : 2-CH₃

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

30

M.p. 262-265°C

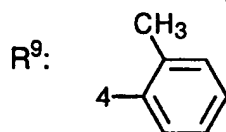
Form: Free

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Reference Example 116

Structure:

5



p: 1

 R^8 : 3-OCH₃

Crystalline form: White powder

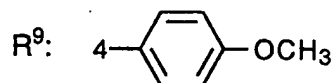
10

Form: Free

Reference Example 117

Structure:

15



p: 1

 R^8 : 3-OCH₃

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

20

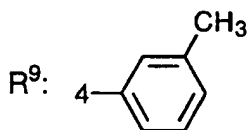
M.p. 146-147°C

Form: Free

Reference Example 118

Structure:

25



p: 1

 R^8 : 2-CH₃

30

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

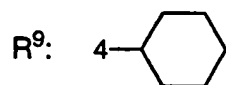
M.p. 132-133°C

Form: Free

Reference Example 119

Structure:

5



p: 1

 $R^8:$ 2-CH₃

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

10

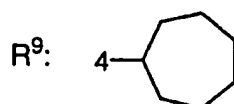
M.p. 129-130°C

Form: Free

Reference Example 120

Structure:

15



p: 1

 $R^8:$ 2-CH₃

Crystalline form: White powder

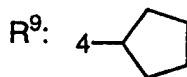
20

Form: Free

Reference Example 121

Structure:

25



p: 1

 $R^8:$ 2-CH₃

Crystalline form: Pale yellow powder

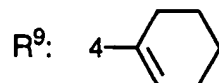
30

Form: Free

- 153 -

Reference Example 122

Structure:



p: 1

R⁸: H

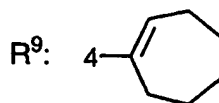
Crystalline form: White powder

Form: Free

10

Reference Example 123

Structure:



p: 1

R⁸: H

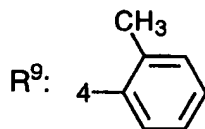
Crystalline form: White powder

Form: Free

20

Reference Example 124

Structure:



p: 2

R⁸: 3,5-di-OCH₃

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 231-232°C

30

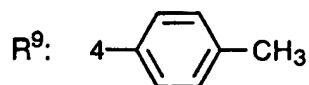
Form: Free

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Reference Example 125

Structure:

5



p: 1

 $R^8: 2\text{-OCH}_3$

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

10

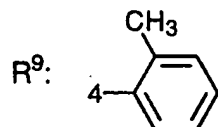
M.p. 159-160°C

Form: Free

Reference Example 126

Structure:

15



p: 1

 $R^8: 2\text{-CH}_3$

20

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

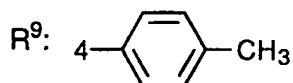
M.p. 117-118°C

Form: Free

25

Reference Example 127

Structure:



30

p: 1

 $R^8: \text{H}$

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

M.p. 246-248°C

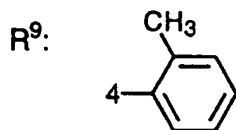
Form: Free

35

Reference Example 128

Structure:

5



p: 1

 R^8 : H

Crystalline form: Colorless prisms

10

Solvent for recrystallization: Acetone/n-hexane

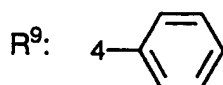
M.p. 185-187°C

Form: Free

Reference Example 129

15

Structure:



p: 1

 R^8 : 2-CH₃

20

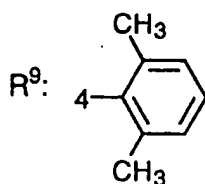
Crystalline form: White powder

Form: Free

Reference Example 130

25

Structure:



30

p: 1

 R^8 : 2-OCH₃

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 145-148°C

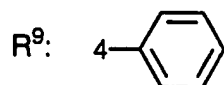
Form: Free

35

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Reference Example 131**Structure:**

5



p: 1

 R^8 : 2-Cl

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

10

M.p. 164°C

Form: Free

15

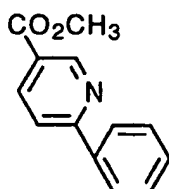
The suitable starting compounds are treated in the same manner as in Reference Example 17 to give the following compounds.

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Reference Example 132

Structure:

5



Crystalline form: White needles

10

¹H-NMR (200 MHz, CDCl₃) δ ppm: 3.97 (3H, s), 7.48-7.62 (3H, m), 7.81 (1H, dd, J=8.0 Hz, J=0.8 Hz), 7.98-8.17 (2H, m), 8.34 (1H, dd, J=8.0 Hz, J=2.2 Hz), 9.28 (1H, dd, J=2.2 Hz, J=0.8 Hz)

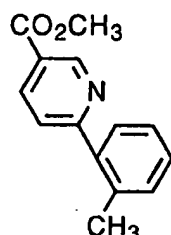
Form: Free

15

Reference Example 133

Structure:

20



Crystalline form: White powder

25

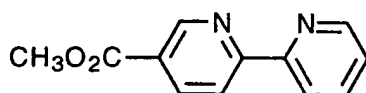
¹H-NMR (200 MHz, CDCl₃) δ ppm: 2.38 (3H, s), 3.98 (3H, s), 7.19-7.50 (4H, m), 7.50 (1H, dd, J=8.0 Hz, J=0.8 Hz), 8.35 (1H, dd, J=8.0 Hz, J=2.0 Hz), 9.30 (1H, dd, J=2.0 Hz, J=0.8 Hz)

Form: Free

30

Reference Example 134

Structure:



Crystalline form: Pale brown powder

35

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 110-111°C

Form: Free

40

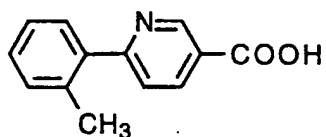
The suitable starting compounds are treated in the same manner as in Reference Example 3, 7, 10 or 12 to give the following compounds.

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Reference Example 135

Structure:

5



Crystalline form: Colorless needles

10

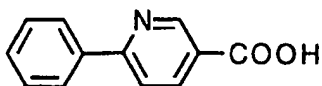
M.p. 191-192°C

Form: Free

Reference Example 136

Structure:

15



Crystalline form: Colorless needles

20

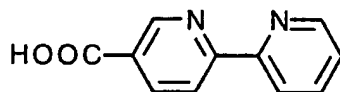
M.p. 228-230°C

Form: Free

Reference Example 137

Structure:

25



Crystalline form: Pale brown needles

30

Solvent for recrystallization: Methanol/diethyl ether

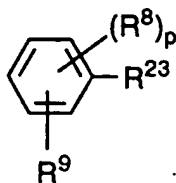
M.p. 253-255°C

Form: Hydrochloride

35

The suitable starting compounds are treated in the same manner as in Reference Example 17 to give the following compounds.

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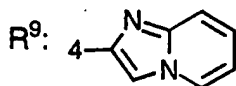


5

Reference Example 138

Structure:

10



p: 1

 R^8 : H R^{23} : $-\text{CO}_2\text{C}_2\text{H}_5$

Crystalline form: White powder

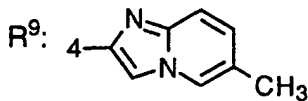
15

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 6.80-6.95 (1H, m), 7.20-7.35 (1H, m), 7.55-7.65 (1H, m), 7.98-8.16 (4H, m), 8.45-8.60 (2H, m), 1.34 (3H, t, $J=7.2$ Hz), 4.33 (2H, q, $J=7.2$ Hz)

Reference Example 139

20

Structure:



p: 1

 R^8 : H R^{23} : $-\text{CO}_2\text{C}_2\text{H}_5$

Crystalline form: White powder

25

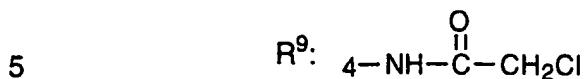
$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 1.34 (3H, t, $J=7.2$ Hz), 2.29 (3H, s), 4.33 (2H, q, $J=7.2$ Hz), 7.10-7.20 (1H, m), 7.50-7.58 (1H, m), 7.95-8.15 (4H, m), 8.33 (1H, s), 8.43 (1H, s)

30

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Reference Example 140

Structure:

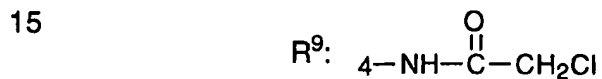
p: 1 R⁸: HR²³: -CO₂C₂H₅

Crystalline form: White powder

10 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.40 (3H, t, J=7.2 Hz), 4.21 (2H, s),
4.37 (2H, q, J=7.2 Hz), 7.60-7.70 (2H, m), 8.00-8.11 (2H, m), 8.42 (1H, brs)

Reference Example 141

Structure:

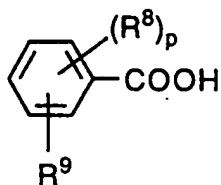
p: 1 R⁸: 2-CH₃R²³: -CO₂CH₃

Crystalline form: White powder

20 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 2.61 (3H, s), 3.88 (3H, s), 4.20 (2H, s),
7.40-7.55 (2H, m), 7.90-8.01 (1H, m), 8.30 (1H, brs)

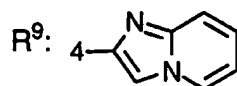
25 The suitable starting compounds are treated in the same manner
as in Reference Example 3, 7, 10, or 12 to give the following compounds.

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Reference Example 142

Structure:



p: 1

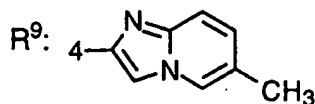
R⁸: H

Crystalline form: White powder

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 7.40-7.55 (1H, m), 7.85-8.03 (2H, m), 8.03-8.25 (4H, m), 8.85-9.00 (2H, m), 12.4-14.1 (1H, brs)

Reference Example 143

Structure:



p: 1

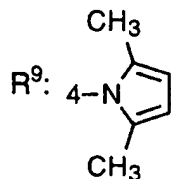
R⁸: H

Crystalline form: White powder

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 2.41 (3H, s), 7.75-7.95 (2H, m), 7.95-8.25 (4H, m), 8.70 (1H, s), 8.85 (1H, s), 12.6-13.6 (1H, brs)

Reference Example 144

Structure:



p: 1

R⁸: H

Crystalline form: Light brown powder

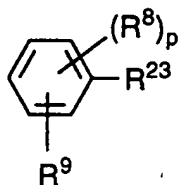
¹H-NMR (200 MHz, CDCl₃) δ ppm: 2.07 (6H, s), 5.94 (2H, s), 7.30-7.40 (2H, m), 8.20-8.30 (2H, m), 10.7-12.1 (1H, brs)

The data of NMR analysis of the compounds of the above
Reference Examples are as follows.

- 162 -

The suitable starting compounds are treated in the same manner as in Reference Example 17 to give the following compounds.

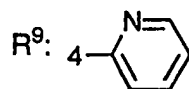
5



10

Reference Example 145

Structure:



p: 1

 R^8 : 2-CH₃

15

 R^{23} : -COOCH₃

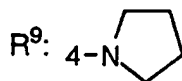
Crystalline form: Pale yellow oil

Form: Free

20

Reference Example 146

Structure:



p: 1

 R^8 : 2-Cl

25

 R^{23} : -COOCH₃

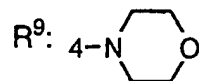
Crystalline form: Yellow prisms

Form: Free

30

Reference Example 147

Structure:



p: 1

 R^8 : 2-Cl

35

 R^{23} : -COOCH₃

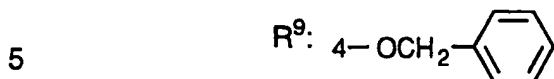
Crystalline form: Yellow needles

Form: Free

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Reference Example 148

Structure:



p: 1

R⁸: 2-CH₃R²³: -COOCH₃

Crystalline form: Colorless viscous oil

10 Form: Free

Reference Example 149

Structure:



p: 1

R⁸: 2-CH₃R²³: -COOCH₃

Crystalline form: White powder

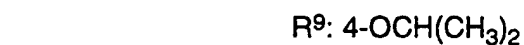
Solvent for recrystallization: n-Hexane/ethyl acetate

M.p. 97-98.5°C

20 Form: Free

Reference Example 150

Structure:



p: 1

R⁸: 2-CH₃R²³: -COOCH₃

Crystalline form: Colorless viscous oil

Form: Free

30 Reference Example 151

Structure:



p: 1

R⁸: 2-CH₃R²³: -COOCH₃

35 Crystalline form: Colorless viscous oil

Form: Free

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Reference Example 152

Structure:

 R^9 : 4-OCH₂CH(CH₃)₂

5

p: 1

 R^8 : 2-CH₃ R^{23} : -COOCH₃

Crystalline form: Colorless viscous oil

Form: Free

10

Reference Example 153

Structure:

 R^9 : 4-NHCOCF₃

p: 1

 R^8 : 2-Cl R^{23} : -COOCH₃

15

Crystalline form: White powder

Form: Free

Reference Example 154

Structure:

20

 R^9 : 4-OCH₂COOH

p: 1

 R^8 : 2-CH₃ R^{23} : -COOCH₃

Crystalline form: White powder

Solvent for recrystallization: Dichloromethane/n-hexane

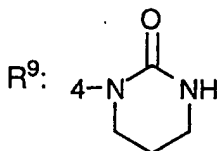
25

Form: Free

Reference Example 156

Structure:

30



p: 1

 R^8 : 2-Cl R^{23} : -COOCH₃

35

Crystalline form: Yellow powder

Solvent for recrystallization: Ethyl acetate/n-hexane

M.p. 118-123°C

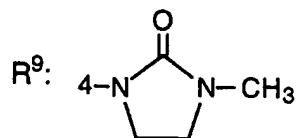
Form: Free

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Reference Example 157

Structure:

5



p: 1

R⁸: 3-OCH₃R²³: -COOCH₃

10

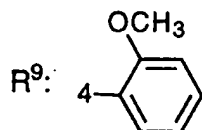
Crystalline form: White powder

Form: Free

Reference Example 158

Structure:

15



p: 1

R⁸: 3-OCH₃

20

R²³: -COOCH₃

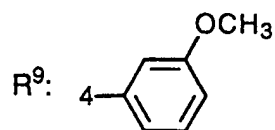
Crystalline form: White powder

Form: Free

Reference Example 159

Structure:

25



30

p: 1

R⁸: 3-OCH₃R²³: -COOCH₃

Crystalline form: Colorless oil

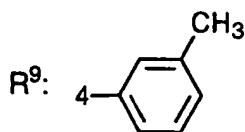
Form: Free

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Reference Example 160

Structure:

5



p: 1

 R^8 : 3-OCH₃ R^{23} : -COOCH₃

10

Reference Example 161

Structure:

 R^9 : 4-NHCOO(CH₂)₂C(CH₃)₃

p: 1

 R^8 : 2-OCH₃

15

 R^{23} : -COOCH₃

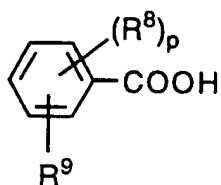
Crystalline form: Colorless oil

Form: Free

20

The suitable starting compounds are treated in the same manner as in Reference Example 3, 7, 10 or 12 to give the following compounds.

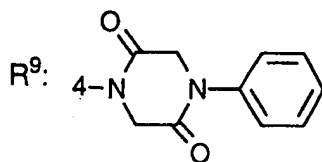
25



30

Reference Example 162

Structure:



p: 1

 R^8 : 2-CH₃

35

Crystalline form: Pale brown powder

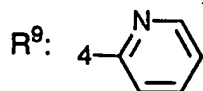
Solvent for recrystallization: Chloroform/diethyl ether

Form: Free

Reference Example 163

Structure:

5



p: 1

 $R^8:$ 2-CH₃

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

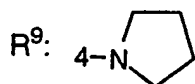
10

Form: Hydrochloride

Reference Example 164

Structure:

15



p: 1

 $R^8:$ 2-Cl

Crystalline form: White powder

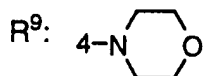
Form: Hydrochloride

20

Reference Example 165

Structure:

25



p: 1

 $R^8:$ 2-Cl

Crystalline form: White powder

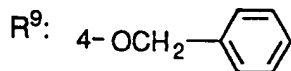
Form: Free

30

Reference Example 166

Structure:

35



p: 1

 $R^8:$ 2-CH₃

Crystalline form: White powder

Solvent for recrystallization: Ethyl acetate/n-hexane

M.p. 127.5-130°C

Form: Free

40

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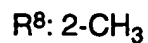
Reference Example 167

Structure:



5

p: 1



Crystalline form: White powder

Form: Free

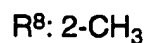
Reference Example 168

10

Structure:



p: 1



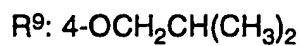
Crystalline form: White powder

Form: Free

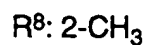
15

Reference Example 169

Structure:



p: 1



20

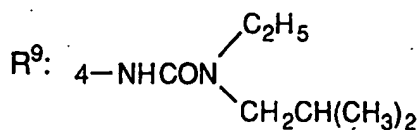
Crystalline form: Pale yellow powder

Form: Free

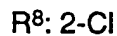
Reference Example 170

25

Structure:



p: 1



30

Crystalline form: White powder

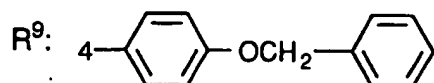
Form: Free

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Reference Example 171

Structure:

5



p: 1

 $R^8: 2\text{-CH}_3$

Crystalline form: White powder

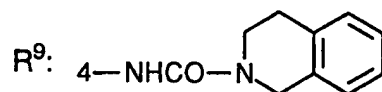
Form: Free

10

Reference Example 173

Structure:

15



p: 1

 $R^8: 2\text{-Cl}$

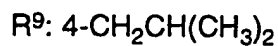
Crystalline form: White powder

Form: Free

20

Reference Example 174

Structure:



p: 1

 $R^8: 2\text{-CH}_3$

Crystalline form: White powder

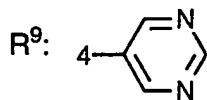
25

Form: Free

Reference Example 175

Structure:

30



p: 1

 $R^8: 2\text{-CH}_3$

Crystalline form: Yellow powder

Form: Free

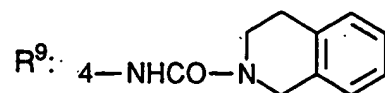
35

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Reference Example 176

Structure:

5



p: 1

 $R^8: 3-OCH_3$

Crystalline form: White powder

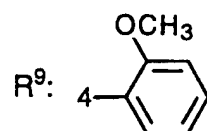
Form: Free

10

Reference Example 177

Structure:

15



p: 1

 $R^8: 3-OCH_3$

Crystalline form: White powder

M.p. 170-171°C

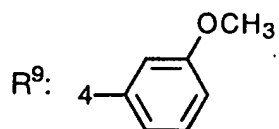
20

Form: Free

Reference Example 178

Structure:

25



p: 1

 $R^8: 3-OCH_3$

Crystalline form: White powder

M.p. 128-129°C

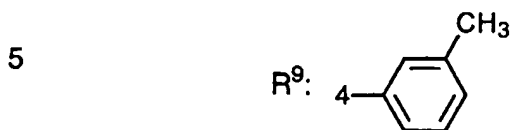
30

Form: Free

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Reference Example 179

Structure:



p: 1

 R^8 : 3-OCH₃

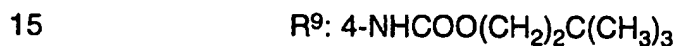
Crystalline form: White powder

10 M.p. 146-147°C

Form: Free

Reference Example 180

Structure:



p: 1

 R^8 : 2-OCH₃

Crystalline form: White powder

Form: Free

20

The data of NMR analysis of the compounds of the above
Reference Examples are as follows.

NMR analysis:

The compound of Reference Example 18

25 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.90-3.06 [all 9H, m, 2.38 (s)], 3.30-3.72 (4H, m), 3.85 (3H, s), 6.35-6.81 [all 2H, m, 6.53 (dd, J=8.93 Hz, J=8.99 Hz), 6.67 (d, J=2.32 Hz)], 7.83 (1H, d, J=8.95 Hz)

The compound of Reference Example 19

30 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 2.92-3.60 (all 8H, m), 3.88 (3H, s), 6.79-7.48 and 7.78-7.79 [all 8H, m, 6.79 (dd, J=8.92 Hz, J=8.92 Hz)]

The compound of Reference Example 20

35 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.68-2.09 [all 4H, m, 1.77 (d, J=3.30 Hz), 1.84 (d, J=4.20 Hz)], 2.61-3.12 (all 3H, m), 3.75-4.10 [all 5H, m, 3.87 (s)], 6.78 (1H, dd, J=8.96 Hz, J=8.97 Hz), 6.92 (1H, d, J=2.58 Hz), 7.15-7.41 (all 5H, m), 7.86 (1H, d, J=8.92 Hz)

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The compound of Reference Example 21

^1H -NMR (200 MHz, CDCl_3) δ ppm: 2.57 (3H, t, $J=5.21$ Hz), 3.31 (3H, t, $J=5.23$ Hz), 3.55 (2H, s), 3.86 (3H, s), 6.72 (1H, dd, $J=8.95$ Hz, $J=8.94$ Hz), 6.85 (1H, d, $J=2.56$ Hz), 7.19-7.49 (5H, m), 7.82 (1H, d, $J=8.91$ Hz)

5 The compound of Reference Example 22

^1H -NMR (200 MHz, CDCl_3) δ ppm: 2.66 (3H, s), 3.85 (3H, s), 3.89 (3H, s), 6.81-7.60 (6H, m), 7.85-8.08 (1H, m)

The compound of Reference Example 23

10 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 3.94 (3H, s), 7.39-7.70 (5H, m), 7.79-7.92 (2H, m), 8.02-8.11 (1H, m)

The compound of Reference Example 24

^1H -NMR (200 MHz, $\text{DMSO}-d_6$) δ ppm: 3.4-3.55 (2H, m), 3.8 (3H, s), 3.8-3.95 (2H, m), 7.36 (1H, s), 7.50 (1H, dd, $J=8.8$ Hz, $J=2$ Hz), 7.82 (1H, d, $J=8.8$ Hz), 7.86 (1H, d, $J=2$ Hz)

15 The compound of Reference Example 25

^1H -NMR (200 MHz, CDCl_3) δ ppm: 2.67 (3H, s), 3.93 (3H, s), 7.22-7.43 (3H, m), 7.95-8.08 (1H, m), 8.48-8.63 (1H, m), 8.65-8.75 (1H, m)

The compound of Reference Example 26

20 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 2.69 (3H, s), 3.93 (3H, s), 7.41-7.63 (4H, m), 7.95-8.08 (1H, m), 8.62-8.76 (2H, m)

The compound of Reference Example 30

25 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.88 (3H, t, $J=7.4$ Hz), 1.05 (3H, t, $J=7.4$ Hz), 1.80 (3H, hept, $J=7.4$ Hz), 4.00 (2H, t, $J=7.4$ Hz), 4.31 (3H, t, $J=7.4$ Hz), 7.06 (1H, d, $J=1.1$ Hz), 7.17 (1H, d, $J=1.1$ Hz), 7.60-7.76 (2H, m), 8.06-8.22 (2H, m)

The compound of Reference Example 31

^1H -NMR (200 MHz, CDCl_3) δ ppm: 3.68 (3H, s), 7.20-7.36 (1H, m), 7.40-7.66 (4H, m), 7.66-7.90 (2H, m), 8.58-8.74 (1H, m)

The compound of Reference Example 32

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¹H-NMR (200 MHz, CDCl₃) δ ppm: 3.96 (3H, s), 7.22-7.35 (1H, m), 7.56 (1H, t, J=7.8 Hz), 7.72-7.86 (2H, m), 8.09 (1H, dt, J=1.5 Hz, J=7.8 Hz), 8.24 (1H, ddd, J=1.2 Hz, J=1.8 Hz, J=7.8 Hz), 8.65 (1H, t, J=1.6 Hz), 8.69-8.77 (1H, m)

The compound of Reference Example 33

- 5 ¹H-NMR (250 MHz, CDCl₃) δ ppm: 3.96 (3H, s), 7.39 (1H, ddd, J=0.8 Hz, J=4.8 Hz, J=7.8 Hz), 7.57 (1H, t, J=7.8 Hz), 7.75-7.83 (1H, m), 7.87-7.97 (1H, m), 8.08 (1H, dt, J=1.3 Hz, J=7.8 Hz), 8.27 (1H, t, J=1.6 Hz), 8.63 (1H, dd, J=1.6 Hz, J=4.8 Hz), 8.88 (1H, dd, J=0.7 Hz, J=2.4 Hz)

The compound of Reference Example 34

- 10 ¹H-NMR (250 MHz, CDCl₃) δ ppm: 2.69 (3H, s), 3.92 (3H, s), 7.32-7.53 (3H, m), 7.89 (1H, ddd, J=1.7 Hz, J=2.3 Hz, J=7.1 Hz), 8.03 (1H, d, J=8.6 Hz), 8.63 (1H, dd, J=1.6 Hz, J=4.8 Hz), 8.86 (1H, dd, J=0.7 Hz, J=1.6 Hz)

The compound of Reference Example 35

- 15 ¹H-NMR (250 MHz, CDCl₃) δ ppm: 3.95 (3H, s), 7.32-7.56 (4H, m), 7.59-7.66 (2H, m), 7.75-7.83 (1H, m), 7.99-8.07 (1H, m), 8.25-8.33 (1H, m)

The compound of Reference Example 36

¹H-NMR (200 MHz, CDCl₃) δ ppm: 2.27 (3H, s), 3.91 (3H, s), 3.92 (3H, s), 6.86-7.04 (2H, m), 7.16-7.41 (4H, m), 7.76-7.96 (1H, m)

The compound of Reference Example 37

- 20 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 3.81, 3.90 and 3.93 (each 3H, each s), 6.87-7.23 (4H, m), 7.29-7.52 (2H, m), 7.76-7.92 (1H, m)

The compound of Reference Example 38

¹H-NMR (200 MHz, CDCl₃) δ ppm: 3.91 and 3.97 (each 3H, each s), 7.11-7.28 (2H, m), 7.32-7.55 (3H, m), 7.56-7.70 (2H, m), 7.89 (1H, d, J=8.0 Hz)

- 25 The compound of Reference Example 39

¹H-NMR (200 MHz, CDCl₃) δ ppm: 2.39 (3H, s), 3.79 (6H, s), 3.95 (3H, s), 7.23 (4H, s), 7.33 (2H, s)

The compound of Reference Example 40

¹H-NMR (200 MHz, CDCl₃) δ ppm: 3.87 and 3.94 (each 3H, each s), 7.21-

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7.50

(4H, m), 7.51-7.61 (2H, m), 7.62-7.83 (2H, m)

The compound of Reference Example 41

- 5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.43 and 3.94 (each 3H, each s), 7.08-7.29 (1H, m), 7.30-7.50 (3H, m), 7.58-7.72 (2H, m), 8.02-8.18 (2H, m)

The compound of Reference Example 42

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.24, 2.37, 3.90 and 3.91 (each 3H, each s), 6.78-6.98 (2H, m), 7.00-7.19 (3H, m), 7.73-7.90 (1H, m)

The compound of Reference Example 43

- 10 $^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 1.42 (3H, t, $J=7.1$ Hz), 4.41 (2H, q, $J=7.1$ Hz), 7.27-7.90 and 8.02-8.22 (all 13H, m)

The compound of Reference Example 44

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.37 (3H, t, $J=7.1$ Hz), 4.34 (2H, q, $J=7.1$ Hz), 7.01-7.31 and 7.32-7.68 (all 11H, m), 7.79-8.00 (2H, m)

- 15 The compound of Reference Example 45

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.47 (3H, t, $J=7$ Hz), 4.41 (2H, q, $J=7$ Hz), 7.29-7.57, 7.58-7.84 and 8.03-8.21 (all 13H, m)

The compound of Reference Example 46

- 20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.42 (3H, s), 2.67 (3H, s), 3.91 (3H, s), 7.07-7.59 (6H, m), 7.87-8.11 (1H, m)

The compound of Reference Example 47

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 3.91, 3.94, 3.97 and 3.98 (each 3H, each s), 6.96 (1H, d, $J=8.3$ Hz), 7.03-7.24 (4H, m), 7.88 (1H, d, $J=7.9$ Hz)

The compound of Reference Example 48

- 25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.43, 3.91 and 3.98 (each 3H, each s), 7.04-7.29 (3H, m), 7.30-7.51 (3H, m), 7.88 (1H, d, $J=7.9$ Hz)

The compound of Reference Example 49

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.67 (3H, s), 3.86 (3H, s), 3.90 (3H, s), 6.90-7.08 (2H, m), 7.35-7.49 (2H, m) and 7.50-7.66 (2H, m), 7.89-8.07 (1H, m)

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The compound of Reference Example 50

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.69 (3H, s), 3.92 (3H, s), 7.27-7.81 and 7.95-8.11 (all 12H, m)

The compound of Reference Example 51

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.12 (3H, s), 3.82 (3H, s), 3.95 (3H, s), 7.02-7.48 (5H, m), 7.63 (1H, d, $J=1.4$ Hz), 7.71 (1H, dd, $J=7.7$ Hz, $J=1.4$ Hz)

The compound of Reference Example 52

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.05 (3H, s), 3.77 (6H, s), 3.96 (3H, s), 7.03-7.18 (1H, m), 7.19-7.32 (3H, m), 7.34 (2H, s)

10 The compound of Reference Example 53

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.40, 3.90 and 3.97 (each 3H, each s), 7.09-7.34 (4H, m), 7.42-7.59 (2H, m), 7.87 (1H, d, $J=7.9$ Hz)

The compound of Reference Example 54

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.26, 2.65 and 3.91 (each 3H, each s), 7.08-7.38 (6H, m), 7.89-8.02 (1H, m)

The compound of Reference Example 55

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.41 and 3.93 (each 3H, each s), 7.17-7.34 (2H, m), 7.46-7.57 (2H, m), 7.58-7.72 (2H, m), 8.02-8.16 (2H, m)

The compound of Reference Example 56

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.26 and 3.94 (each 3H, each s), 7.14-7.35 (4H, m), 7.36-7.52 (2H, m), 7.99-8.18 (2H, m)

The compound of Reference Example 57

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.68 (3H, s), 3.91 (3H, s), 7.29-7.54 and 7.55-7.72 (all 7H, m), 7.91-8.08 (1H, m)

25 The compound of Reference Example 58

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.04 (6H, s), 3.88 and 3.92 (each 3H, each s), 6.71-6.85 (2H, m), 7.06-7.48 (3H, m), 7.78-7.93 (1H, m)

The compound of Reference Example 59

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.66 (3H, s), 3.90 (3H, s), 5.12 (2H, s),

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6.98-7.12 (2H, m), 7.20-7.68 (10H, m)

The compound of Reference Example 60

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.70 (3H, s), 3.93 (3H, s), 7.38-7.58 (2H, m), 7.68-7.87 (2H, m), 7.98-8.12 (1H, m), 8.21-8.46 (2H, m)

5 The compound of Reference Example 61

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.42 (3H, t, $J=7.0$ Hz), 4.42 (2H, q, $J=7.0$ Hz), 7.28-7.78 and 7.85-8.18 (all 8H, m)

The compound of Reference Example 62

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.40 (3H, s), 2.67 (3H, s), 3.90 (3H, s), 7.18-7.31 (2H, m), 7.37-7.58 (4H, m), 7.91-8.05 (1H, m)

The compound of Reference Example 63

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.44 (3H, t, $J=7.0$ Hz), 2.66 (3H, s), 3.90 (3H, s), 4.10 (2H, q, $J=7.0$ Hz), 6.88-7.06 (2H, m), 7.34-7.49 (2H, m), 7.50-7.64 (2H, m), 7.89-8.06 (1H, m)

15 The compound of Reference Example 64

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.06 (3H, t, $J=7.4$ Hz), 1.70-1.97 (2H, m), 2.66 (3H, s), 3.90 (3H, s), 3.97 (2H, d, $J=6.5$ Hz), 6.89-7.08 (2H, m), 7.35-7.48 (2H, m), 7.49-7.64 (2H, m), 7.89-8.08 (1H, m)

The compound of Reference Example 65

20 $^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 1.40-2.90 and 3.21-3.90 [all 13H, m, 2.33 (s)], 6.50-8.40 [all 4H, m, 7.71 (d, $J=8.41$ Hz)]

The compound of Reference Example 66

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.85-4.90 (all 9H, m), 6.79-7.38 and 7.45-7.69 (all 7H, m)

25 The compound of Reference Example 68

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 2.60-4.75 (all 11H, m), 6.80-7.85 [all 8H, m, 7.77 (d, $J=8.80$ Hz)]

The compound of Reference Example 70

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.74 (3H, s), 3.88 (3H, s), 5.82-8.39 [all

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8H, m, 6.95 (d, J=8.0 Hz), 8.15 (d, J=8.52 Hz)]

The compound of Reference Example 75

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 2.77 (3H, s), 3.42-3.50 (2H, m),
3.78-3.86 (2H, m), 7.49 (1H, dd, J=8.8 Hz, J=2.2 Hz), 7.83 (1H, d, J=8.8 Hz),
5 7.84 (1H, d, J=2.2 Hz), 12.6-13.3 (1H, m)

The compound of Reference Example 76

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 0.86 (6H, d, J=6.6 Hz), 1.8-2.05
(1H, m), 3.0 (2H, d, J=7.2 Hz), 3.4-3.55 (2H, m), 3.8-3.95 (2H, m), 7.49 (1H, dd,
J=8.8 Hz, J=2.2 Hz), 7.83 (1H, d, J=8.8 Hz), 7.85 (1H, d, J=2.2 Hz), 12.8-13.1
10 (1H, m)

The compound of Reference Example 77

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 3.3-3.41 (2H, m), 3.75-3.95 (2H, m),
4.39 (2H, s), 7.2-7.45 (5H, m), 7.5 (1H, dd, J=9 Hz, J=2.2 Hz), 7.84 (1H, d, J=9
Hz), 7.88 (1H, d, J=2.2 Hz)

15 The compound of Reference Example 78

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 2.93 (3H, s), 4.56 (2H, s), 7.20-7.50
(5H, m), 7.62 (2H, d, J=8.7 Hz), 7.81 (2H, d, J=8.7 Hz), 8.76 (1H, s)

The compound of Reference Example 79

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 7.38-7.51 (1H, m), 7.51-7.95 (4H,
20 m), 7.95-8.10 (1H, m), 8.68-8.95 (2H, m), 10.3-13.5 (1H, br)

The compound of Reference Example 80

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 2.58 (3H, s), 7.38-7.48 (2H, m),
7.48-7.64 (1H, m), 7.46-8.01 (1H, m), 8.63 (1H, d, J=5.0 Hz), 8.80 (1H, s)

The compound of Reference Example 81

25 ¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 2.22 (3H, s), 2.30-2.58 (4H, m),
3.15-3.40 (4H, m), 6.85-7.05 (2H, m), 7.15-7.84 (2H, m), 11.75-12.80 (1H, brs)

The compound of Reference Example 94

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 0.77 (3H, t, J=7.3 Hz), 1.75 (2H, q,
J=7.3 Hz), 4.15 (2H, t, J=7.3 Hz), 7.31-7.97 (3H, m), 8.02 (1H, d, J=1.7 Hz),
30 8.11-8.27 (2H, m)

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The compound of Reference Example 95

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 7.19 (1H, s), 7.35-7.64 (2H, m),
7.69 (1H, s), 8.00-8.30 (4H, m), 10.40-11.62 (1H, brs)

The compound of Reference Example 98

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.55-1.94 (4H, m), 2.13-2.30 (2H, m),
2.31-2.56 (2H, m), 2.66 (3H, s), 6.18-6.35 (1H, m), 7.15-7.42 (2H, m), 7.90-8.18
(1H, m)

The compound of Reference Example 99

10 $^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 2.62 (3H, s), 7.58-7.82 (2H, m),
7.88-8.19 (3H, m), 8.21-8.48 (2H, m), 12.97 (1H, s)

The compound of Reference Example 102

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.42-2.00 (6H, m), 2.18-2.46 (2H, m),
2.47-2.79 (2H, m), 2.66 (3H, s), 6.21 (1H, t, $J=6.7$ Hz), 7.05-7.40 (1H, m), 7.88-
8.12 (1H, m)

15 The compound of Reference Example 111

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 7.03-7.31 (7H, m), 7.32-7.58 (4H, m),
7.95 (2H, d, $J=8.35$ Hz)

The compound of Reference Example 113

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.30 and 4.15 (each 3H, each s), 7.09-
7.48 (6H, m), 8.23 (1H, d, $J=8.1$ Hz), 10.00-11.42 (1H, m)

The compound of Reference Example 114

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.73 and 3.87 (each 3H, each s), 7.00
and 7.59 (each 2H, each dd, $J=8.8$ Hz, $J=2.1$ Hz), 7.36-7.52 (2H, m), 8.03-8.21
(1H, m)

25 The compound of Reference Example 116

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.14 and 3.85 (each 3H, each s), 7.09-
7.41 (5H, m), 7.71 (1H, d, $J=1.4$ Hz), 7.82 (1H, dd, $J=8.0$ Hz, $J=1.5$ Hz)

The compound of Reference Example 120

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.21-2.06 and 2.48-2.82 (all 13H, m),

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2.63 (3H, s), 4.84-6.49 (1H, m), 6.98-7.19 (2H, m), 7.99 (1H, d, J=8.7 Hz)

The compound of Reference Example 121

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.40-2.23 and 2.87-3.14 (all 9H, m),

2.64 (3H, s), 6.98-7.24 (2H, m), 8.00 (1H, d, J=8.7 Hz)

5 The compound of Reference Example 122

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.49-1.88 (4H, m), 2.08-2.19 and

2.20-2.52 (each 2H, each m), 6.20-6.40 (1H, m), 7.51 and 7.87 (each 2H, each d, each J=8.4 Hz), 12.42-13.18 (1H, m)

The compound of Reference Example 123

10 ¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.49-1.68 (4H, m), 1.69-1.91 (2H, m), 2.18-2.37 (2H, m), 2.45-2.67 (2H, m), 6.23 (1H, t, J=6.7 Hz), 7.42 and 7.86 (each 2H, each d, each J=8.4 Hz), 7.28-7.79 (1H, m)

The compound of Reference Example 129

¹H-NMR (200 MHz, CDCl₃) δ ppm: 2.75 (3H, m), 7.29-7.74 (7H, m), 8.10-

15 8.22 (1H, m)

The compound of Reference Example 153

¹H-NMR (200 MHz, CDCl₃) δ ppm: 3.94 (s, 3H), 7.58 (dd, J=8.6, 2.2 Hz,

1H), 7.78 (d, J=2.2 Hz, 1H), 7.90 (d, J=8.6 Hz, 1H), 8.32 (1H, brs)

The compound of Reference Example 145

20 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 2.70 (3H, s), 3.92 (3H, s), 7.22-7.35 (1H, m), 7.70-7.96 (4H, m), 8.03 (1H, d, J=8.2 Hz), 8.67-8.78 (1H, m)

The compound of Reference Example 157

¹H-NMR (200 MHz, CDCl₃) δ ppm: 2.88 (3H, s), 3.41-3.50 (2H, m), 3.79-

3.89 (2H, m), 3.89 (3H, s), 3.90 (3H, s), 7.49 (1H, d, J=8.2 Hz), 7.87 (1H, d, J=1.7 Hz), 7.65 (1H, dd, J=8.2 Hz, 1.7 Hz)

25 The compound of Reference Example 158

¹H-NMR (200 MHz, CDCl₃) δ ppm: 3.77, 3.83, 3.93 (each 3H, each s),

6.89-7.08 (2H, m), 7.15-7.44 (3H, m), 7.54-7.79 (2H, m)

The compound of Reference Example 159

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 3.84, 3.88, 3.94 (each 3H, each s), 6.83-6.98 (1H, m), 7.02-7.15 (2H, m), 7.27-7.43 (2H, m), 7.64 (1H, d, $J=1.4$ Hz), 7.71 (1H, dd, $J=1.6$ Hz, $J=7.8$ Hz)

The compound of Reference Example 162

5 $^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 2.55 (3H, s), 4.53 (2H, s), 4.55 (2H, s), 7.25-7.55 (7H, m), 7.88 (1H, d, $J=9$ Hz), 12.88 (1H, s)

The compound of Reference Example 163

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 2.62 (3H, s), 7.70-7.82 (1H, m), 7.90-8.13 (3H, m), 8.20-8.40 (2H, m), 8.72-8.86 (1H, m)

10 The compound of Reference Example 170

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.97 (6H, d, $J=6.6$ Hz), 1.23 (3H, t, $J=7.0$ Hz), 1.85-2.10 (1H, m), 3.13 (2H, d, $J=7.5$ Hz), 3.39 (2H, q, $J=7$ Hz), 6.54 (1H, s), 7.36 (1H, dd, $J=8.7$ Hz, $J=2.2$ Hz), 7.63 (1H, d, $J=2.2$ Hz), 7.98 (1H, d, $J=8.7$ Hz)

15 The compound of Reference Example 171

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 2.57 (3H, s), 5.17 (2H, s), 6.58-6.92, 6.93-8.03 (total 12H, m), 12.56-12.94 (1H, m)

The compound of Reference Example 173

20 $^1\text{H-NMR}$ (250 MHz, DMSO-d_6) δ ppm: 2.84 (2H, t, $J=4.6$ Hz), 3.70 (2H, t, $J=4.6$ Hz), 4.64 (2H, s), 7.17 (4H, s), 7.54 (1H, dd, $J=7$ Hz, $J=1.6$ Hz), 7.76-7.83 (2H, m), 9.01 (1H, s)

The compound of Reference Example 175

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 2.61 (3H, s), 4.10-5.40 (2H, brs), 7.32-7.90 (4H, m), 7.95 (1H, d, $J=8.2$ Hz), 9.22 (1H, d, $J=5.8$ Hz)

25 The compound of Reference Example 176

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 2.86 (2H, t, $J=5.8$ Hz), 3.67 (2H, t, $J=5.8$ Hz), 3.89 (3H, s), 4.63 (2H, s), 7.18 (4H, s), 7.4-7.6 (2H, m), 7.84 (1H, s), 7.95 (1H, d, $J=8.2$ Hz)

The compound of Reference Example 174

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^1H -NMR (200 MHz, DMSO- d_6) δ ppm: 0.83 (6H, d, $J=6.6$ Hz), 1.68-1.97 (1H, m), 2.42 (2H, d, $J=7.2$ Hz), 2.48 (3H, s), 6.93-7.13 (2H, m), 7.74 (1H, d, $J=8.5$ Hz)

The compound of Reference Example 146

5 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.92-2.18, 3.21-3.45 (total 8H, m), 3.85 (3H, s), 6.38 (1H, dd, $J=2.5$ Hz, $J=2.5$ Hz), 6.52 (1H, d, $J=2.45$ Hz), 7.88 (1H, d, $J=11.3$ Hz)

The compound of Reference Example 147

10 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 3.29 (4H, t, $J=4.8$ Hz), 3.84 (4H, t, $J=5.1$ Hz), 3.87 (3H, s), 6.73 (1H, dd, $J=2.8$ Hz, $J=2.6$ Hz), 6.86 (1H, d, $J=2.7$ Hz), 7.85 (1H, d, $J=9.0$ Hz)

The compound of Reference Example 161

15 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.79-1.02 (9H, m with s at 0.96), 1.59 (2H, t, $J=7.8$ Hz), 3.86 (3H, s), 3.89 (3H, s), 4.23 (2H, t, $J=7.5$ Hz), 6.90 (1H, dd, $J=8.5$ Hz, $J=8.5$ Hz), 7.03 (1H, s), 7.43 (1H, d, $J=1.7$ Hz), 7.80 (1H, d, $J=8.5$ Hz)

The compound of Reference Example 164

^1H -NMR (200 MHz, DMSO- d_6) δ ppm: 1.85-2.12 (4H, m), 3.12-3.46 (4H, m), 6.35-6.62 (2H, m), 7.74 (1H, d, $J=8.6$ Hz), 12.35 (1H, brs)

The compound of Reference Example 165

20 ^1H -NMR (200 MHz, DMSO- d_6) δ ppm: 3.25 (4H, t, $J=5.0$ Hz), 3.70 (4H, t, $J=5.0$ Hz), 6.80-7.09 (2H, m), 7.75 (1H, d, $J=8.7$ Hz)

The compound of Reference Example 180

25 ^1H -NMR (200 MHz, DMSO- d_6) δ ppm: 0.93 (9H, s), 1.55 (2H, t, $J=7.5$ Hz), 3.76 (3H, s), 4.15 (2H, t, $J=7.4$ Hz), 7.05 (1H, dd, $J=8.6$ Hz, $J=8.6$ Hz), 7.34 (1H, d, $J=1.6$ Hz), 7.64 (1H, d, $J=8.9$ Hz), 9.88 (1H, s)

The compound of Reference Example 148

^1H -NMR (200 MHz, CDCl_3) δ ppm: 2.60 (3H, s), 3.85 (3H, s), 5.09 (2H, s), 6.72-6.99 [2H, m, (6.83, 1H, s)], 7.25-7.48 (5H, m), 7.93 (1H, d, $J=9.1$ Hz)

The compound of Reference Example 150

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^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.35 (6H, d, $J=6.1$ Hz), 2.59 (3H, s), 3.85 (3H, s), 4.49-4.71 (1H, m), 6.61-6.78 (2H, m), 7.82-7.98 (1H, m)

The compound of Reference Example 151

5 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.98 (3H, t, $J=7.8$ Hz), 1.30-1.89 (4H, m), 2.59 (3H, s), 3.85 (3H, s), 4.13 (2H, t, $J=6.5$ Hz), 6.68-6.80 (2H, m), 7.83-7.99 (1H, m)

The compound of Reference Example 152

10 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.03 (6H, d, $J=6.7$ Hz), 1.98-2.21 (1H, m), 2.59 (3H, s), 3.75 (2H, d, $J=6.6$ Hz), 3.85 (3H, s), 6.66-6.81 (2H, m), 7.82-7.99 (1H, m)

The compound of Reference Example 154

^1H -NMR (200 MHz, $\text{DMSO}-d_6$) δ ppm: 2.50 (3H, s), 3.78 (3H, s), 4.75 (2H, s), 6.73-6.93 (2H, m), 7.82 (1H, d, $J=8.5$ Hz), 13.09 (1H, brs)

The compound of Reference Example 167

15 ^1H -NMR (200 MHz, $\text{DMSO}-d_6$) δ ppm: 1.26 (6H, d, $J=6.0$ Hz), 2.49 (3H, s), 4.56-4.80 (1H, m), 6.69-6.85 (2H, m), 7.75-7.85 (1H, m), 12.39 (1H, s)

The compound of Reference Example 168

20 ^1H -NMR (200 MHz, $\text{DMSO}-d_6$) δ ppm: 0.93 (3H, t, $J=7.3$ Hz), 1.33-1.55 (2H, m), 1.57-1.81 (2H, m), 2.51 (3H, s), 4.01 (2H, t, $J=6.4$ Hz), 6.72-6.90 [2H, m, (6.83 (1H, s))], 7.82 (1H, d, $J=7.6$ Hz), 12.41 (1H, s)

The compound of Reference Example 169

25 ^1H -NMR (200 MHz, $\text{DMSO}-d_6$) δ ppm: 0.96 (6H, d, $J=6.7$ Hz), 1.87-2.15 (1H, m), 2.50 (3H, s), 3.78 (2H, d, $J=6.5$ Hz), 6.72-6.88 [2H, m, 6.82 (1H, s)], 7.81 (1H, d, $J=7.8$ Hz), 12.40 (1H, brs)

25 Example 1

4-Ethoxy-2-methoxybenzoic acid (0.33 g) is dissolved in thionyl chloride (10 ml), and the mixture is refluxed for 30 minutes. The mixture is concentrated under reduced pressure, and thereto is added toluene (20 ml), and the mixture is concentrated again under reduced pressure. The resulting
30 4-ethoxy-2-methoxybenzoyl chloride is dissolved in dichloromethane (10 ml),

- 183 -

and the mixture is cooled at 0°C over an ice-bath, and thereto is added 7-chloro-5-[N-methyl-N-(2-diethylaminoethyl)amino]carbonylmethyl-2,3,4,5-tetrahydro-1H-benzazepine (0.5 g). To the mixture is added triethylamine (0.6 ml), and the mixture is stirred at the same temperature for two hours. To the reaction solution is added water, and the mixture is extracted with dichloromethane. The extract is dried over sodium carbonate, and purified by silica gel column chromatography (solvent; dichloromethane:methanol = 50:1 ~ 5:1). The resulting oily product is dissolved in ethanol, and thereto is added conc. hydrochloric acid (0.1 ml), and the mixture is concentrated under reduce pressure to give 7-chloro-5-[N-methyl-N-(2-diethylaminoethyl)amino]carbonylmethyl-1-(2-methoxy-4-ethoxybenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine hydrochloride (0.2 g) in a colorless amorphous.

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 0.98-2.06 (13H, m), 2.61-4.88 (21H, m), 6.18-7.45 (6H, m), 10.42-11.52 (1H, m)

Example 2

To 4-cyclohexylbenzoic acid (2 g) is added thionyl chloride (20 ml), and the mixture is refluxed for two hours. The mixture is evaporated to remove the thionyl chloride to give 4-cyclohexylbenzoyl chloride. To a solution of 5-ethoxycarbonylmethyl-7-chloro-2,3,4,5-tetrahydro-1H-benzazepine (2.2 g) in dichloromethane (50 ml) is added pyridine (3.3 g), and to the mixture is added with stirring the above obtained 4-cyclohexylbenzoyl chloride under ice-cooling, and the mixture is stirred at room temperature overnight. To the reaction solution is added water, and the mixture is extracted with dichloromethane. The extract is washed with diluted hydrochloric acid, and washed with water, and dried over magnesium sulfate. The mixture is evaporated to remove the solvent, and the residue is purified by silica gel column chromatography (solvent; dichloromethane) to give 5-ethoxycarbonylmethyl-7-chloro-1-(4-cyclohexylbenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (4 g) as colorless oil.

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.27 (3H, t, J=7.1 Hz), 1.20-2.20 (14H, m), 2.30-2.50 (1H, m), 2.60-3.05 (3H, m), 3.10-3.35 (1H, m), 4.10-4.40 (2H, m), 4.45-4.65 (1H, m), 6.57 (1H, d, J=8.4 Hz), 6.96 (1H, d, J=8.1 Hz), 7.00 (2H, d,

- 184 -

J=8.0 Hz), 7.10-7.35 (3H, m)

Example 3

To a solution of 5-ethoxycarbonylmethyl-7-chloro-1-(4-cyclohexylbenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (2 g) in ethanol (20 ml) is added a
5 5N aqueous sodium hydroxide solution (5 ml), and the mixture is stirred at room temperature overnight. The reaction solution is acidified with hydrochloric acid, and the mixture is extracted with dichloromethane. The extract is washed with water, dried over magnesium sulfate, and evaporated to remove the solvent to give 5-carboxymethyl-7-chloro-1-(4-cyclohexylbenzoyl)-
10 2,3,4,5-tetrahydro-1H-benzazepine (1.8 g) in colorless amorphous.

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.20-2.25 (14H, m), 2.30-2.55 (1H, m), 2.60-3.30 (3H, m), 3.50-3.90 (1H, m), 4.45-4.60 and 5.10-5.30 (1H, m), 6.15 (1H, brs), 6.59 (1H, d, J=8.3 Hz), 6.85-7.00 (3H, m), 7.10-7.35 (3H, m)

The starting compounds are treated in the same manner as
15 Example 3 to give the compounds of Examples 52, 68, 77, 78, 81-83, 87, 90, 96, 101, 103, 104, 109, 117-118, 120 and 131-135.

Example 4

To a solution of 5-carboxymethyl-7-chloro-1-(4-cyclohexylbenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (0.7 g) in dichloromethane (50 ml) is added
20 with stirring N,N-bis(2-oxo-3-oxazolidinyl)phosphinic chloride (0.54 g) under ice-cooling, and the mixture is stirred at room temperature for 15 minutes. To the mixture are added with stirring N-methylpiperazine (0.24 ml) and triethylamine (0.46 ml) under ice-cooling, and the mixture is stirred at room temperature overnight. To the reaction solution is added water, and the mixture
25 is extracted with dichloromethane. The extract is washed with water, dried over magnesium sulfate, and evaporated to remove the solvent. The residue is purified by silica gel column chromatography (solvent; dichloromethane:-methanol = 40:1) to give 7-chloro-5-[(4-methyl-1-piperazinyl)carbonylmethyl]-1-(4-cyclohexylbenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (0.7 g) as colorless
30 amorphous.

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.20-3.40 (22H, m), 2.21 and 2.34 (3H, s), 3.50-3.90 (5H, m), 4.40-4.60 and 5.05-5.20 (1H, m), 6.50-6.60 (1H, m), 6.85-

- 185 -

6.95 (1H, m), 7.00-7.15 (3H, m), 7.25-7.50 (2H, m)

The suitable starting compounds are treated in the same manner as in Example 4 to give the compounds of Examples 7, 9, 11-18, 20-22, 24, 25, 28-36, 38-40, 46-48, 55-67, 70-72, 74-76, 79, 84, 85, 88, 91-94, 98, 121-126, 137 and 138.

Example 5

7-Chloro-5-[(4-methyl-1-piperazinyl)carbonylmethyl]-1-[4-[2-(2-methylphenyl)acetyl]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (2.06 g) is dissolved in a mixture of methylene chloride (20 ml) and methanol (20 ml), and thereto is added with stirring sodium borohydride (0.28 g) under cooling over an ice-bath. The mixture is stirred for two hours over an ice-bath, and the mixture is evaporated to remove almost of the solvent. To the residue is added water, and the mixture is extracted with methylene chloride. The organic layer is washed with a saturated aqueous sodium chloride solution, dried over magnesium sulfate, and concentrated. The residue is purified by silica gel column chromatography (solvent; methylene chloride:methanol = 20 ~ 10:1) to give 7-chloro-5-[(4-methyl-1-piperazinyl)carbonylmethyl]-1-[4-[2-(2-methylphenyl)-1-hydroxyethyl]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (2.08 g) as colorless amorphous.

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.1-4.0, 4.35-4.65, 4.65-5.0 and 5.0-5.25 (all 27H, m), 6.4-6.65 (1H, m), 6.75-7.6 (10H, m)

The suitable starting compounds are treated in the same manner as in Example 5 to give the compounds of Examples 22, 46 and 94.

Example 6

To a mixture of 7-chloro-5-[(4-methyl-1-piperazinyl)carbonylmethyl]-1-[4-[2-(2-methylphenyl)-1-hydroxyethyl]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.5 g), acetic acid (5 ml) and acetic anhydride (3 ml) is added a drop of conc. sulfuric acid, and the mixture is stirred at room temperature for 12 hours, and subsequently stirred at a temperature from 60-70°C for 6 hours. The reaction mixture is poured into ice-water, and thereto is added ethyl acetate (30 ml). The mixture is basified with sodium hydrogen carbonate, and extracted with ethyl acetate. The organic layer is washed successively with a

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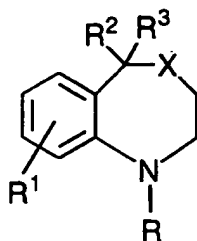
5 saturated aqueous sodium hydrogen carbonate solution and a saturated aqueous sodium chloride solution, dried over magnesium sulfate, and concentrated. The residue is purified by silica gel column chromatography (solvent; methylene chloride:methanol = 20:1) to give 7-chloro-5-[(4-methyl-1-piperaziny)carbonylmethyl]-1-{4-[2-(2-methylphenyl)-1-acetyloxyethyl]-benzoyl}-2,3,4,5-tetrahydro-1H-benzazepine (0.36 g) as colorless amorphous.

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.1-4.0, 4.35-4.7 and 4.95-5.25 (all 28H, m), 5.7-6.0 (1H, m), 6.4-6.65 (1H, m), 6.75-7.6 (10H, m)

10 The suitable starting compounds are treated in the same manner as in Example 6 to give the compounds of Examples 12 and 48.

The suitable starting compounds are treated in the same manner as in Examples 1 and 2 to give the following compounds.

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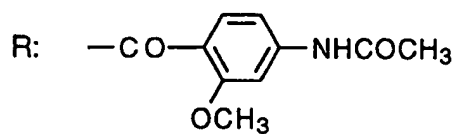


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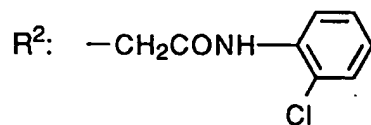
Example 7

Structure:

10

X: -CH₂-R¹: 7-Cl

15

R³: H

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

20

M.p. 146-148°C

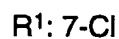
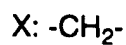
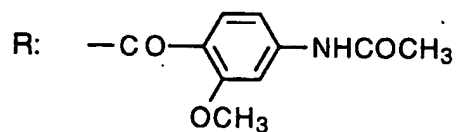
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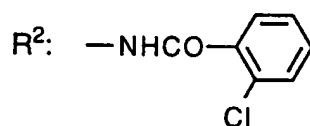
Example 8

Structure:

5



10



Crystalline form: White powder

Solvent for recrystallization: Acetone/diethyl ether

15

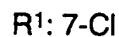
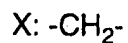
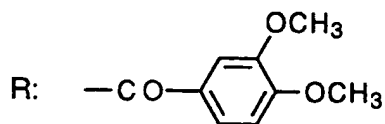
M.p. 184-186°C

Form: Free

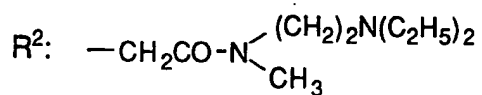
Example 9

Structure:

20



25



Crystalline form: Colorless amorphous

30

NMR analysis: 1)

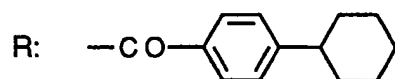
Form: Free

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Example 10

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: 7-ClR²: $\text{---CH}_2\text{COOH}$ R³: H

10

Crystalline form: Colorless amorphous

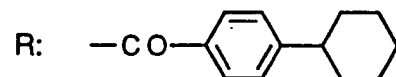
NMR analysis: 2)

Form: Free

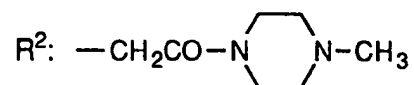
Example 11

15

Structure:

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

20

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 3)

25

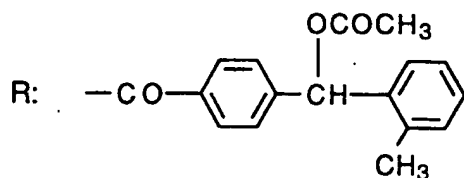
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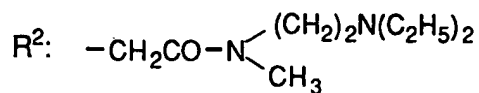
Example 12

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 4)

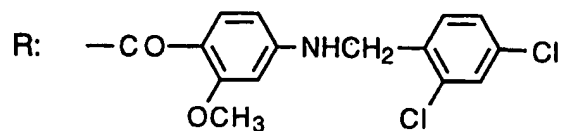
15

Form: Hydrochloride

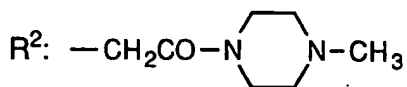
Example 13

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: White powder

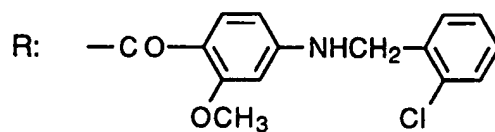
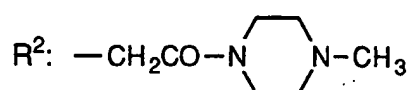
Solvent for recrystallization: Acetone/n-hexane

M.p. 186-187°C

30

Form: Free

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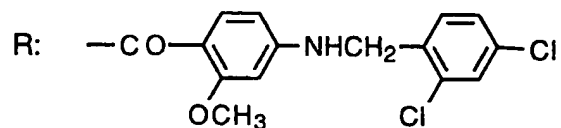
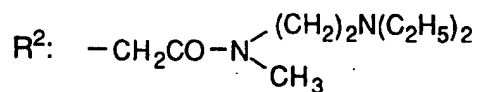
Example 14
Structure:X: $\text{---CH}_2\text{---}$ R¹: 7-ClR³: H

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 162-162.5°C

Form: Free

Example 15
Structure:X: $\text{---CH}_2\text{---}$ R¹: 7-ClR³: H

Crystalline form: Colorless amorphous

NMR analysis: 5)

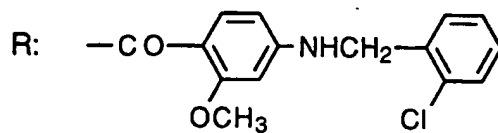
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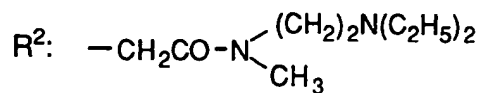
Example 16

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 6)

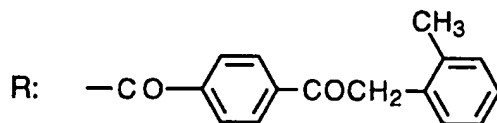
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Form: Free

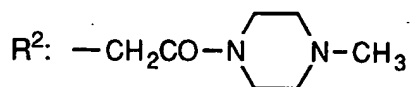
Example 17

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-Cl

25

R³: H

Crystalline form: Colorless needles

Solvent for recrystallization: Ethanol

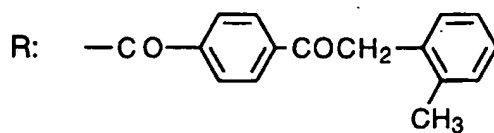
M.p. 181-182.5°C

30

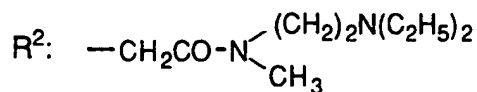
Form: Free

Example 18
Structure:

5

X: $-\text{CH}_2-$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless needles

Solvent for recrystallization: Ethanol/diethyl ether

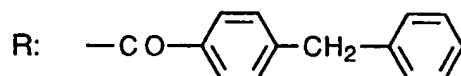
15

M.p. 123-126°C

Form: Free

Example 19
Structure:

20

X: $-\text{CH}_2-$ R¹: 7-ClR²: HR³: H

25

Crystalline form: Colorless plates

Solvent for recrystallization: Dichloromethane/n-hexane

M.p. 87.5-88°C

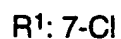
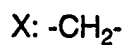
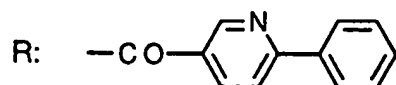
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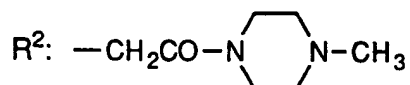
Example 20

Structure:

5



10



Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 152-153°C

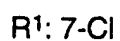
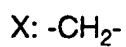
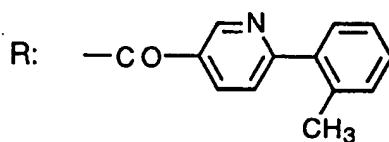
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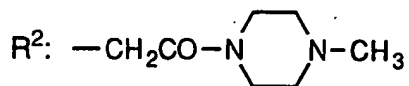
Example 21

Structure:

20



25



Crystalline form: Colorless amorphous

NMR analysis: 7)

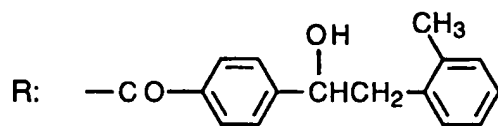
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Form: Free

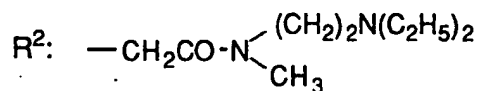
Example 22

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 8)

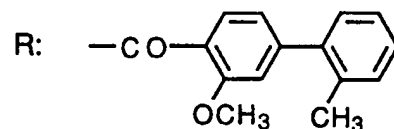
Form: Free

15

Example 23

Structure:

20

X: -N(CH₃)-R¹: 7-ClR²: HR³: H

Crystalline form: Colorless amorphous

25

NMR analysis: 9)

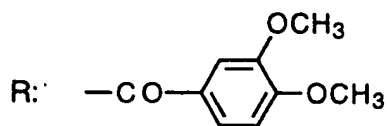
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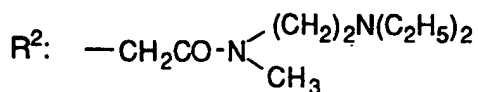
Example 24

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 79)

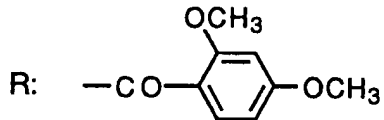
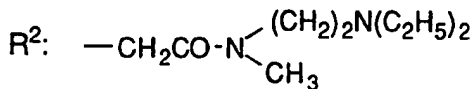
Form: Free

15

Example 25

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

25

R³: H

Crystalline form: Pale yellow amorphous

NMR analysis: 10)

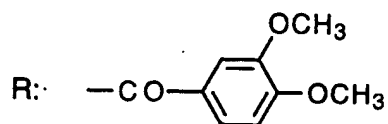
Form: Hydrochloride

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Example 26

Structure:

5

X: -CH₂-R¹: 7-ClR²: HR³: H

10

Crystalline form: Colorless amorphous

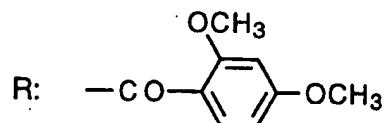
NMR analysis: 11)

Form: Free

Example 27

15

Structure:



20

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: Colorless oil

NMR analysis: 12)

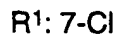
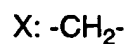
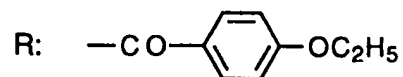
Form: Free

25

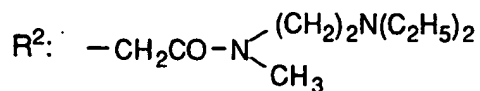
Example 28

Structure:

5



10



Crystalline form: Colorless amorphous

NMR analysis: 13)

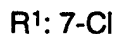
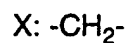
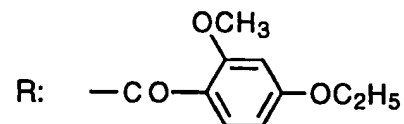
Form: Hydrochloride

15

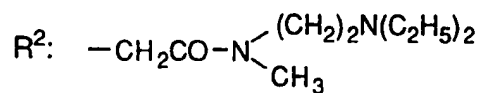
Example 29

Structure:

20



25



Crystalline form: Colorless amorphous

NMR analysis: 14)

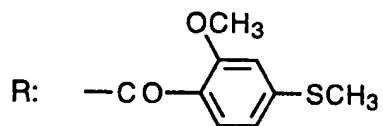
Form: Hydrochloride

30

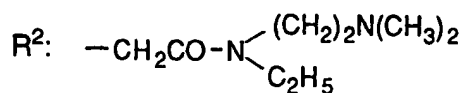
Example 30

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 15)

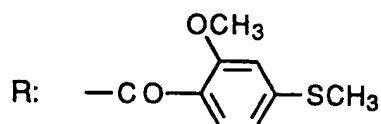
15

Form: Hydrochloride

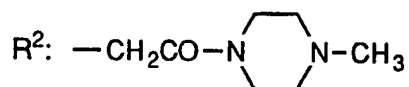
Example 31

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 16)

30

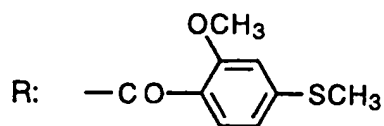
Form: Hydrochloride

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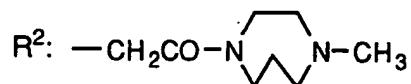
Example 32

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 17)

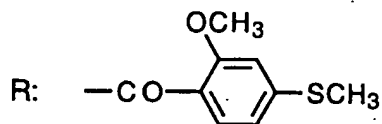
15

Form: Hydrochloride

Example 33

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: 7-ClR²: $\text{---CH}_2\text{CONH}_2$ R³: H

25

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

M.p. 104-108°C

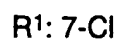
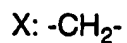
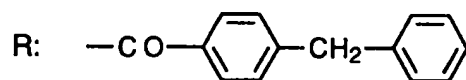
Form: Free

- 201 -

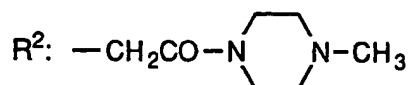
Example 34

Structure:

5



10



Crystalline form: Colorless amorphous

NMR analysis: 18)

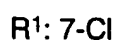
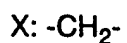
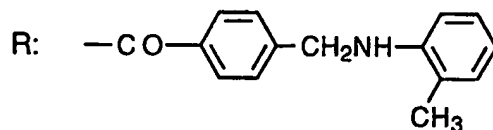
Form: Free

15

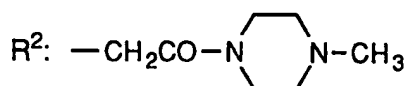
Example 35

Structure:

20



25



Crystalline form: Colorless amorphous

NMR analysis: 19)

Form: Free

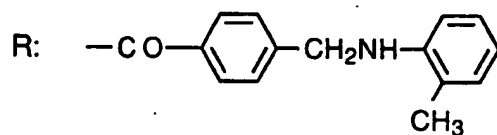
30

- 202 -

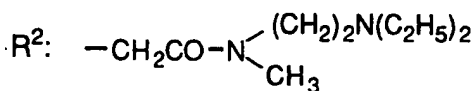
Example 36

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

15

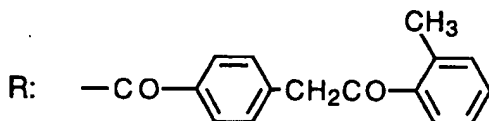
NMR analysis: 20)

Form: Free

Example 37

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-Cl

25

R²: HR³: H

Crystalline form: Colorless prisms

Solvent for recrystallization: Ethyl acetate/diethyl ether/n-hexane

M.p. 145-147°C

Form: Free

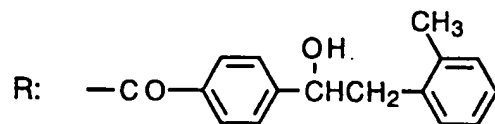
30

- 203 -

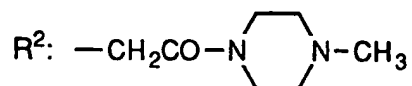
Example 38

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 21)

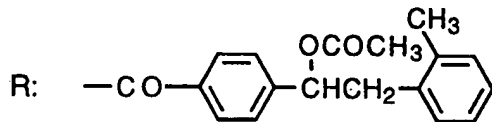
15

Form: Free

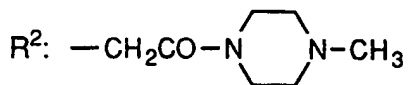
Example 39

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 22)

30

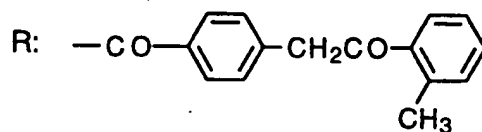
Form: Free

- 204 -

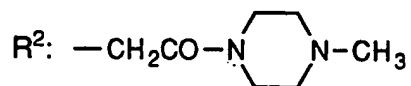
Example 40

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Pale yellow viscous oil

NMR analysis: 23)

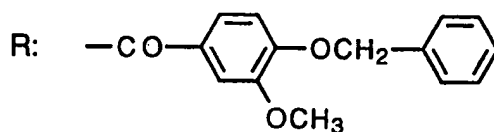
15

Form: Free

Example 41

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

25

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 133-133.5°C

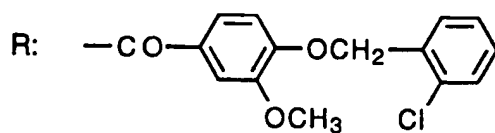
Form: Free

- 205 -

Example 42

Structure:

5

X: -CH₂-R¹: 7-ClR²: HR³: H

10

Crystalline form: White powder

Solvent for recrystallization: Acetone/diethyl ether

M.p. 135°C

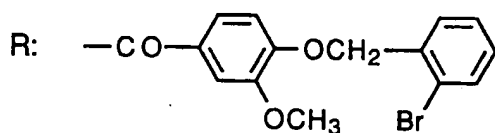
Form: Free

15

Example 43

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

25

Crystalline form: White powder

Solvent for recrystallization: Acetone/diethyl ether

M.p. 133°C

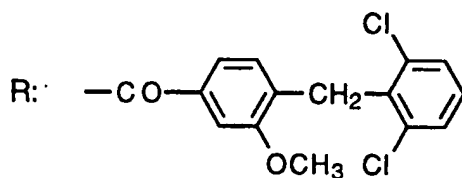
Form: Free

- 206 -

Example 44

Structure:

5



10

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: White powder

Solvent for recrystallization: Acetone/diethyl ether

M.p. 154°C

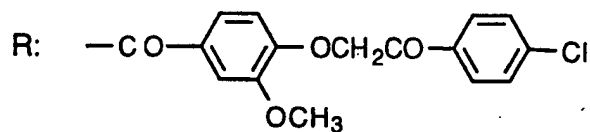
Form: Free

15

Example 45

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: White powder

Solvent for recrystallization: Acetone/diethyl ether

M.p. 166°C

Form: Free

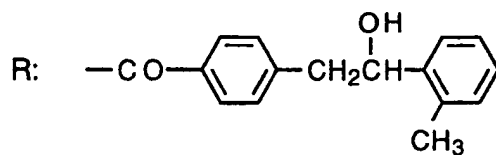
25

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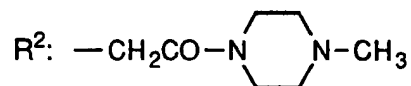
Example 46

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 24)

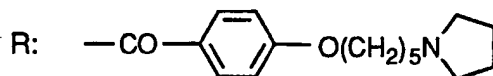
15

Form: Free

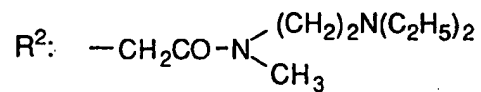
Example 47

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 25)

30

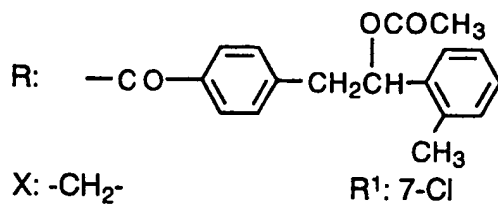
Form: Dihydrochloride

- 208 -

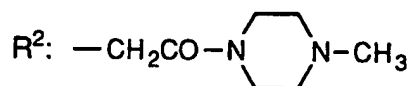
Example 48

Structure:

5



10

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 26)

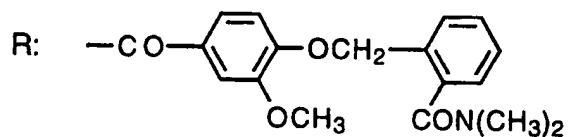
15

Form: Free

Example 49

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

25

Crystalline form: Colorless amorphous

NMR analysis: 27).

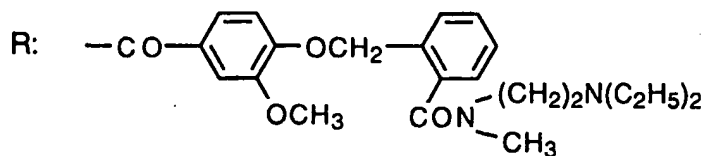
Form: Free

- 209 -

Example 50

Structure:

5

X: -CH₂-R¹: 7-ClR²: HR³: H

10

Crystalline form: Colorless amorphous

NMR analysis: 28)

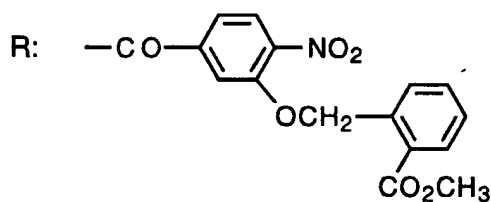
Form: Hydrochloride

Example 51

15

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

25

Crystalline form: Yellow plates

Solvent for recrystallization: Acetone/diethyl ether

M.p. 125°C

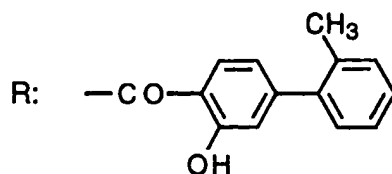
Form: Free

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Example 52

Structure:

5



10

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

NMR analysis: 80)

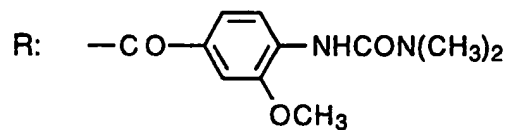
Form: Free

15

Example 53

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: White plates

Solvent for recrystallization: Ethanol/diethyl ether

25

M.p. 230-232°C

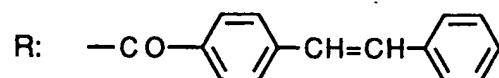
Form: Free

- 211 -

Example 54

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: 7-ClR²: HR³: H

Crystalline form: Colorless oil

10

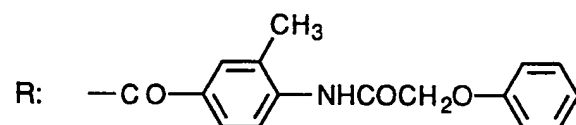
NMR analysis: 81)

Form: Free

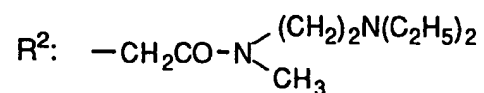
Example 55

Structure:

15

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

20

R³: H

Crystalline form: Colorless amorphous

25

NMR analysis: 82)

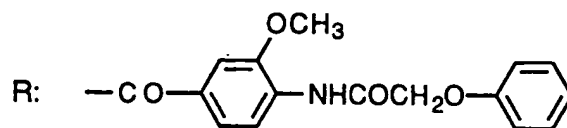
Form: Hydrochloride

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Example 56

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CONH(CH₂)₂N(C₂H₅)₂

10

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 83)

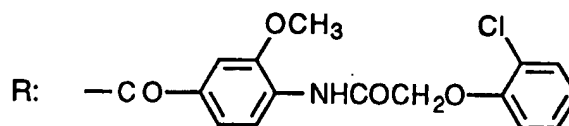
Form: Hydrochloride

15

Example 57

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CO-N(CH₃)(CH₂)₂N(C₂H₅)₂

25

R³: H

Crystalline form: Colorless amorphous

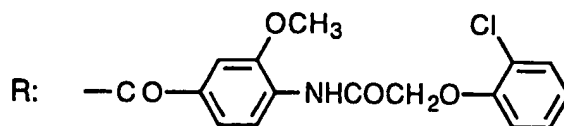
NMR analysis: 84)

Form: Hydrochloride

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Example 58
Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CONH(CH₂)₂N(C₂H₅)₂

10

R³: H

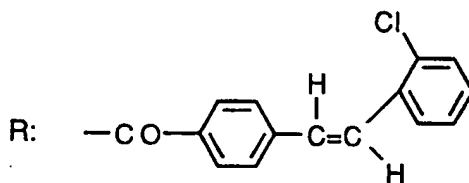
Crystalline form: Colorless amorphous

NMR analysis: 85)

Form: Hydrochloride

Example 59
Structure:

20

X: -CH₂-R¹: 7-Cl
$$\text{R}^2\text{: } \text{---CH}_2\text{CO---N} \begin{array}{l} \text{(CH}_2\text{)}_2\text{N(C}_2\text{H}_5\text{)}_2 \\ \text{CH}_3 \end{array}$$

25

R³: H

Crystalline form: Colorless viscous oil

NMR analysis: 29)

Form: Free

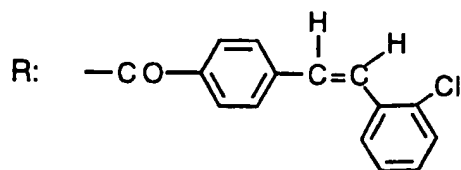
30

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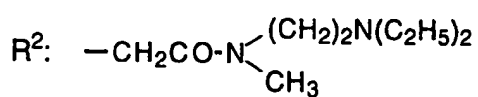
Example 60

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless viscous oil

15

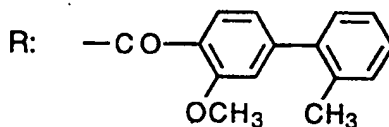
NMR analysis: 30)

Form: Free

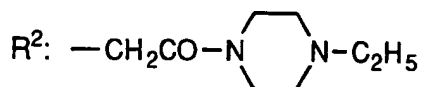
Example 61

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

30

NMR analysis: 31)

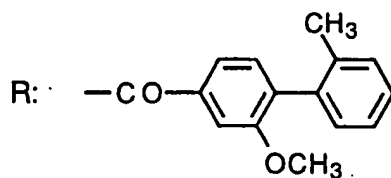
Form: Hydrochloride

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Example 62

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless amorphous

NMR analysis: 32)

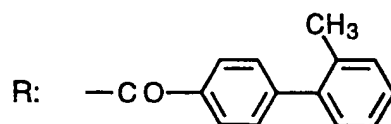
Form: Free

15

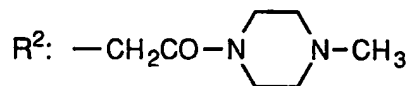
Example 63

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 33)

Form: Free

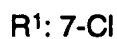
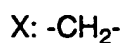
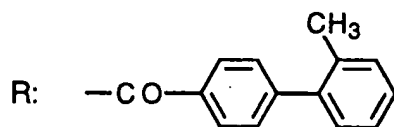
30

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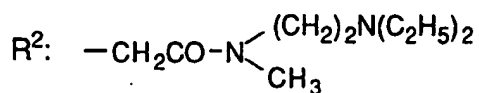
Example 64

Structure:

5



10



Crystalline form: Colorless amorphous

NMR analysis: 34)

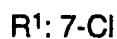
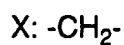
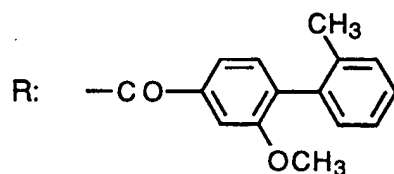
15

Form: Free

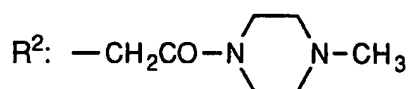
Example 65

Structure:

20



25



Crystalline form: Colorless amorphous

NMR analysis: 35)

30

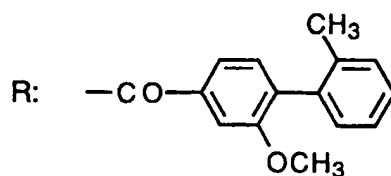
Form: Hydrochloride

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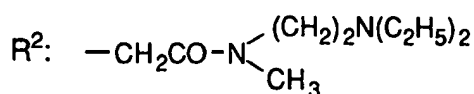
Example 66

Structure:

5



10

X: -CH₂-R¹: 7-ClR³: H

15

Crystalline form: Colorless amorphous

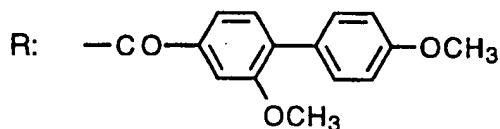
NMR analysis: 36)

Form: Hydrochloride

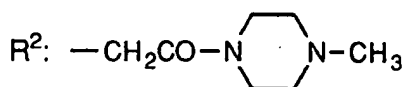
Example 67

Structure:

20



25

X: -CH₂-R¹: 7-ClR³: H

30

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 174-176°C

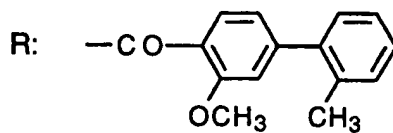
Form: Free

- 218 -

Example 68

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

M.p. 203-204°C

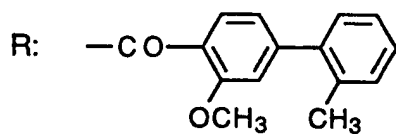
Form: Free

15

Example 69

Structure:

20

X: -CH₂-R¹: 7-ClR²: -OHR³: H

25

Crystalline form: Colorless amorphous

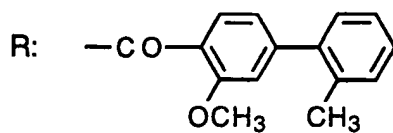
NMR analysis: 37)

Form: Free

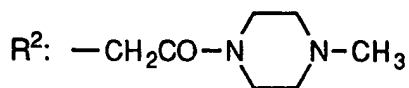
Example 70

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-Cl

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethyl acetate/n-hexane

15

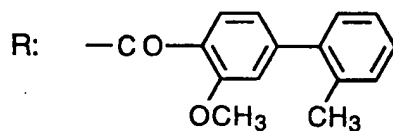
M.p. 181-182°C

Form: Free

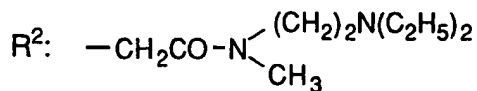
Example 71

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

30

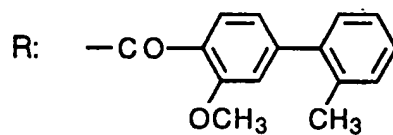
NMR analysis: 38)

Form: Hydrochloride

Example 72

Structure:

5

X: -CH₂-R¹: 7-ClR²: -OCOCH₂N(CH₃)₂

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 153-155°C

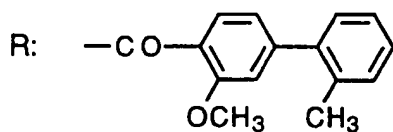
Form: Hydrochloride

15

Example 73

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: Colorless amorphous

25

NMR analysis: 39)

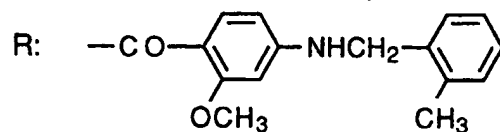
Form: Free

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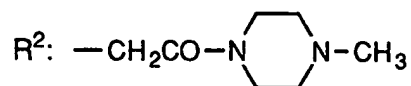
Example 74

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Acetone/diethyl ether

15

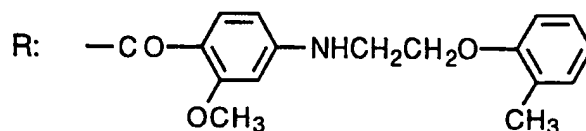
M.p. 153-154.5°C

Form: Free

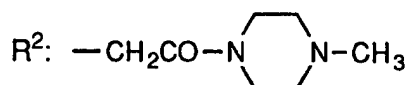
Example 75

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

30

M.p. 160-161°C

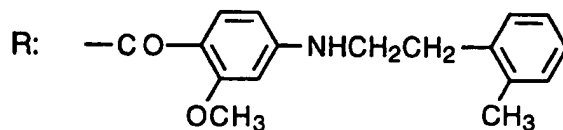
Form: Free

- 222 -

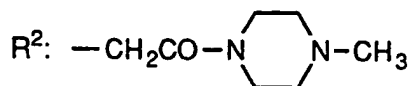
Example 76

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-Cl

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Acetone/diethyl ether

15

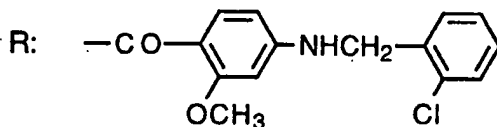
NMR analysis: 135-136°C

Form: Free

Example 77

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-Cl

25

R²: $-\text{CH}_2\text{COOH}$ R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

30

NMR analysis: 134-136.5°C

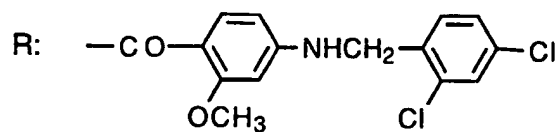
Form: Free

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Example 78

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

NMR analysis: 140.5-142°C

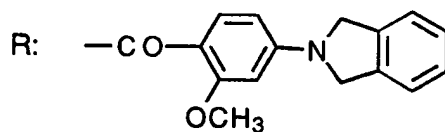
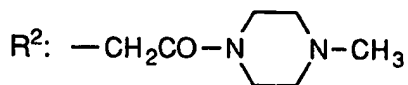
Form: Free

15

Example 79

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

30

NMR analysis: 199.5-202°C

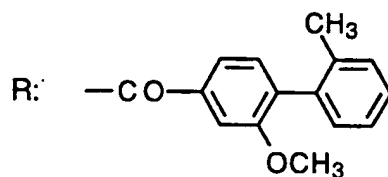
Form: Free

- 224 -

Example 80

Structure:

5



10

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: Colorless amorphous

NMR analysis: 40)

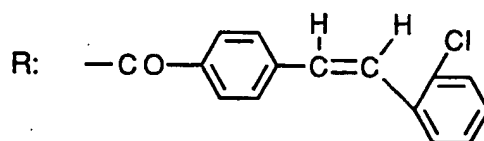
Form: Free

15

Example 81

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

Crystalline form: White powder

25

Solvent for recrystallization: Dichloromethane/methanol/diethyl ether

M.p. 187-190°C

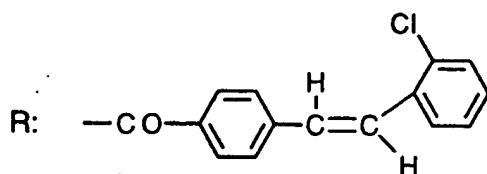
Form: Free

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Example 82

Structure:

5



10

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$ R³: H

Crystalline form: White powder

Solvent for recrystallization: Dichloromethane/methanol/diethyl ether

M.p. 189-192°C

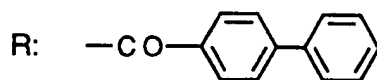
Form: Free

15

Example 83

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$ R³: H

Crystalline form: White powder

25

M.p. 205-207°C

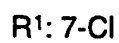
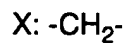
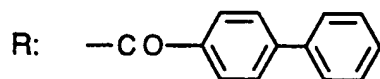
Form: Free

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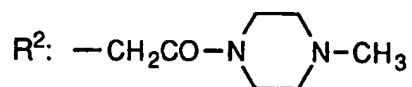
Example 84

Structure:

5



10



Crystalline form: Colorless amorphous

NMR analysis: 41)

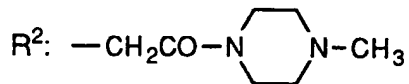
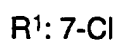
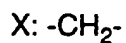
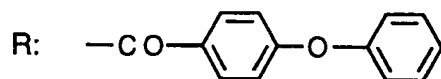
Form: Free

15

Example 45

Structure:

20



25



Crystalline form: Colorless amorphous

NMR analysis: 42)

Form: Free

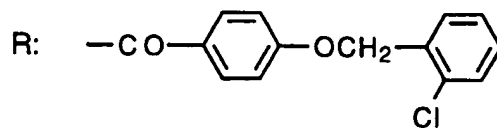
30

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Example 86

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂C₂H₅

10

R³: H

Crystalline form: Colorless oil

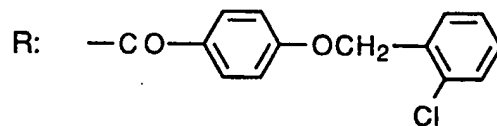
NMR analysis: 43)

Form: Free

Example 87

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

25

Crystalline form: Colorless oil

NMR analysis: 44)

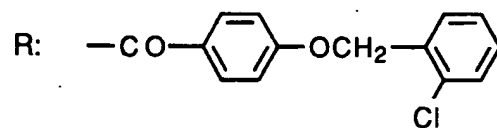
Form: Free

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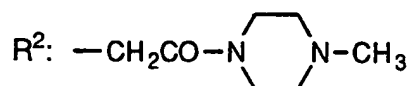
Example 88

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless oil

NMR analysis: 45)

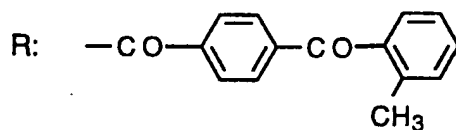
15

Form: Free

Example 89

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$

25

R³: H

Crystalline form: Colorless oil

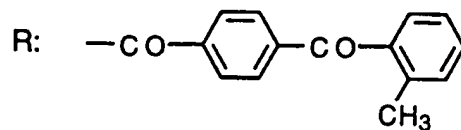
NMR analysis: 46)

Form: Free

Example 90

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

10

R³: H

Crystalline form: Colorless amorphous

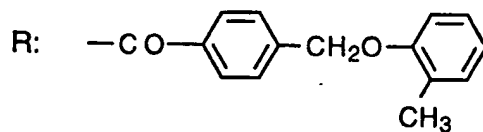
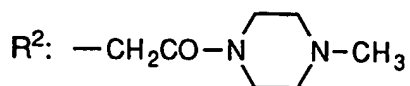
NMR analysis: 47)

Form: Free

Example 91

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Dichloromethane/diethyl ether

M.p. 84-88°C

Form: Free

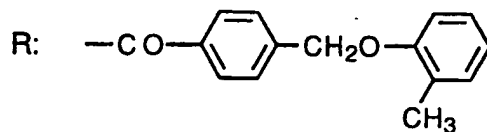
30

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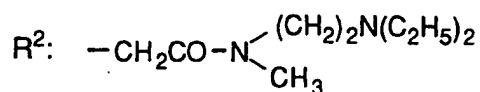
Example 92

Structure:

5



10

X: $-\text{CH}_2-$ R¹: 7-ClR³: H

15

Crystalline form: Colorless amorphous

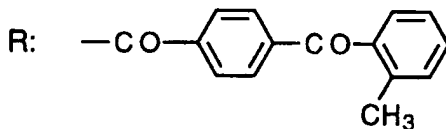
NMR analysis: 48)

Form: Free

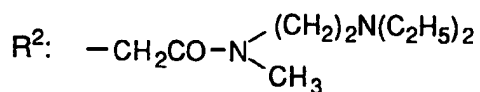
Example 93

Structure:

20



25

X: $-\text{CH}_2-$ R¹: 7-ClR³: H

30

Crystalline form: Colorless amorphous

NMR analysis: 49)

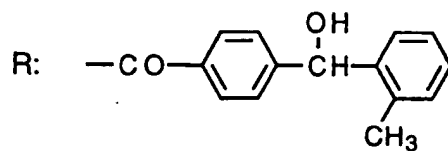
Form: Free

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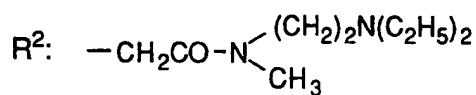
Example 94

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 50)

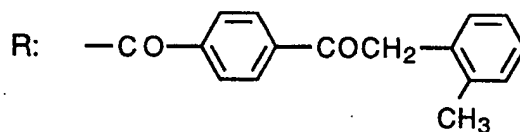
15

Form: Free

Example 95

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethyl acetate/diethyl ether/n-hexane

M.p. 120-122°C

Form: Free

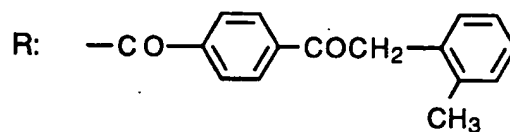
30

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Example 96

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

10

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 51)

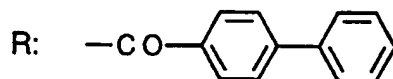
Form: Free

15

Example 97

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless oil

NMR analysis: 52)

25

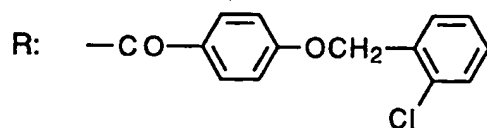
Form: Free

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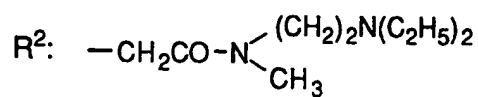
Example 98

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless oil

NMR analysis: 53)

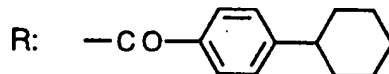
15

Form: Free

Example 99

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂C₂H₅R³: H

25

Crystalline form: Colorless oil

NMR analysis: 54)

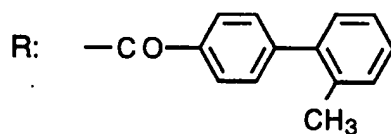
Form: Free

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Example 100

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂C₂H₅

10

R³: H

Crystalline form: Colorless amorphous

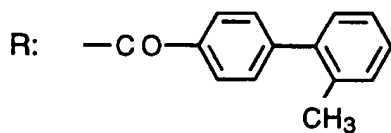
NMR analysis: 55)

Form: Free

Example 101

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

25

NMR analysis: 56)

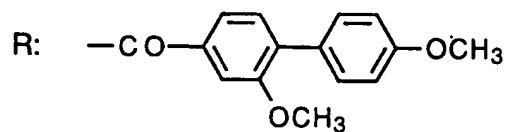
Form: Free

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Example 102

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃

10

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 57)

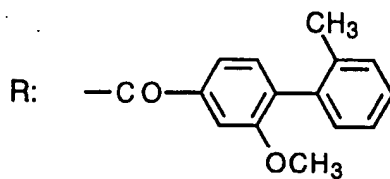
Form: Free

15

Example 103

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

25

Crystalline form: Colorless amorphous

NMR analysis: 58)

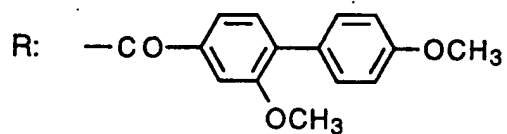
Form: Free

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Example 104

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

10

R³: H

Crystalline form: Colorless amorphous

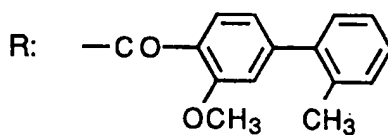
NMR analysis: 59)

Form: Free

Example 105

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless amorphous

25

NMR analysis: 60)

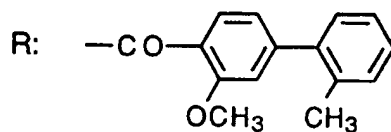
Form: Free

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Example 106

Structure:

5



10

X: -CH₂-R¹: 7-ClR² and R³: =O

Crystalline form: White powder

Recrystallization solvent: Acetone/n-hexane

NMR analysis: 61)

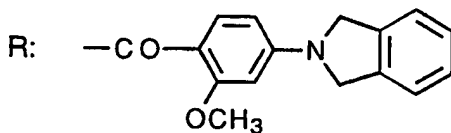
Form: Free

15

Example 107

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

25

Crystalline form: Colorless amorphous

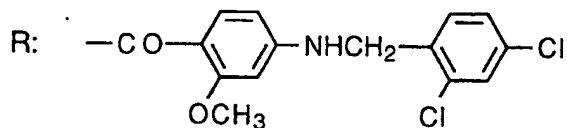
NMR analysis: 62)

Form: Free

Example 108

30

Structure:



35

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless amorphous

NMR analysis: 63)

40

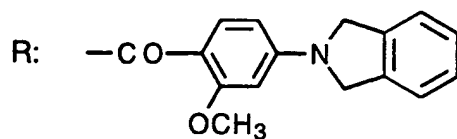
Form: Free

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Example 109

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 169-171°C

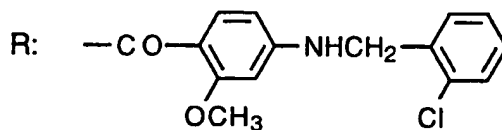
Form: Free

15

Example 110

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

25

Crystalline form: Colorless amorphous

NMR analysis: 64)

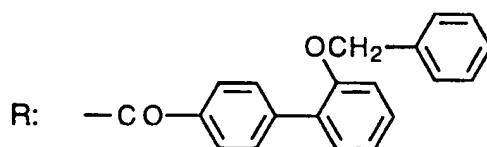
Form: Free

Example 111

30

Structure:

35

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: Colorless amorphous

NMR analysis: 65)

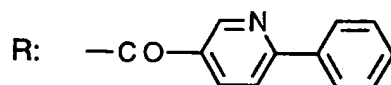
40

Form: Free

Example 112

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

Crystalline form: White powder

10

Solvent for recrystallization: Acetone/n-hexane

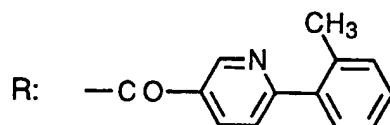
M.p. 139.5-142°C

Form: Free

Example 113

15

Structure:



20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless amorphous

NMR analysis: 66)

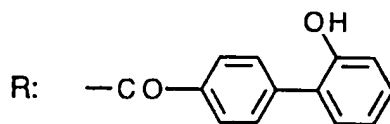
25

Form: Free

Example 114

Structure:

30

X: -CH₂-R¹: 7-ClR²: HR³: H

35

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 226°C

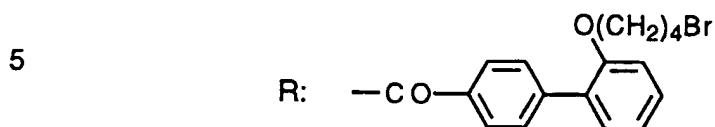
Form: Free

40

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Example 115

Structure:

X: -CH₂-R¹: 7-ClR²: HR³: H

10

Crystalline form: White powder

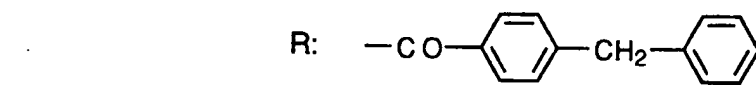
Solvent for recrystallization: Acetone/diethyl ether

M.p. 142-142.5°C

Form: Free

Example 116

Structure:

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless amorphous

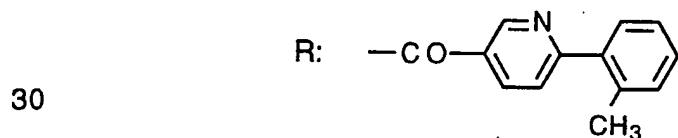
NMR analysis: 67)

Form: Free

25

Example 117

Structure:

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

35

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

NMR analysis: 235-237°C (decomposed)

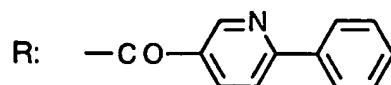
Form: Free

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Example 118

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$ R³: H

10

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

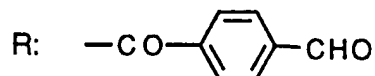
NMR analysis: 183°C

Form: Free

Example 119

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$ R³: H

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

NMR analysis: 68)

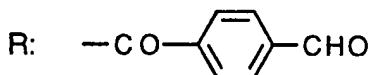
25

Form: Free

Example 120

Structure:

30

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$ R³: H

Crystalline form: White powder

35

Solvent for recrystallization: Acetone/n-hexane

M.p. 192°C

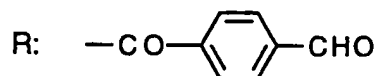
Form: Free

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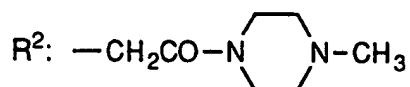
Example 121

Structure:

5



10



Crystalline form: Colorless amorphous

NMR analysis: 69)

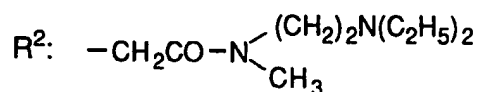
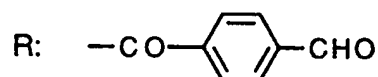
Form: Free

15

Example 122

Structure:

20



25



Crystalline form: Colorless amorphous

NMR analysis: 70)

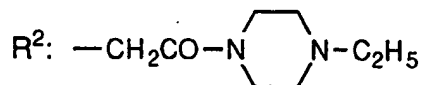
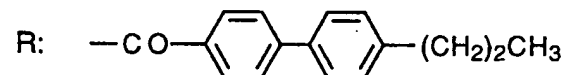
Form: Free

30

Example 123

Structure:

35



40



Crystalline form: Colorless amorphous

NMR analysis: 71)

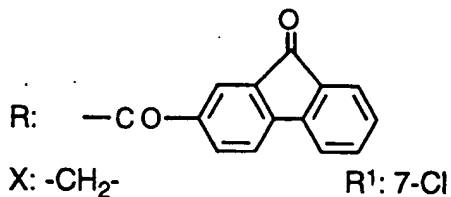
Form: Hydrochloride

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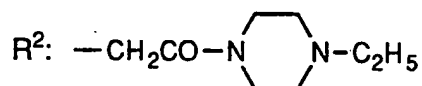
Example 124

Structure:

5



10

R³: H

Crystalline form: Yellow powder

Solvent for recrystallization: Ethanol

15

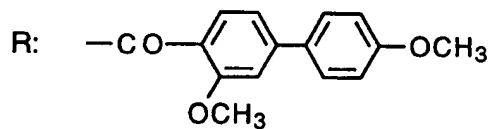
M.p. 182-184°C

Form: Hydrochloride

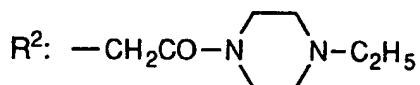
Example 125

Structure:

20

X: -CH₂- R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

30

NMR analysis: 72

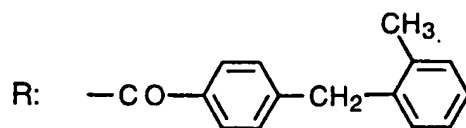
Form: Hydrochloride

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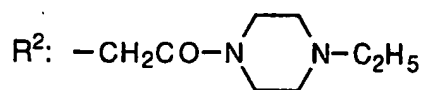
Example 126

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

NMR analysis: 73)

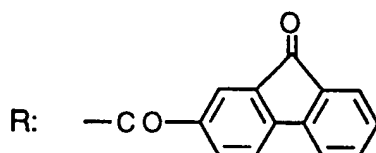
15

Form: Hydrochloride

Example 127

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

25

R²: $\text{---CH}_2\text{CO}_2\text{CH}_3$ R³: H

Crystalline form: Yellow powder

Solvent for recrystallization: Ethanol/diethyl ether

M.p. 168°C

30

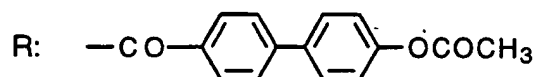
Form: Free

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Example 128

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: 7-ClR²: $\text{---CH}_2\text{CO}_2\text{CH}_3$ R³: H

10

Crystalline form: White powder

Solvent for recrystallization: Dichloromethane/diethyl ether

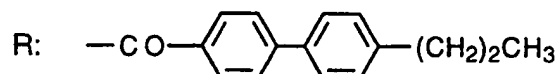
M.p. 186-188°C

Form: Free

Example 129

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: 7-ClR²: $\text{---CH}_2\text{CO}_2\text{CH}_3$ R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

25

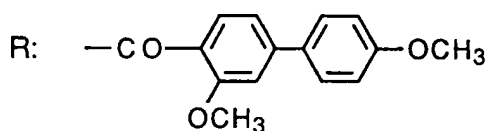
M.p. 120°C

Form: Free

Example 130

Structure:

30

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

35

R²: $\text{---CH}_2\text{CO}_2\text{CH}_3$ R³: H

Crystalline form: Colorless amorphous

NMR analysis: 74)

Form: Free

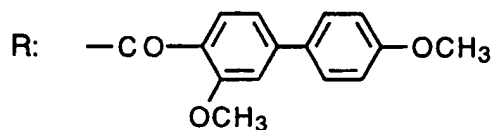
40

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Example 131

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

10

Crystalline form: White needles

Solvent for recrystallization: Ethanol/diethyl ether

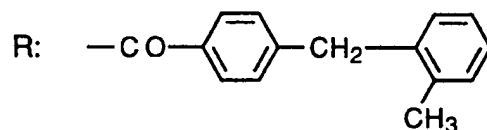
M.p. 109-111°C

Form: Free

Example 132

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

NMR analysis: 75)

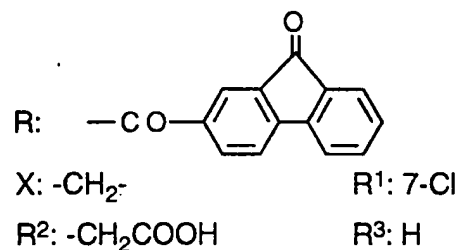
25

Form: Free

Example 133

Structure:

30



35

Crystalline form: Yellow needles

Solvent for recrystallization: Methanol/diethyl ether

M.p. 202-203°C

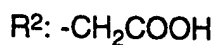
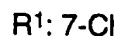
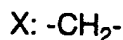
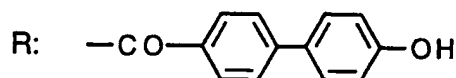
Form: Free

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Example 134

Structure:

5



Crystalline form: White needles

10

Solvent for recrystallization: Acetone/n-hexane

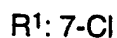
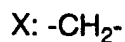
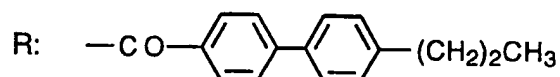
M.p. 235°C

Form: Free

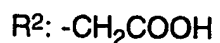
Example 135

15

Structure:



20



Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

M.p. 176°C

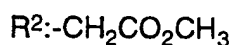
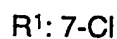
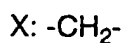
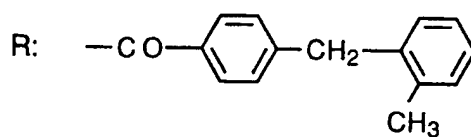
Form: Free

25

Example 136

Structure:

30



Crystalline form: Colorless amorphous

35

NMR analysis: 76)

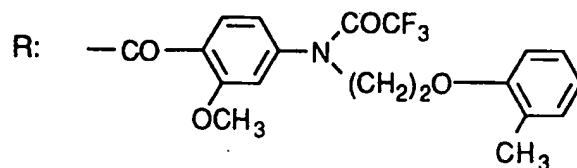
Form: Free

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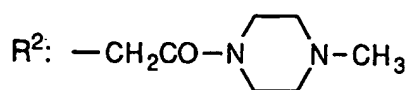
Example 137

Structure:

5



10

X: -CH₂-R¹: 7-ClR³: H

15

Crystalline form: Colorless amorphous

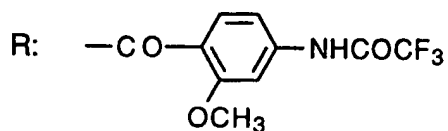
NMR analysis: 77)

Form: Free

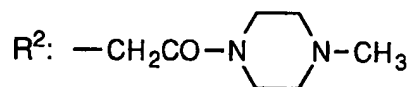
Example 138

Structure:

20



25

X: -CH₂-R¹: 7-ClR³: H

30

Crystalline form: White powder

NMR analysis: 78)

Form: Free

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NMR analysis:

1) (Example 9)

^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.78-5.25 (23H, m), 2.96 and 3.20 (all 3H, s), 3.71 (3H, s), 3.83 (3H, s), 6.51-7.18 (6H, m)

5 2) (Example 10)

^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.20-2.25 (14H, m), 2.30-2.55 (1H, m), 2.60-3.30 (3H, m), 3.50-3.90 (1H, m), 4.45-4.60 and 5.10-5.30 (1H, m), 6.15 (1H, brs), 6.59 (1H, d, $J=8.3$ Hz), 6.85-7.00 (3H, m), 7.10-7.35 (3H, m)

3) (Example 11)

10 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.20-3.40 (22H, m), 2.21 and 2.34 (3H, s), 3.50-3.90 (5H, m), 4.40-4.60 and 5.05-5.20 (1H, m), 6.50-6.60 (1H, m), 6.85-6.95 (1H, m), 7.00-7.15 (3H, m), 7.25-7.50 (2H, m)

4) (Example 12)

15 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.82, 1.02 and 1.08 (all 6H, each t, $J=7$ Hz), 1.2-4.0, 4.35-4.65 and 4.95-5.24 (all 27H, m), 6.35-6.70 (1H, m), 6.75-7.65 (10H, m)

5) (Example 15)

^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.71-2.24 (11H, m), 2.25-5.17 (21H, m), 5.71-7.54 (9H, m)

20 6) (Example 16)

^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.78-5.16 (32H, m), 5.79-7.51 (10H, m)

7) (Example 21)

^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.00-5.26 (17H, m), 2.28 and 2.34 (each 3H, each s), 6.54-6.75 (1H, m), 6.89-7.93 (8H, m), 8.48-8.74 (1H, m)

25 8) (Example 22)

^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.85, 1.00 and 1.07 (all 6H, each t, $J=7$ Hz), 1.1-4.0, 4.35-4.65, 4.65-4.95 and 4.95-5.25 (all 27H, m), 6.4-6.65 (1H, m), 6.75-7.65 (10H, m)

9) (Example 23)

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.15 (3H, s), 2.40 (3H, s), 2.71-4.00 (7H, m), 4.01-4.39 (1H, m), 4.69-5.01 (1H, m), 6.42-7.55 (10H, m)

10) (Example 25)

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 1.03-2.08 (4H, m), 1.22 (6H, t, $J=7.1$ Hz), 2.62-4.95 (22H, m), 6.23-7.42 (6H, m), 10.45-11.47 (1H, m)

11) (Example 26)

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.34-1.72 (1H, m), 1.81-2.25 (3H, m), 2.57-3.18 (3H, m), 3.70 (3H, s), 3.82 (3H, s), 4.35-5.22 (1H, m), 6.53-6.69 (2H, m), 6.73 (1H, dd, $J=8.4$ Hz, 1.8 Hz), 6.82 (1H, d, $J=1.8$ Hz), 6.91 (1H, dd, $J=8.4$ Hz, 2.4 Hz), 7.24 (1H, d, $J=2.4$ Hz)

12) (Example 27)

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.32-2.14 (4H, m), 2.57-3.20 (3H, m), 3.52 (3H, s), 3.73 (3H, s), 4.82-5.05 (1H, m), 6.16 (1H, d, $J=2.2$ Hz), 6.35 (1H, dd, $J=8.4$ Hz, 2.2 Hz), 6.64 (1H, d, $J=8.2$ Hz), 6.81 (1H, dd, $J=8.4$ Hz, 2.4 Hz), 7.06-7.24 (2H, m)

13) (Example 28)

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 0.78-2.13 (13H, m), 2.65-5.12 (13H, m), 3.17 and 3.33 (all 3H, s), 3.95 (2H, q, $J=6.8$ Hz), 6.55-7.58 (7H, m), 10.53-11.48 (1H, m)

14) (Example 29)

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 0.98-2.06 (13H, m), 2.61-4.88 (21H, m), 6.18-7.45 (6H, m), 10.42-11.52 (1H, m)

15) (Example 30)

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 0.92-1.95 (7H, m), 2.34-4.43 (14H, m), 2.41 and 2.53 (all 3H, s), 2.77 (6H, s), 6.52-7.38 (6H, m), 10.58-11.57 (2H, m)

16) (Example 31)

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 0.96-2.03 (4H, m), 2.33-4.62 (13H, m), 2.41 and 2.53 (all 3H, s), 2.76 (3H, s), 3.34 (3H, s), 6.52-7.48 (6H, m), 11.48 (1H, brs)

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17) (Example 32)

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 0.96-4.87 (22H, m), 2.40 and 2.53 (all 3H, s), 2.73 and 2.77 (all 3H, s), 6.51-7.45 (6H, m), 11.36 (1H, brs)

18) (Example 34)

5 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.17-5.24 (22H, m), 6.41-7.58 (12H, m)

19) (Example 35)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.10-5.24 (26H, m), 6.32-7.69 (11H, m)

20) (Example 36)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.74-5.24 (32H, m), 6.28-7.70 (11H, m)

10 21) (Example 38)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.1-4.0, 4.35-4.65, 4.65-5.0 and 5.0-5.25 (all 27H, m), 6.4-6.65 (1H, m), 6.75-7.6 (10H, m)

22) (Example 39)

15 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.1-4.0, 4.35-4.7 and 4.95-5.25 (all 28H, m), 5.7-6.0 (1H, m), 6.4-6.65 (1H, m), 6.75-7.6 (10H, m)

23) (Example 40)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.2-4.65 and 4.95-5.25 (all 25H, m), 6.35-6.65 and 6.65-8.2 (all 11H, m)

24) (Example 46)

20 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.2-3.95, 4.45-4.65, 4.7-4.9 and 5.0-5.25 (all 27H, m), 6.4-6.65 and 6.75-7.6 (all 11H, m)

25) (Example 47)

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.12-2.06 (20H, m), 2.66-4.40 (21H, m), 3.18 and 3.33 (all 3H, s), 6.53-7.41 (7H, m), 10.67-11.53 (2H, m)

25 26) (Example 48)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.1-3.95, 4.45-4.65 and 4.95-5.25 (all 29H, m), 5.7-6.05 (1H, m), 6.35-6.65 (1H, m), 6.75-7.65 (9H, m)

27) (Example 49)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.22-2.34 (4H, m), 2.42-3.42 (3H, m),

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2.82 (3H, s), 3.01 (3H, s), 3.68 (3H, s), 4.81-5.41 (1H, m), 5.08 (2H, s), 6.42-7.12 (5H, m), 7.13-7.72 (5H, m)

28) (Example 50)

5 ^1H -NMR (200 MHz, DMSO- d_6) δ ppm: 0.90-2.25 (4H, m), 1.17 (6H, t, $J=7.10$ Hz), 2.40-3.90 (17H, m), 4.63-5.17 (1H, m), 4.98 (2H, s), 6.52-7.21 (5H, m), 7.24-7.65 (5H, m), 10.16-10.70 (1H, m)

29) (Example 59)

^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.8-1.2 (6H, m), 1.2-4.0, 4.4-4.65 and 5.0-5.25 (20H, m), 6.45-6.65 (1H, m), 6.6-7.75 (12H, m)

10 30) (Example 60)

^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.8-1.15 (6H, m), 1.15-3.95, 4.35-4.65 and 4.95-5.25 (all 20H, m, 2.96, 3.17 (each s)), 6.4-7.6 (13H, m)

31) (Example 61)

15 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.06-2.41 (7H, m), 2.31 (3H, s), 2.51-4.38 (16H, m), 4.51-4.92 (2H, m), 6.53-7.52 (10H, m), 12.52-12.94 (1H, m)

32) (Example 62)

^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.13-2.26 (5H, m), 2.02 (3H, s), 2.58-5.29 (7H, m), 3.69 (3H, s), 6.49-7.45 (10H, m)

33) (Example 63)

20 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.15-5.29 (17H, m), 2.16 (3H, s), 2.34 (3H, s), 6.47-7.62 (11H, m)

34) (Example 64)

^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.70-1.19 (6H, m), 1.20-5.25 (20H, m), 2.16 (3H, m), 6.48-7.62 (11H, m)

25 35) (Example 65)

^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.02-5.28 (23H, m), 2.02 (3H, s), 6.52-7.50 (10H, m), 11.68-12.41 (1H, m)

36) (Example 66)

^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.04-5.32 (29H, m), 2.02 (3H, s), 6.48-

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7.51 (10H, m), 11.87-12.28 (1H, m)

37) (Example 69)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.46-2.41 (4H, m), 2.14 (3H, s), 2.68-2.98 (1H, m), 3.27-3.83 (4H, m), 4.68-5.27 (2H, m), 6.47-7.54 (9H, m), 7.60 (1H, d, J=2.16 Hz)

38) (Example 71)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.86-5.20 (32H, m), 6.51-7.52 (10H, m), 11.62-12.24 (1H, m)

39) (Example 73)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.28-2.28 (4H, m), 2.14 (3H, s), 2.58-2.92 (2H, m), 2.93-3.28 (1H, m), 3.58 (3H, s), 4.82-5.12 (1H, m), 6.56 (1H, s), 6.65-6.96 (3H, m), 6.97-7.48 (6H, m)

40) (Example 80)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.21-2.25 (4H, m), 2.01 (3H, s), 2.26-3.20 (3H, m), 3.59 (3H, s), 4.86-5.22 (1H, m), 6.42-7.45 (10H, m)

41) (Example 84)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.1-4.0, 4.35-4.65 and 5.0-5.25 (all 20H, m, 2.34 (s)), 6.45-6.7 (1H, m), 6.91 (1H, dd, J=2 Hz, 8 Hz), 7.05 (1H, d, J=2 Hz), 7.15-7.7 (9H, m)

42) (Example 85)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.2-3.95, 4.35-4.65, 5.0-5.25 (all 20H, m, 2.33 (s)), 6.4-7.55 [12H, m, 6.59 (d, J=8 Hz), 6.79 (d, J=8.5 Hz)]

43) (Example 86)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.1-2.2 (7H, m), 2.45-3.3, 3.35-3.9, 4.0-4.35, 4.35-4.65 and 4.95-5.35 (all 9H, m, 5.09 (s)), 6.45-6.65 (1H, m), 6.77 (2H, d, J=8.5 Hz), 6.92 (1H, dd, J=2 Hz, 8.5 Hz), 7.05-7.6 (7H, m)

44) (Example 87)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.15-2.2 (4H, m), 2.5-3.3, 3.35-3.9, 4.3-4.6 and 4.9-5.3 (all 7H, m, 5.06 (s)), 4.45-6.65 (1H, m), 6.74 (2H, d, J=8.5 Hz), 6.93 (1H, dd, J=2 Hz, 8.5 Hz), 7.12 (1H, d, J=2 Hz), 7.12-7.55 (6H, m)

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45) (Example 88)

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.85, 2.85-4.0, 4.35-4.65 and 4.9-5.35 (all 22H, 2.33, 5.10 (each s)), 6.4-6.65 (1H, m), 6.80 (2H, d, $J=8.5$ Hz), 6.92 (1H, dd, $J=2$ Hz, 8.5 Hz), 7.04 (1H, d, $J=2$ Hz), 7.04-7.6 (6H, m)

5 46) (Example 89)

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.20-2.45 (7H, m, 2.27 (s)), 2.5-3.1, 3.1-3.4, 3.4-3.9, 4.35-4.65 and 5.02-5.30 (all 8H, m, 3.72 (s)), 6.56 (1H, d, $J=8.3$ Hz), 6.92 (1H, dd, $J=2.3$ Hz, 8.4 Hz), 7.10 (1H, d, $J=2.2$ Hz), 7.10-7.71 (8H, m)

47) (Example 90)

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.23-2.47 (4H, m), 2.26 (3H, s), 2.6-3.05 (2H, m), 3.10-3.43, 3.48-3.90, 4.35-4.62 and 5.05-5.29 (all 3H, m), 6.56 (1H, d, $J=8.3$ Hz), 6.90 (1H, dd, $J=2.2$ Hz, 8.3 Hz), 7.08 (1H, d, $J=2.2$ Hz), 7.12-7.55 (6H, m), 7.61 (2H, d, $J=8.5$ Hz)

48) (Example 92)

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.84, 1.05 and 1.08 (all 6H, each t, $J=7$ Hz), 1.2-4.0, 4.1-4.7 and 4.8-5.3 (all 25H, m, 2.24 (s)), 2.61 (q, $J=7$ Hz), 2.99, 3.19 (each s)), 6.4-7.7 (11H, m, 6.55 (d, $J=8$ Hz))

49) (Example 93)

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.90, 0.97 and 1.07 (all 6H, each t, $J=7$ Hz), 1.15-4.02, 4.38-4.65 and 4.95-5.25 (all 23H, m, 2.26, 3.18 (each s)), 6.54 (1H, d, $J=8.3$ Hz), 6.77-7.70 (10H, m)

50) (Example 94)

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.82, 1.00 and 1.07 (all 6H, each t, $J=7$ Hz), 1.15-3.98, 4.47-4.68, 4.95-5.22 and 5.75-6.10 (all 25H, m), 6.40-6.68 and 6.73-7.68 (all 11H, m)

51) (Example 96)

30 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.2-2.4 (4H, m), 2.20 (3H, s), 2.5-3.35, 3.4-3.9, 4.25-4.6 and 4.9-6.2 (all 8H, m, 4.22 (s)), 6.4-6.65 (1H, m), 6.75-6.95 (1H, m), 6.95-7.35 (5H, m), 7.42 (2H, d, $J=8.5$ Hz), 7.81 (2H, d, $J=8.5$ Hz)

52) (Example 97)

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.2-2.3, 2.5-3.4, 3.5-4.3, 4.35-4.7 and 5.05-5.35 (all 12H, 3.75 (s)), 6.4-6.7 (1H, m), 6.93 (1H, dd, $J=2$ Hz, 8.5 Hz), 7.13 (1H, d, $J=2$ Hz), 7.2-7.8 (9H, m)

53) (Example 98)

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.85, 1.03 and 1.08 (all 6H, each t, $J=7$ Hz), 1.2-4.0, 4.3-4.65 and 4.9-5.3 (all 22H, 2.99, 3.19, 5.10 (each s)), 6.45-7.6 (11H, m)

54) (Example 99)

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.27 (3H, t, $J=7.1$ Hz), 1.20-2.20 (14H, m), 2.30-2.50 (1H, m), 2.60-3.05 (3H, m), 3.10-3.35 (1H, m), 4.10-4.40 (2H, m), 4.45-4.65 (1H, m), 6.57 (1H, d, $J=8.4$ Hz), 6.96 (1H, d, $J=8.1$ Hz), 7.00 (2H, d, $J=8.0$ Hz), 7.10-7.35 (3H, m)

55) (Example 100)

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.08-2.41 (5H, m), 1.25 (3H, t, $J=7.10$ Hz), 2.16 (3H, s), 2.54-5.32 (6H, m), 6.48-7.54 (11H, m)

56) (Example 101)

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.14-2.36 (5H, m), 2.26 (3H, s), 2.51-5.35 (4H, m), 6.45-7.50 (11H, m), 8.65-13.90 (1H, m)

57) (Example 102)

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.18-2.39 (5H, m), 2.57-3.05 (2H, m), 3.09-5.28 (2H, m), 3.67, 3.72 and 3.82 (each 3H, each s), 6.48-7.81 (10H, m)

58) (Example 103)

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.14-2.26 (4H, m), 2.01 (3H, s), 2.52-3.10 (2H, m), 3.01-5.28 (3H, m), 3.61 (3H, s), 6.48-7.88 (10H, m), 8.50-13.9 (1H, m)

59) (Example 104)

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.18-2.32 (4H, m), 2.57-3.09 (2H, m), 3.10-5.29 (3H, m), 3.66 and 3.81 (each 3H, each s), 6.45-7.80 (10H, m), 8.03-13.80 (1H, m)

30 60) (Example 105)

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¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.13-2.37 (4H, m), 2.14 (3H, s), 2.54-2.97 (2H, m), 2.98-5.20 (3H, m), 3.68 and 3.74 (each 3H, each s), 6.50-7.52 (10H, m)

61) (Example 106)

5 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.41-4.06 (5H, m), 2.13 (3H, s), 3.39 (3H, s), 4.36-5.41 (1H, m), 6.49 (1H, s), 6.79 (1H, d, J=8.44 Hz), 6.88 (1H, d, J=7.42 Hz), 7.01-7.62 (6H, m), 7.79 (1H, d, J=2.24 Hz)

62) (Example 107)

10 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.10-2.21 (5H, m), 2.51-3.26 (3H, m), 3.27-4.11 (4H, m), 3.77 (3H, s), 4.36-4.88 (4H, m), 5.71-7.58 (10H, m)

63) (Example 108)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.06-2.21 (4H, m), 2.49-5.23 (11H, m), 3.73 (3H, s), 5.78-7.50 (9H, m)

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64) (Example 110)

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.04-2.26 (4H, m), 2.47-5.20 (14H, m),
5.58-7.72 (10H, m)

65) (Example 111)

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.31-1.69 (1H, m), 1.70-2.24 (3H, m),
2.55-3.20 (3H, m), 4.88-5.20 (1H, m), 5.03 (2H, s), 6.51-7.51 (16H, m)

66) (Example 113)

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.40 (4H, m), 2.28 (3H, s), 2.60-
5.29 (5H, m), 3.74 (3H, s), 6.54-6.78 (1H, m), 6.88-7.06 (1H, m), 7.09-7.46 (6H,
m), 7.58-7.79 (1H, m), 8.46-8.66 (1H, m)

67) (Example 116)

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.10-2.30 (4H, m), 2.49-5.29 (5H, m),
3.70 (3H, s), 3.87 (2H, s), 6.41-7.75 (12H, m)

68) (Example 119)

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.21-2.31 (4H, m), 2.60-5.27 (5H, m),
3.75 (3H, s), 6.41-6.63 (1H, m), 6.80-7.53 (2H, m), 7.48 (2H, d, $J=8.24$ Hz), 7.74
(2H, d, $J=8.42$ Hz), 9.99-10.02 (1H, m)

69) (Example 121)

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.16-5.23 (17H, m), 2.35 (3H, s), 6.41-
6.62 (1H, m), 6.78-7.53 (2H, m), 7.61 (2H, d, $J=8.3$ Hz), 7.73 (2H, d, $J=8.3$ Hz),
9.94 (1H, s)

70) (Example 122)

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.90-5.24 (26H, m), 6.40-6.61 (1H, m),
6.74-7.82 (6H, m), 9.88-10.01 (1H, m)

71) (Example 123)

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.94 (3H, t, $J=7.3$ Hz), 0.78-5.25 (26H,
m), 6.48-7.82 (11H, m), 12.35-13.74 (1H, m)

72) (Example 125)

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.85-5.23 (28H, m), 6.37-7.80 (10H,

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m), 12.29-13.40 (1H, m)

73) (Example 126)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.02-5.22 (27H, m), 6.37-7.76 (11H, m), 12.54-13.50 (1H, m)

5 74) (Example 130)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.08-2.37 (4H, m), 2.51-5.45 (11H, m), 3.83 (3H, s), 6.34-7.62 (10H, m)

75) (Example 132)

10 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.11-2.32 (4H, m), 2.12 (3H, s), 2.41-5.30 (7H, m), 6.38-8.08 (11H, m), 8.91-13.00 (1H, m)

76) (Example 136)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.03-2.36 (4H, m), 2.13 (3H, s), 2.38-5.28 (7H, m), 3.71 (3H, s), 6.41-8.09 (11H, m)

77) (Example 137)

15 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.05-5.10 (25H, m), 6.05-7.50 (15H, m)

78) (Example 138)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.03-5.08 (20H, m), 2.34 (3H, s), 6.58-7.53 (6H, m), 8.39-9.04 (1H, m)

79) (Example 24)

20 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.78-5.25 (23H, m), 2.96 and 3.20 (all 3H, s), 3.71 (3H, s), 3.83 (3H, s), 6.51-7.18 (6H, m)

80) (Example 52)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.12-2.36 (4H, m), 2.20 (3H, s), 2.56-4.00 (4H, m), 4.25-5.41 (1H, m), 6.27-7.76 (11H, m), 10.73-11.74 (1H, m)

25 81) (Example 54)

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.3-1.7 (1H, m), 1.7-2.2 (3H, m), 2.55-3.2 (3H, m), 4.85-5.2 (1H, m), 6.3-7.7 (14H, m)

82) (Example 55)

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.07-2.04 (10H, m), 2.58-3.98 (18H,

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m), 4.13-4.36 (1H, m), 4.73 (2H, s), 6.61-7.43 (10H, m), 7.87-8.01 (1H, m), 9.21 (1H, s), 10.32 (1H, brs)

83) (Example 56)

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.05-2.06 (10H, m), 2.43-3.80 (15H, m), 4.17-4.40 (1H, m), 4.73 (2H, m), 6.63-7.48 (10H, m), 7.84-7.98 (1H, m), 8.56-8.71 (1H, m), 9.22 (1H, s), 10.34 (1H, brs)

84) (Example 57)

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.08-2.02 (10H, m), 2.62-3.99 (18H, m), 4.12-4.39 (1H, m), 4.82 (2H, s), 6.61-7.57 (9H, m), 7.96-8.11 (1H, m), 9.33 (1H, s), 10.21 (1H, brs)

85) (Example 58)

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.04-2.01 (10H, m), 2.43-3.80 (15H, m), 4.13-4.40 (1H, m), 4.82 (2H, s), 6.60-7.53 (9H, m), 7.92-8.08 (1H, m), 8.56-8.71 (1H, m), 9.33 (1H, s), 10.35 (1H, brs)

Example 139

To a solution of 7-chloro-5-[(4-methyl-1-piperazinyl)carbonylmethyl]-1-[2-methoxy-4-{N-[2-(2-methylphenoxy)ethyl]-N-trifluoroacetyl-amino}benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.45 g) in methanol (20 ml) is added a solution of potassium carbonate (0.11 g) in water (5 ml), and the mixture is stirred at room temperature for 12 hours. The mixture is evaporated to remove the solvent, and thereto is added water. The mixture is extracted with ethyl acetate, and the extract is dried, evaporated to remove the solvent. The resulting residue is purified by silica gel column chromatography (solvent; dichloromethane:methanol = 80:1 → 50:1 → 30:1), and recrystallized from acetone/n-hexane to give 7-chloro-5-[(4-methyl-1-piperazinyl)carbonylmethyl]-1-[2-methoxy-4-[2-(2-methylphenoxy)ethylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.12 g) as white powder.

M.p. 160-161°C

Example 140

To a solution of 7-chloro-5-[(4-methyl-1-piperazinyl)carbonylmethyl]-1-(2-methoxy-4-trifluoroacetylaminobenzoyl)-2,3,4,5-tetrahydro-1H-

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benzazepine (0.4 g) in dry tetrahydrofuran (20 ml) are added triphenylphosphine (0.54 g) and o-(2-hydroxyethoxy)toluene (0.29 g) at room temperature. To the mixture is added dropwise a solution of diethyl azodicarboxylate (0.32 ml) in dry tetrahydrofuran (5 ml), and the mixture is stirred at room temperature for 16 hours. To the mixture is added water, and the mixture is extracted with diethyl ether. The ether layer is dried, evaporated to remove the solvent, and the residue is purified by silica gel column chromatography (solvent; dichloromethane:methanol = 50:1 → 30:1) to give 7-chloro-5-[(4-methyl-1-piperazinyl)carbonylmethyl]-1-[2-methoxy-4-{N-[2-(2-methylphenoxy)ethyl]-N-trifluoroacetyl amino}benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.46 g) as colorless amorphous.

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.05-5.10 (25H, m), 6.05-7.50 (15H, m)

The suitable starting compounds are treated in the same manner as in Example 140 to give the compounds of Examples 13-16, 74-78, 108 and 110.

Example 141

To a solution of 7-chloro-5-[(4-methyl-1-piperazinyl)carbonylmethyl]-1-(2-methoxy-2-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (2.0 g) in pyridine (30 ml) is added dropwise trifluoroacetic anhydride (1.14 ml) under ice-cooling. To the reaction solution is added a saturated aqueous sodium hydrogen carbonate solution, and the mixture is extracted with ethyl acetate. The extract is washed with water, dried, and evaporated to remove the solvent. The residue is purified by silica gel column chromatography (solvent; dichloromethane:methanol = 50:1 → 30:1), and crystallized from acetone/n-hexane to give 7-chloro-5-[(4-methyl-1-piperazinyl)carbonylmethyl]-1-(2-methoxy-4-trifluoroacetylaminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (1.86 g) as white powder.

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.03-5.08 (20H, m), 2.34 (3H, s), 6.58-7.53 (6H, m), 8.39-9.04 (1H, m)

The suitable starting compounds are treated in the same manner as in Example 141 to give the compounds of Examples 7, 8 and 55-58.

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Example 142

To a solution of 7-chloro-5-[(4-methyl-1-piperazinyl)carbonylmethyl]-1-(2-methoxy-2-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (0.8 g) in methanol (30 ml) are added o-tolualdehyde (0.35 ml) and acetic acid (1 ml), and the mixture is stirred at 50-60°C for 2-3 hours. To the mixture is added sodium cyanoborohydride (0.11 g) at room temperature, and the mixture is stirred for two hours. The mixture is evaporated to remove the solvent, and to the residue is added water. The mixture is extracted with ethyl acetate, and the extract is dried, and evaporated. The residue is purified by silica gel column chromatography (solvent; dichloromethane:methanol = 50:1 → 25:1), and recrystallized from acetone/diethyl ether to give 7-chloro-5-[(4-methyl-1-piperazinyl)carbonylmethyl]-1-[2-methoxy-4-(2-methylbenzylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.71 g) as white powder.

M.p. 153-154.5°C

The suitable starting compounds are treated in the same manner as in Example 142 to give the compounds of Examples 13-16, 75-78, 108, 110 and 137.

Example 143

To a suspension of 7-chloro-5-methoxycarbonylmethyl-1-(2-methoxy-4-aminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (2.6 g) in ethanol (100 ml) are added sodium carbonate (1.5 g), o-xylene dibromide (1.87 g) and sodium iodide (2.42 g), and the mixture is stirred at 60-70°C for three hours. The mixture is evaporated to remove the solvent, and to the residue is added water, and the mixture is extracted with ethyl acetate. The extract is dried, and evaporated to remove the solvent. The residue is purified by silica gel column chromatography (solvent; ethyl acetate:n-hexane = 1:10 → 1:5) to give 7-chloro-5-methoxycarbonylmethyl-1-[2-methoxy-4-(2-isoindoliny)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.32 g) as colorless amorphous.

¹H-NMR (200 MHz; CDCl₃) δ ppm: 1.10-2.21 (5H, m), 2.51-3.26 (3H, m), 3.27-4.11 (4H, m), 3.77 (3H, s), 4.36-4.88 (4H, m), 5.71-7.58 (10H, m)

The suitable starting compounds are treated in the same manner as in Example 143 to give the compounds of Examples 79 and 101.

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Example 144

To a solution of 7-chloro-1-(3-methoxy-4-hydroxybenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (0.7 g) in dry dimethylformamide (20 ml) are added potassium carbonate (0.35 g) and 2-chlorobenzyl chloride (0.32 ml), and the mixture is stirred at room temperature overnight. To the reaction solution is added water, and the mixture is extracted with ethyl acetate. The extract is washed with water, dried, and evaporated to remove the solvent. The residue is recrystallized from acetone/diethyl ether to give 7-chloro-1-[3-methoxy-4-(2-chlorobenzoyloxy)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.76 g) as white powder.

M.p. 135°C

The suitable starting compounds are treated in the same manner as in Example 144 to give the compounds of Examples 24-33, 41, 43-45, 47, 49-51, 86-88 and 98.

Example 145

To a solution of 7-chloro-5-[(4-methyl-1-piperazinyl)carbonylmethyl]-1-(4-formylbenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (0.29 g) in methanol (30 ml) are added o-toluidine (0.2 ml) and acetic acid until the mixture becomes pH 4. The mixture is heated with stirring at 50°C for 8 hours, and thereto is added sodium cyanoborohydride (0.085 g) with ice-cooling, and the mixture is stirred for one hour. The mixture is evaporated to remove the methanol, and thereto is added water. The mixture is extracted with ethyl acetate, and the extract is washed with water, dried, and evaporated to remove the solvent. The residue is purified by silica gel column chromatography

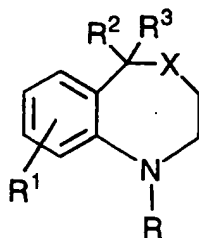
- 263 -

5 tetrahydro-1H-benzazepine (0.7 g) in pyridine (5 ml) is added N,N-dimethyl-carbamic chloride (0.42 ml), and the mixture is stirred at 60-70°C for two hours. The mixture is made acidic with hydrochloric acid, and then extracted with ethyl acetate. The mixture is washed with a saturated aqueous sodium hydrogen carbonate solution, and evaporated to remove the solvent. The residue is purified by silica gel column chromatography (solvent; ethyl acetate:n-hexane = 1:10 → 1:1 → 3:1), and recrystallized from ethanol/diethyl ether to give 7-chloro-1-(3-methoxy-4-dimethylaminocarbonylaminobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (0.33 g) as white plates.

10 M.p. 230-232°C

The suitable starting compounds are treated in the same manner as in Examples 1 and 2 to give the following compounds.

- 264 -

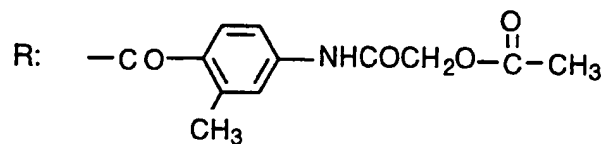


5

Example 147

Structure:

10

X: -CH₂-R¹: 7-Cl

15

R²: HR³: H

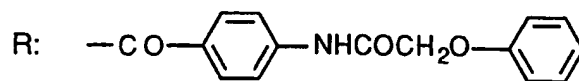
Crystalline form: Colorless amorphous

Form: Free

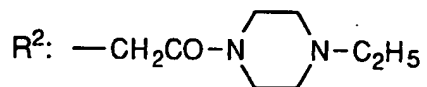
Example 148

20

Structure:

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

30

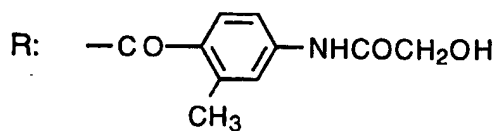
Form: Free

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Example 149

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: 7-ClR²: HR³: H

10

Crystalline form: White powder

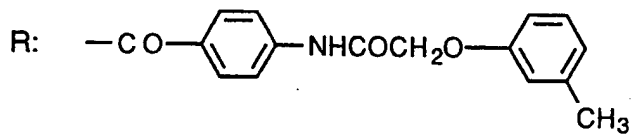
M.p. 194-195°C

Form: Free

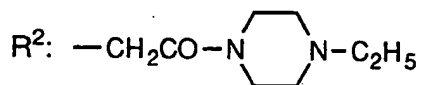
Example 150

15

Structure:



20

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

25

R³: H

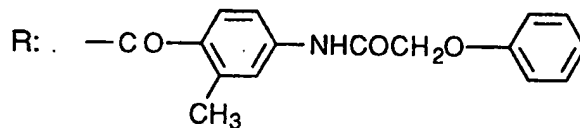
Crystalline form: Colorless amorphous

Form: Free

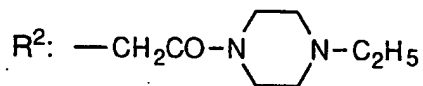
Example 151

30

Structure:



35

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

40

R³: H

Crystalline form: Colorless amorphous

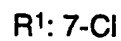
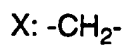
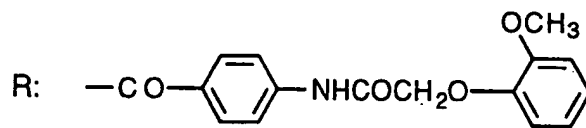
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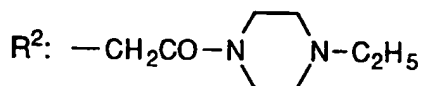
Example 152

Structure:

5



10



Crystalline form: Colorless amorphous

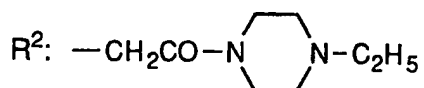
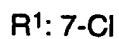
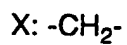
Form: Free

15

Example 153

Structure:

20



25



Crystalline form: Colorless amorphous

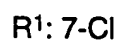
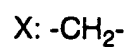
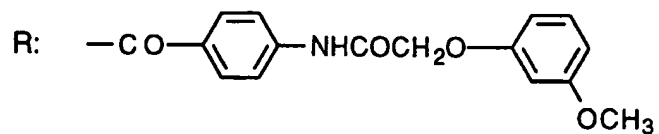
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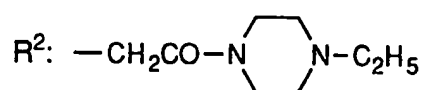
Example 154

Structure:

5



10



Crystalline form: Colorless amorphous

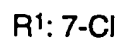
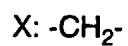
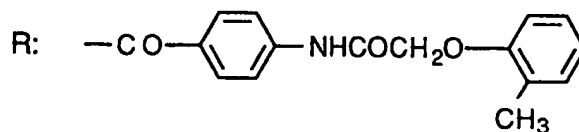
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15

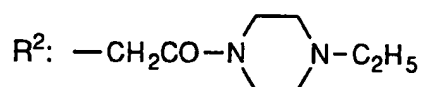
Example 155

Structure:

20



25



Crystalline form: Colorless amorphous

30

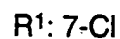
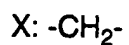
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- 268 -

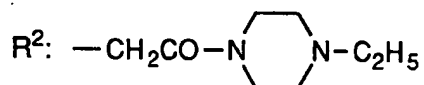
Example 156

Structure:

5



10



Crystalline form: Colorless amorphous

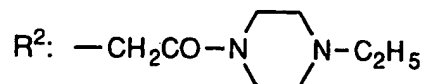
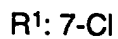
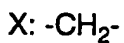
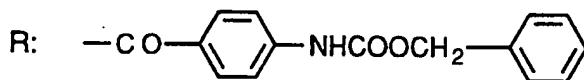
Form: Free

15

Example 157

Structure:

20



25

Crystalline form: Colorless amorphous

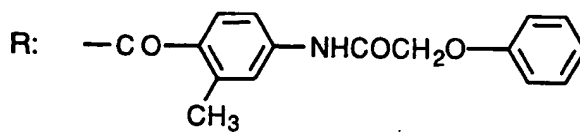
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- 269 -

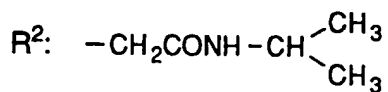
Example 158

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

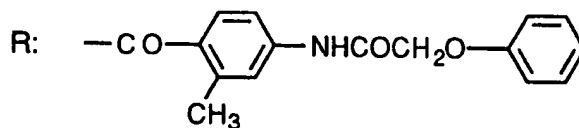
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15

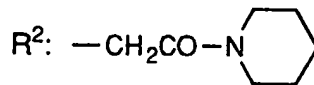
Example 159

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

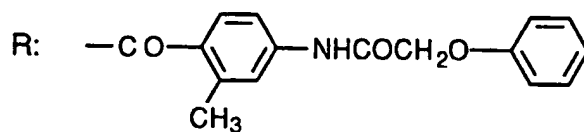
Form: Free

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Example 160

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CONH}(\text{CH}_2)_2\text{N}(\text{C}_2\text{H}_5)_2$

10

R³: H

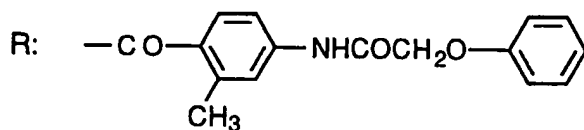
Crystalline form: Colorless amorphous

Form: Free

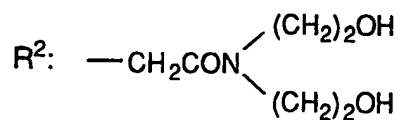
Example 161

15

Structure:



20

X: $-\text{CH}_2-$ R¹: 7-ClR³: H

25

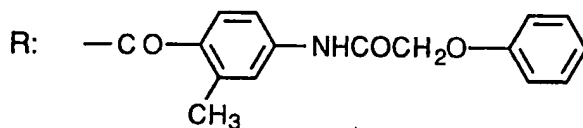
Crystalline form: Colorless amorphous

Form: Free

Example 162

30

Structure:



35

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CONH}_2$ R³: H

Crystalline form: Brown amorphous

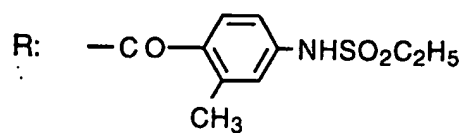
Form: Free

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Example 163

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: HR²: HR³: H

10

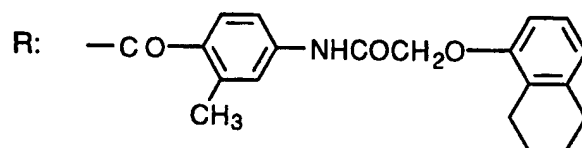
Crystalline form: Brown amorphous

Form: Free

Example 164

Structure:

15



20

X: $\text{---CH}_2\text{---}$ R¹: HR²: HR³: H

Crystalline form: White powder

M.p. 230-232°C (decomposed)

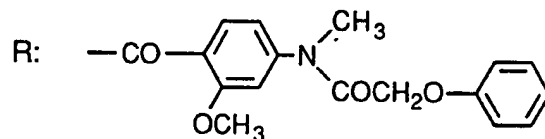
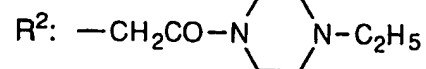
Form: Free

25

Example 165

Structure:

30

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

35

R³: H

Crystalline form: Yellow amorphous

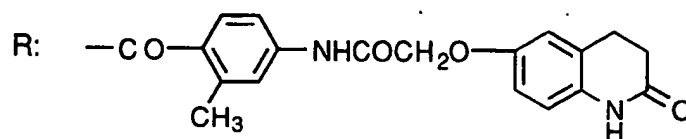
Form: Free

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Example 166

Structure:

5

X: -CH₂-R¹: HR²: HR³: H

10

Crystalline form: White powder

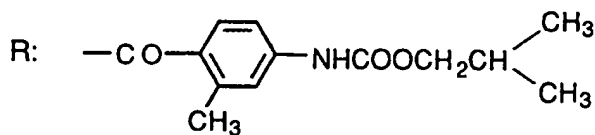
M.p. 243-245°C (decomposed)

Form: Free

Example 167

Structure:

15



20

X: -CH₂-R¹: HR²: HR³: H

Crystalline form: Colorless amorphous

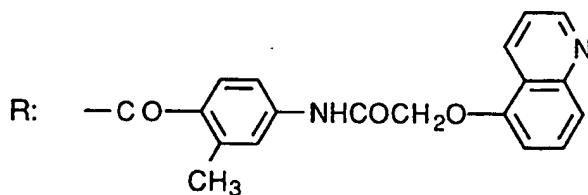
25

Form: Free

Example 168

Structure:

30

X: -CH₂-R¹: HR²: HR³: H

35

Crystalline form: Pale yellow powder

M.p. 203-205°C (decomposed)

Form: Free

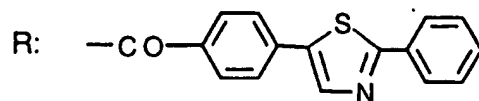
40

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Example 169

Structure:

5

X: -CH₂-R¹: HR²: H

10

R³: H

Crystalline form: Brown powder

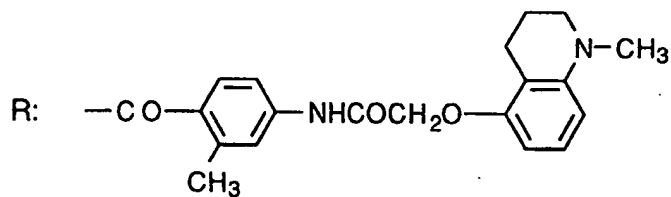
M.p. 178-180°C

Form: Free

Example 170

Structure:

20

X: -CH₂-R¹: HR²: HR³: H

25

Crystalline form: White powder

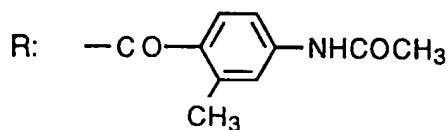
M.p. 202-204°C (decomposed)

Form: Free

Example 171

Structure:

30



35

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: White powder

M.p. 118-120°C

40

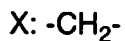
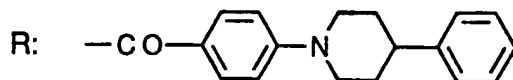
Form: Free

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Example 172

Structure:

5

 $\text{R}^1: \text{H}$ $\text{R}^2: \text{H}$ $\text{R}^3: \text{H}$

10

Crystalline form: White powder

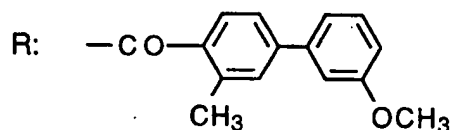
M.p. 179-181°C (decomposed)

Form: Free

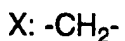
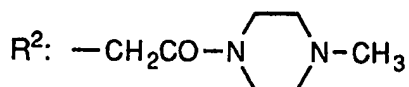
Example 173

15

Structure:



20

 $\text{R}^1: 7\text{-Cl}$  $\text{R}^3: \text{H}$

25

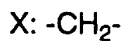
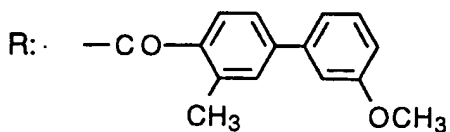
Crystalline form: Colorless amorphous

Form: Free

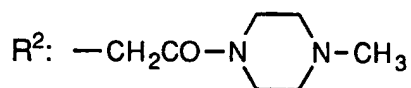
Example 174

30

Structure:

 $\text{R}^1: \text{H}$

35

 $\text{R}^3: \text{H}$

40

Crystalline form: Colorless amorphous

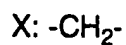
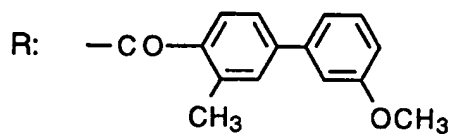
Form: Free

- 275 -

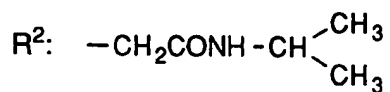
Example 175

Structure:

5



10



Crystalline form: Colorless amorphous

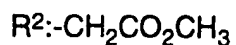
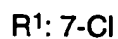
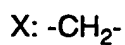
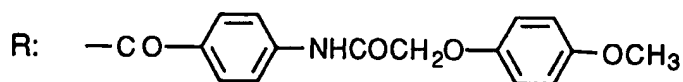
Form: Free

15

Example 176

Structure:

20



Crystalline form: Colorless amorphous

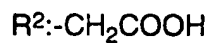
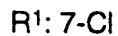
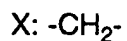
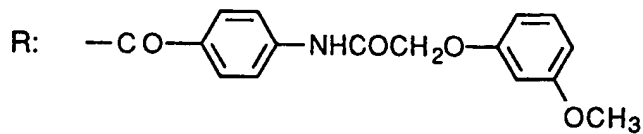
Form: Free

25

Example 177

Structure:

30



Crystalline form: Colorless amorphous

Form: Free

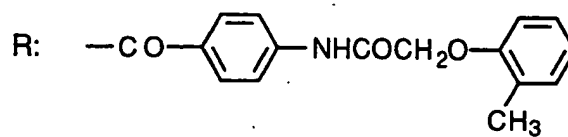
35

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Example 178

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

10

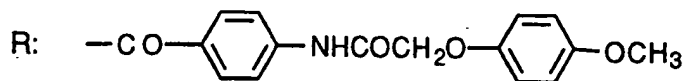
Crystalline form: Colorless amorphous

Form: Free

Example 179

Structure:

15

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

20

R³: H

Crystalline form: Colorless amorphous

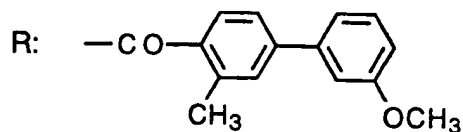
Form: Free

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Example 180

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃

10

R³: H

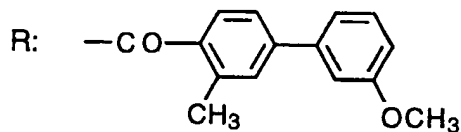
Crystalline form: Colorless amorphous

Form: Free

Example 181

15

Structure:



20

X: -CH₂-R¹: HR²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless amorphous

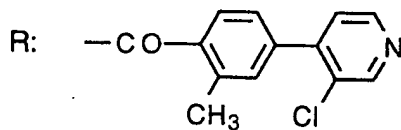
Form: Free

25

Example 182

Structure:

30

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

35

Crystalline form: Pale yellow oil

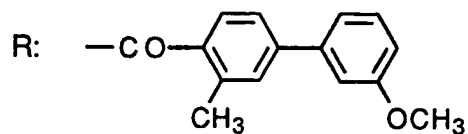
Form: Free

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Example 183

Structure:

5

X: -CH₂-R¹: HR²: -CH₂COOH

10

R³: H

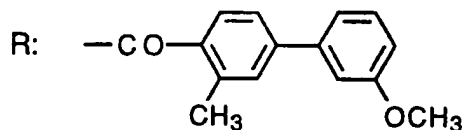
Crystalline form: Colorless amorphous

Form: Free

Example 184

15

Structure:



20

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

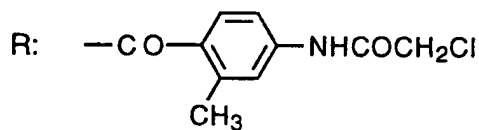
Form: Free

25

Example 185

Structure:

30

X: -CH₂-R¹: HR²: HR³: H

35

Crystalline form: Colorless amorphous

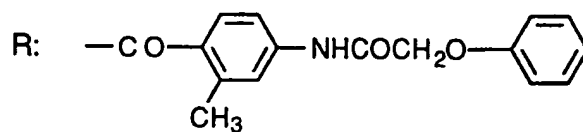
Form: Free

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Example 186

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

10

R³: H

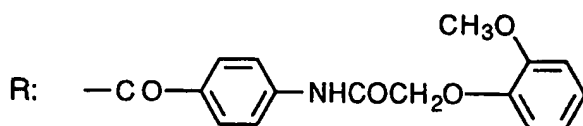
Crystalline form: Colorless amorphous

Form: Free

Example 187

15

Structure:

X: -CH₂-R¹: 7-Cl

20

R²: -CH₂CO₂CH₃R³: H

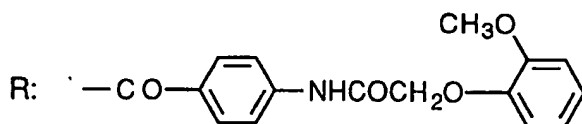
Crystalline form: Colorless amorphous

Form: Free

Example 188

25

Structure:



30

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

35

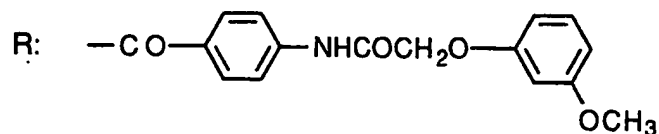
Form: Free

- 280 -

Example 189

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃

10

R³: H

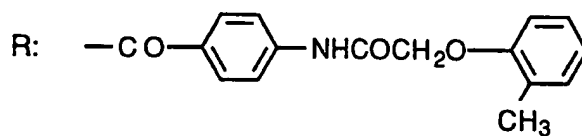
Crystalline form: Colorless amorphous

Form: Free

Example 190

15

Structure:



20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless amorphous

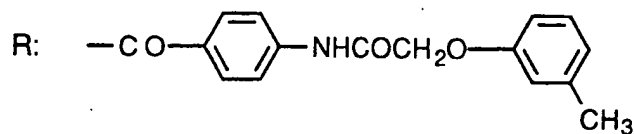
Form: Free

25

Example 191

Structure:

30

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

35

Crystalline form: White powder

M.p. 130-132°C

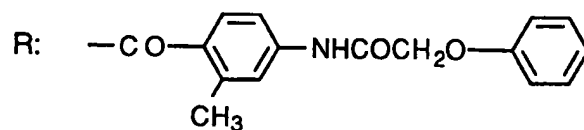
Form: Free

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Example 192

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

10

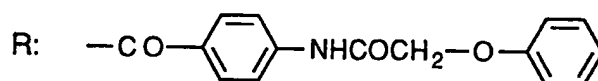
Crystalline form: Colorless amorphous

Form: Free

Example 193

Structure:

15

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

20

R³: H

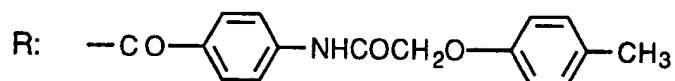
Crystalline form: Colorless amorphous

Form: Free

Example 194

Structure:

25

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

30

R³: H

Crystalline form: Colorless amorphous

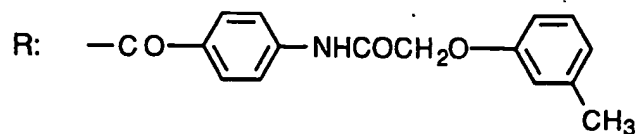
Form: Free

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Example 195

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$ R³: H

10

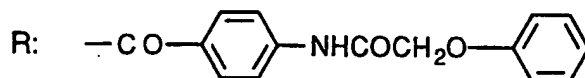
Crystalline form: Colorless amorphous

Form: Free

Example 196

Structure:

15

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$

20

R³: H

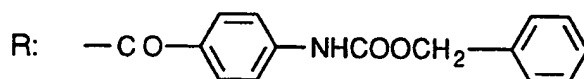
Crystalline form: Colorless amorphous

Form: Free

Example 197

Structure:

25

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$

30

R³: H

Crystalline form: White powder

Form: Free

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Example 198

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: 7-ClR²: $\text{---CH}_2\text{CO}_2\text{CH}_3$ R³: H

10

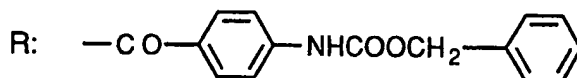
Crystalline form: Colorless amorphous

Form: Free

Example 199

Structure:

15

X: $\text{---CH}_2\text{---}$ R¹: 7-ClR²: $\text{---CH}_2\text{CO}_2\text{CH}_3$

20

R³: H

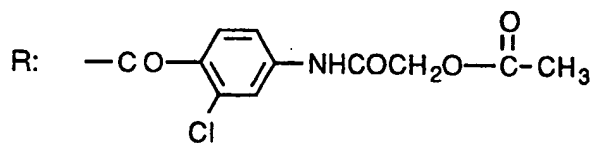
Crystalline form: White powder

Form: Free

Example 200

25

Structure:



30

X: $\text{---CH}_2\text{---}$ R¹: HR²: HR³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol

35

M.p. 188-190°C

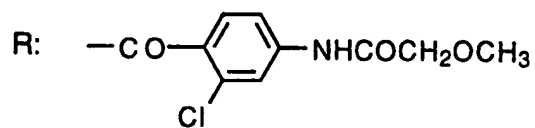
Form: Free

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Example 201

Structure:

5

X: -CH₂-R¹: HR²: H

10

R³: H

Crystalline form: Colorless amorphous

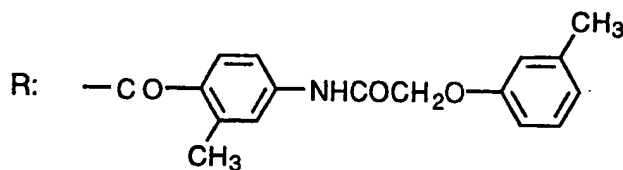
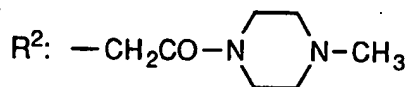
Form: Free

Example 202

15

Structure:

20

X: -CH₂-R¹: H

25

R³: H

Crystalline form: Colorless amorphous

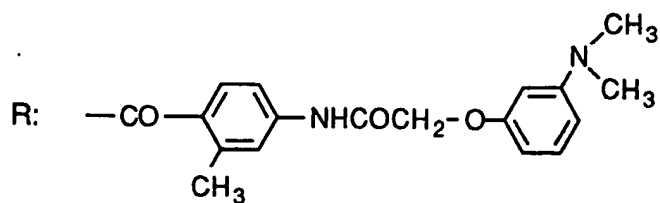
Form: Hydrochloride

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Example 203

Structure:

5

X: -CH₂-R¹: H

10

R²: HR³: H

Crystalline form: Colorless amorphous

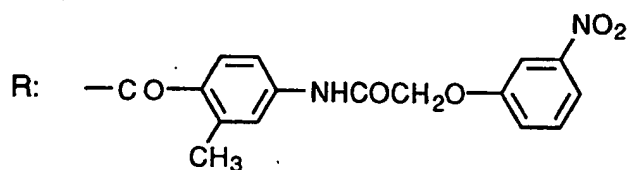
Form: Free

15

Example 204

Structure:

20

X: -CH₂-R¹: HR²: HR³: H

Crystalline form: White powder

25

Solvent for recrystallization: Ethanol

M.p. 186.5-188°C

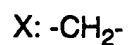
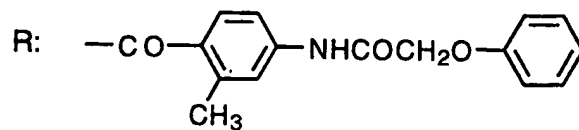
Form: Free

- 286 -

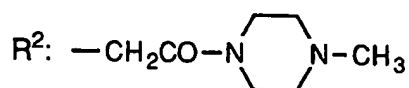
Example 205

Structure:

5



10



Crystalline form: Colorless amorphous

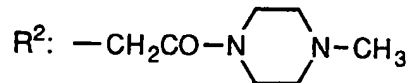
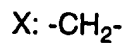
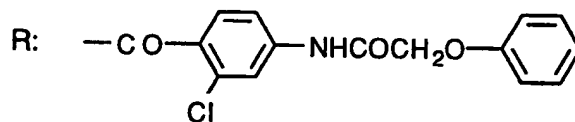
Form: Hydrochloride

15

Example 206

Structure:

20



25



Crystalline form: Yellow amorphous

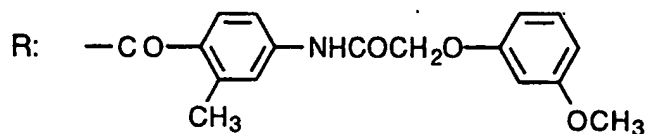
Form: Hydrochloride

- 287 -

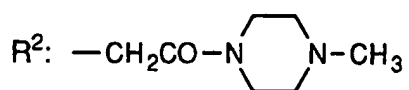
Example 207

Structure:

5

X: $-\text{CH}_2-$ R¹: H

10

R³: H

Crystalline form: Colorless amorphous

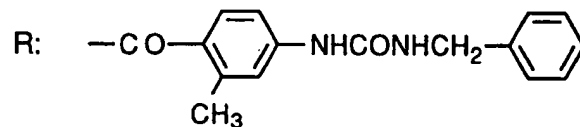
Form: Hydrochloride

15

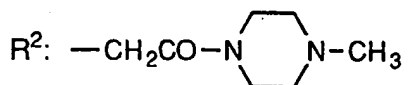
Example 208

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

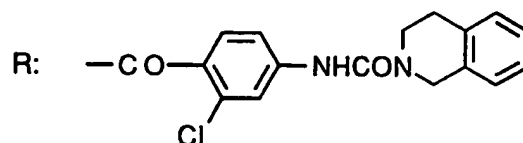
Form: Free

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Example 209

Structure:

5

X: -CH₂-R¹: HR²: HR³: H

10

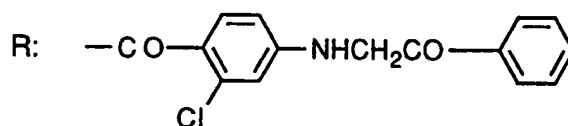
Crystalline form: Colorless amorphous

Form: Free

Example 210

Structure:

15

X: -CH₂-R¹: H

20

R²: HR³: H

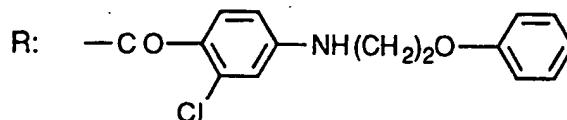
Crystalline form: Colorless amorphous

Form: Free

Example 211

Structure:

25

X: -CH₂-R¹: H

30

R²: HR³: H

Crystalline form: White powder

Form: Free

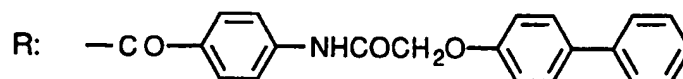
35

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Example 212

Structure:

5

X: -CH₂-R¹: HR²: HR³: H

Crystalline form: Colorless needles

10

Solvent for recrystallization: Ethyl acetate

M.p. 204-205°C

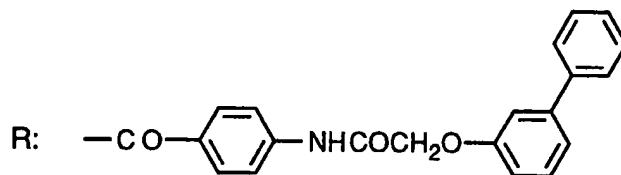
Form: Free

Example 213

15

Structure:

20

X: -CH₂-R¹: HR²: HR³: H

Crystalline form: Colorless prisms

25

Solvent for recrystallization: Ethyl acetate

M.p. 157.5-159°C

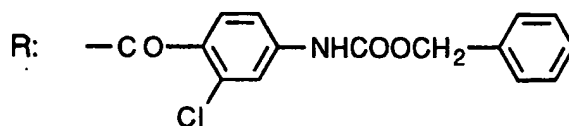
Form: Free

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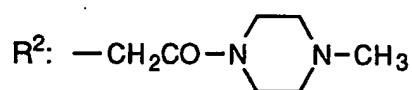
Example 214

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

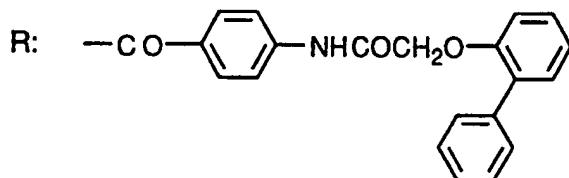
Form: Free

15

Example 215

Structure:

20

X: -CH₂-R¹: HR²: H

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethyl acetate

M.p. 168.5-169.5°C

Form: Free

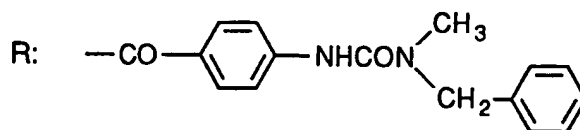
30

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Example 216

Structure:

5

X: -CH₂-R¹: HR²: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethyl acetate

M.p. 177-178°C

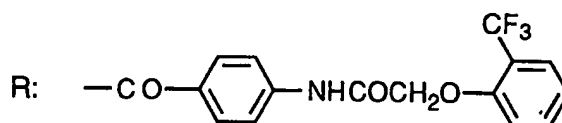
Form: Free

15

Example 217

Structure:

20

X: -CH₂-R¹: HR²: HR³: H

Crystalline form: Yellow powder

M.p. 195.5-197°C

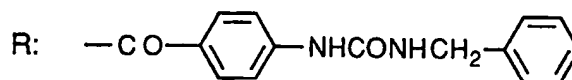
Form: Free

25

Example 218

Structure:

30

X: -CH₂-R¹: HR²: H

35

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol

M.p. 177-178°C

Form: Free

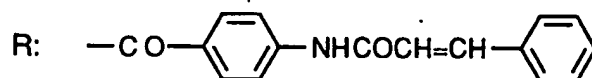
40

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Example 219

Structure:

5

X: $-\text{CH}_2-$ R¹: HR²: HR³: H

10

Crystalline form: White powder

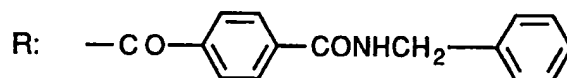
M.p. 234-234.5°C

Form: Free

Example 220

15

Structure:

X: $-\text{CH}_2-$ R¹: H

20

R²: HR³: H

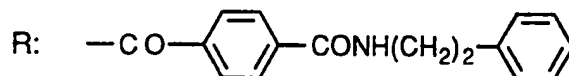
Crystalline form: Colorless amorphous

Form: Free

Example 221

25

Structure:



30

X: $-\text{CH}_2-$ R¹: HR²: HR³: H

Crystalline form: White powder

M.p. 143-144.5°C

35

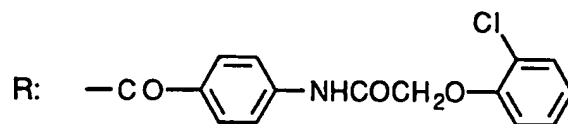
Form: Free

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Example 222

Structure:

5

X: -CH₂-R¹: HR²: H

10

R³: H

Crystalline form: Slightly orange powder

Solvent for recrystallization: Acetone/diethyl ether

M.p. 231.5-233°C

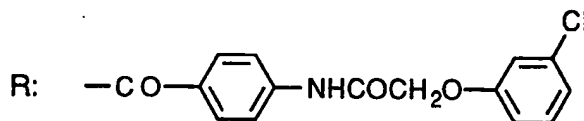
Form: Free

15

Example 223

Structure:

20

X: -CH₂-R¹: HR²: HR³: H

25

Crystalline form: White powder

Solvent for recrystallization: Ethanol

M.p. 164-165°C

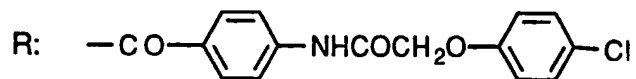
Form: Free

- 294 -

Example 224

Structure:

5

X: $-\text{CH}_2-$ R¹: HR²: HR³: H

10

Crystalline form: Slightly orange powder

Solvent for recrystallization: Ethanol

M.p. 175-176.5°C

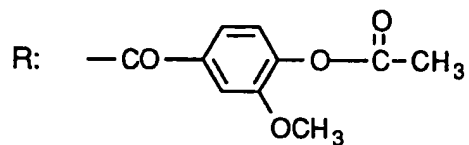
Form: Free

15

Example 225

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-ClR²: HR³: H

25

Crystalline form: White powder

Solvent for recrystallization: Ethanol

M.p. 127.5-128.5°C

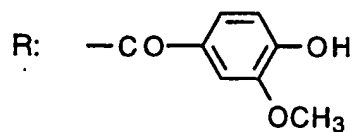
Form: Free

- 295 -

Example 226

Structure:

5

X: -CH₂-R¹: 7-ClR²: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol

M.p. 176-177°C

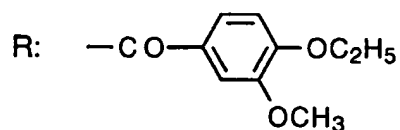
Form: Free

15

Example 227

Structure:

20

X: -CH₂-R¹: 7-ClR²: H

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol

M.p. 104.5-105.5°C

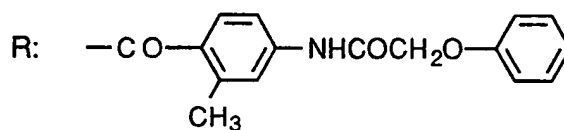
Form: Free

30

Example 228

Structure:

35

X: -CH₂-R¹: HR²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

Form: Free

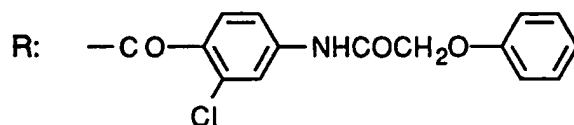
40

- 296 -

Example 229

Structure:

5

X: -CH₂-R¹: HR²: -CH₂COOHR³: H

10

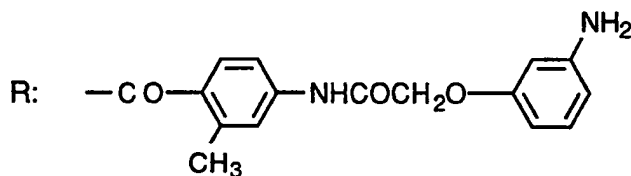
Crystalline form: Yellow amorphous

Form: Free

Example 230

Structure:

15

X: -CH₂-R¹: HR²: HR³: H

20

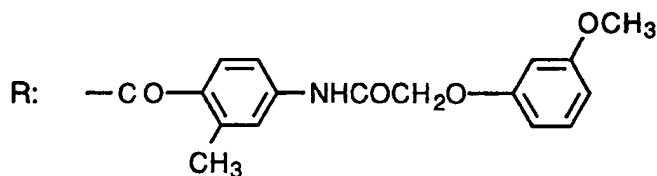
Crystalline form: White powder

Form: Free

Example 231

Structure:

25



30

X: -CH₂-R¹: HR²: -CH₂CO₂HR³: H

35

Crystalline form: Yellow amorphous

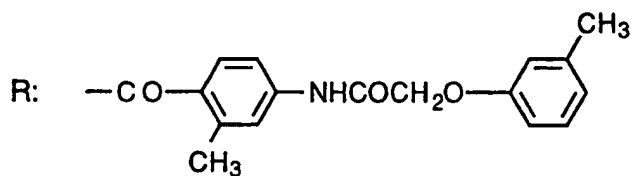
Form: Free

- 297 -

Example 232

Structure:

5



10

X: -CH₂-R¹: HR²: -CH₂COOHR³: H

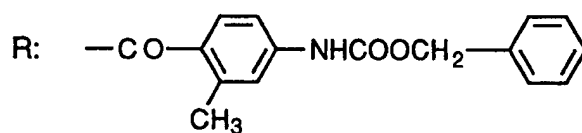
Crystalline form: Yellow amorphous

Form: Free

Example 233

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂HR³: H

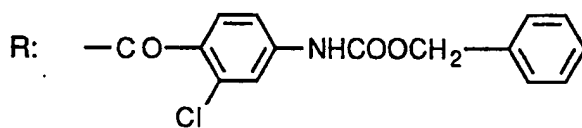
Crystalline form: White powder

Form: Free

Example 234

Structure:

30

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂HR³: H

Crystalline form: Colorless amorphous

Form: Free

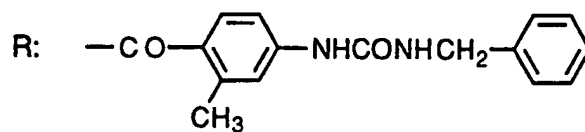
35

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Example 235

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CO}_2\text{H}$

10

R³: H

Crystalline form: Colorless amorphous

Form: Free

Example 236

15

Structure:

X: $-\text{CH}_2-$ R¹: H

20

R²: HR³: H

Crystalline form: Brown powder

M.p. 156-159°C

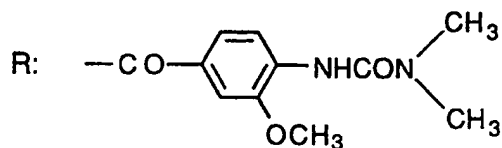
Form: Free

25

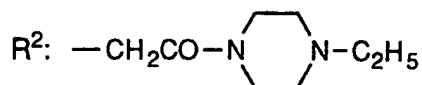
Example 237

Structure:

30

X: $-\text{CH}_2-$ R¹: 7-Cl

35

R³: H

Crystalline form: Colorless amorphous

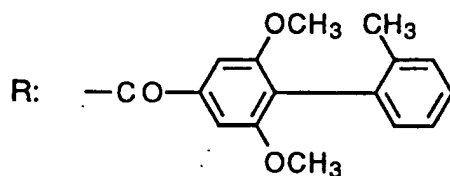
Form: Free

- 299 -

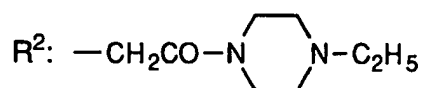
Example 238

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

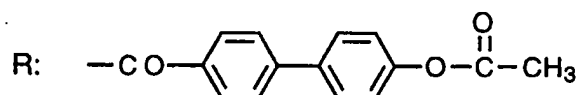
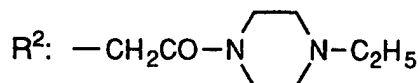
15

Form: Hydrochloride

Example 239

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

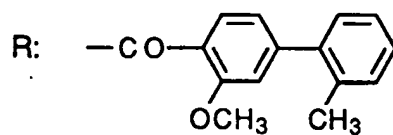
Form: Free

- 300 -

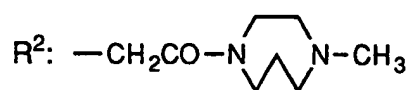
Example 240

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

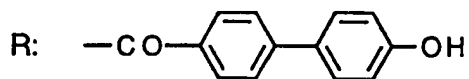
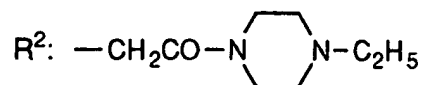
Form: Hydrochloride

15

Example 241

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

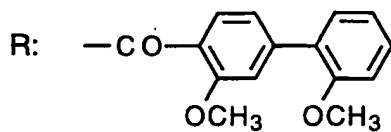
Form: Free

- 301 -

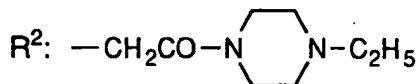
Example 242

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

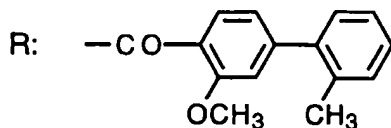
Form: Hydrochloride

15

Example 243

Structure:

20

X: -CH₂-R¹: 7-ClR²: -OCH₂CO₂CH₃R³: H

25

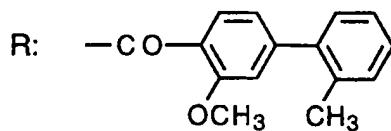
Crystalline form: Colorless amorphous

Form: Free

Example 244

Structure:

30

X: -CH₂-R¹: 7-ClR²: -OCH₂COOHR³: H

35

Crystalline form: Colorless amorphous

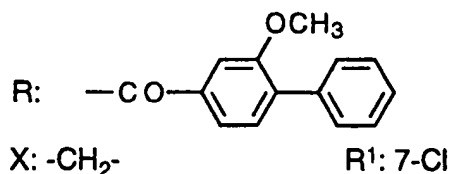
Form: Free

- 302 -

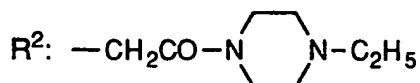
Example 245

Structure:

5



10

R³: H

Crystalline form: Colorless amorphous

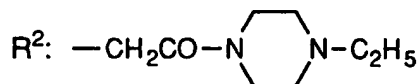
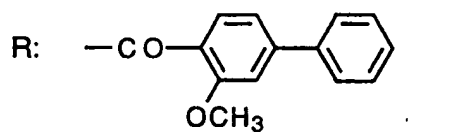
Form: Hydrochloride

15

Example 246

Structure:

20



25

R³: H

Crystalline form: Colorless amorphous

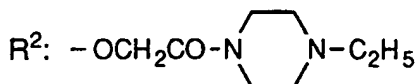
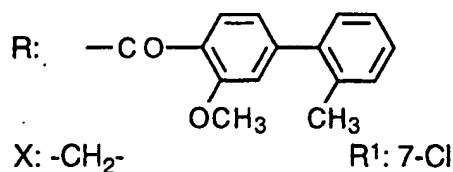
Form: Hydrochloride

30

Example 247

Structure:

35



40

R³: H

Crystalline form: Colorless amorphous

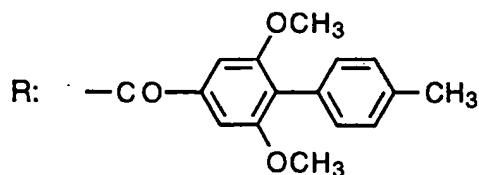
Form: Hydrochloride

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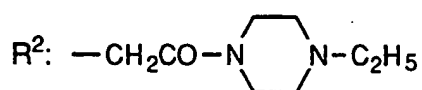
Example 248

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

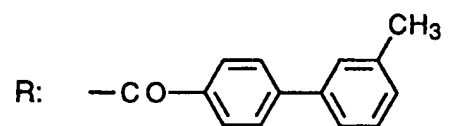
15

Form: Hydrochloride

Example 249

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-ClR²: HR³: H

25

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 84-85.5°C

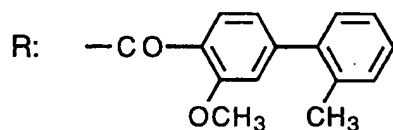
Form: Free

30

Example 250

Structure:

35

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CONH}(\text{CH}_2)_2\text{N}(\text{C}_2\text{H}_5)_2$ R³: H

Crystalline form: Colorless amorphous

40

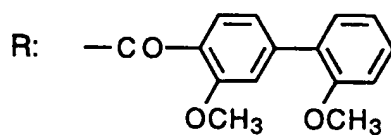
Form: Hydrochloride

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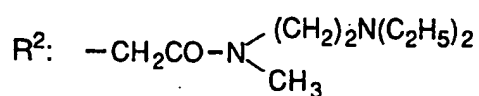
Example 251

Structure:

5

 $\text{X: } -\text{CH}_2-$ $\text{R}^1: 7\text{-Cl}$

10

 $\text{R}^3: \text{H}$

Crystalline form: Colorless amorphous

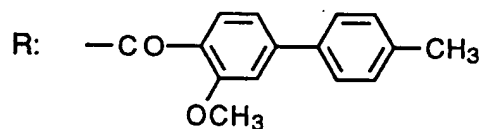
Form: Hydrochloride

15

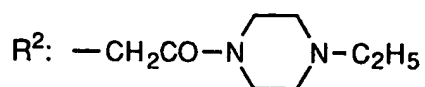
Example 252

Structure:

20

 $\text{X: } -\text{CH}_2-$ $\text{R}^1: 7\text{-Cl}$

25

 $\text{R}^3: \text{H}$

Crystalline form: Colorless amorphous

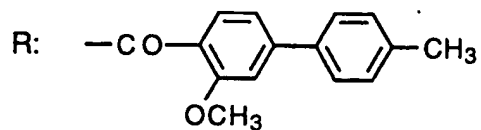
Form: Hydrochloride

- 305 -

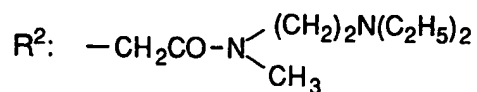
Example 253

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

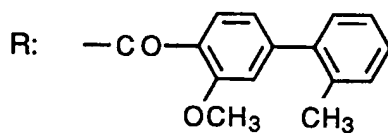
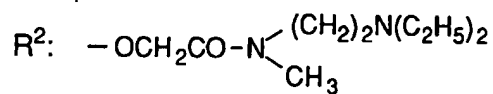
Form: Hydrochloride

15

Example 254

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

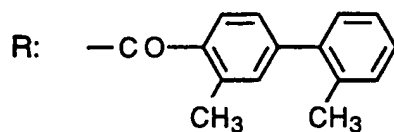
Form: Hydrochloride

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Example 255

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CONHC₂H₅

10

R³: H

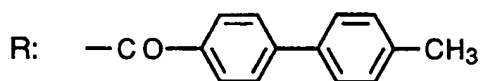
Crystalline form: Colorless amorphous

Form: Free

Example 256

15

Structure:

X: -CH₂-R¹: 7-Cl

20

R²: HR³: H

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 181.5-182°C

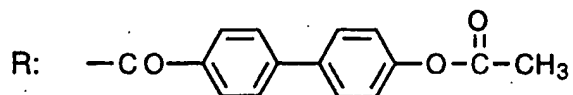
Form: Free

25

Example 257

Structure:

30

X: -CH₂-R¹: 7-ClR²: HR³: H

35

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 181-182°C

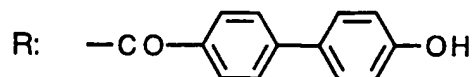
Form: Free

- 307 -

Example 258

Structure:

5

X: -CH₂-R¹: 7-ClR²: HR³: H

10

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

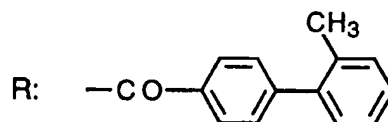
M.p. 262-265°C

Form: Free

Example 259

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

25

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

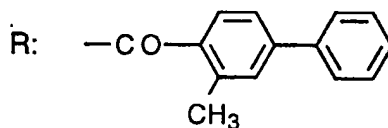
M.p. 159-160°C

Form: Free

Example 260

Structure:

30



35

X: -CH₂-R¹: 7-ClR²: -CH₂CONHC₂H₅R³: H

Crystalline form: Coloreless amorphous

Form: Free

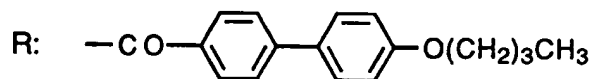
40

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Example 261

Structure:

5

X: -CH₂-R¹: 7-ClR²: HR³: H

10

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

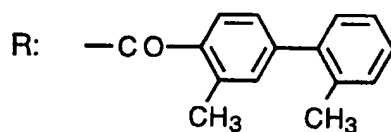
M.p. 153°C

Form: Free

Example 262

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

25

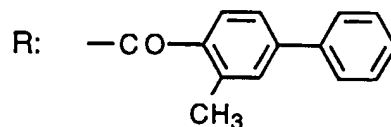
Crystalline form: Colorless amorphous

Form: Free

Example 263

Structure:

30

X: -CH₂-R¹: 7-ClR²: H

35

R³: H

Crystalline form: Colorless amorphous

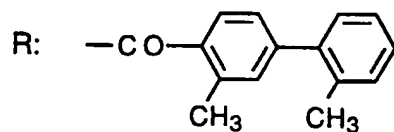
Form: Free

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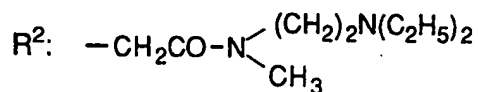
Example 264

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

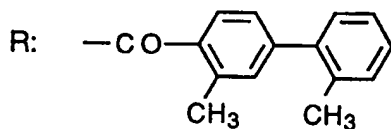
Form: Hydrochloride

15

Example 265

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CONHCH}_3$ R³: H

25

Crystalline form: Colorless amorphous

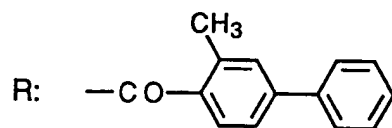
Form: Free

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Example 266

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CONH₂

10

R³: H

Crystalline form: Colorless amorphous

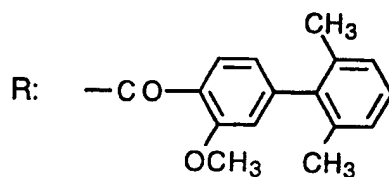
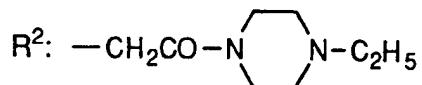
Form: Free

Example 267

15

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

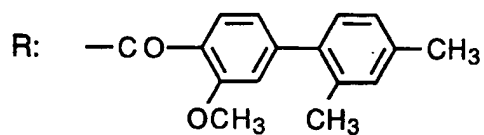
Form: Hydrochloride

- 311 -

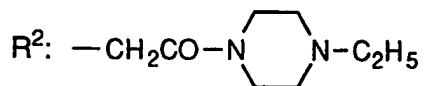
Example 268

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

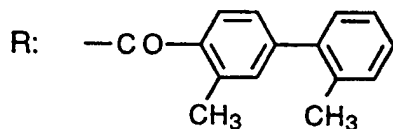
Form: Hydrochloride

15

Example 269

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CONH₂R³: H

25

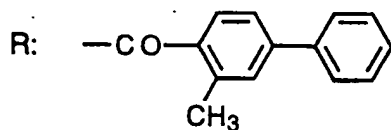
Crystalline form: Colorless amorphous

Form: Free

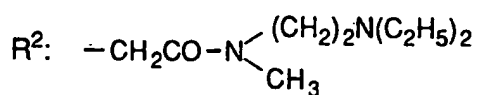
- 312 -

Example 270
Structure:

5

 $\text{X: } \text{---CH}_2\text{---}$ $\text{R}^1: 7\text{-Cl}$

10

 $\text{R}^3: \text{H}$

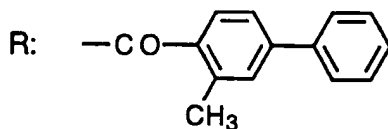
Crystalline form: Colorless amorphous

Form: Hydrochloride

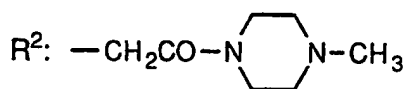
15

Example 271
Structure:

20

 $\text{X: } \text{---CH}_2\text{---}$ $\text{R}^1: 7\text{-Cl}$

25

 $\text{R}^3: \text{H}$

Crystalline form: Colorless amorphous

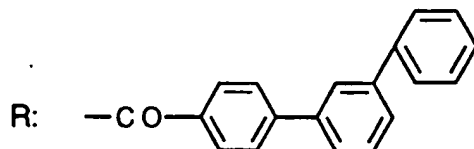
Form: Hydrochloride

- 313 -

Example 272

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CONHC₂H₅

10

R³: H

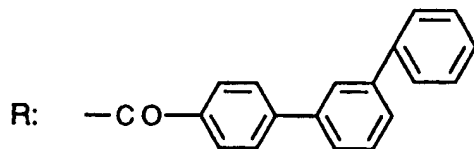
Crystalline form: Colorless amorphous

Form: Free

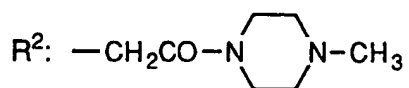
Example 273

15

Structure:



20

X: -CH₂-R¹: 7-ClR³: H

25

Crystalline form: Colorless amorphous

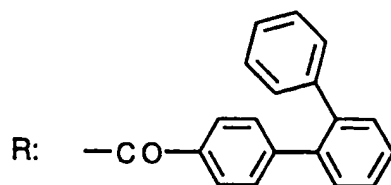
Form: Hydrochloride

- 314 -

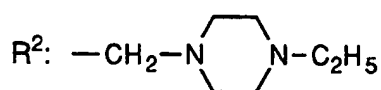
Example 274

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

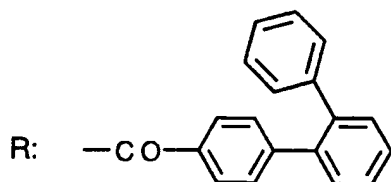
15

Form: Hydrochloride

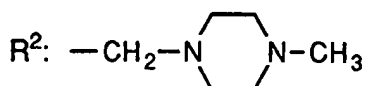
Example 275

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

30

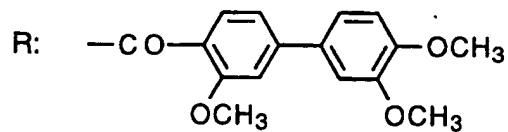
Form: Hydrochloride

- 315 -

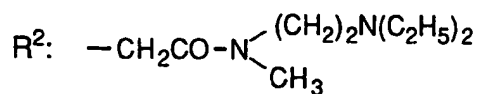
Example 276

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

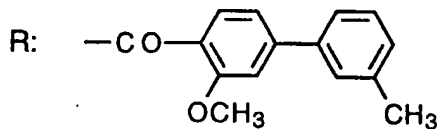
Form: Hydrochloride

15

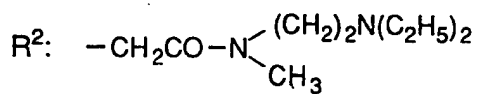
Example 277

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

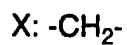
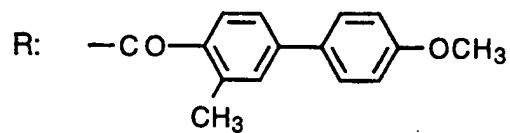
Form: Hydrochloride

- 316 -

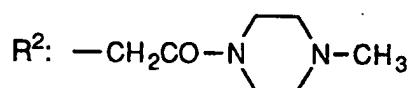
Example 278

Structure:

5



10



Crystalline form: Colorless amorphous

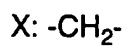
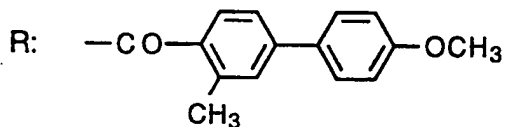
Form: Hydrochloride

15

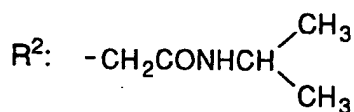
Example 279

Structure:

20



25



Crystalline form: Colorless amorphous

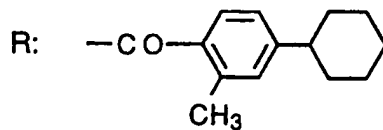
Form: Free

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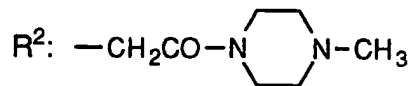
Example 280

Structure:

5

X: -CH₂-R¹: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol/n-hexane

15

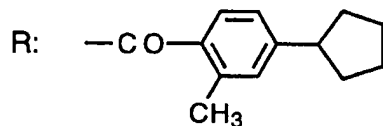
M.p. 218-221°C

Form: Hydrochloride

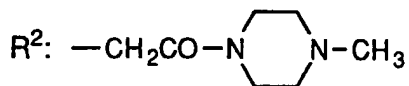
Example 281

Structure:

20

X: -CH₂-R¹: H

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

30

M.p. 214-217°C

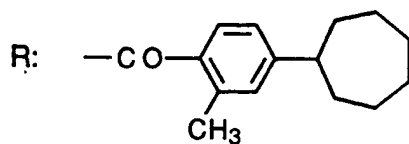
Form: Hydrochloride

- 318 -

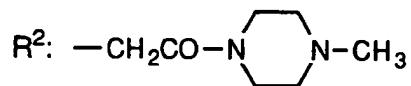
Example 282

Structure:

5

X: -CH₂-R¹: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

15

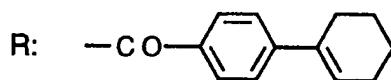
M.p. 204-206°C

Form: Hydrochloride

Example 283

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CONHCH₃

25

R³: H

Crystalline form: Colorless amorphous

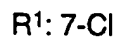
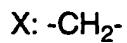
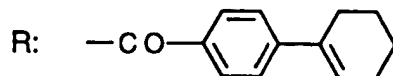
Form: Free

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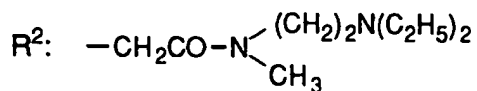
Example 284

Structure:

5



10



Crystalline form: Colorless amorphous

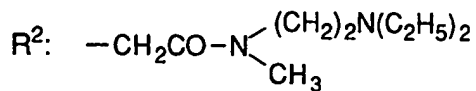
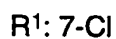
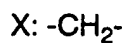
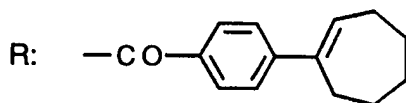
Form: Hydrochloride

15

Example 285

Structure:

20



25



Crystalline form: Colorless amorphous

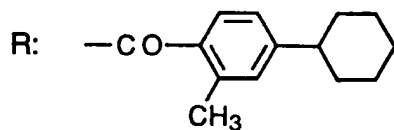
Form: Hydrochloride

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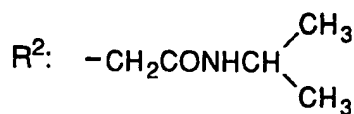
Example 286

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: H

10

R³: H

Crystalline form: Colorless amorphous

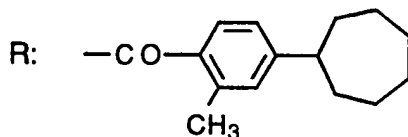
Form: Free

15

Example 287

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: HR²: $\text{---CH}_2\text{CONHC}_2\text{H}_5$ R³: H

25

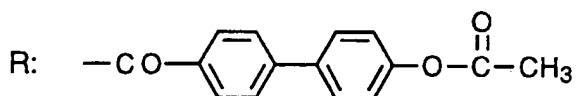
Crystalline form: Colorless amorphous

Form: Free

Example 288

Structure:

30

X: $\text{---CH}_2\text{---}$ R¹: 7-ClR²: $\text{---CH}_2\text{COOH}$ R³: H

35

Crystalline form: Colorless amorphous

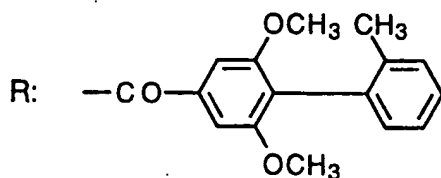
Form: Free

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Example 289

Structure:

5



10

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$ R³: H

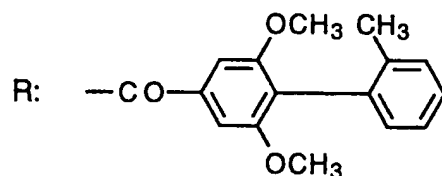
Crystalline form: Colorless amorphous

Form: Free

Example 290

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$ R³: H

Crystalline form: White powder

Solvent for recrystallization: Methanol/diethyl ether

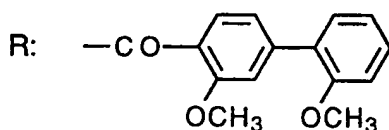
M.p. 240-242°C

Form: Free

Example 291

Structure:

30

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$ R³: H

Crystalline form: Colorless amorphous

Form: Free

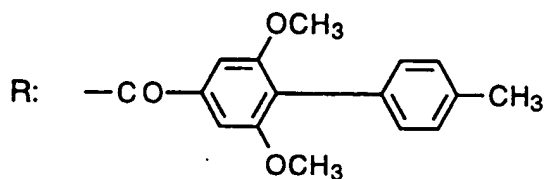
35

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Example 292

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃

10

R³: H

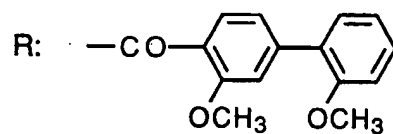
Crystalline form: Colorless amorphous

Form: Free

Example 293

15

Structure:



20

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

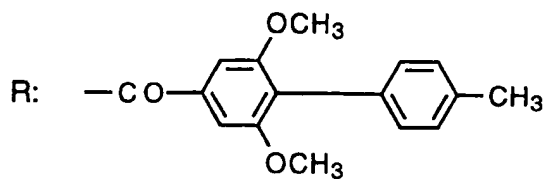
Form: Free

25

Example 294

Structure:

30

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

35

R³: H

Crystalline form: Colorless amorphous

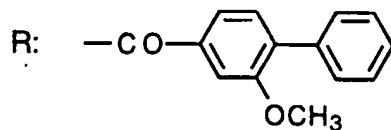
Form: Free

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Example 295

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$

10

R³: H

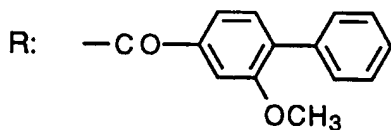
Crystalline form: Colorless amorphous

Form: Free

Example 296

15

Structure:



20

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$ R³: H

Crystalline form: Colorless amorphous

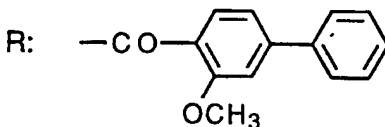
Form: Free

25

Example 297

Structure:

30

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$

35

R³: H

Crystalline form: Colorless amorphous

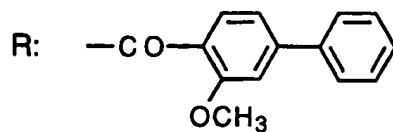
Form: Free

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Example 298

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

10

R³: H

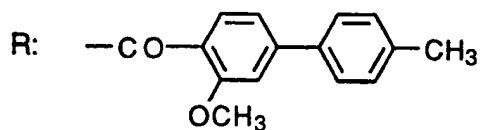
Crystalline form: Colorless amorphous

Form: Free

Example 299

15

Structure:



20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless amorphous

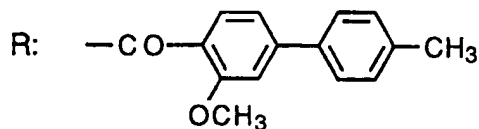
Form: Free

25

Example 300

Structure:

30

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

35

Crystalline form: Colorless amorphous

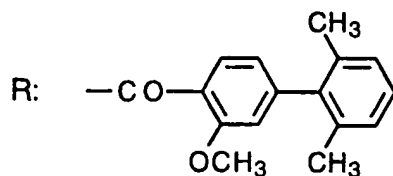
Form: Free

- 325 -

Example 301

Structure:

5



10

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless amorphous

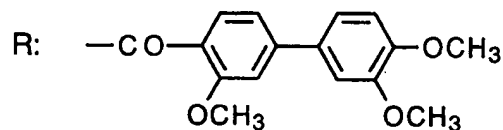
Form: Free

15

Example 302

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless amorphous

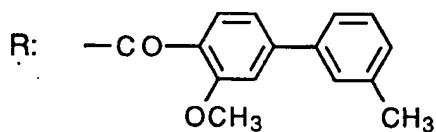
Form: Free

25

Example 303

Structure:

30

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless amorphous

Form: Free

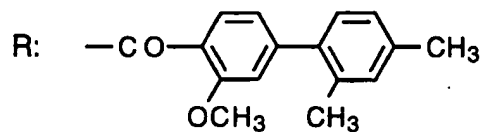
35

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Example 304

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃

10

R³: H

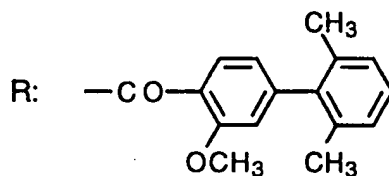
Crystalline form: Colorless amorphous

Form: Free

Example 305

15

Structure:



20

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

Crystalline form: Colorless prisms

25

Solvent for recrystallization: Acetone/n-hexane

M.p. 251-253°C

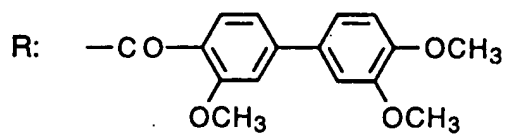
Form: Free

- 327 -

Example 306

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 128-131°C

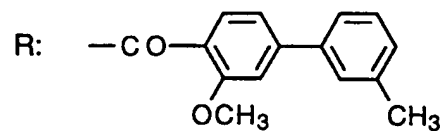
Form: Free

15

Example 307

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

25

Crystalline form: Colorless amorphous

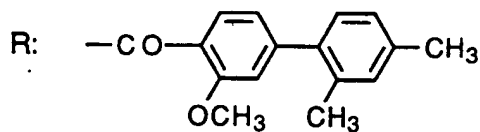
Form: Free

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Example 308

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

10

Crystalline form: Colorless prisms

Solvent for recrystallization: Ethanol

M.p. 224-225°C

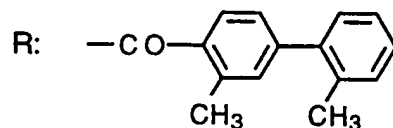
Form: Free

15

Example 309

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

25

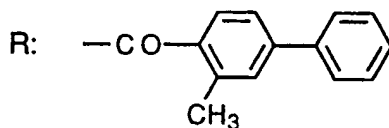
Crystalline form: Colorless amorphous

Form: Free

Example 310

Structure:

30

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

35

Crystalline form: Colorless amorphous

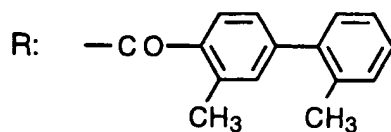
Form: Free

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Example 311

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

10

R³: H

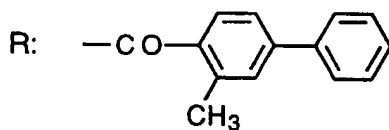
Crystalline form: Colorless amorphous

Form: Free

Example 312

15

Structure:



20

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

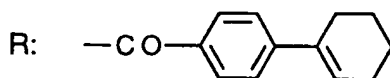
Form: Free

25

Example 313

Structure:

30

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless amorphous

35

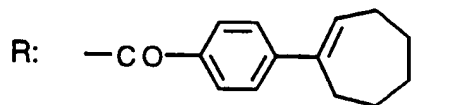
Form: Free

- 330 -

Example 314

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$ R³: H

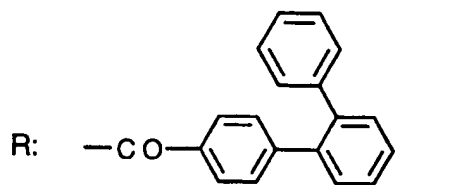
10

Crystalline form: Colorless amorphous
Form: Free

Example 315

Structure:

15



20

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$ R³: H

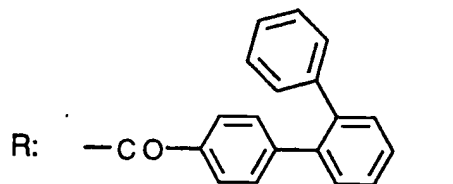
Crystalline form: White powder
Form: Free

25

Example 316

Structure:

30

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$ R³: H

35

Crystalline form: Colorless prisms
Solvent for recrystallization: Acetone/n-hexane
M.p. 124-128°C
Form: Free

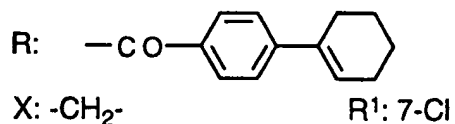
40

- 331 -

Example 317

Structure:

5

R²: -CH₂COOHR³: H

Crystalline form: White powder

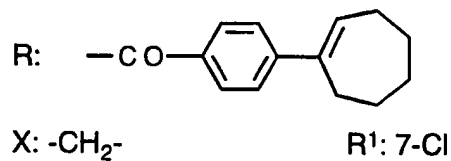
10

Form: Free

Example 318

Structure:

15

R²: -CH₂COOHR³: H

20

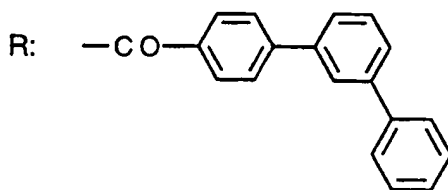
Crystalline form: Colorless amorphous

Form: Free

Example 319

Structure:

25



30

R²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless amorphous

Form: Free

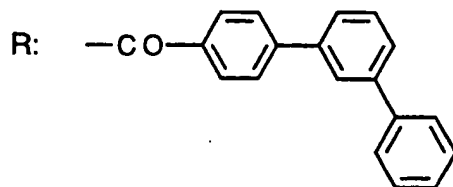
35

- 332 -

Example 320

Structure:

5



10

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$ R³: H

Crystalline form: Colorless amorphous

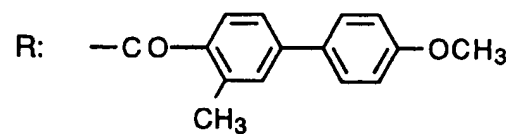
Form: Free

15

Example 321

Structure:

20

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$ R³: H

Crystalline form: Colorless amorphous

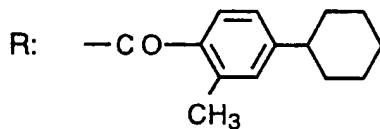
Form: Free

25

Example 322

Structure:

30

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$ R³: H

35

Crystalline form: Colorless amorphous

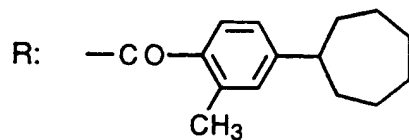
Form: Free

- 333 -

Example 323

Structure:

5

X: -CH₂-R¹: HR²: -CH₂CO₂CH₃

10

R³: H

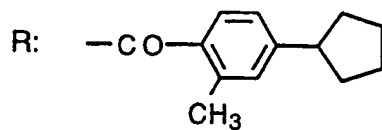
Crystalline form: Colorless amorphous

Form: Free

Example 324

Structure:

15



20

X: -CH₂-R¹: HR²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless amorphous

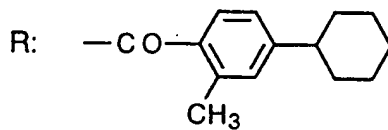
Form: Free

25

Example 325

Structure:

30

X: -CH₂-R¹: HR²: -CH₂COOHR³: H

35

Crystalline form: Colorless amorphous

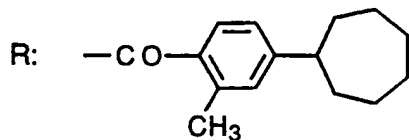
Form: Free

- 334 -

Example 326

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$

10

R³: H

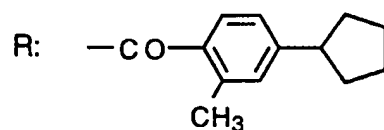
Crystalline form: Colorless amorphous

Form: Free

Example 327

15

Structure:



20

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$ R³: H

Crystalline form: Colorless amorphous

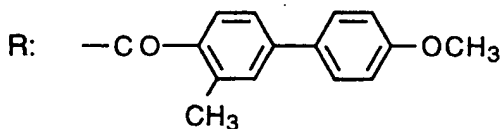
Form: Free

25

Example 328

Structure:

30

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{COOH}$ R³: H

35

Crystalline form: Colorless amorphous

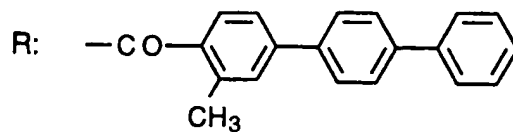
Form: Free

- 335 -

Example 329

Structure:

5

X: -CH₂-R¹: HR²: -CH₂CO₂CH₃

10

R³: H

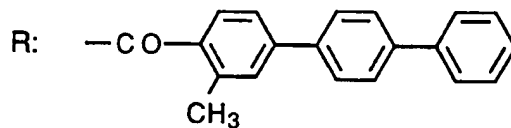
Crystalline form: Colorless amorphous

Form: Free

Example 330

15

Structure:



20

X: -CH₂-R¹: HR²: -CH₂COOHR³: H

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

25

M.p. 203-204°C

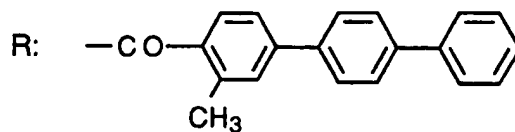
Form: Free

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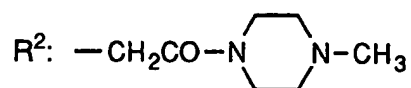
Example 331

Structure:

5

 $\text{X: } -\text{CH}_2-$ $\text{R}^1: \text{H}$

10

 $\text{R}^3: \text{H}$

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

15

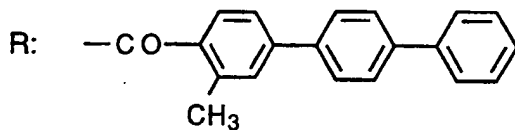
M.p. 255-258°C

Form: Hydrochloride

Example 332

Structure:

20

 $\text{X: } -\text{CH}_2-$ $\text{R}^1: \text{H}$

25

 $\text{R}^2: -\text{CH}_2\text{CONHC}_2\text{H}_5$ $\text{R}^3: \text{H}$

Crystalline form: Colorless amorphous

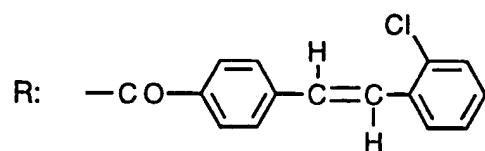
Form: Free

- 337 -

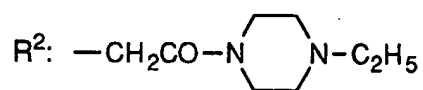
Example 333

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless oil

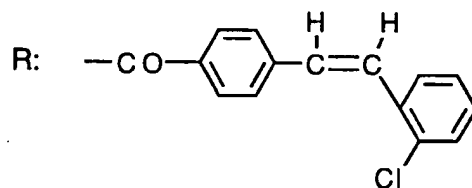
Form: Free

15

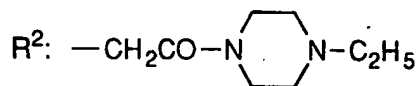
Example 334

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

Form: Hydrochloride

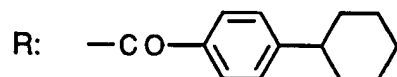
30

- 338 -

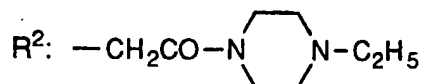
Example 335

Structure:

5

 $X: =CH-$ $R^1: 7\text{-Cl}$

10

 $R^3: H$

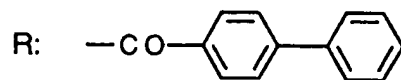
Crystalline form: Colorless amorphous

Form: Hydrochloride

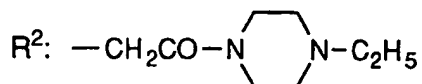
15

Example 336

Structure:



20

 $X: =CH-$ $R^1: 7\text{-Cl}$  $R^3: H$

25

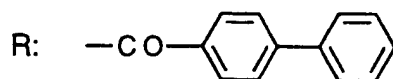
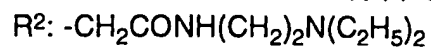
Crystalline form: Colorless amorphous

Form: Hydrochloride

Example 337

Structure:

30

 $X: =CH-$ $R^1: 7\text{-Cl}$ 

35

 $R^3: H$

Crystalline form: Colorless amorphous

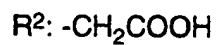
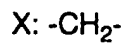
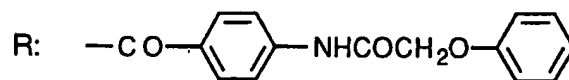
Form: Free

- 339 -

Example 338

Structure:

5



10

Crystalline form: White powder

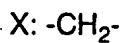
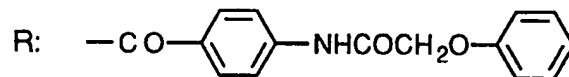
M.p. 102-106°C

Form: Free

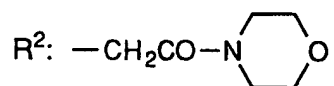
Example 339

15

Structure:



20



25

Crystalline form: Colorless amorphous

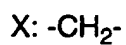
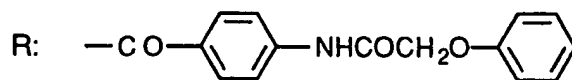
Form: Free

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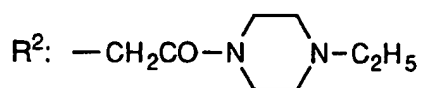
Example 340

Structure:

5



10



Crystalline form: Colorless amorphous

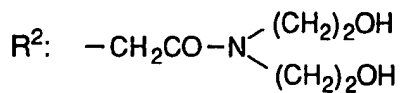
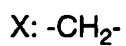
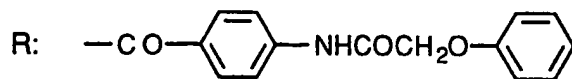
Form: Hydrochloride

15

Example 341

Structure:

20



25



Crystalline form: Colorless amorphous

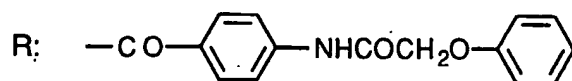
Form: Free

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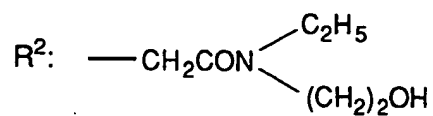
Example 342

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: H

10

R³: H

Crystalline form: Colorless amorphous

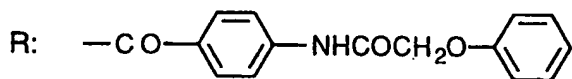
Form: Free

15

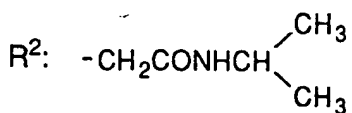
Example 301

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: H

25

R³: H

Crystalline form: Colorless needles

Solvent for recrystallization: Dichloromethane/ethanol/diethyl ether

M.p. 190-193°C

30

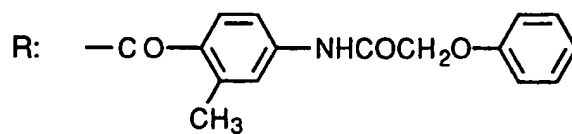
Form: Free

- 342 -

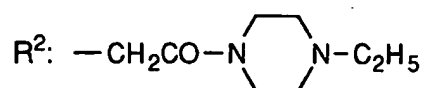
Example 344

Structure:

5

X: $-\text{CH}_2-$ R¹: H

10

R³: H

Crystalline form: Coloreless oil

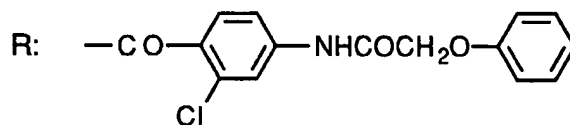
Form: Free

15

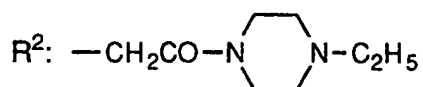
Example 345

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-Cl

25

R³: H

Crystalline form: Colorless viscous oil

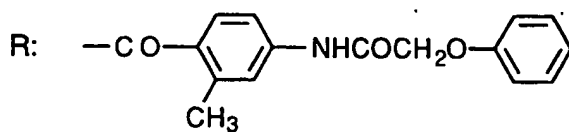
Form: Free

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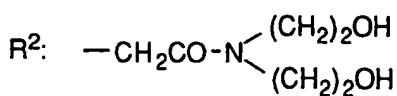
Example 346

Structure:

5

X: $-\text{CH}_2-$ R¹: H

10

R³: H

Crystalline form: Colorless amorphous

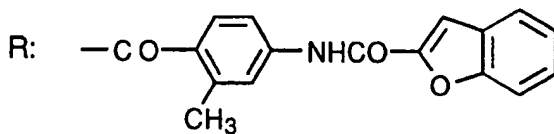
Form: Free

15

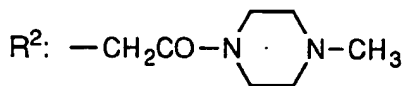
Example 347

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-Cl

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Dichloromethane/diethyl ether

30

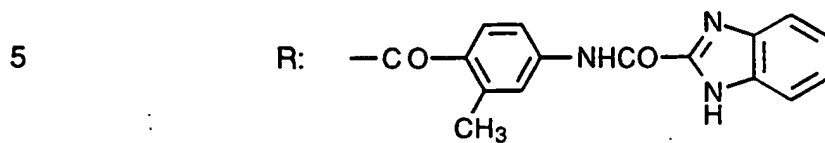
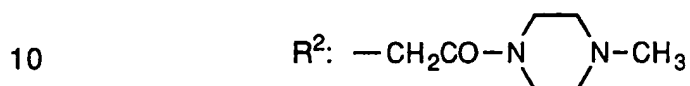
M.p. 180-182°C

Form: Free

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Example 348

Structure:

X: -CH₂-R¹: 7-ClR³: H

Crystalline form: Yellow powder

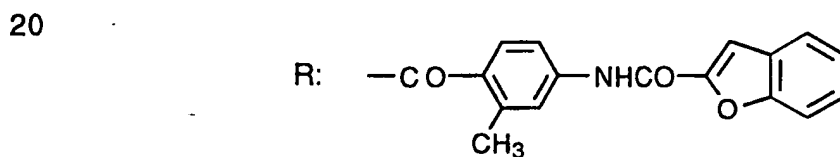
Solvent for recrystallization: Ethanol/dichloromethane/diethyl ether

15 M.p. 239-241°C

Form: Free

Example 349

Structure:

X: -CH₂-R¹: 7-ClR³: H

Crystalline form: Colorless prisms

Solvent for recrystallization: Dichloromethane/ethanol/diethyl ether

30 M.p. 252-254°C

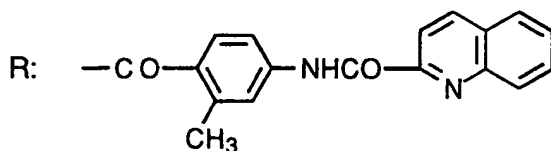
Form: Free

- 345 -

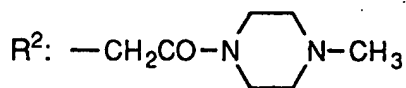
Example 350

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless viscous oil

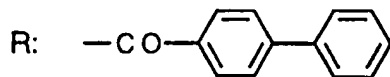
Form: Free

15

Example 309

Structure:

20



X: =CH-

R¹: 7-ClR²: -CH₂CO₂C₂H₅R³: H

Crystalline form: Pale yellow powder

M.p. 71-75°C

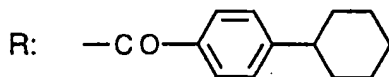
Form: Free

25

Example 352

Structure:

30



X: =CH-

R¹: 7-ClR²: -CH₂CO₂C₂H₅R³: H

Crystalline form: Pale yellow oil

Form: Free

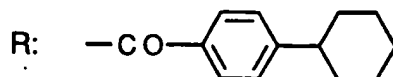
35

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Example 353

Structure:

5



X: =CH-

R¹: 7-ClR²: -CH₂COOHR³: H

10

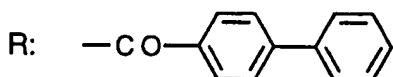
Crystalline form: White powder

Form: Free

Example 354

Structure:

15



X: =CH-

R¹: 7-ClR²: -CH₂COOH

20

R³: H

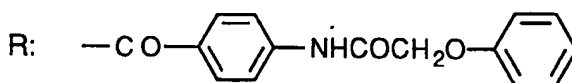
Crystalline form: White powder

Form: Free

Example 355

25

Structure:

X: -CH₂-R¹: H

30

R²: -CH₂CO₂CH₃R³: H

Crystalline form: White powder

M.p. 63-69°C

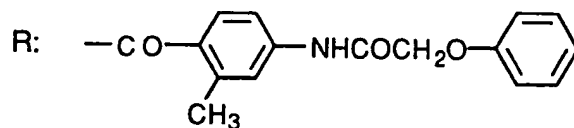
Form: Free

35

Example 356

Structure:

5

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$

10

R³: H

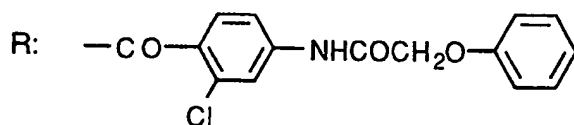
Crystalline form: White powder

Form: Free

Example 357

15

Structure:

X: $-\text{CH}_2-$ R¹: 7-Cl

20

R²: $-\text{CH}_2\text{CO}_2\text{CH}_3$ R³: H

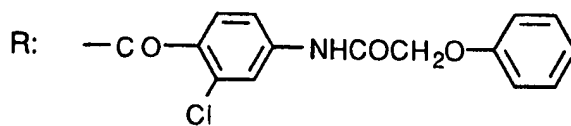
Crystalline form: Pale yellow powder

Form: Free

Example 358

25

Structure:



30

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$ R³: H

Crystalline form: Colorless viscous oil

35

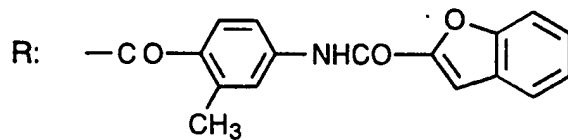
Form: Free

- 348 -

Example 359

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃

10

R³: H

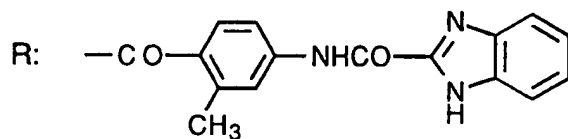
Crystalline form: Colorless viscous oil

Form: Free

Example 360

15

Structure:



20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless viscous oil

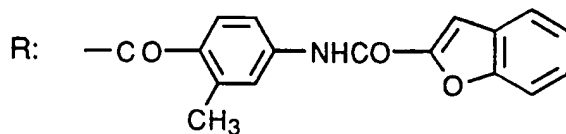
Form: Free

25

Example 361

Structure:

30

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

35

Crystalline form: Colorless amorphous

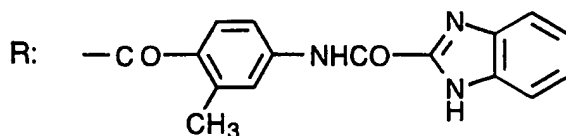
Form: Free

- 349 -

Example 362

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

10

R³: H

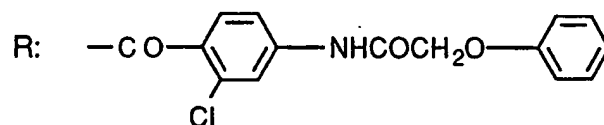
Crystalline form: Colorless amorphous

Form: Free

Example 363

15

Structure:

X: -CH₂-R¹: H

20

R² and R³: =O

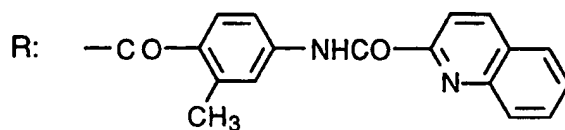
Crystalline form: Pale yellow amorphous

Form: Free

Example 364

25

Structure:



30

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

Crystalline form: Pale yellow powder

Solvent for recrystallization: Dichloromethane/methanol/diethyl ether

35

M.p. 194-197°C

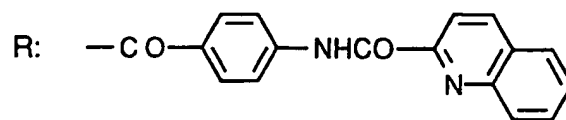
Form: Free

- 350 -

Example 365

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

10

R³: H

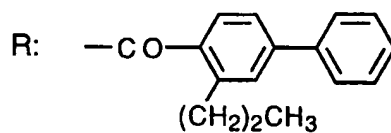
Crystalline form: White powder

Form: Free

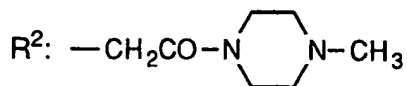
Example 366

15

Structure:



20

X: -CH₂-R¹: HR³: H

25

Crystalline form: Colorless amorphous

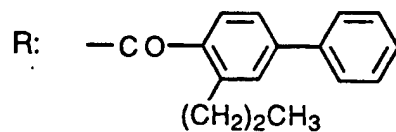
Form: Free

- 351 -

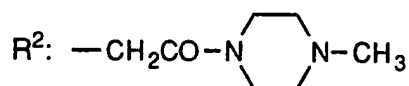
Example 367

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

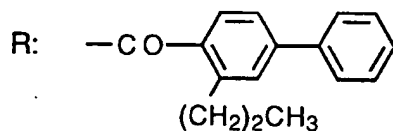
Form: Free

15

Example 368

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

25

Crystalline form: White powder

Solvent for recrystallization: n-Hexane/ethyl acetate

M.p. 134-136°C

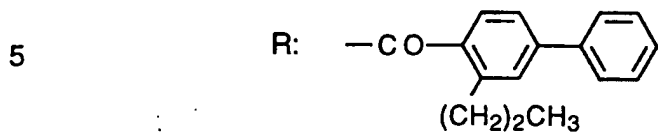
Form: Free

30

- 352 -

Example 369

Structure:

X: -CH₂- R¹: HR²: -CH₂CO₂CH₃10 R³: H

Crystalline form: White powder

Solvent for recrystallization: n-Hexane/ethyl acetate

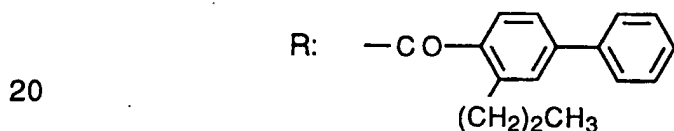
M.p. 97-100°C

Form: Free

15

Example 370

Structure:

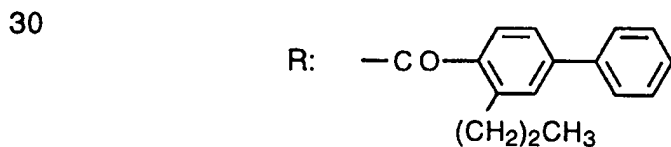
X: -CH₂- R¹: HR²: -CH₂COOHR³: H

25 Crystalline form: Colorless amorphous

Form: Free

Example 371

Structure:

X: -CH₂- R¹: 7-Cl35 R²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

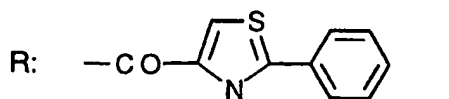
Form: Free

- 353 -

Example 372

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

10

Crystalline form: Colorless needles

Solvent for recrystallization: Diethyl ether/n-hexane

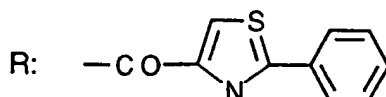
M.p. 135-138°C

Form: Free

Example 373

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

Crystalline form: White powder

Solvent for recrystallization: Ethyl acetate

25

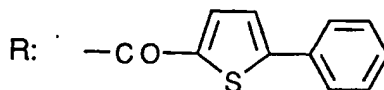
M.p. 136-139°C

Form: Free

Example 374

Structure:

30

X: -CH₂-R¹: 7-Cl

35

R²: -CH₂CO₂CH₃R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether/ethyl acetate

M.p. 143-145°C

40

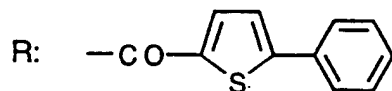
Form: Free

- 354 -

Example 375

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

10

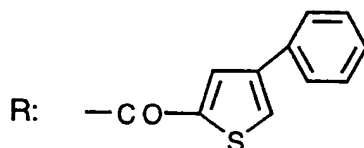
Crystalline form: Colorless amorphous

Form: Free

Example 376

Structure:

15

X: -CH₂-R¹: 7-Cl

20

R²: -CH₂CO₂CH₃R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether/ethyl acetate

M.p. 123-125°C

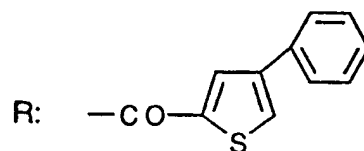
25

Form: Free

Example 377

Structure:

30

X: -CH₂-R¹: 7-Cl

35

R²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

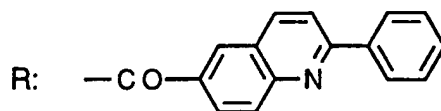
Form: Free

- 355 -

Example 378

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: n-Hexane/ethyl acetate

M.p. 164-166°C

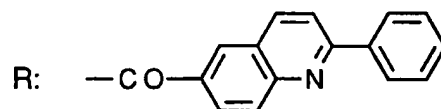
Form: Free

15

Example 379

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

25

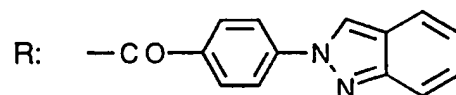
Crystalline form: Colorless amorphous

Form: Free

Example 380

Structure:

30

X: -CH₂-R¹: 7-ClR²: -CH₂COOCH₃

35

R³: H

Crystalline form: White powder

Solvent for recrystallization: n-Hexane/ethyl acetate

M.p. 168-170°C

Form: Free

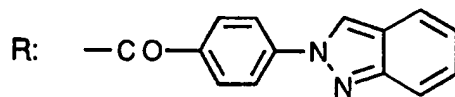
40

- 356 -

Example 381

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

10

Crystalline form: White powder

Solvent for recrystallization: Dichloromethane/n-hexane

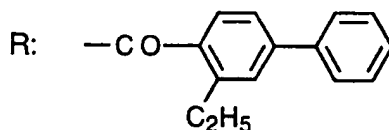
M.p. 226-229°C

Form: Free

Example 382

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

25

Crystalline form: White powder

Solvent for recrystallization: n-Hexane/ethyl acetate

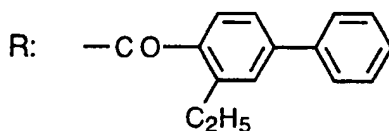
M.p. 131-134°C

Form: Free

Example 383

30

Structure:



35

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

Form: Free

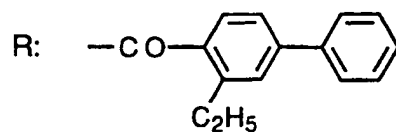
40

- 357 -

Example 384

Structure:

5

X: -CH₂-R¹: HR²: -CH₂CO₂CH₃

10

R³: H

Crystalline form: Pale yellow powder

Solvent for recrystallization: n-Hexane/ethyl acetate

M.p. 133-134.5°C

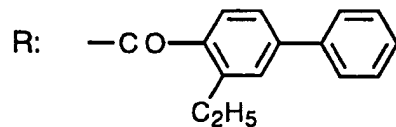
Form: Free

15

Example 385

Structure:

20

X: -CH₂-R¹: HR²: -CH₂COOHR³: H

25

Crystalline form: Colorless amorphous

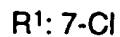
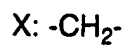
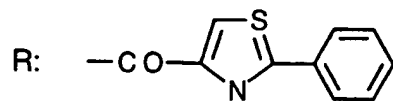
Form: Free

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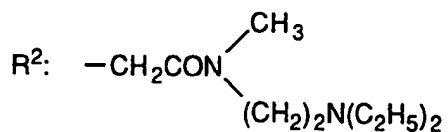
Example 386

Structure:

5



10



Crystalline form: Colorless viscous oil

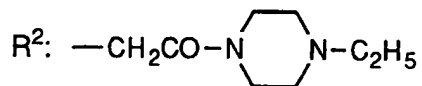
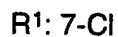
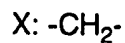
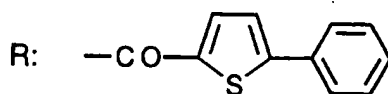
Form: Free

15

Example 387

Structure:

20



25



Crystalline form: Colorless amorphous

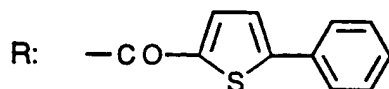
Form: Free

- 359 -

Example 388

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CONH(CH₂)₂N(C₂H₅)₂R³: H

10

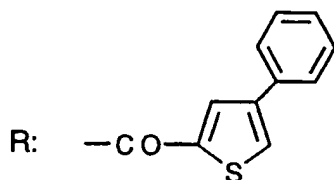
Crystalline form: Colorless amorphous

Form: Free

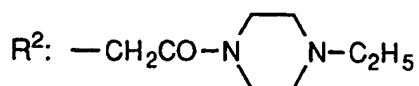
Example 389

Structure:

15



20

X: -CH₂-R¹: 7-ClR³: H

25

Crystalline form: Colorless amorphous

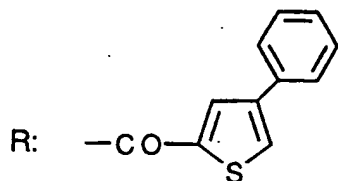
Form: Free

- 360 -

Example 390

Structure:

5



10

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CONH}(\text{CH}_2)_2\text{N}(\text{C}_2\text{H}_5)_2$ R³: H

Crystalline form: Colorless amorphous

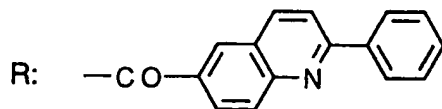
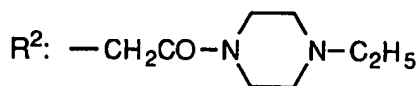
Form: Free

15

Example 391

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

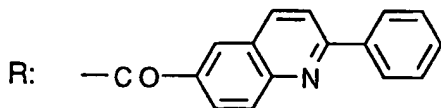
Form: Free

30

Example 392

Structure:

35

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CONH}(\text{CH}_2)_2\text{N}(\text{C}_2\text{H}_5)_2$ R³: H

Crystalline form: Colorless amorphous

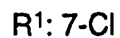
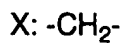
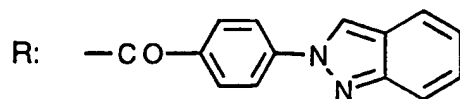
Form: Free

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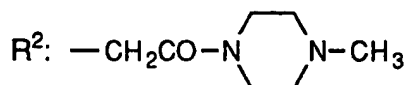
Example 393

Structure:

5



10



Crystalline form: Colorless amorphous

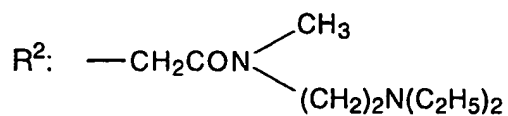
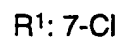
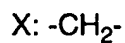
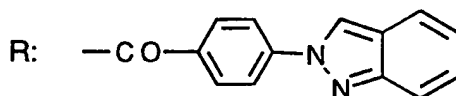
Form: Free

15

Example 394

Structure:

20



25



Crystalline form: Pale yellow amorphous

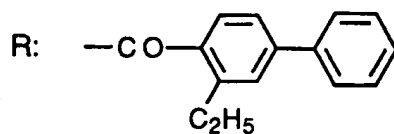
Form: Free

- 362 -

Example 395

Structure:

5

X: -CH₂-R¹: HR²: H

10

R³: H

Crystalline form: Colorless needles

Solvent for recrystallization: Ethyl acetate/n-hexane

M.p. 134-135.5°C

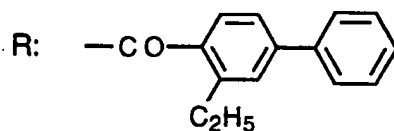
Form: Free

15

Example 396

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

25

Crystalline form: Colorless needles

Solvent for recrystallization: n-Hexane

M.p. 108-110.5°C

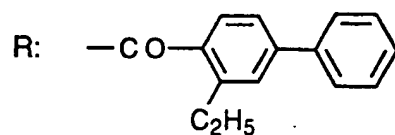
Form: Free

- 363 -

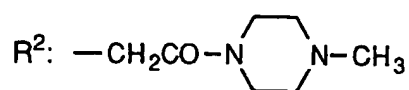
Example 397

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

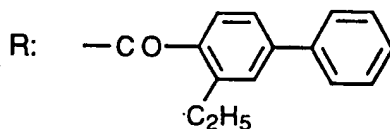
Form: Free

15

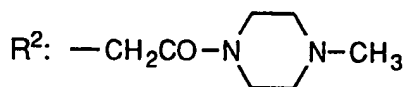
Example 398

Structure:

20

X: -CH₂-R¹: H

25

R³: H

Crystalline form: Colorless amorphous

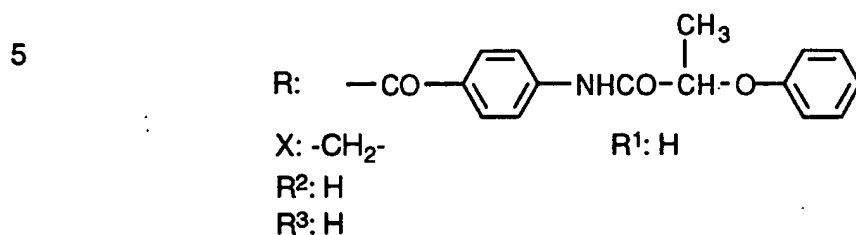
Form: Free

30

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Example 399

Structure:

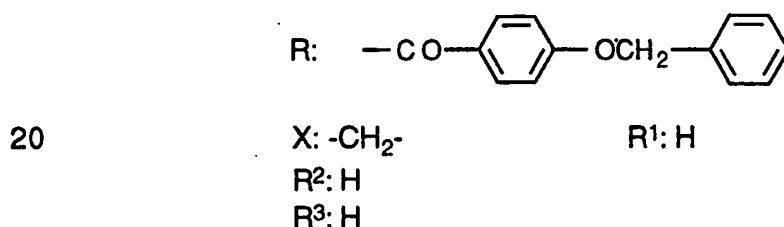


10

Crystalline form: White powder
Solvent for recrystallization: Ethanol
M.p. 131-133°C
Form: Free

Example 400

Structure:

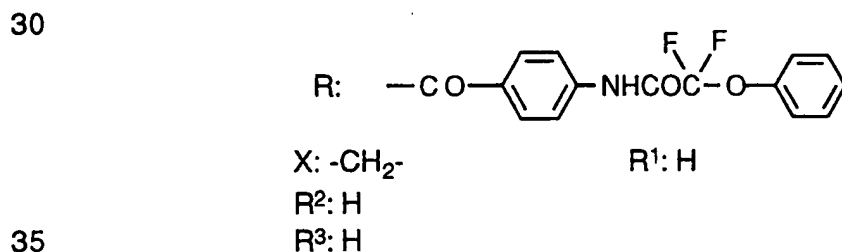


25

Crystalline form: Colorless flakes
Solvent for recrystallization: Ethanol
M.p. 125-126°C
Form: Free

Example 401

Structure:



40

Crystalline form: White powder
Solvent for recrystallization: Ethanol
M.p. 134-135°C
Form: Free

- 365 -

Example 402

Structure:

5

X: -CH₂-R¹: HR²: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol

M.p. 190-192°C

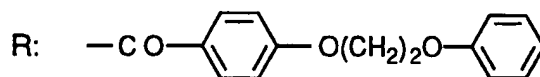
Form: Free

15

Example 403

Structure:

20

X: -CH₂-R¹: HR²: HR³: H

Crystalline form: White powder

25

Solvent for recrystallization: Ethanol

M.p. 139-142°C

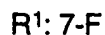
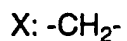
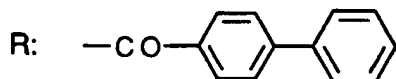
Form: Free

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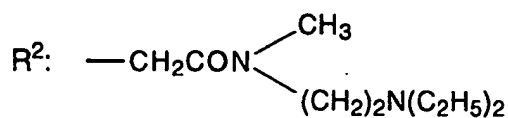
Example 404

Structure:

5



10



Crystalline form: Colorless amorphous

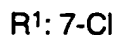
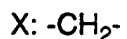
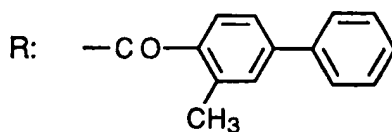
Form: Hydrochloride

15

Example 405

Structure:

20



Crystalline form: Pale brown powder

M.p. 157-159°C

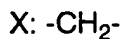
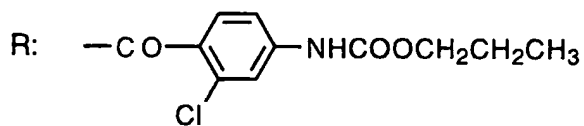
25

Form: Free

Example 406

Structure:

30



35

Crystalline form: White powder

Solvent for recrystallization: Methanol

M.p. 166-167°C

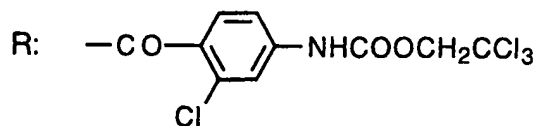
Form: Free

- 367 -

Example 407

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: HR²: H

10

R³: H

Crystalline form: White powder

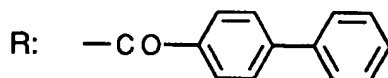
M.p. 181-182°C

Form: Free

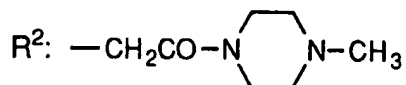
Example 408

Structure:

15



20

X: $\text{---CH}_2\text{---}$ R¹: 7-FR³: H

25

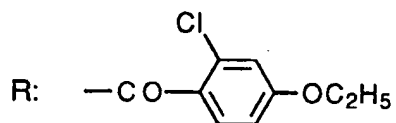
Crystalline form: Colorless amorphous

Form: Hydrochloride

Example 409

Structure:

30

X: $\text{---CH}_2\text{---}$ R¹: H

35

R²: HR³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

M.p. 108-109°C

40

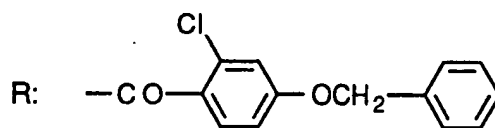
Form: Free

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Example 410

Structure:

5

X: -CH₂-R¹: HR²: HR³: H

10

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

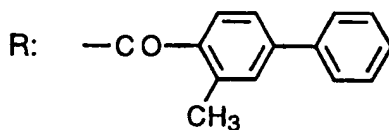
M.p. 104-106°C

Form: Free

Example 411

Structure:

20

X: -CH₂-R¹: HR² and R³: =O

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

25

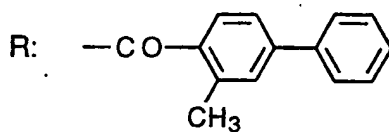
M.p. 130-132°C

Form: Free

Example 412

Structure:

30

X: -CH₂-R¹: H

35

R²: -OHR³: H

Crystalline form: Pale brown powder

Solvent for recrystallization: Chloroform/diethyl ether

40

M.p. 191-193°C

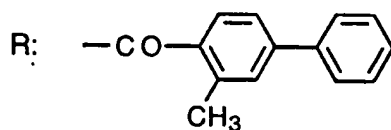
Form: Free

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Example 413

Structure:

5

X: -CH₂-R¹: HR²: -OCH₃

10

R³: H

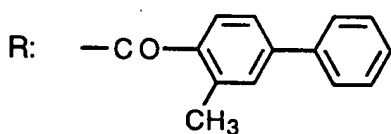
Crystalline form: Colorless viscous oil

Form: Free

Example 414

15

Structure:



20

X: -CH₂-R¹: HR² and R³: =CH₂

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether/n-hexane

M.p. 123-124°C

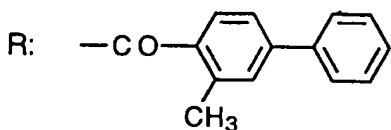
25

Form: Free

Example 415

Structure:

30

X: -CH₂-R¹: HR²: -OH

35

R³: -CH₂OH

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

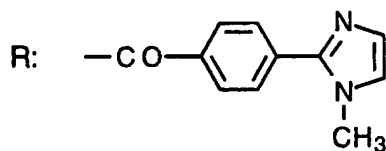
Form: Free

- 370 -

Example 416

Structure:

5

X: -CH₂-R¹: 7-ClR²: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 194-197°C

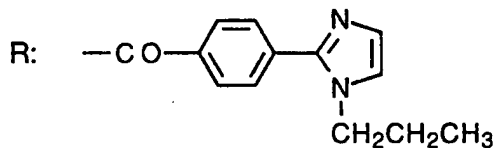
Form: Free

15

Example 417

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

25

Crystalline form: Pale yellow amorphous

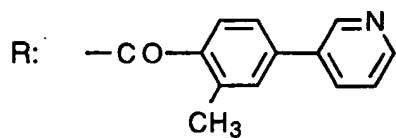
Form: Hydrochloride

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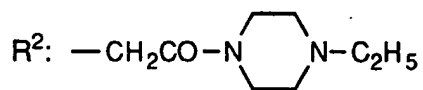
Example 418

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

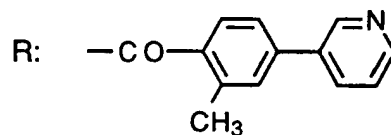
Form: Free

15

Example 419

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CONHC₂H₅R³: H

25

Crystalline form: Colorless amorphous

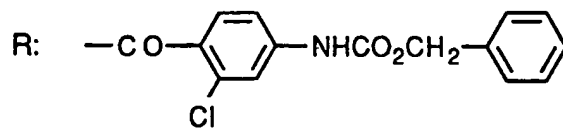
Form: Free

- 372 -

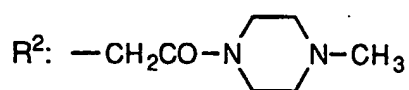
Example 420

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

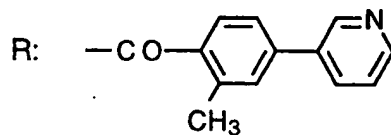
Form: Free

15

Example 421

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

25

Crystalline form: Pale brown amorphous

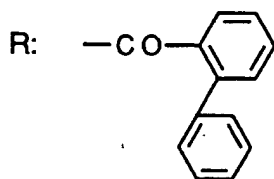
Form: Hydrochloride

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Example 422

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R²: HR³: H

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

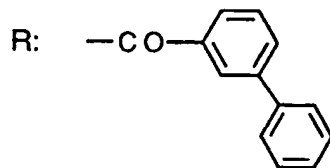
Form: Free

15

Example 423

Structure:

20

X: -CH₂-R¹: 7-ClR²: H

25

R³: H

Crystalline form: Colorless viscous oil

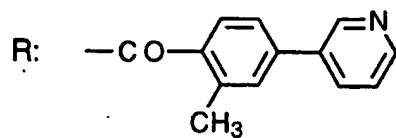
Form: Free

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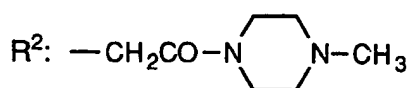
Example 424

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

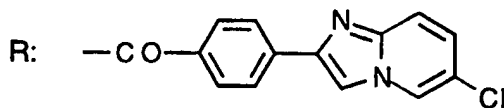
Form: Free

15

Example 425

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

25

Crystalline form: White powder

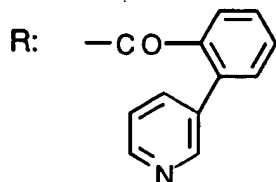
Form: Free

- 375 -

Example 426

Structure:

5



10

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: Pale brown amorphous

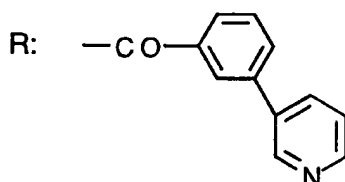
Form: Free

15

Example 427

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

25

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

M.p. 223-227°C

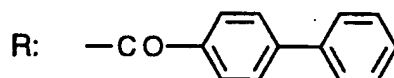
Form: Hydrochloride

- 376 -

Example 428

Structure:

5

X: -CH₂-R¹: 7-ClR²: HR³: H

10

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether/n-hexane

M.p. 152-154°C

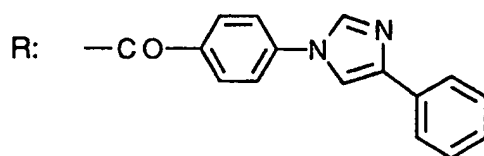
Form: Free

15

Example 429

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

25

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 166-168°C

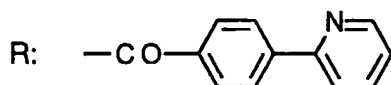
Form: Free

- 377 -

Example 430

Structure:

5

X: -CH₂-R¹: 7-ClR²: HR³: H

10

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

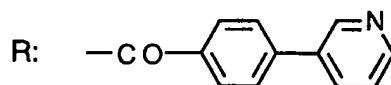
M.p. 190-192°C

Form: Free

Example 431

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: Pale yellow powder

Solvent for recrystallization: Chloroform/diethyl ether

25

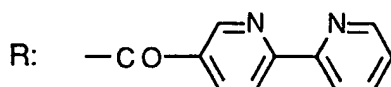
M.p. 185-187°C

Form: Free

Example 432

Structure:

30

X: -CH₂-R¹: 7-ClR²: HR³: H

35

Crystalline form: Pale brown powder

Solvent for recrystallization: Ethanol/diethyl ether

M.p. 154-158°C

Form: Free

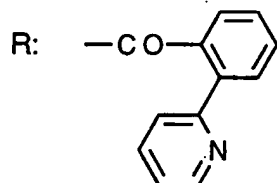
40

- 378 -

Example 433

Structure:

5



10

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: Pale brown amorphous

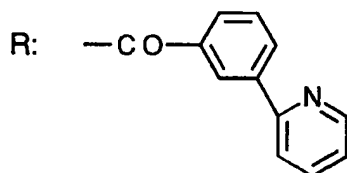
Form: Free

15

Example 434

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

25

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

M.p. 222-225°C

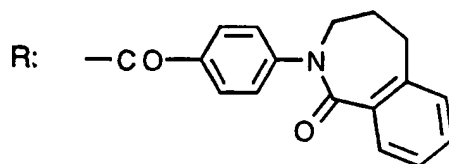
Form: Hydrochloride

- 379 -

Example 435

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R²: HR³: H

Crystalline form: Colorless prisms

Solvent for recrystallization: Ethanol/dichloromethane/diethyl ether

M.p. 199-201°C

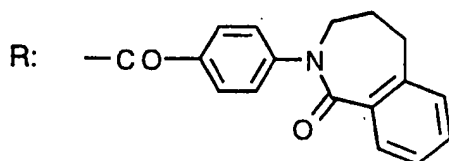
15

Form: Free

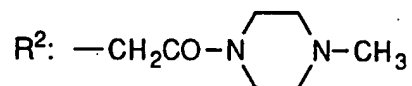
Example 436

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: Colorless viscous oil

30

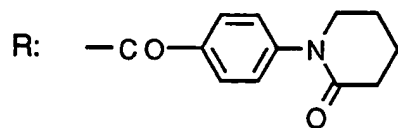
Form: Free

- 380 -

Example 437

Structure:

5

X: -CH₂-R¹: 7-ClR²: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 173-175°C

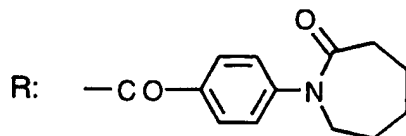
Form: Free

15

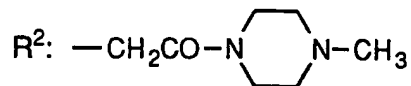
Example 438

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

Form: Free

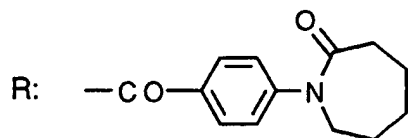
30

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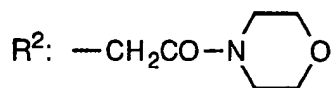
Example 439

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

15

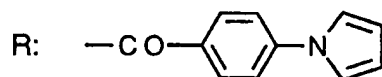
M.p. 218-219°C

Form: Free

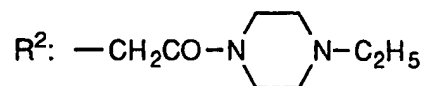
Example 440

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: Yellow viscous oil

30

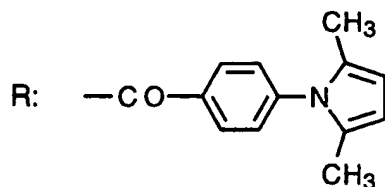
Form: Free

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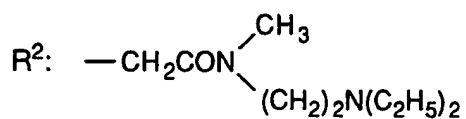
Example 441

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Yellow oil

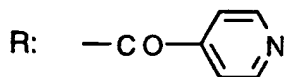
15

Form: Free

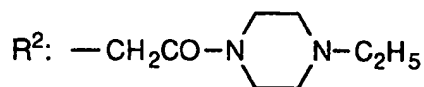
Example 442

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

M.p. 143-145°C

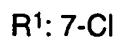
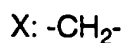
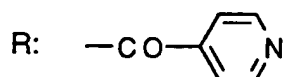
30

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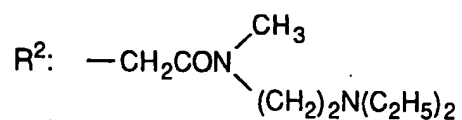
Example 443

Structure:

5



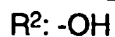
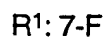
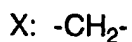
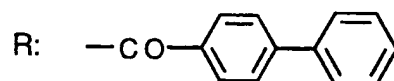
10



Example 444

Structure:

15



20



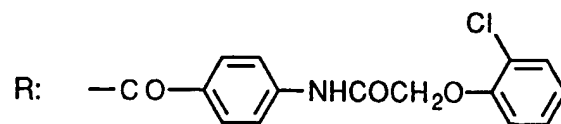
Crystalline form: Colorless amorphous

Form: Free

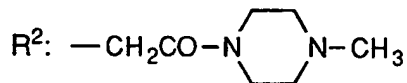
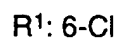
Example 445

Structure:

25



30



35

Crystalline form: Colorless amorphous

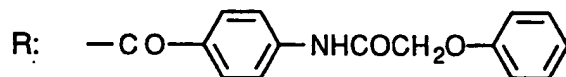
Form: Free

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Example 446

Structure:

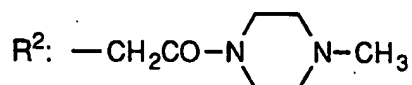
5



X: Single bond

R¹: 6-Cl

10

R³: H

Crystalline form: Colorless amorphous

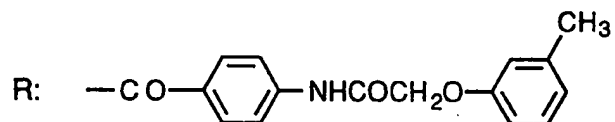
Form: Free

15

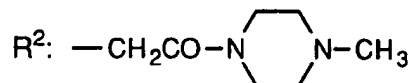
Example 447

Structure:

20



X: Single bond

R¹: 6-Cl

25

R³: H

Crystalline form: Colorless amorphous

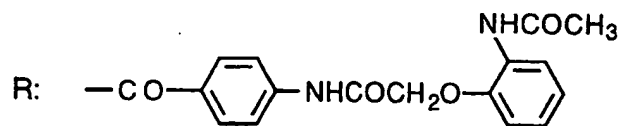
Form: Free

- 385 -

Example 448

Structure:

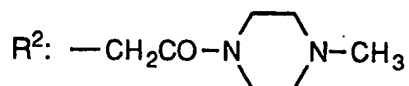
5



X: Single bond

R¹: 6-Cl

10

R³: H

Crystalline form: Colorless amorphous

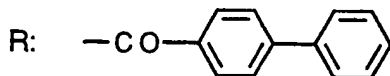
Form: Free

15

Example 449

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CONHCH₂CONH₂R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

M.p. 208-209°C

Form: Free

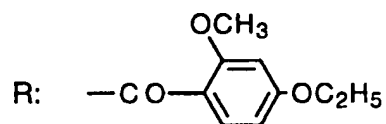
25

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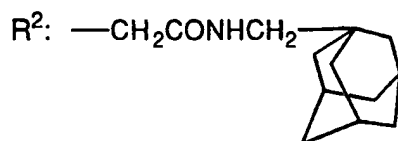
Example 450

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

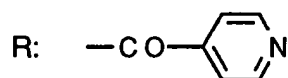
15

Form: Free

Example 451

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

25

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

M.p. 222-224°C

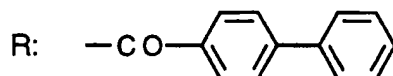
Form: Free

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Example 452

Structure:

5

X: -CH₂-R¹: 7-FR² and R³: =O

10

Crystalline form: Colorless flakes

Solvent for recrystallization: Diethyl ether

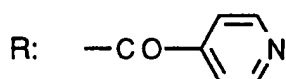
M.p. 97-100°C

Form: Free

Example 453

15

Structure:

X: -CH₂-R¹: 7-Cl

20

R²: HR³: H

Crystalline form: Colorless prisms

Solvent for recrystallization: Diethyl ether

M.p. 116-118°C

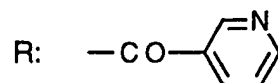
25

Form: Free

Example 454

Structure:

30

X: -CH₂-R¹: 7-ClR²: HR³: H

35

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

M.p. 115-116°C

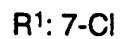
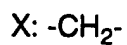
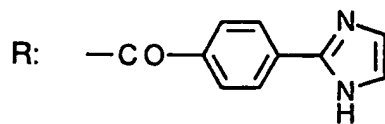
Form: Free

- 388 -

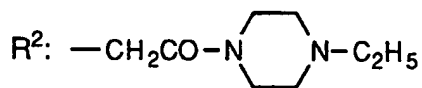
Example 455

Structure:

5



10



Crystalline form: Pale yellow amorphous

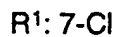
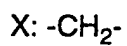
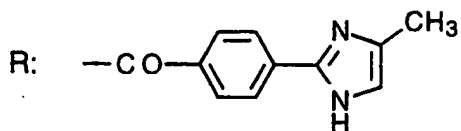
Form: Free

15

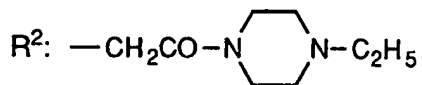
Example 456

Structure:

20



25



Crystalline form: Pale yellow amorphous

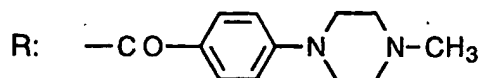
Form: Free

- 389 -

Example 457

Structure:

5

X: -CH₂-R¹: 7-ClR²: HR³: H

10

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether/n-hexane

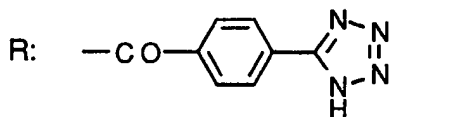
M.p. 194-197°C

Form: Free

Example 458

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: Pale brown powder

Solvent for recrystallization: Chloroform/diethyl ether

25

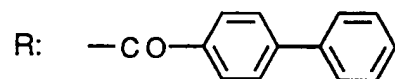
M.p. 150-154°C

Form: Free

Example 459

Structure:

30



X: Singel bond

R¹: HR²: -CH₂CONH(CH₂)₂N(C₂H₅)₂R³: H

35

Crystalline form: Colorless amorphous

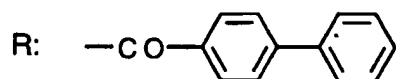
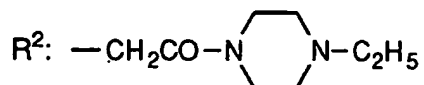
Form: Hydrochloride

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Example 460

Structure:

5

 $X: \text{Single bond} \quad R^1: \text{H}$ 

10

 $R^3: \text{H}$

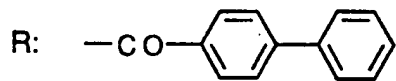
Crystalline form: Slightly orange amorphous

Form: Dihydrochloride

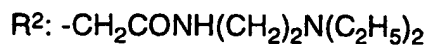
Example 461

15

Structure:

 $X: \text{Single bond} \quad R^1: 6\text{-Cl}$

20

 $R^3: \text{H}$

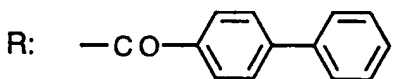
Crystalline form: Slightly yellow amorphous

Form: Free

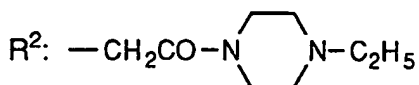
Example 462

25

Structure:



30

 $X: \text{Single bond} \quad R^1: 6\text{-Cl}$  $R^3: \text{H}$

35

Crystalline form: Colorless amorphous

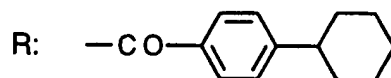
Form: Free

- 391 -

Example 463

Structure:

5

X: Single bond $R^1: 6\text{-Cl}$ $R^2: -\text{CH}_2\text{CONH}(\text{CH}_2)_2\text{N}(\text{C}_2\text{H}_5)_2$ $R^3: \text{H}$

10

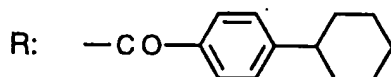
Crystalline form: Colorless amorphous

Form: Hydrochloride

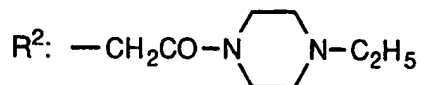
Example 464

Structure:

15

X: Single bond $R^1: 6\text{-Cl}$

20

 $R^3: \text{H}$

Crystalline form: Colorless amorphous

Form: Free

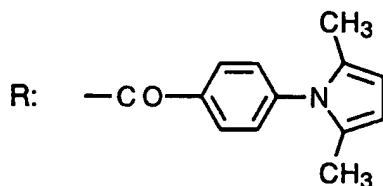
25

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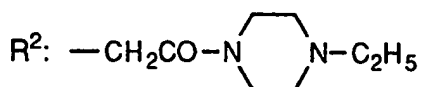
Example 465

Structure:

5



10

X: -CH₂-R¹: 7-ClR³: H

Crystalline form: White powder

15

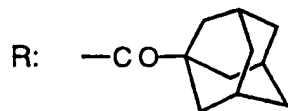
M.p. 154-156°C

Form: Free

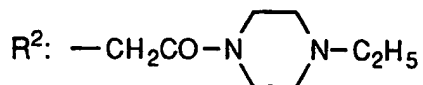
Example 466

Structure:

20



25

X: -CH₂-R¹: 7-ClR³: H

Crystalline form: White powder

30

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 195-196°C

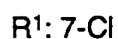
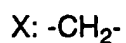
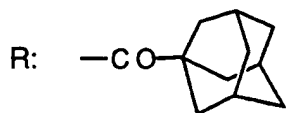
Form: Free

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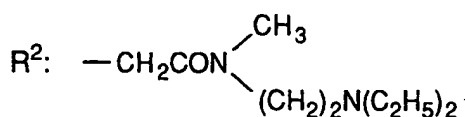
Example 467

Structure:

5



10



Crystalline form: Colorless amorphous

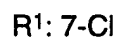
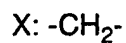
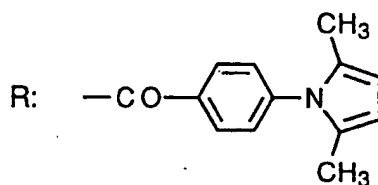
Form: Hydrochloride

15

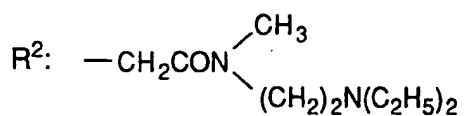
Example 468

Structure:

20



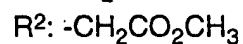
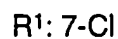
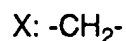
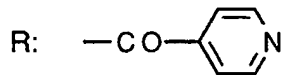
25



Example 469

Structure:

30



35

Crystalline form: Colorless amorphous

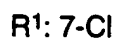
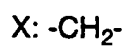
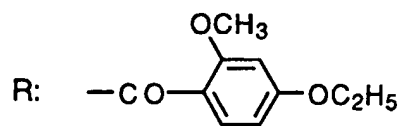
Form: Free

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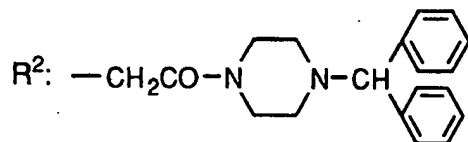
Example 470

Structure:

5



10



Crystalline form: Colorless amorphus

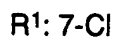
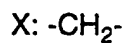
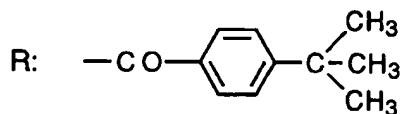
15

Form: Free

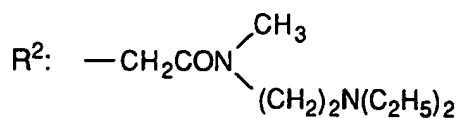
Example 471

Structure:

20



25



Crystalline form: Colorless amorphous

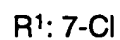
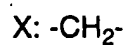
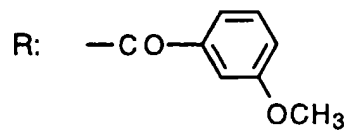
Form: Hydrochloride

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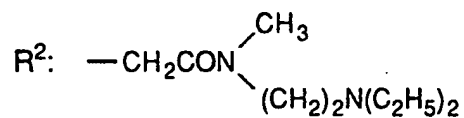
Example 472

Structure:

5



10



Crystalline form: Colorless amorphous

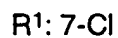
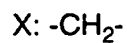
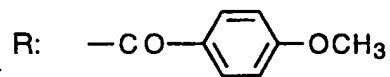
Form: Hydrochloride

15

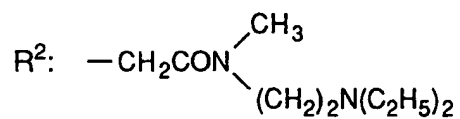
Example 473

Structure:

20



25



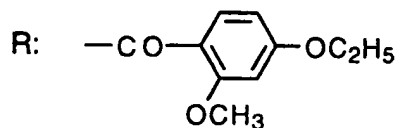
Crystalline form: Colorless amorphous

Form: Hydrochloride

Example 474

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{O}(\text{CH}_2)_2\text{NHSO}_2\text{CH}_3$

10

R³: H

Crystalline form: Pale yellow powder

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 158-159°C

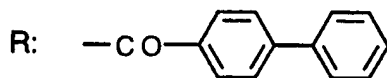
Form: Free

15

Example 475

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{O}(\text{CH}_2)_2\text{NHSO}_2\text{CH}_3$ R³: H

25

Crystalline form: Pale yellow powder

Solvent for recrystallization: Chloroform/diethyl ether

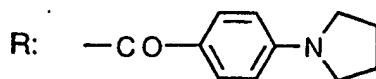
M.p. 193-194°C

Form: Free

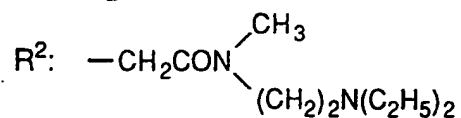
Example 476

30

Structure:

X: $-\text{CH}_2-$ R¹: 7-Cl

35



40

R³: H

Crystalline form: Colorless amorphous

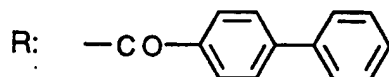
Form: Hydrochloride

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Example 477

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CONH}_2$ R³: H

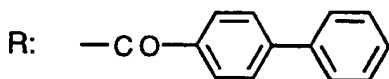
10

Crystalline form: Colorless amorphous
Form: Free

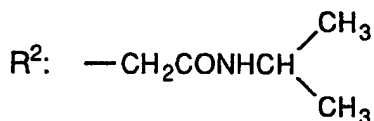
Example 478

Structure:

15

X: $-\text{CH}_2-$ R¹: 7-Cl

20

R³: H

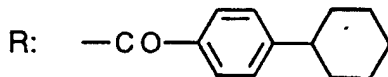
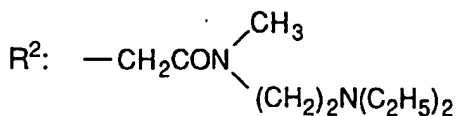
Crystalline form: Colorless amorphous
Form: Free

25

Example 479

Structure:

30

X: $-\text{CH}_2-$ R¹: 7-Cl

35

R³: H

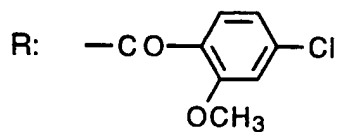
Crystalline form: Pale yellow amorphous
Form: Free

- 398 -

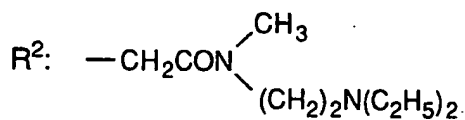
Example 480

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

10

R³: H

Crystalline form: Pale yellow amorphous

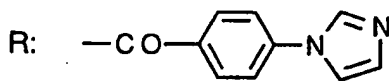
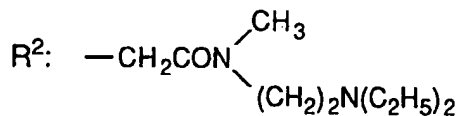
Form: Free

15

Example 481

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

25

R³: H

Crystalline form: Pale yellow amorphous

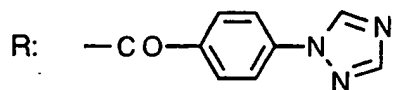
Form: Hydrochloride

- 399 -

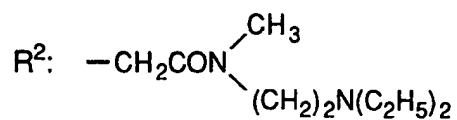
Example 482

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-Cl

10

R³: H

Crystalline form: Pale yellow amorphous

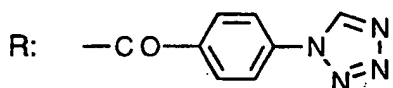
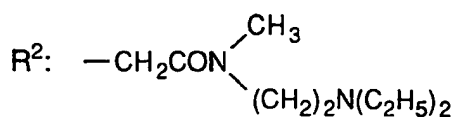
Form: Hydrochloride

15

Example 483

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-ClR³: H

25

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 155-158°C

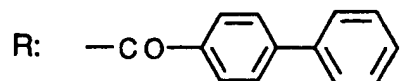
Form: Free

- 400 -

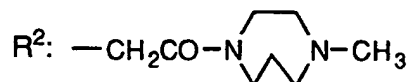
Example 484

Structure:

5



10



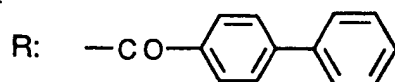
Crystalline form: Colorless amorphous

Form: Hydrochloride

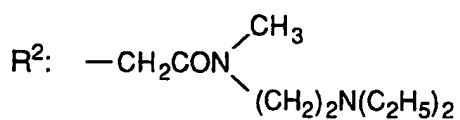
15

Example 485

Structure:



20



25

Crystalline form: Colorless amorphous

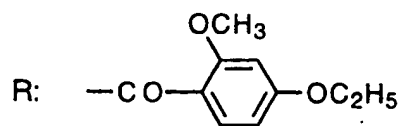
Form: Hydrochloride

- 401 -

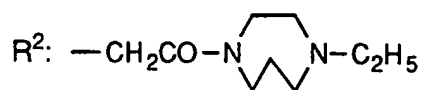
Example 486

Structure:

5



10



Crystalline form: Colorless amorphous

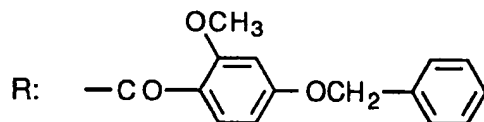
Form: Hydrochloride

15

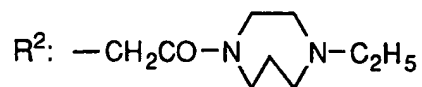
Example 487

Structure:

20



25



Crystalline form: Colorless amorphous

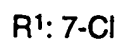
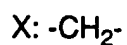
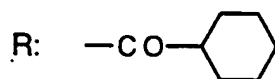
Form: Free

- 402 -

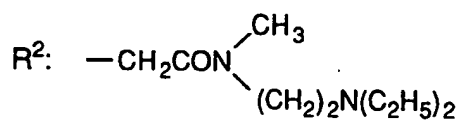
Example 488

Structure:

5



10



Crystalline form: Pale yellow amorphous

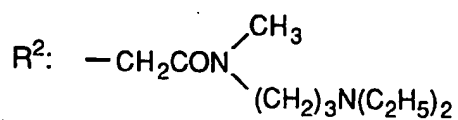
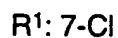
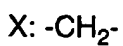
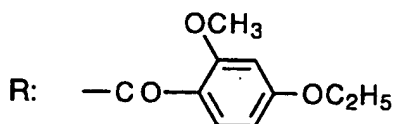
Form: Free

15

Example 489

Structure:

20



25

Crystalline form: Colorless amorphous

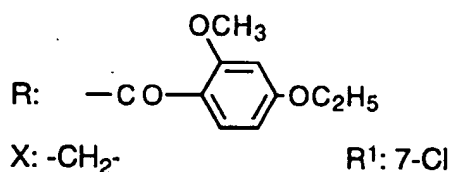
Form: Hydrochloride

- 403 -

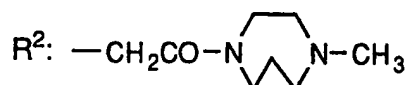
Example 490

Structure:

5



10

R³: H

Crystalline form: Colorless amorphous

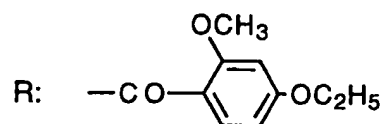
Form: Hydrochloride

15

Example 491

Structure:

20

X: -CH₂- R¹: 7-ClR²: -CH₂CONH(CH₂)₂N(C₂H₅)₂R³: H

Crystalline form: Colorless amorphous

25

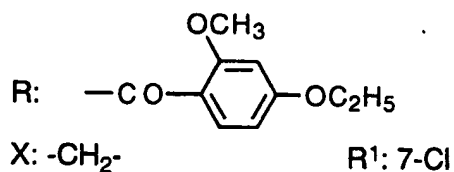
Form: Hydrochloride

- 404 -

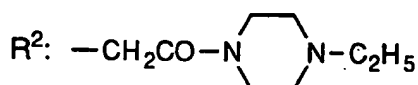
Example 492

Structure:

5



10

 $\text{R}^3: \text{H}$

Crystalline form: Colorless amorphous

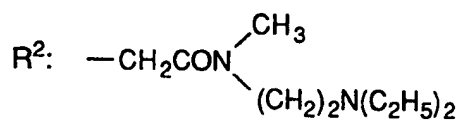
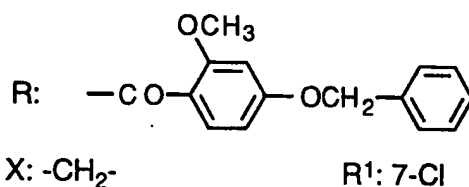
Form: Hydrochloride

15

Example 493

Structure:

20



25

 $\text{R}^3: \text{H}$

Crystalline form: Colorless amorphous

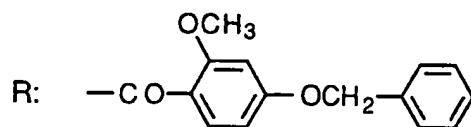
Form: Hydrochloride

30

Example 494

Structure:

35

 $\text{X: } -\text{CH}_2- \quad \text{R}^1: 7\text{-Cl}$ $\text{R}^2: -\text{CH}_2\text{CONH}(\text{CH}_2)_2\text{N}(\text{C}_2\text{H}_5)_2$ $\text{R}^3: \text{H}$

Crystalline form: Colorless amorphous

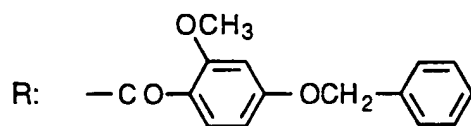
Form: Hydrochloride

- 405 -

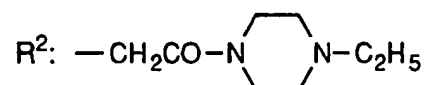
Example 495

Structure:

5

 $\text{X: } -\text{CH}_2-$ $\text{R}^1: 7\text{-Cl}$

10

 $\text{R}^3: \text{H}$

Crystalline form: Colorless amorphous

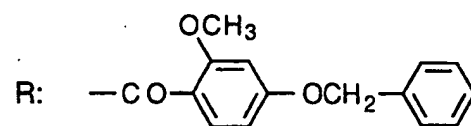
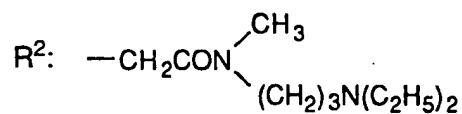
Form: Hydrochloride

15

Example 496

Structure:

20

 $\text{X: } -\text{CH}_2-$ $\text{R}^1: 7\text{-Cl}$ 

25

 $\text{R}^3: \text{H}$

Crystalline form: Colorless amorphous

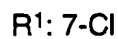
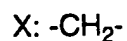
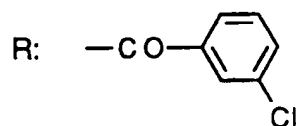
Form: Free

- 406 -

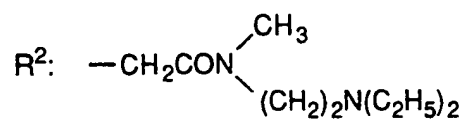
Example 497

Structure:

5



10



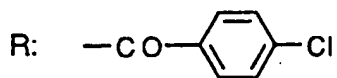
Crystalline form: Colorless amorphous

Form: Hydrochloride

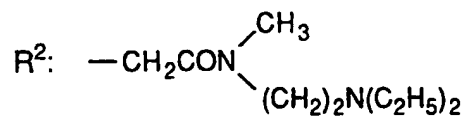
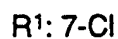
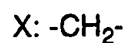
15

Example 498

Structure:



20



25

Crystalline form: Colorless amorphous

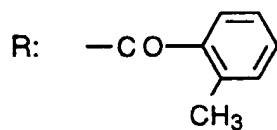
Form: Hydrochloride

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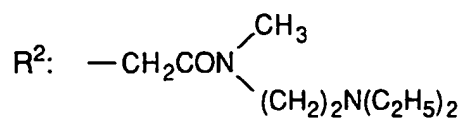
Example 499

Structure:

5

 $\text{X: } -\text{CH}_2-$ $\text{R}^1: 7\text{-Cl}$

10

 $\text{R}^3: \text{H}$

Crystalline form: Pale yellow amorphous

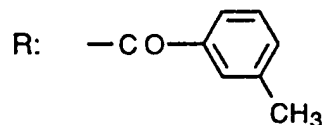
Form: Hydrochloride

15

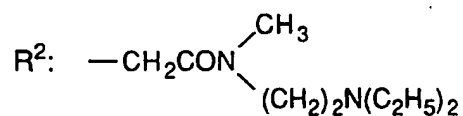
Example 500

Structure:

20

 $\text{X: } -\text{CH}_2-$ $\text{R}^1: 7\text{-Cl}$

25

 $\text{R}^3: \text{H}$

Crystalline form: Colorless amorphous

Form: Hydrochloride

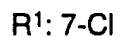
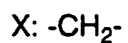
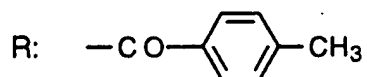
30

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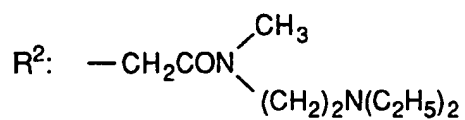
Example 501

Structure:

5



10



Crystalline form: Colorless amorphous

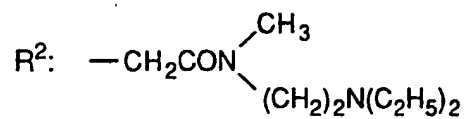
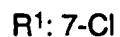
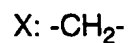
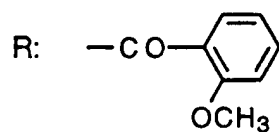
Form: Hydrochloride

15

Example 502

Structure:

20



25



Crystalline form: Pale yellow amorphous

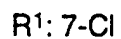
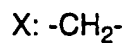
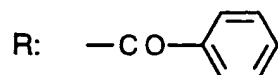
Form: Hydrochloride

- 409 -

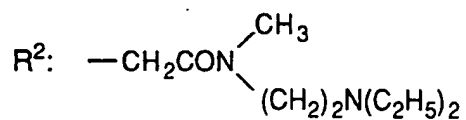
Example 503

Structure:

5



10



Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

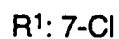
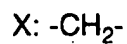
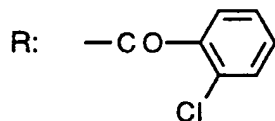
Form: Free

15

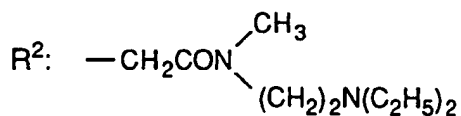
Example 504

Structure:

20



25



Crystalline form: Pale yellow amorphous

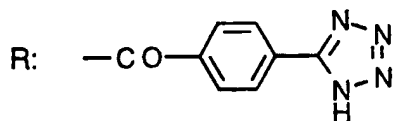
Form: Hydrochloride

- 410 -

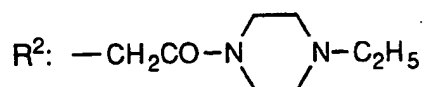
Example 505

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Pale brown powder

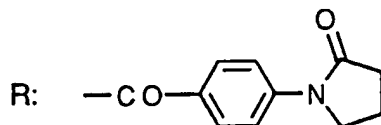
Form: Free

15

Example 506

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

25

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 209-211°C

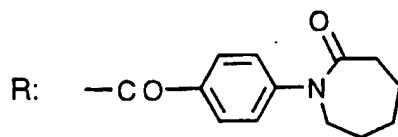
Form: Free

30

Example 507

Structure:

35

X: -CH₂-R¹: 7-ClR²: HR³: H

40

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 169-170°C

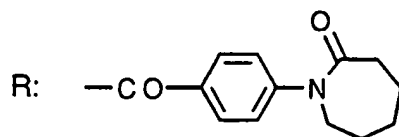
Form: Free

- 411 -

Example 508

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CONHC₂H₅

10

R³: H

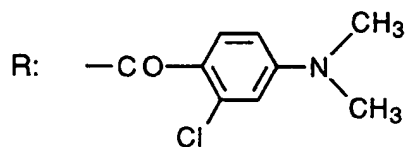
Crystalline form: Colorless amorphous

Form: Free

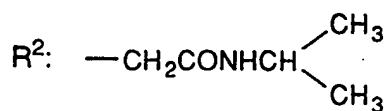
Example 509

15

Structure:



20

X: -CH₂-R¹: HR³: H

25

Crystalline form: Colorless amorphous

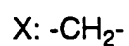
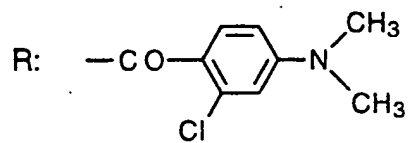
Form: Free

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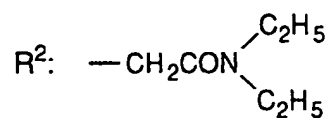
Example 510

Structure:

5



10



Crystalline form: Colorless amorphous

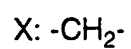
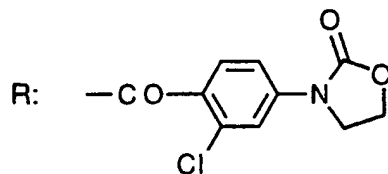
Form: Free

15

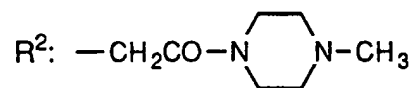
Example 511

Structure:

20



25



Crystalline form: Colorless amorphous

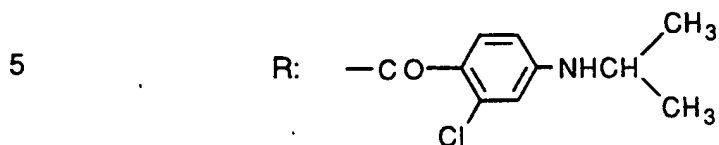
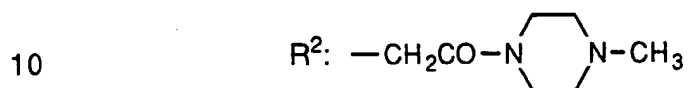
Form: Free

30

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Example 512

Structure:

X: -CH₂-R¹: HR³: H

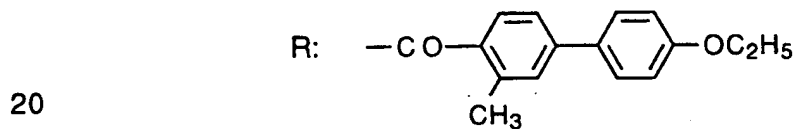
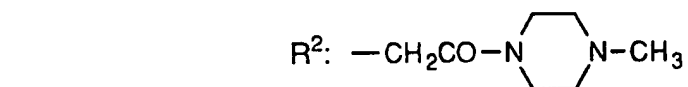
Crystalline form: Colorless amorphous

Form: Free

15

Example 513

Structure:

X: -CH₂-R¹: HR³: H

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

M.p. 204-207°C

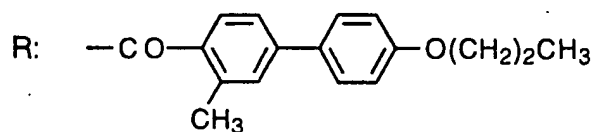
30Form: Hydrochloride

- 414 -

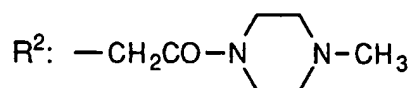
Example 514

Structure:

5

X: $-\text{CH}_2-$ R¹: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Acetone/n-hexane

15

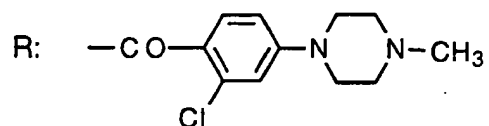
M.p. 217-220°C

Form: Hydrochloride

Example 515

Structure:

20

X: $-\text{CH}_2-$ R¹: H

25

R²: HR³: H

Crystalline form: Yellow needles

Solvent for recrystallization: Water

M.p. 198-202°C (decomposed)

30

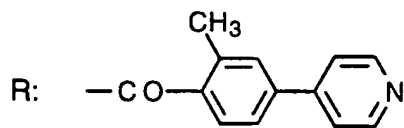
Form: Hydroiodide

- 415 -

Example 516

Structure:

5

X: -CH₂-R¹: 7-ClR₂: H

10

R₃: H

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

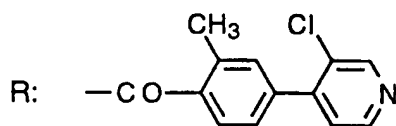
Form: Free

15

Example 517

Structure:

20

X: -CH₂-R¹: 7-ClR₂: HR₃: H

25

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

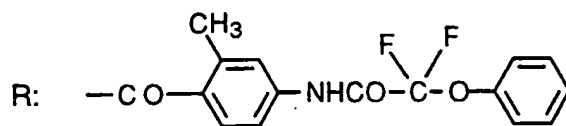
Form: Free

- 416 -

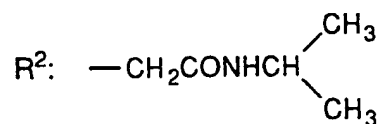
Example 518

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

15

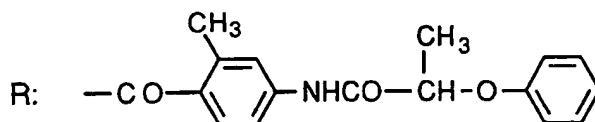
M.p. 154-155°C

Form: Free

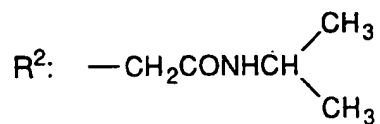
Example 519

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

30

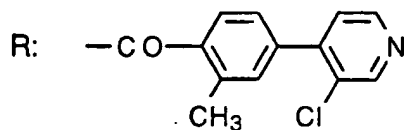
M.p. 188-190°C

- 417 -

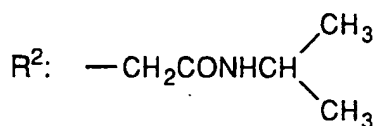
Example 520

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

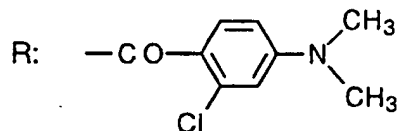
Form: Hydrochloride

15

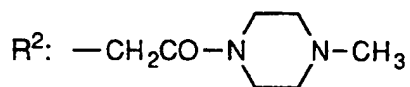
Example 521

Structure:

20

X: -CH₂-R¹: H

25

R³: H

Crystalline form: Colorless amorphous

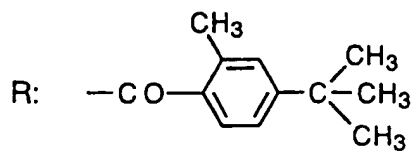
Form: Hydrochloride

- 418 -

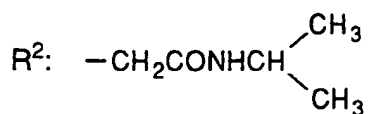
Example 522

Structure:

5

X: $-\text{CH}_2-$ R¹: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

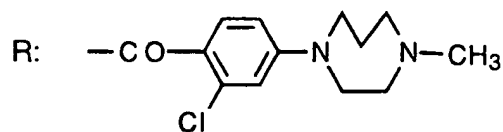
15

M.p. 149-151°C

Example 523

Structure:

20

X: $-\text{CH}_2-$ R¹: HR²: H

25

R³: H

Crystalline form: Yellow amorphous

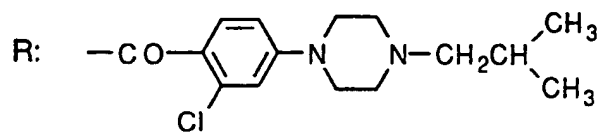
Form: Free

- 419 -

 Example 524

Structure:

5

X: $-\text{CH}_2-$ R¹: HR²: H

10

R³: H

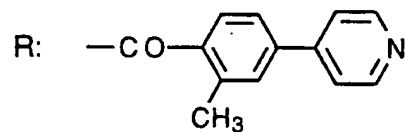
Crystalline form: Colorless amorphous

Form: Free

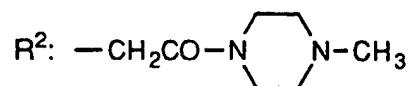
 Example 525

15

Structure:



20

X: $-\text{CH}_2-$ R¹: 7-ClR³: H

25

Crystalline form: Colorless amorphous

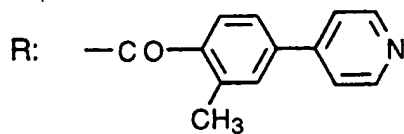
Form: Hydrochloride

- 420 -

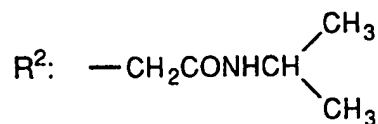
Example 526

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

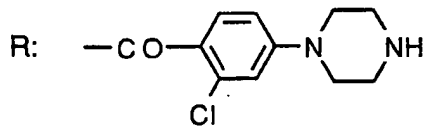
Form: Hydrochloride

15

Example 527

Structure:

20

X: -CH₂-R¹: HR²: HR³: H

25

Crystalline form: Brown powder

Solvent for recrystallization: Diethyl ether

M.p. 155-159°C (decomposed)

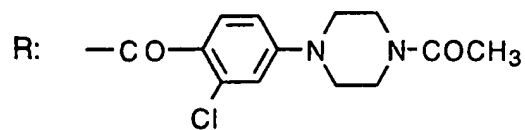
Form: Hydrochloride

- 421 -

Example 528

Structure:

5

X: $-\text{CH}_2-$ R¹: HR²: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

M.p. 142-145°C

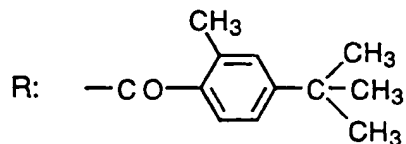
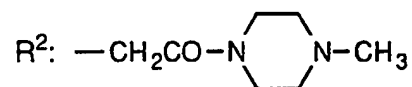
Form: Free

15

Example 529

Structure:

20

X: $-\text{CH}_2-$ R¹: H

25

R³: H

Crystalline form: Colorless amorphous

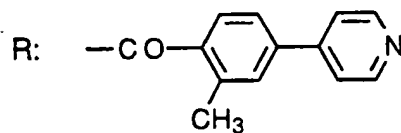
Form: Hydrochloride

- 422 -

Example 530

Structure:

5

X: $-\text{CH}_2-$ R¹: HR²: H

10

R³: H

Crystalline form: Pale yellow powder

Solvent for recrystallization: Chloroform/diethyl ether/n-hexane

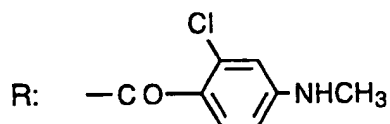
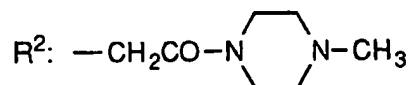
Form: Free

15

Example 531

Structure:

20

X: $-\text{CH}_2-$ R¹: HR³: H

25

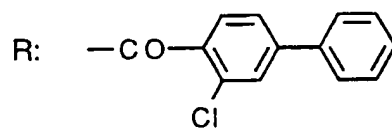
Crystalline form: Colorless amorphous

Form: Dihydrochloride

Example 532

Structure:

30

X: $-\text{CH}_2-$ R¹: H

35

R²: $-\text{CH}_2\text{CN}$ R³: H

Crystalline form: Colorless amorphous

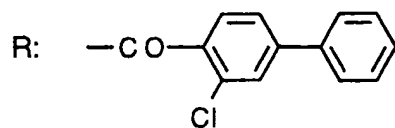
Form: Free

- 423 -

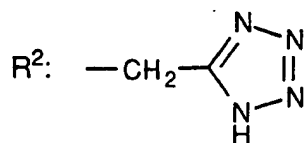
Example 533

Structure:

5

X: -CH₂-R¹: H

10

R³: H

Crystalline form: White powder

15

Solvent for recrystallization: Dichloromethane/diethyl ether

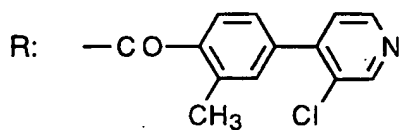
M.p. 191-194°C

Form: Free

Example 534

20

Structure:



25

X: -CH₂-R¹: HR²: HR³: H

Crystalline form: Pale brown amorphous

Form: Free

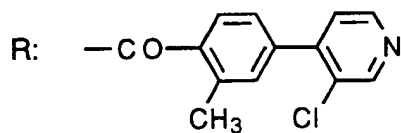
30

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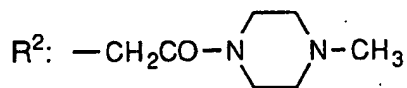
Example 535

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

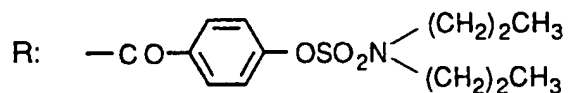
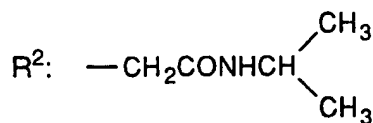
Form: Hydrochloride

15

Example 536

Structure:

20

X: -CH₂-R¹: H

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

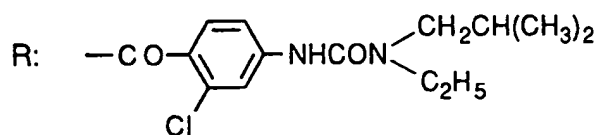
M.p. 146-147°C

- 425 -

Example 537

Structure:

5

X: $-\text{CH}_2-$ R¹: HR²: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol

M.p. 201-202°C

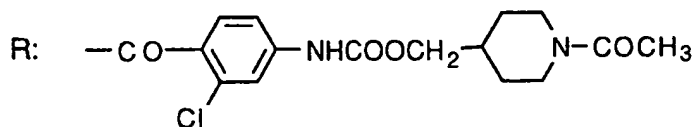
Form: Free

15

Example 538

Structure:

20

X: $-\text{CH}_2-$ R¹: HR²: HR³: H

25

Crystalline form: White powder

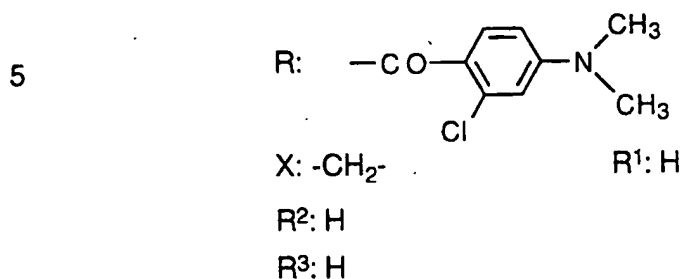
M.p. 118-120°C

Form: Free

- 426 -

Example 539

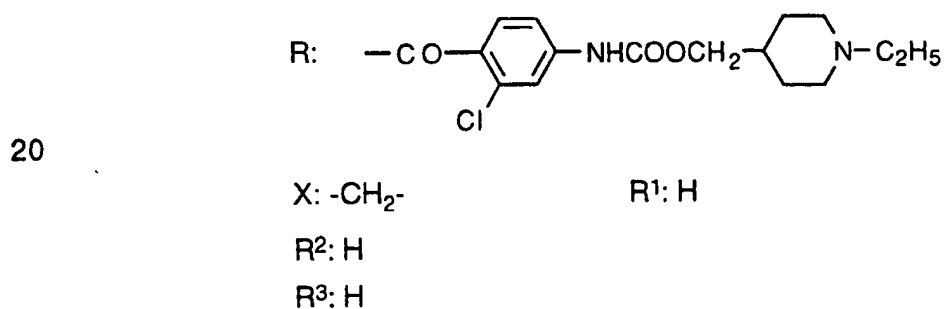
Structure:



10 Crystalline form: White powder
Solvent for recrystallization: Ethanol/diisopropyl ether
M.p. 173-174.5°C
Form: Free

Example 540

Structure:



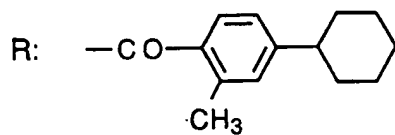
25 Crystalline form: White powder
M.p. 159-161°C
Form: Free

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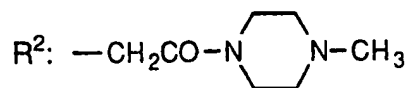
Example 541

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

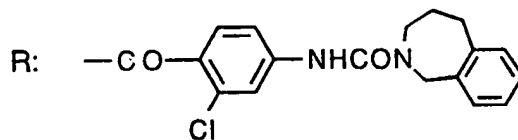
Form: Hydrochloride

15

Example 542

Structure:

20

X: -CH₂-R¹: HR²: HR³: H

25

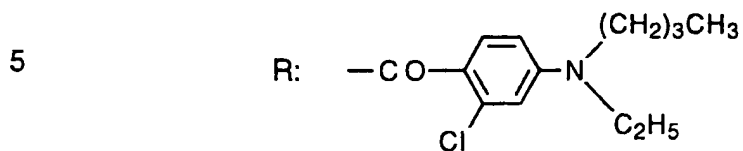
Crystalline form: Colorless amorphous

Form: Free

- 428 -

Example 543

Structure:

X: -CH₂-R¹: HR²: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: n-Hexane

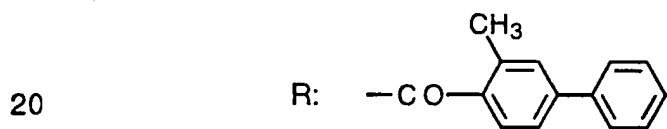
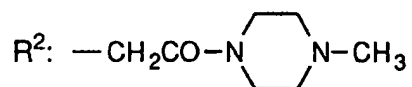
M.p. 94-95°C

Form: Free

15

Example 544

Structure:

X: -CH₂-R¹: 7-FR³: H

Crystalline form: Coloreless amorphous

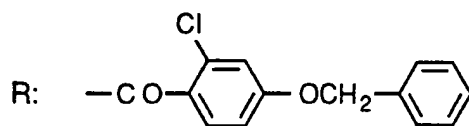
Form: Hydrochloride

- 429 -

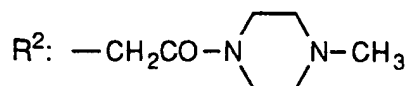
Example 545

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: H

10

R³: H

Crystalline form: Coloreless amorphous

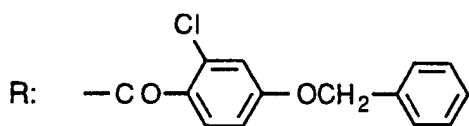
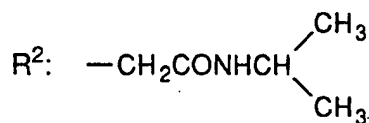
Form: Hydrochloride

15

Example 546

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: H

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

M.p. 174-176°C

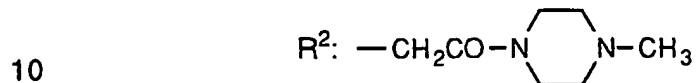
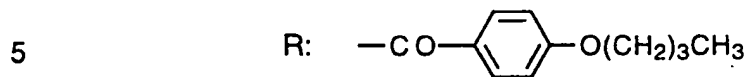
Form: Free

30

- 430 -

Example 547

Structure:



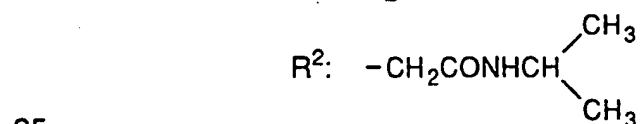
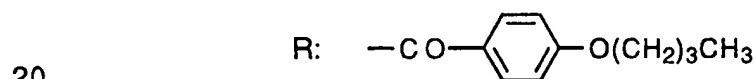
Crystalline form: Colorless amorphous

Form: Hydrochloride

15

Example 548

Structure:



Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

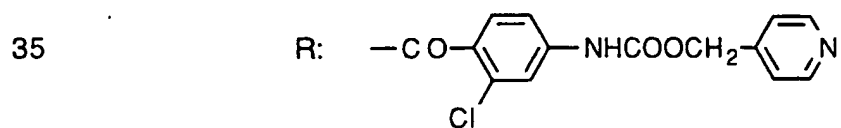
M.p. 133-135°C

Form: Free

30

Example 549

Structure:



Crystalline form: White powder

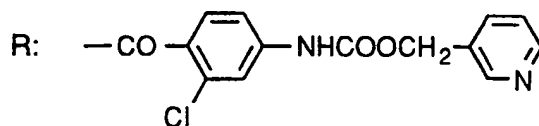
M.p. 181-184°C

Form: Free

Example 550

Structure:

5

X: -CH₂-R¹: HR²: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Methanol/diethyl ether

M.p. 197-200°C

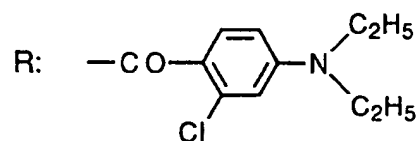
Form: Free

15

Example 551

Structure:

20

X: -CH₂-R¹: HR²: H

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethyl acetate

M.p. 162-163.5°C

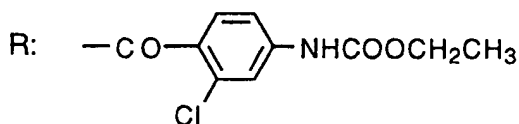
Form: Free

30

Example 552

Structure:

35

X: -CH₂-R¹: HR²: H

40

R³: H

Crystalline form: White powder

M.p. 168-171°C

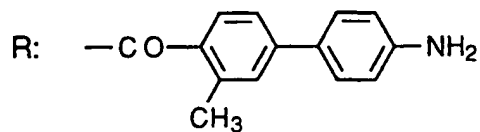
Form: Free

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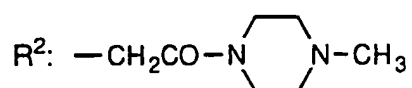
Example 553

Structure:

5

X: $-\text{CH}_2-$ R¹: H

10

R³: H

Crystalline form: Colorless amorphous

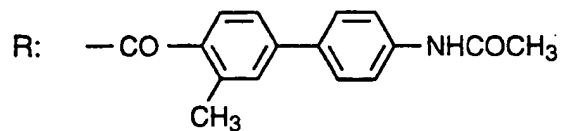
Form: Free

15

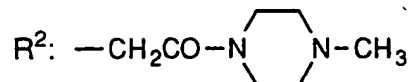
Example 554

Structure:

20

X: $-\text{CH}_2-$ R¹: H

25

R³: H

Crystalline form: Colorless amorphous

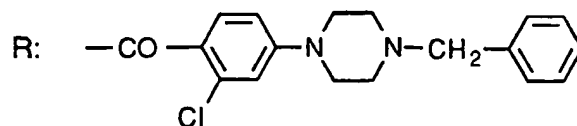
Form: Free

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Example 555

Structure:

5



10

X: $\text{---CH}_2\text{---}$ R¹: HR²: HR³: H

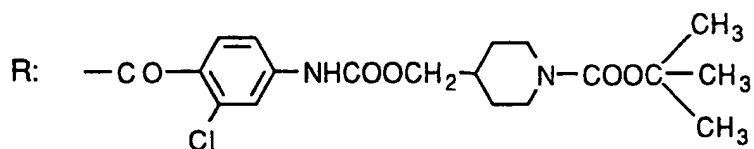
Crystalline form: Colorless amorphous

Form: Free

Example 556

15

Structure:



20

X: $\text{---CH}_2\text{---}$ R¹: HR²: HR³: H

Crystalline form: Colorless amorphous

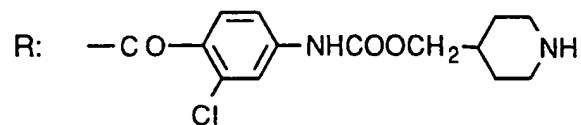
Form: Free

25

Example 557

Structure:

30



35

X: $\text{---CH}_2\text{---}$ R¹: HR²: HR³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

M.p. 150-152°C

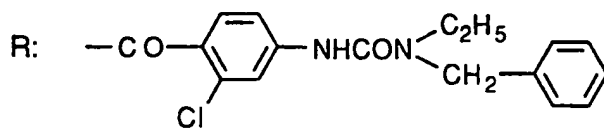
Form: Trifluoroacetate

- 434 -

Example 558

Structure:

5

X: -CH₂-R¹: HR²: HR³: H

10

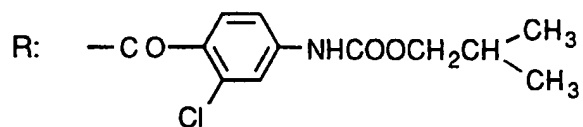
Crystalline form: White powder

Form: Free

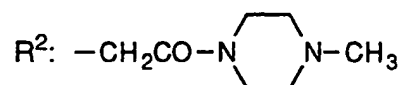
Example 559

Structure:

15

X: -CH₂-R¹: H

20

R³: H

25

Crystalline form: White powder

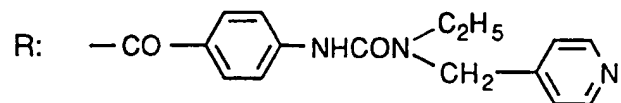
Solvent for recrystallization: Diisopropyl ether

Form: Free

Example 560

Structure:

30

X: -CH₂-R¹: HR²: H

35

R³: H

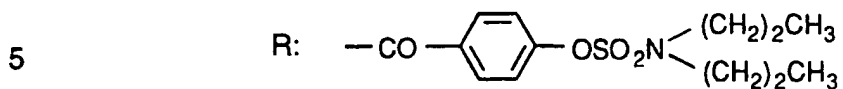
Crystalline form: Slightly orange amorphous

Form: Hydrochloride

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Example 561

Structure:



X: $-\text{CH}_2-$ R¹: H

R²: $-\text{CH}_2\text{COOH}$

R³: H

10 Crystalline form: White powder

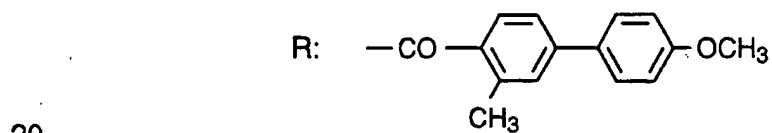
Solvent for recrystallization: Diethyl ether

M.p. 186-188°C

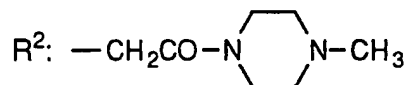
Form: Free

Example 562

Structure:



X: $-\text{CH}_2-$ R¹: 7-Cl



25 R³: H

Crystalline form: Yellow amorphous

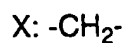
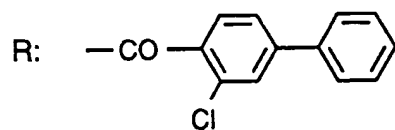
Form: Free

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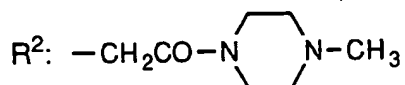
Example 563

Structure:

5



10



Crystalline form: Colorless amorphous

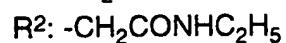
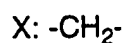
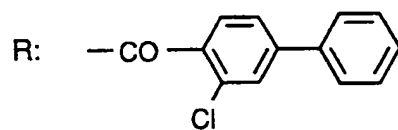
Form: Hydrochloride

15

Example 564

Structure:

20



Crystalline form: Colorless amorphous

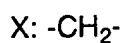
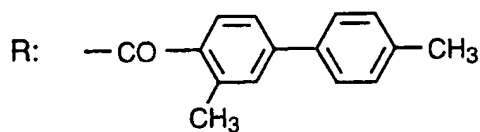
Form: Free

25

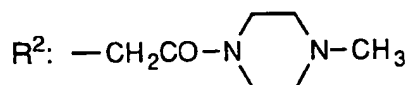
Example 565

Structure:

30



35



Crystalline form: Colorless amorphous

Form: Hydrochloride

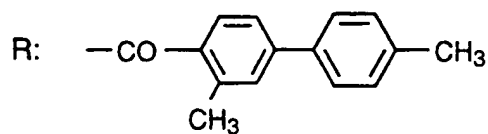
40

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Example 566

Structure:

5



10



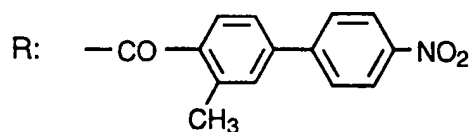
Crystalline form: Colorless amorphous

Form: Free

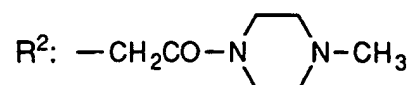
Example 567

Structure:

15



20



25

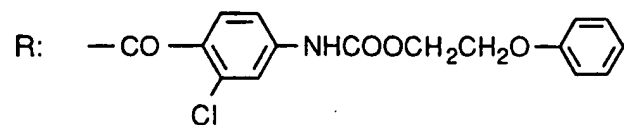
Crystalline form: Colorless amorphous

Form: Hydrochloride

Example 568

Structure:

30



35



Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

M.p. 144-146°C

40

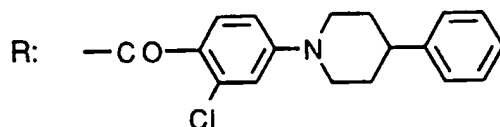
Form: Free

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Example 569

Structure:

5

X: -CH₂-R¹: HR²: HR³: H

10

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

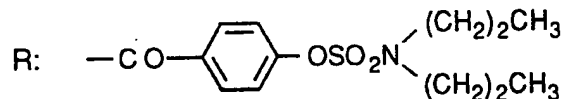
M.p. 128-130°C

Form: Free

Example 570

Structure:

20

X: -CH₂-R¹: HR²: -CH₂COOCH₃R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

25

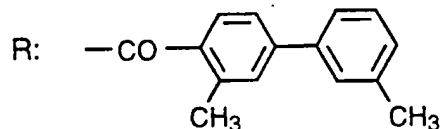
M.p. 110-111°C

Form: Free

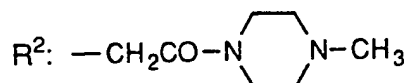
Example 571

Structure:

30

X: -CH₂-R¹: H

35

R³: H

40

Crystalline form: White powder

Solvent for recrystallization: Acetone/diethyl ether

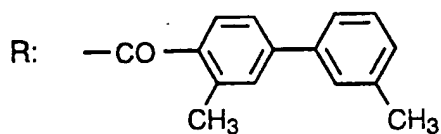
M.p. 161.5-163°C

Form: Hydrochloride

Example 572

Structure:

5

X: -CH₂-R¹: HR²: -CH₂CONHC₂H₅

10

R³: H

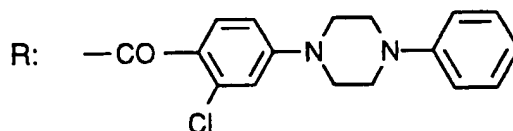
Crystalline form: Colorless amorphous

Form: Free

Example 573

15

Structure:



20

X: -CH₂-R¹: HR²: HR³: H

Crystalline form: White powder

Solvent for recrystallization: Diisopropyl ether

25

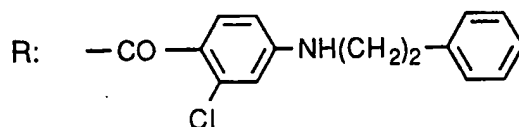
M.p. 160-162°C

Form: Free

Example 574

30

Structure:



35

X: -CH₂-R¹: HR²: HR³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol/ethyl acetate/n-hexane

M.p. 108-109°C

40

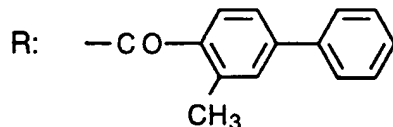
Form: Free

- 440 -

Example 575

Structure:

5

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{OH}$ R³: H

10

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

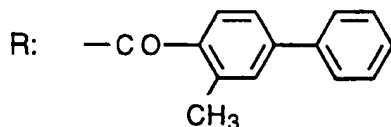
M.p. 104-106°C

Form: Free

Example 576

Structure:

20

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{OCOCH}_3$ R³: H

25

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

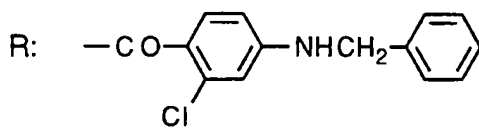
M.p. 115-116°C

Form: Free

Example 577

Structure:

30

X: $-\text{CH}_2-$ R¹: HR²: HR³: H

35

Crystalline form: Colorless amorphous

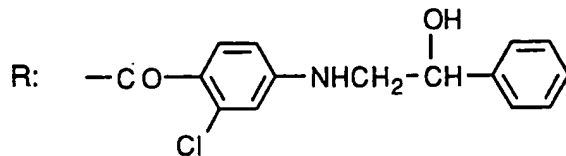
Form: Free

- 441 -

Example 578

Structure:

5

X: -CH₂-R¹: HR²: H

10

R³: H

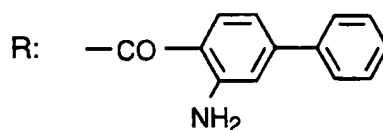
Crystalline form: Colorless amorphous

Form: Free

Example 579

Structure:

15

X: -CH₂-R¹: HR²: H

20

R³: H

Crystalline form: Pale yellow powder

Solvent for recrystallization: n-Hexane/ethyl acetate

M.p. 201.5-203°C

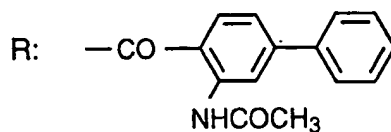
25

Form: Free

Example 580

Structure:

30

X: -CH₂-R¹: HR²: H

35

R³: H

Crystalline form: White powder

Solvent for recrystallization: n-Hexane/ethyl acetate

M.p. 196-198°C

Form: Free

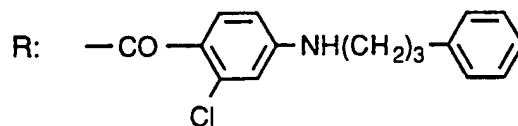
40

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Example 581

Structure:

5

X: -CH₂-R¹: HR²: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether/n-hexane

M.p. 130-133°C

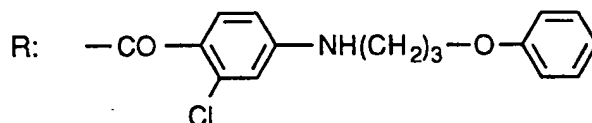
Form: Free

15

Example 582

Structure:

20

X: -CH₂-R¹: HR²: HR³: H

25

Crystalline form: White powder

Solvent for recrystallization: Ethyl acetate/n-hexane

M.p. 125-127°C

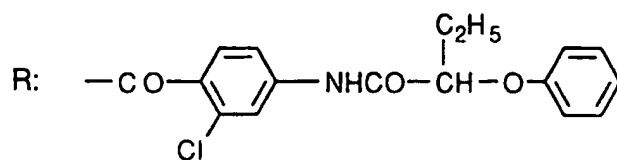
Form: Free

- 443 -

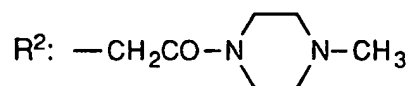
Example 583

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: H

10

R³: H

Crystalline form: Colorless amorphous

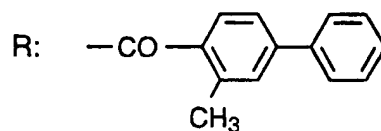
15

Form: Hydrochloride

Example 584

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: HR²: $\text{---CH}_2\text{CONHC}_2\text{H}_5$

25

R³: H

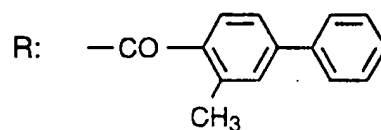
Crystalline form: Colorless amorphous

Form: Free

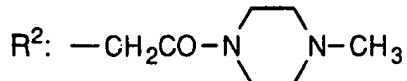
Example 585

Structure:

30



35

X: $\text{---CH}_2\text{---}$ R¹: H

40

R³: H

Crystalline form: Colorless amorphous

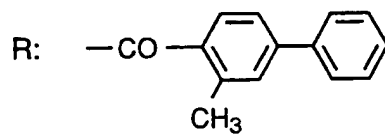
Form: Free

- 444 -

Example 586

Structure:

5

X: -CH₂-R¹: 7-ClR²: -OCH₃

10

R³: H

Crystalline form: Colorless amorphous

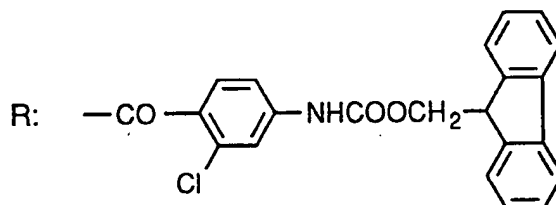
Form: Free

Example 587

15

Structure:

20

X: -CH₂-R¹: HR²: HR³: H

25

Crystalline form: White powder

M.p. 196-198°C

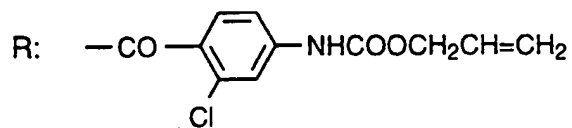
Form: Free

- 445 -

Example 588

Structure:

5

X: -CH₂-R¹: HR²: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Methanol

M.p. 169-170°C

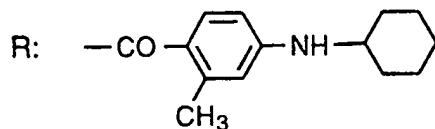
Form: Free

15

Example 589

Structure:

20

X: -CH₂-R¹: HR²: HR³: H

25

Crystalline form: Slightly yellow amorphous

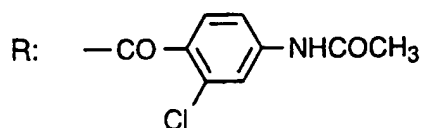
Form: Free

- 446 -

Example 590

Structure:

5

X: -CH₂-R¹: HR²: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol

M.p. 218-220°C

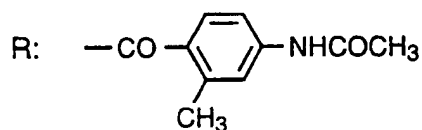
Form: Free

15

Example 591

Structure:

20

X: -CH₂-R¹: HR²: HR³: H

25

Crystalline form: White powder

Solvent for recrystallization: Ethanol

M.p. 194-195°C

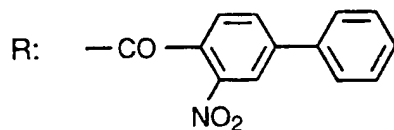
Form: Free

30

Example 592

Structure:

35

X: -CH₂-R¹: HR²: HR³: H

40

Crystalline form: Pale yellow powder

Solvent for recrystallization: n-Hexane/ethyl acetate

M.p. 158-159°C

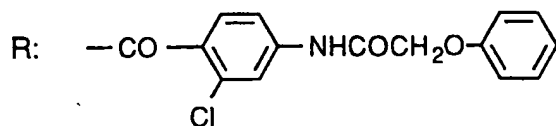
Form: Free

- 447 -

Example 593

Structure:

5

X: $-\text{CH}_2-$ R¹: HR²: $-\text{OCH}_3$ R³: H

10

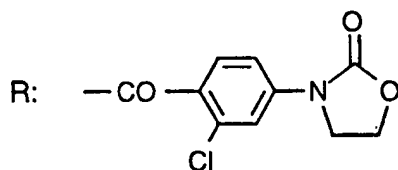
Crystalline form: Colorless amorphous

Form: Free

Example 594

Structure:

15



20

X: $-\text{CH}_2-$ R¹: HR²: HR³: H

Crystalline form: White powder

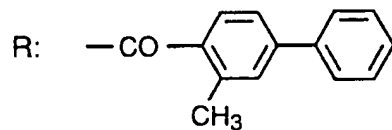
Form: Free

25

Example 595

Structure:

30

X: $-\text{CH}_2-$ R¹: HR²: $-\text{N}(\text{C}_2\text{H}_5)_2$ R³: H

Crystalline form: Yellow amorphous

35

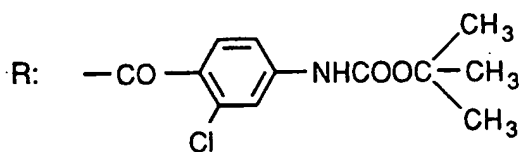
Form: Free

- 448 -

Example 596

Structure:

5

X: -CH₂-R¹: HR²: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Diisopropyl ether

M.p. 205-206°C

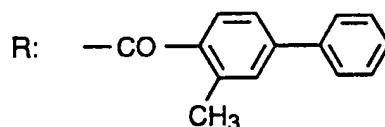
Form: Free

15

Example 597

Structure:

20

X: -CH₂-R¹: 7-ClR²: -OHR³: -CH₂OH

25

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 142-144°C

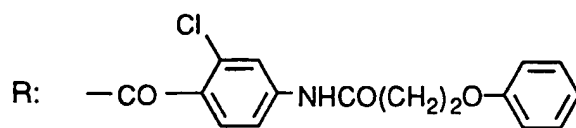
Form: Free

- 449 -

Example 598

Structure:

5

X: $-\text{CH}_2-$ R¹: HR²: HR³: H

10

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

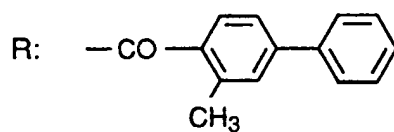
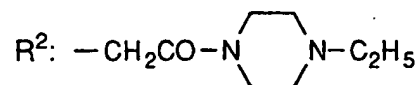
M.p. 132-135°C

Form: Free

Example 599

Structure:

20

X: $-\text{CH}_2-$ R¹: H

25

R³: H

Crystalline form: Pale yellow amorphous

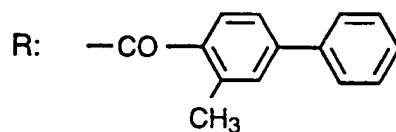
Form: Hydrochloride

- 450 -

Example 600

Structure:

5

X: -CH₂-R¹: HR²: -CH₂CONH₂

10

R³: H

Crystalline form: Pale brown powder

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 119-121°C

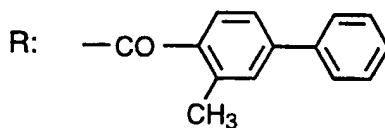
Form: Hydrochloride

15

Example 601

Structure:

20

X: -CH₂-R¹: HR²: -CH₂CONH-OCH₃R³: H

25

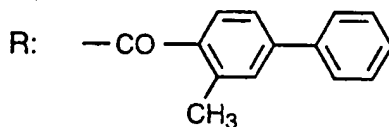
Crystalline form: Colorless amorphous

Form: Free

Example 602

Structure:

30

X: -CH₂-R¹: 7-Cl

35

R²: -OHR³: H

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 175-178°C

40

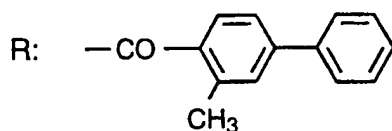
Form: Free

- 451 -

Example 603

Structure:

5

X: -CH₂-R¹: HR² and R³: =CH₂

10

Crystalline form: Pale yellow powder

Solvent for recrystallization: Diisopropyl ether/n-hexane

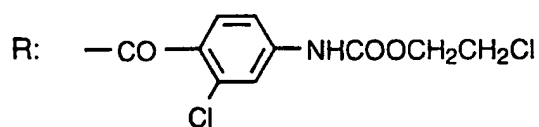
M.p. 113-115°C

Form: Free

Example 604

Structure:

20

X: -CH₂-R¹: HR²: HR³: H

25

Crystalline form: White powder

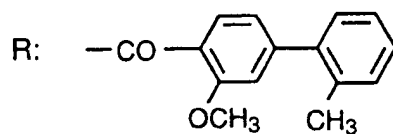
M.p. 128-130°C

Form: Free

Example 605

Structure:

30

X: -CH₂-R¹: 7-Cl

35

R²: -O(CH₂)₂NHSO₂CH₃R³: H

Crystalline form: Pale yellow powder

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 182-183°C

40

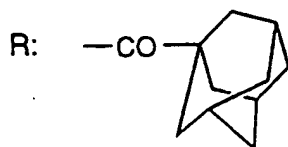
Form: Free

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Example 606

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$

10

R³: H

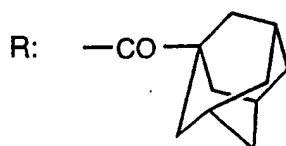
Crystalline form: Colorless oil

Form: Free

Example 607

Structure:

15



20

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$ R³: H

Crystalline form: Colorless amorphous

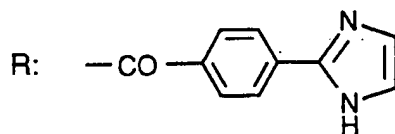
Form: Free

25

Example 608

Structure:

30

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$ R³: H

35

Crystalline form: Yellow amorphous

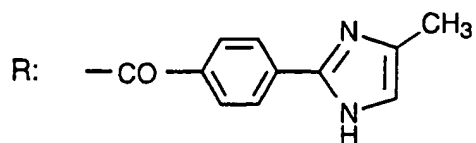
Form: Free

- 453 -

Example 609

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

10

R³: H

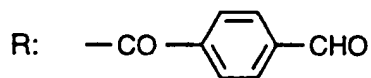
Crystalline form: Yellow amorphous

Form: Free

Example 610

15

Structure:

X: -CH₂-R¹: 7-Cl

20

R²: HR³: H

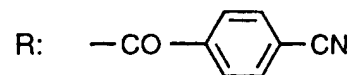
Crystalline form: Yellow amorphous

Form: Free

25

Example 611

Structure:



30

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: Brown amorphous

Form: Free

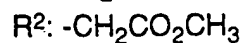
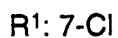
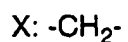
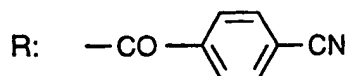
35

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Example 612

Structure:

5



10

Crystalline form: Pale brown powder

Solvent for recrystallization: Chloroform/diethyl ether

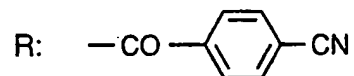
M.p. 149-151°C

Form: Free

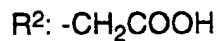
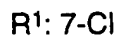
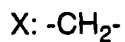
Example 613

Structure:

15



20



Crystalline form: Pale brown amorphous

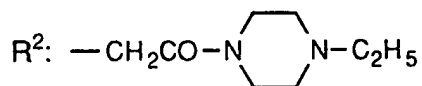
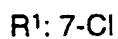
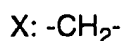
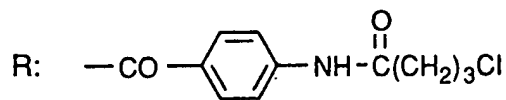
Form: Free

25

Example 614

Structure:

30



35



Crystalline form: Pale yellow amorphous

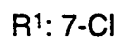
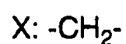
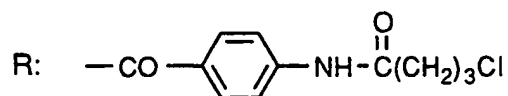
Form: Free

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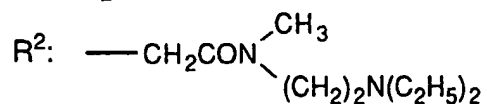
Example 615

Structure:

5



10



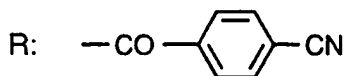
Crystalline form: Colorless oil

Form: Free

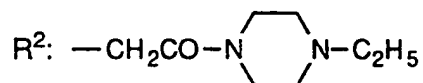
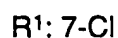
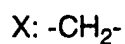
15

Example 616

Structure:



20



25



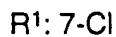
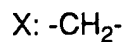
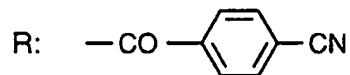
Crystalline form: Colorless amorphous

Form: Free

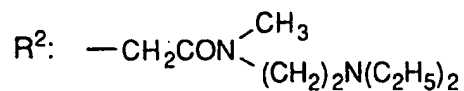
30

Example 617

Structure:



35



Crystalline form: Pale brown oil

Form: Free

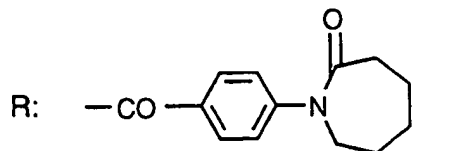
40

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Example 618

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃

10

R³: H

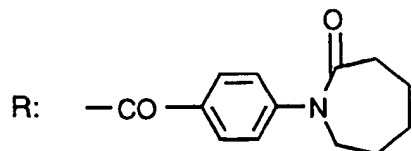
Crystalline form: Pale yellow oil

Form: Free

Example 619

Structure:

15



20

X: -CH₂-R¹: 7-ClR²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

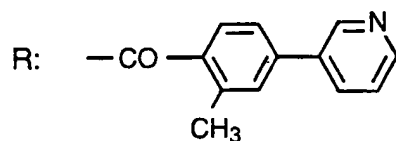
Form: Free

25

Example 620

Structure:

30

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

35

Crystalline form: Pale yellow powder

Solvent for recrystallization: Chloroform/diethyl ether

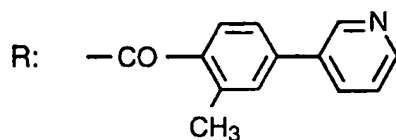
M.p. 151-161°C

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Example 621

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Methanol/diethyl ether

M.p. 258-260°C

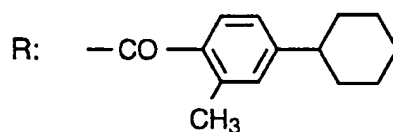
Form: Hydrochloride

15

Example 622

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{COOH}$ R³: H

25

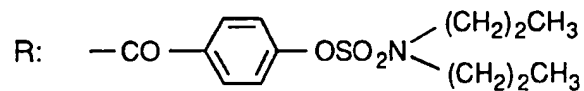
Crystalline form: Colorless amorphous

Form: Free

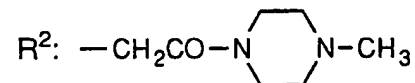
Example 623

Structure:

30

X: $-\text{CH}_2-$ R¹: H

35

R³: H

Crystalline form: Colorless amorphous

Form: Hydrochloride

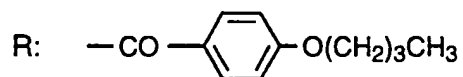
40

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Example 624

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: HR²: $\text{---CH}_2\text{CO}_2\text{CH}_3$ R³: H

10

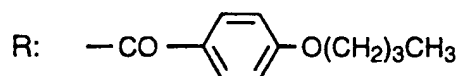
Crystalline form: Coloreless amorphous

Form: Free

Example 625

Structure:

15

X: $\text{---CH}_2\text{---}$ R¹: HR²: $\text{---CH}_2\text{COOH}$ R³: H

20

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

M.p. 188-189°C

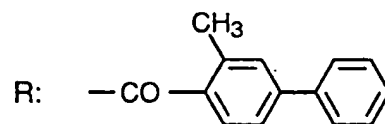
Form: Free

25

Example 626

Structure:

30

X: $\text{---CH}_2\text{---}$ R¹: 7-FR²: $\text{---CH}_2\text{COOCH}_3$ R³: H

35

Crystalline form: Colorless amorphous

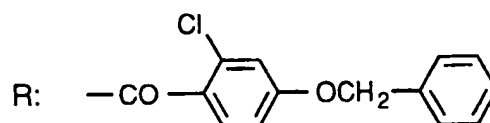
Form: Free

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Example 627

Structure:

5

X: -CH₂-R¹: HR²: -CH₂COOCH₃R³: H

10

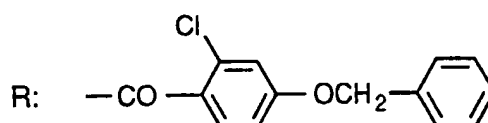
Crystalline form: Colorless amorphous

Form: Free

Example 628

Structure:

15

X: -CH₂-R¹: HR²: -CH₂COOH

20

R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

M.p. 178-179°C

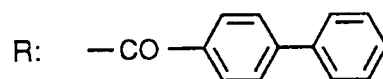
Form: Free

25

Example 629

Structure:

30

X: -CH₂-R¹: 7-FR²: -CH₂COOCH₃R³: H

35

Crystalline form: Colorless oil

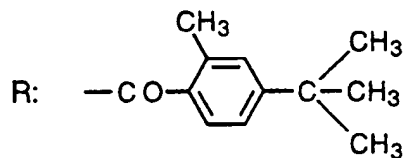
Form: Free

- 460 -

Example 630

Structure:

5

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{COOCH}_3$

10

R³: H

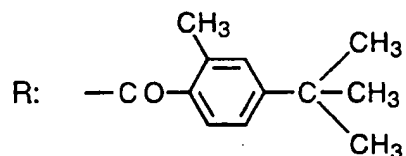
Crystalline form: Colorless oil

Form: Free

Example 631

Structure:

15



20

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{COOH}$ R³: H

Crystalline form: White powder

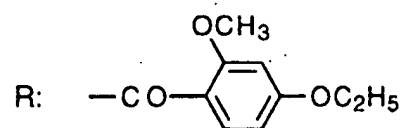
Form: Free

25

Example 632

Structure:

30

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$ R³: H

35

Crystalline form: Colorless amorphous

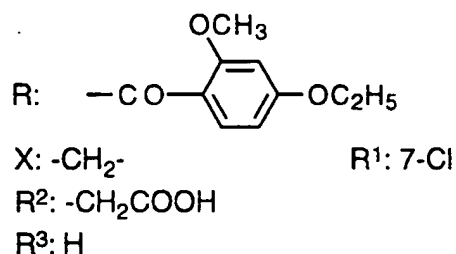
Form: Free

- 461 -

Example 633

Structure:

5



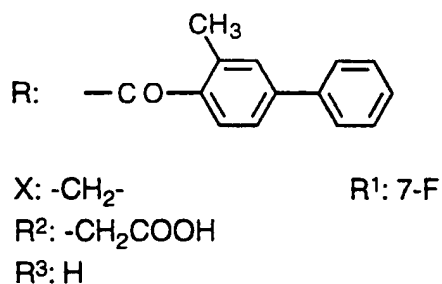
10

Crystalline form: Colorless amorphous
Form: Free

Example 634

Structure:

15



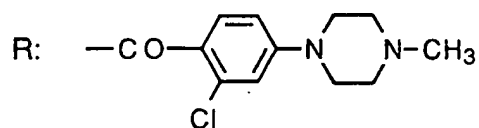
20

Crystalline form: Colorless amorphous
Form: Free

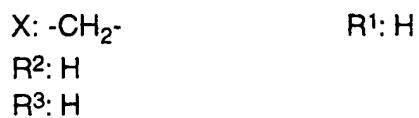
Example 635

Structure:

25



30



35

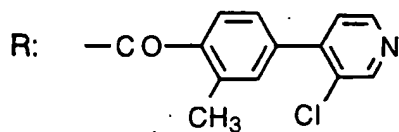
Crystalline form: White powder
M.p. 138-140°C
Form: Free

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Example 636

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

M.p. 208-211°C

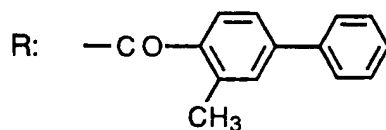
Form: Free

15

Example 637

Structure:

20

X: -CH₂-R¹: HR²: -CH₂CO₂CH₃R³: H

25

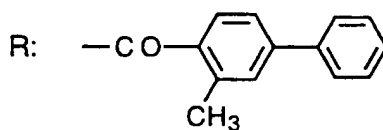
Crystalline form: Pale brown oil

Form: Free

Example 638

Structure:

30

X: -CH₂-R¹: HR²: -CH₂COOHR³: H

35

Crystalline form: Pale brown powder

Solvent for recrystallization: Chloroform/diethyl ether

M.p. 192-194°C

Form: Free

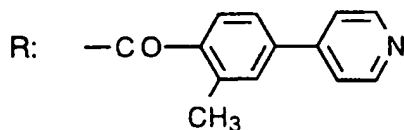
40

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Example 639

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

M.p. 238-239°C

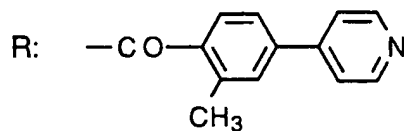
Form: Hydrochloride

15

Example 640

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂COOCH₃R³: H

25

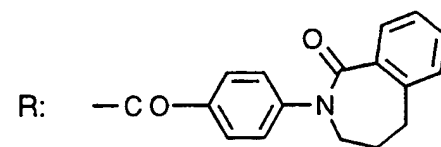
Crystalline form: Pale yellow solid

Form: Free

Example 641

Structure:

30



35

X: -CH₂-R¹: 7-ClR²: -CH₂COOCH₃R³: H

Crystalline form: White powder

M.p. 82-87°C

40

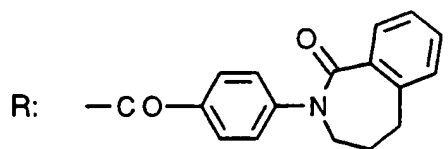
Form: Free

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Example 642

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

10

R³: H

Crystalline form: White powder

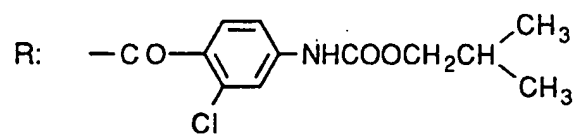
M.p. 121-127°C

Form: Free

Example 643

Structure:

15



20

X: -CH₂-R¹: HR²: -CH₂COOCH₃R³: H

Crystalline form: Colorless amorphous

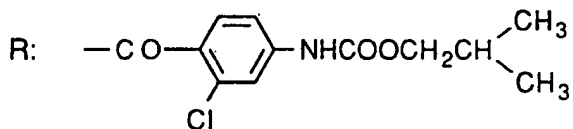
25

Form: Free

Example 644

Structure:

30

X: -CH₂-R¹: HR²: -CH₂COOH

35

R³: H

Crystalline form: Colorless amorphous

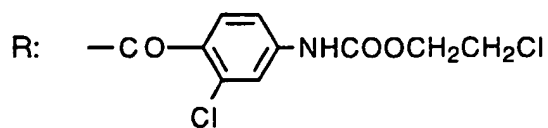
Form: Free

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Example 645

Structure:

5

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{COOCH}_3$

10

R³: H

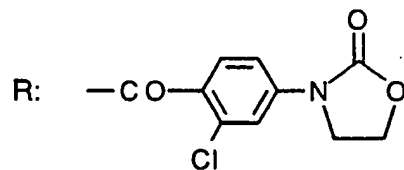
Crystalline form: White powder

Form: Free

Example 646

15

Structure:



20

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{COOCH}_3$ R³: H

Crystalline form: Colorless amorphous

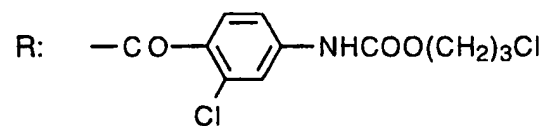
Form: Free

25

Example 647

Structure:

30

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{COOCH}_3$ R³: H

Crystalline form: Colorless amorphous

Form: Free

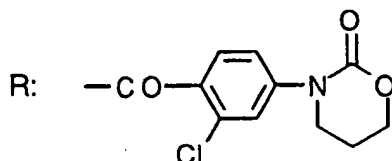
35

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Example 648

Structure:

5

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{COOCH}_3$

10

R³: H

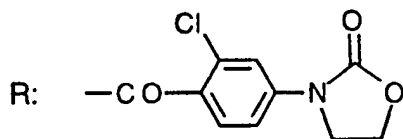
Crystalline form: Brown amorphous

Form: Free

Example 649

15

Structure:



20

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{COOH}$ R³: H

Crystalline form: White powder

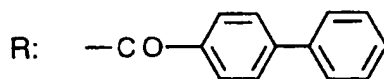
Form: Free

25

Example 650

Structure:

30



X: Single bond

R¹: 6-ClR² and R³: =O

Crystalline form: Slightly yellow powder

Form: Free

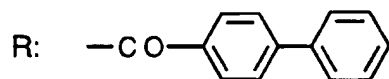
35

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Example 651

Structure:

5



X: Single bond R¹: 6-Cl

R² and R³: =CH-CO₂C₂H₅

Crystalline form: Slightly yellow amorphous

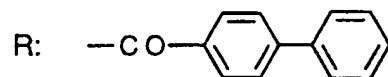
Form: Free

10

Example 652

Structure:

15



X: Single bond R¹: 6-Cl

R²: -CH₂CO₂C₂H₅

R³: H

Crystalline form: Slightly yellow amorphous

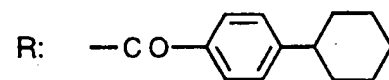
Form: Free

20

Example 653

Structure:

25



X: Single bond R¹: 6-Cl

R² and R³: =O

Crystalline form: Yellow solid

Form: Free

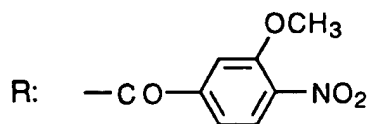
30

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Example 654

Structure:

5



X: Single bond

R¹: 6-ClR² and R³: =O

10

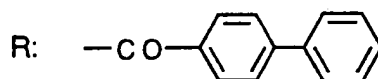
Crystalline form: Yellow powder

Form: Free

Example 655

Structure:

15



X: Single bond

R¹: 6-ClR²: -CH₂CO₂H

20

R³: H

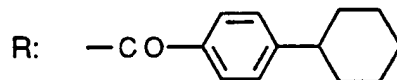
Crystalline form: Colorless amorphous

Form: Free

Example 656

Structure:

25



X: Single bond

R¹: 6-ClR² and R³: =CH-COOC₂H₅

30

Crystalline form: White powder

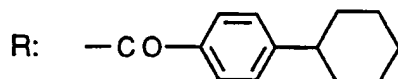
Form: Free

- 469 -

Example 657

Structure:

5



X: Single bond

R¹: 6-ClR²: -CH₂COOHR³: H

10

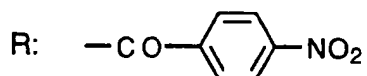
Crystalline form: Colorless amorphous

Form: Free

Example 658

Structure:

15



X: Single bond

R¹: 6-ClR²: -CH₂CO₂CH₃

20

R³: H

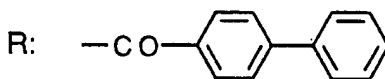
Crystalline form: Yellow powder

Form: Free

Example 659

Structure:

25



X: Single bond

R¹: 6-ClR²: -CH₂COOH

30

R³: H

Crystalline form: Colorless amorphous

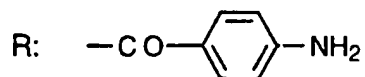
Form: Free

- 470 -

Example 660

Structure:

5



X: Single bond

R¹: 6-ClR²: -CH₂CO₂CH₃R³: H

10

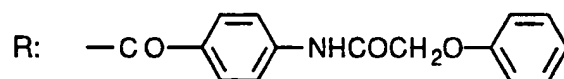
Crystalline form: White powder

Form: Free

Example 661

Structure:

15



X: Single bond

R¹: 6-ClR²: -CH₂CO₂CH₃

20

R³: H

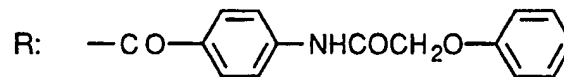
Crystalline form: Coloreless amorphous

Form: Free

Example 662

25

Structure:



X: Single bond

R¹: 6-Cl

30

R²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

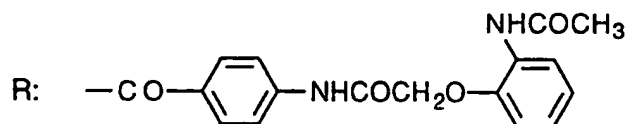
Form: Free

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Example 663

Structure:

5



X: Single bond

R¹: 6-ClR²: -CH₂CO₂CH₃

10

R³: H

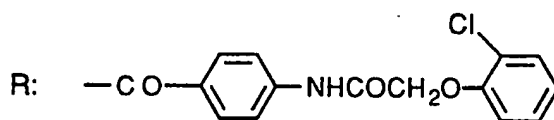
Crystalline form: Colorless amorphous

Form: Free

Example 664

15

Structure:



X: Single bond

R¹: 6-Cl

20

R²: -CH₂CO₂CH₃R³: H

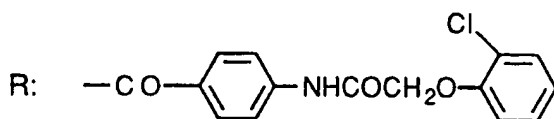
Crystalline form: Red amorphous

Form: Free

Example 665

25

Structure:



30

X: Single bond

R¹: 6-ClR²: -CH₂COOHR³: H

Crystalline form: Yellow amorphous

Form: Free

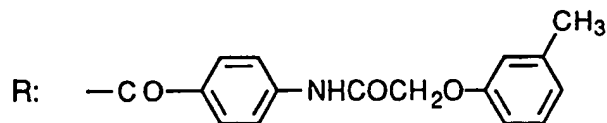
35

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Example 666

Structure:

5



X: Single bond

R¹: 6-ClR²: -CH₂COOCH₃R³: H

10

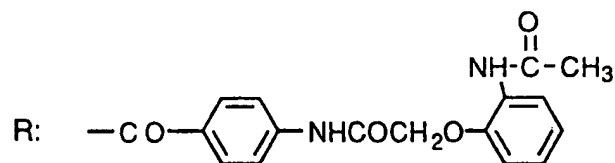
Crystalline form: Colorless amorphous

Form: Free

Example 667

Structure:

15



X: Single bond

R¹: 6-Cl

20

R²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

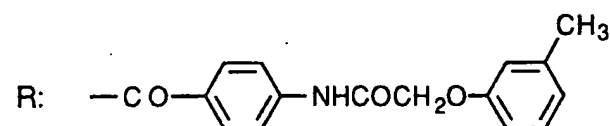
Form: Free

25

Example 668

Structure:

30



X: Single bond

R¹: 6-ClR²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

35

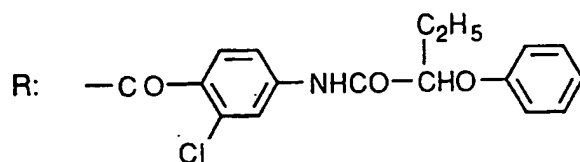
Form: Free

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Example 669

Structure:

5

X: -CH₂-R¹: HR²: -CH₂COOH

10

R³: H

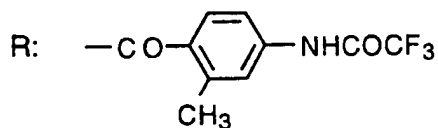
Crystalline form: Colorless amorphous

Form: Free

Example 670

15

Structure:



20

X: -CH₂-R¹: 7-ClR²: -CH₂COOCH₃R³: H

Crystalline form: Slightly red powder

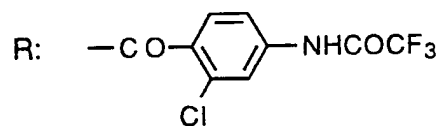
Form: Free

25

Example 671

Structure:

30

X: -CH₂-R¹: HR²: -CH₂COOCH₃R³: H

Crystalline form: White powder

35

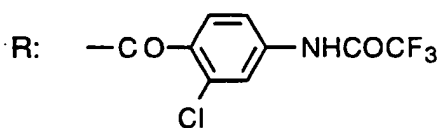
Form: Free

- 474 -

Example 672

Structure:

5

X: -CH₂-R¹: HR²: H

10

R³: H

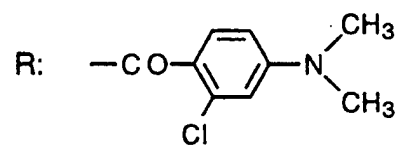
Crystalline form: Slightly red powder

Form: Free

Example 673

15

Structure:



20

X: -CH₂-R¹: HR²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

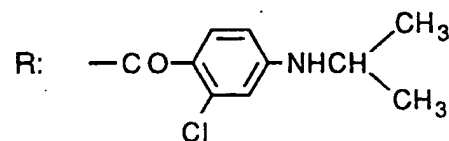
Form: Free

25

Example 674

Structure:

30

X: -CH₂-R¹: HR²: -CH₂COOHR³: H

35

Crystalline form: Colorless amorphous

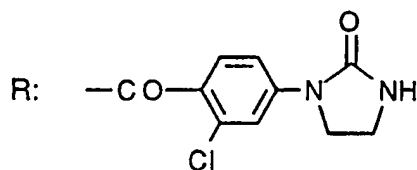
Form: Free

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Example 675

Structure:

5

X: -CH₂-R¹: HR²: -CH₂COOH

10

R³: H

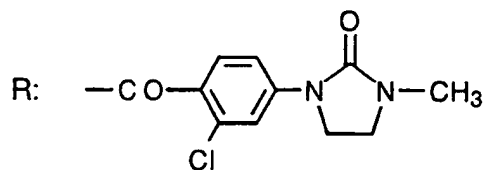
Crystalline form: Colorless amorphous

Form: Free

Example 676

Structure:

15



20

X: -CH₂-R¹: HR²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

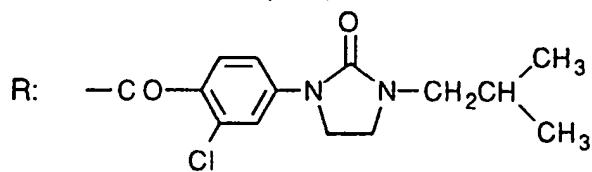
Form: Free

25

Example 677

Structure:

30

X: -CH₂-R¹: HR²: -CH₂COOHR³: H

35

Crystalline form: Colorless amorphous

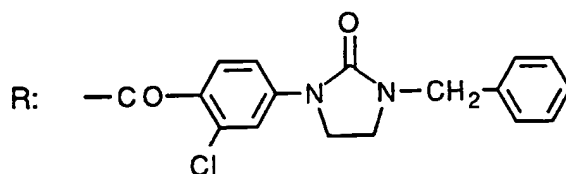
Form: Free

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Example 678

Structure:

5

X: -CH₂-R¹: HR²: -CH₂COOH

10

R³: H

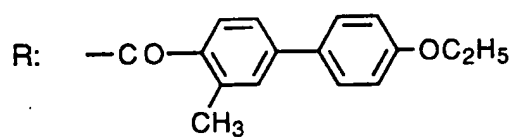
Crystalline form: Colorless amorphous

Form: Free

Example 679

15

Structure:



20

X: -CH₂-R¹: HR²: -CH₂COOCH₃R³: H

Crystalline form: Colorless amorphous

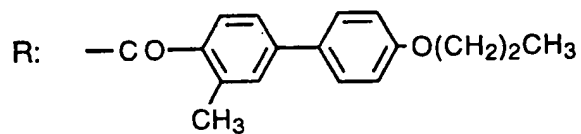
Form: Free

25

Example 680

Structure:

30

X: -CH₂-R¹: HR²: -CH₂COOCH₃R³: H

35

Crystalline form: Colorless amorphous

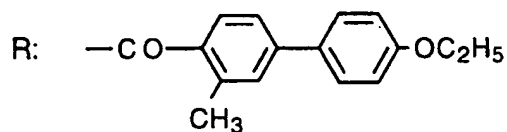
Form: Free

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Example 681

Structure:

5

X: -CH₂-R¹: HR²: -CH₂COOH

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

M.p. 183-183.5°C

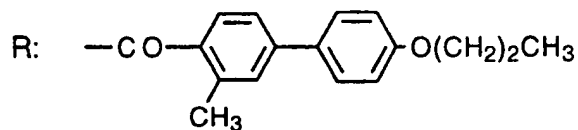
Form: Free

15

Example 682

Structure:

20

X: -CH₂-R¹: HR²: -CH₂COOHR³: H

25

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

M.p. 169.5-170°C

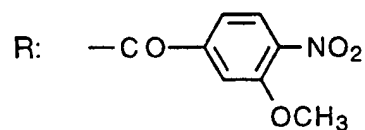
Form: Free

30

Example 683

Structure:

35

X: -CH₂-R¹: 7-ClR²: -OCH₂COOCH₃R³: H

40

Crystalline form: Slightly yellow powder

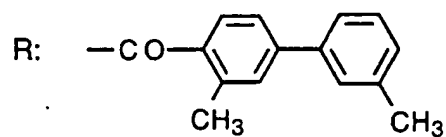
Form: Free

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Example 684

Structure:

5

X: -CH₂- R¹: HR²: -CH₂COOCH₃

10

R³: H

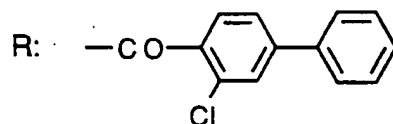
Crystalline form: Colorless amorphous

Form: Free

Example 685

15

Structure:

X: -CH₂- R¹: H

20

R²: -CH₂CO₂CH₃R³: H

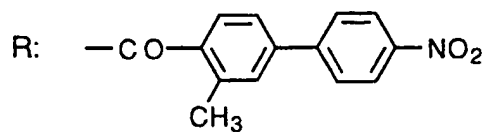
Crystalline form: Colorless amorphous

Form: Free

Example 686

25

Structure:



30

X: -CH₂- R¹: HR²: -CH₂CO₂CH₃R³: H

Crystalline form: Slightly yellow powder

35

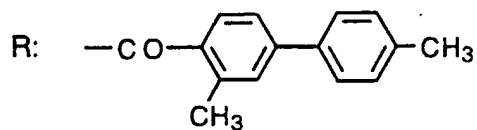
Form: Free

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Example 687

Structure:

5

X: -CH₂- R¹: HR²: -CH₂CO₂CH₃

10

R³: H

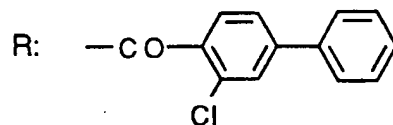
Crystalline form: Colorless amorphous

Form: Free

Example 688

Structure:

15



20

X: -CH₂- R¹: HR²: -CH₂COOHR³: H

Crystalline form: White powder

Solvent for recrystallization: Ethanol/diethyl ether

25

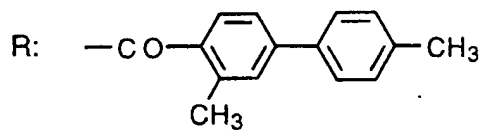
M.p. 176-177°C

Form: Free

Example 689

Structure:

30



35

X: -CH₂- R¹: HR²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

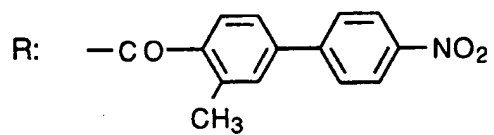
Form: Free

- 480 -

Example 690

Structure:

5

X: -CH₂- R¹: HR²: -CH₂COOH

10

R³: H

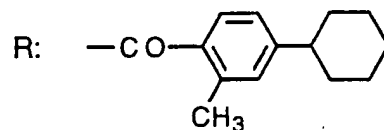
Crystalline form: Slightly yellow powder

Form: Free

Example 691

15

Structure:



20

X: -CH₂- R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

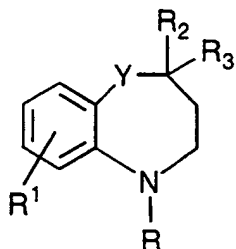
Crystalline form: Colorless amorphous

Form: Free

25

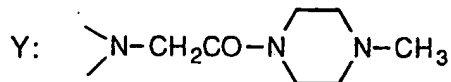
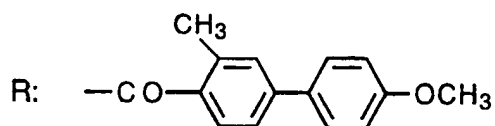
- 481 -

The suitable starting compounds are treated in the same manner as in Examples 1 and 2 to give the following compounds.



Example 692

Structure:



R¹: H

R² and R³: =O

Crystalline form: Colorless amorphous

Form: Free

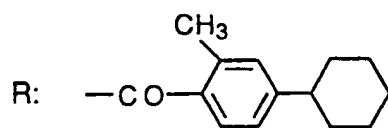
25

- 482 -

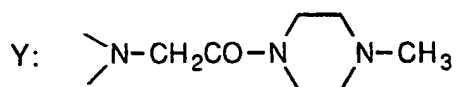
Example 693

Structure:

5



10

R¹: HR² and R³: =O

Crystalline form: Colorless amorphous

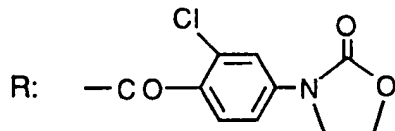
Form: Free

15

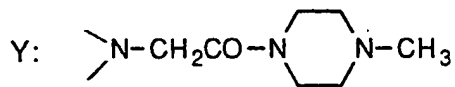
Example 694

Structure:

20



25

R¹: HR² and R³: =O

Crystalline form: White powder

M.p. 166-170°C

Form: Free

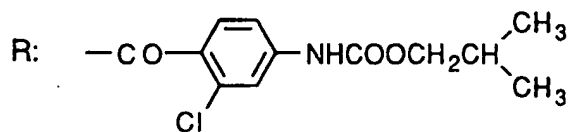
30

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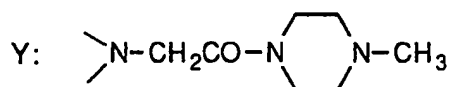
Example 695

Structure:

5



10

 $\text{R}^1: \text{H}$ $\text{R}^2 \text{ and } \text{R}^3: =\text{O}$

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

15

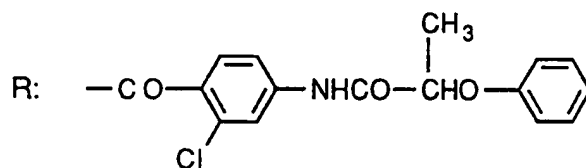
M.p. 215-218°C (decomposed)

Form: Free

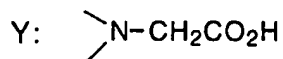
Example 696

Structure:

20



25

 $\text{R}^1: \text{H}$ $\text{R}^2: \text{H}$ $\text{R}^3: \text{H}$

30

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

M.p. 182-188°C (decomposed)

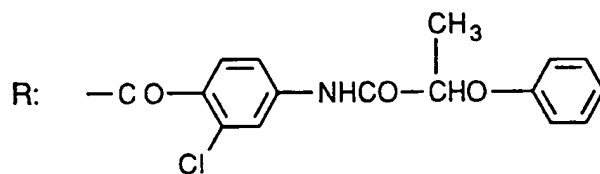
Form: Free

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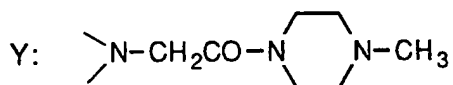
Example 697

Structure:

5



10

R¹: HR²: HR³: H

Crystalline form: White powder

15

Solvent for recrystallization: Diethyl ether

M.p. 105-108°C

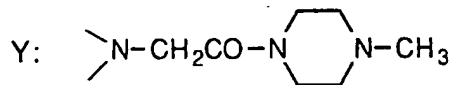
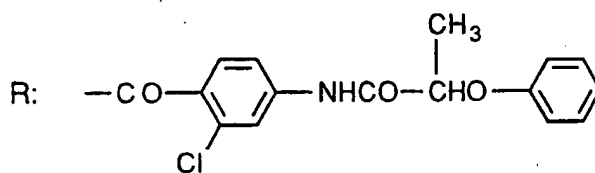
Form: Free

Example 698

20

Structure:

25



30

R¹: HR² and R³: =O

Crystalline form: White powder

M.p. 154-158°C

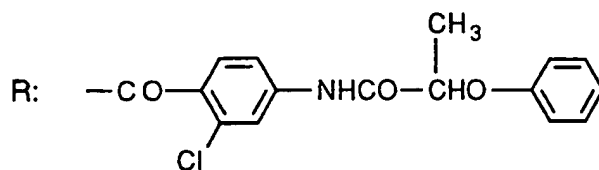
Form: Free

- 485 -

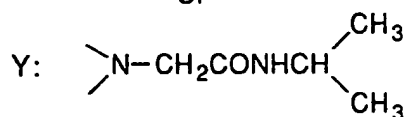
Example 699

Structure:

5



10

R¹: HR²: HR³: H

Crystalline form: White powder

15

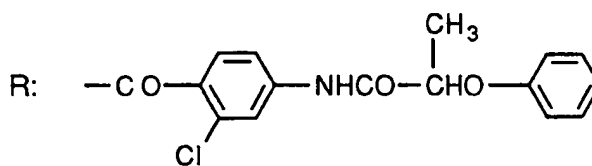
Solvent for recrystallization: Diethyl ether

M.p. 144-145°C

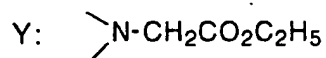
Example 700

20

Structure:



25



30

R¹: HR²: HR³: H

Crystalline form: Pale yellow powder

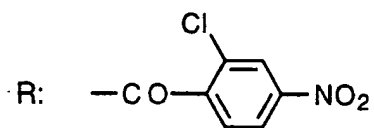
Form: Free

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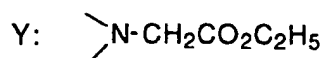
Example 701

Structure:

5



10

R¹: HR² and R³: =O

Crystalline form: Brown powder

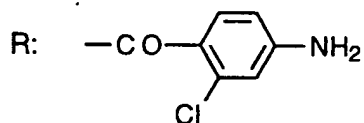
Form: Free

15

Example 702

Structure:

20



25

R¹: HR² and R³: =O

Crystalline form: Colorless powder

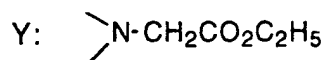
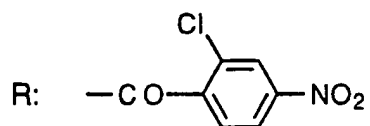
Form: Free

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Example 703

Structure:

5



10

R¹: HR²: HR³: H

Crystalline form: Pale yellow oil

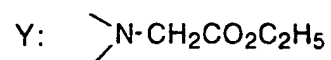
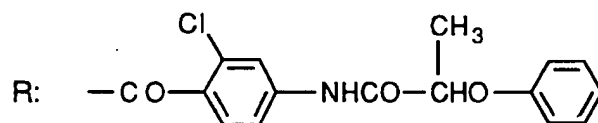
15

Form: Free

Example 704

Structure:

20



25

R¹: HR² and R³: =O

Crystalline form: Colorless amorphous

Form: Free

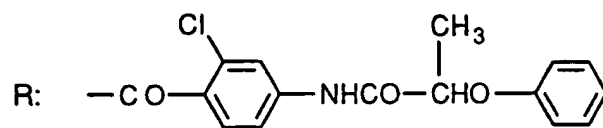
30

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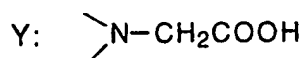
Example 705

Structure:

5



10

 $\text{R}^1: \text{H}$ $\text{R}^2 \text{ and } \text{R}^3: =\text{O}$

Crystalline form: Colorless amorphous

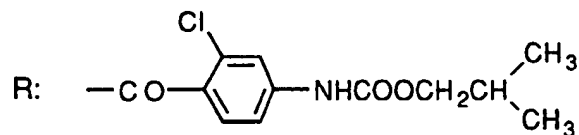
Form: Free

15

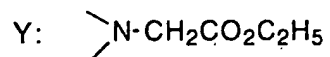
Example 706

Structure:

20



25

 $\text{R}^1: \text{H}$ $\text{R}^2 \text{ and } \text{R}^3: =\text{O}$

Crystalline form: Colorless amorphous

Form: Free

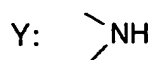
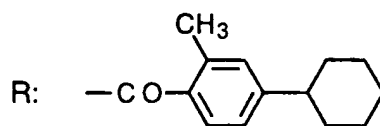
30

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Example 711

Structure:

5



10

R¹: HR² and R³: =O

Crystalline form: Colorless amorphous

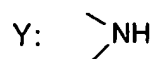
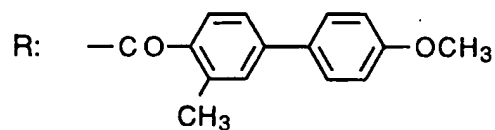
Form: Free

15

Example 712

Structure:

20



25

R¹: HR² and R³: =O

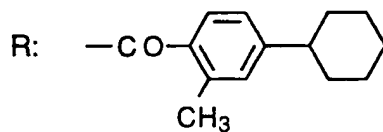
Crystalline form: White powder

Form: Free

Example 713

Structure:

5



10

R¹: HR²: HR³: H

Crystalline form: Colorless amorphous

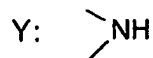
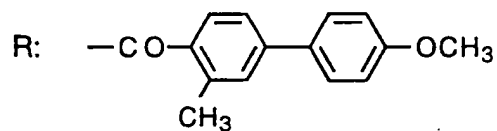
Form: Free

15

Example 714

Structure:

20



25

R¹: HR²: HR³: H

Crystalline form: Colorless prisms

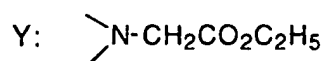
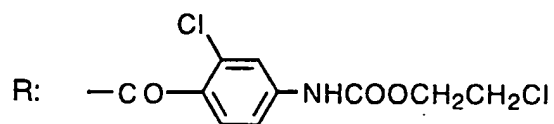
Form: Free

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Example 707

Structure:

5



10

R¹: HR² and R³: =O

Crystalline form: White powder

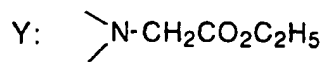
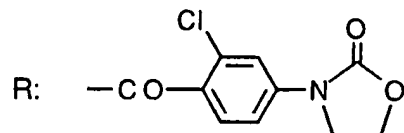
Form: Free

15

Example 708

Structure:

20



25

R¹: HR² and R³: =O

Crystalline form: Colorless amorphous

Form: Free

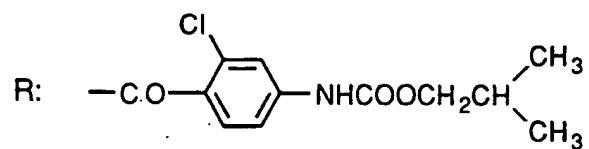
30

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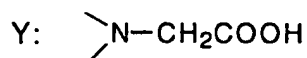
Example 709

Structure:

5



10

 $\text{R}^1: \text{H}$ $\text{R}^2 \text{ and } \text{R}^3: =\text{O}$

Crystalline form: White powder

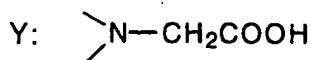
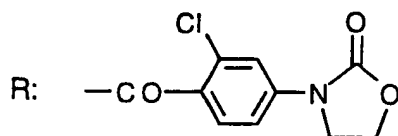
Form: Free

15

Example 710

Structure:

20



25

 $\text{R}^1: \text{H}$ $\text{R}^2 \text{ and } \text{R}^3: =\text{O}$

Crystalline form: Yellow amorphous

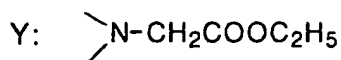
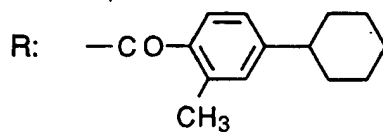
Form: Free

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Example 715

Structure:

5



10

R¹: HR² and R³: =O

Crystalline form: Yellow amorphous

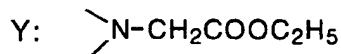
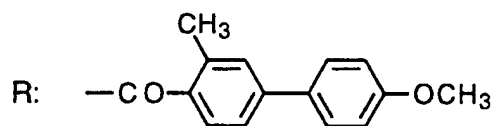
Form: Free

15

Example 716

Structure:

20



25

R¹: HR² and R³: =O

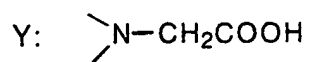
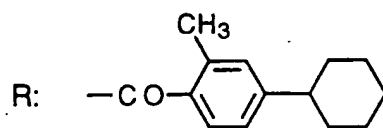
Crystalline form: Colorless amorphous

Form: Free

Example 717

Structure:

5



10

R¹: HR² and R³: =O

Crystalline form: Yellow amorphous

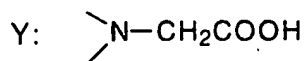
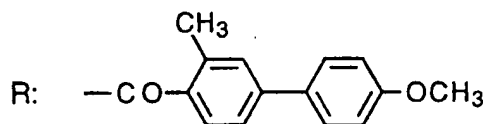
Form: Free

15

Example 718

Structure:

20



25

R¹: HR² and R³: =O

Crystalline form: White powder

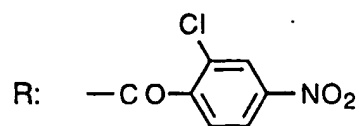
Form: Free

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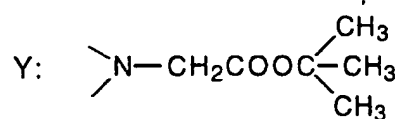
Example 719

Structure:

5



10

 $R^1: \text{H}$ $R^2 \text{ and } R^3: =\text{O}$

Crystalline form: Yellow amorphous

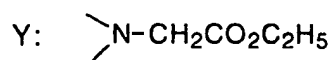
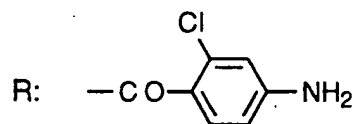
Form: Free

15

Example 720

Structure:

20



25

 $R^1: \text{H}$ $R^2: \text{H}$ $R^3: \text{H}$

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

M.p. 120-123°C

30

Form: Free

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The data of NMR analysis of the compounds of the above
Examples are as follows.

NMR analysis:

The compound of Example 147

5 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.35-3.55, 4.50-5.10 [total 16H, m, 2.20 (s), 2.39 (s), 4.69 (s)], 6.54 (1H, d, J=8.27 Hz), 6.71 (1H, d, J=12.82 Hz), 6.78-6.95 (1H, m), 7.05-7.50, 7.75-8.20 (total 3H, m)

The compound of Example 148

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.98-3.94, 4.41-4.61 and 5.03-5.19 [all 24H, m, 1.12 (t, J=6.67 Hz), 4.57 (s)], 6.38-7.52 and 8.16-8.38 (all 13H, m)

The compound of Example 150

10 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.86-3.91, 4.36-4.61 and 5.00-5.20 [all 27H, m, 1.12 (t, J=7.08 Hz), 2.34 (s), 4.54 (s)], 7.39-7.53 and 8.14-8.42 (all 12H, m)

The compound of Example 151

15 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.90-4.24, 4.52-4.81 and 5.08-5.24 [all 27H, m, 1.25 (t, J=7.27 Hz), 2.60 (s), 4.67 (s), 4.76 (s)], 6.48-7.71 and 8.25-8.62 (all 12H, m)

The compound of Example 152

20 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.93-4.18, 4.35-4.69 and 5.00-5.21 [all 27H, m, 1.12 (t, J=6.62 Hz), 2.30 (s), 4.53 (s)], 6.48-7.62 and 8.18-8.40 (all 12H, m)

The compound of Example 153

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.90-4.18, 4.35-4.70 and 5.05-5.18 [all 27H, m, 3.89 (s), 4.59 (s)], 6.45-8.12 and 8.90-9.25 (all 12H, m)

The compound of Example 154

25 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.95-3.91, 4.31-4.68 and 4.98-5.20 [all 27H, m, 1.12 (t, J=7.20 Hz), 3.80 (s), 4.53 (s)], 6.48-7.61 and 8.29-8.42 (all 12H, m)

The compound of Example 155

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^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.96-3.94, 4.40-4.62 and 5.00-5.20 [all 27H, m, 1.12 (t, $J=7.18$ Hz), 2.33 (s), 4.56 (s)], 6.50-7.60 and 8.34-8.48 (all 12H, m)

The compound of Example 156

5 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.96-3.92, 4.31-4.62 and 5.0-5.21 [all 27H, m, 1.12 (t, $J=7.24$ Hz), 3.77 (s), 4.50 (s)], 6.45-7.65 and 8.32-8.52 (all 12H, m)

The compound of Example 157

10 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.84-4.15, 4.39-4.62 and 5.05-5.40 [all 24H, m, 1.11 (t, $J=5.70$ Hz), 5.15 (s), 5.29 (s)], 6.54 (1H, d, $J=6.48$ Hz), 6.78 (1H, d, $J=6.66$ Hz), 6.98-7.75 and 7.95-8.12 [all 11H, m, 7.35 (s), 7.99 (s)]

The compound of Example 158

15 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.61 (3H, d, $J=5.16$ Hz), 0.97 (3H, d, $J=5.28$ Hz), 1.12-5.15 and 5.76-5.86 [all 15H, m, 2.51 (s), 4.63 (s)], 6.38-8.75 [all 12H, m, 8.47 (s)]

The compound of Example 159

^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.11-4.20 and 4.31-4.62 [all 21H, m, 4.53 (s), 4.62 (s)], 2.46 (3H, s), 6.35-7.68 and 8.18-8.56 (all 12H, m)

The compound of Example 160

20 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.81-4.29, 4.31-4.71 and 4.95-5.13 (all 28H, m), 1.02 (t, $J=5.66$ Hz), 1.15 ($J=5.78$ Hz), 4.54 (s), 4.63 (s)], 6.35-7.76 and 8.23-8.69 (all 13H, m, 8.53 (s))

The compound of Example 161

25 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.79-4.72 and 4.90-5.08 [all 22H, m, 2.45 (s), 4.51 (s), 4.61 (s)], 6.30-7.69 and 8.21-8.63 [all 12H, m, 6.38 (d^e, $J=6.80$ Hz), 6.50 (d, $J=6.66$ Hz), 8.53 (s)]

The compound of Example 162

30 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.72-4.01, 4.31-4.73 and 4.89-5.18 [all 14H, m, 2.50 (s), 4.52 (s), 4.64 (s), 5.04 (s)], 5.80-7.70 and 8.12-8.58 [all 12H, m, 6.54 (d, $J=6.64$ Hz), 8.42 (s)]

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The compound of Example 163

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.81-3.59 [all 15H, m, 1.22 (t, $J=5.96$ Hz), 2.39 (s), 2.98 (q, $J=5.94$ Hz)], 4.75-5.05 (1H, m), 6.50-7.62 [all 8H, m, 6.60 (d, $J=6.20$ Hz), 6.85 (t, $J=6.10$ Hz), 7.01 (t, $J=5.96$ Hz), 7.56 (s)]

5 The compound of Example 165

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 0.36-1.15, 1.35-3.89 and 4.98-5.09 [all 30H, m, 2.27 (s), 2.29 (s)], 5.65-6.70 (all 11H, m)

The compound of Example 167

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.92 (6H, d, $J=5.36$ Hz), 1.35-3.13, 3.28-5-3.58, 3.69-4.01 and 4.82-5.09 [all 14H, m, 2.40 (s), 3.88 (d, $J=5.24$ Hz)], 6.42-7.40 [all 8H, m, 7.00 (t, $J=5.84$ Hz)]

The compound of Example 173

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.01-4.10, 4.41-4.68 and 4.92-5.15 [all 26H, m, 2.33 (s), 2.52 (s), 3.88 (s)], 6.35-7.60 [all 10H, m, 6.59 (d, $J=8.35$ Hz)]

The compound of Example 174

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.01-4.12, 4.45-4.70 and 4.92-5.16 [all 26H, m, 2.33 (s), 2.53 (s), 3.88 (s)], 6.41-7.63 (all 11H, m)

The compound of Example 175

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.52 (3H, d, $J=6.52$ Hz), 0.96 (3H, d, $J=6.59$ Hz), 1.05-2.15, 2.21-4.67 and 5.60-5.76 [all 16H, m, 2.59 (s), 3.88 (s)], 6.29-7.62 (all 11H, m)

The compound of Example 176

30 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.20, 2.49-4.61 and 5.01-5.28 [all 17H, m, 3.74 (s), 3.77 (s), 4.51 (s)], 6.38-7.60 and 8.21-8.49 [all 12H, m, 6.55 (d, $J=8.32$ Hz)]

The compound of Example 177

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.18-2.31, 2.51-3.96 and 4.26-5.51 [all 15H, m, 3.77 (s), 4.53 (s)], 6.40-6.69, 6.81-7.00, 7.08-7.51 and 8.25-8.41 (all 12H, m)

30 The compound of Example 179

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.21-2.30, 2.55-3.96 and 4.25-5.80 [all 15H, m, 3.76 (s), 4.49 (s)], 6.39-6.65, 6.78-7.51 and 8.25-8.45 [all 12H, m, 6.55 (s), $J=8.32$ Hz]]

The compound of Example 180

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-4.65 and 5.05-5.21 [all 18H, m, 2.51 (s), 3.84 (s), 3.88 (s)], 6.40-7.42 [all 10H, m, 6.60 (d, $J=7.62$ Hz)]

The compound of Example 181

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.14-4.36, 4.45-4.83 and 5.0-5.25 [all 18H, m, 2.56 (s), 3.71 (s), 3.81 (s)], 6.43-7.78 [all 11H, m, 6.68 (d, $J=7.67$ Hz)]

10 The compound of Example 182

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.20-2.30, 2.45-3.94 and 4.44-4.65 [all 12H, m, 2.52 (s), 3.72 (s)], 6.42-6.77 (1H, m), 6.80-7.55 (6H, m), 8.35-8.75 (2H, m)]

The compound of Example 183

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.19-4.01, 4.42-4.69 and 5.0-5.21 [all 15H, m, 2.55 (s), 3.81 (s)], 7.49-7.60 (all 11H, m)]

The compound of Example 184

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.06-4.05, 4.39-4.65 and 4.99-5.20 [all 15H, m, 2.50 (s), 3.80 (s)], 6.35-7.52 [all 10H, m, 6.72 (d, $J=7.32$ Hz)]

20 The compound of Example 185

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.18-3.65, 3.92-4.30 and 4.80-5.10 [all 13H, m, 2.43 (s), 4.10 (s)], 6.46-7.58 and 8.01-8.49 [all 7H, m, 6.62 (d, $J=5.48$ Hz), 6.74 (d, $J=6.66$ Hz)]

The compound of Example 186

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.11-4.78 and 5.02-5.20 [all 14H, m, 2.44 (s), 4.62 (s)], 6.44-7.15 and 8.19-8.51 [all 12H, m, 6.55 (d, $J=8.36$ Hz)]

The compound of Example 187

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.19-2.30, 2.52-3.31, 3.42-4.78 and 5.09-5.28 [all 17H, m, 3.74 (s), 3.91 (s), 4.61 (s)], 6.42-7.58 and 8.85-9.10 [all

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12H, m, 5.80 (d, J=8.36 Hz)]

The compound of Example 188

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.19-4.80 and 5.09-5.18 [all 14H, m, 3.88 (s), 4.59 (s)], 5.72-7.60 and 8.87-9.12 [all 13H, m, 6.56 (d, J=8.4 Hz)]

5 The compound of Example 189

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.08-4.23, 4.38-4.68 and 5.03-5.19 [all 17H, m, 3.74 (s), 3.79 (s), 4.54 (s)], 6.31-7.80 and 8.10-8.71 (all 12H, m)

The compound of Example 190

10 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.18-3.29, 3.41-4.69 and 5.07-5.27 [all 17H, m, 2.34 (s), 3.74 (s), 4.57 (s)], 6.43-7.71 and 8.21-8.50 [all 12H, m, 6.58 (d, J=6.36Hz), 6.85 (d, J=8.21 Hz)]

The compound of Example 192

15 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.09-3.85, 4.01-4.71 and 5.01-5.20 [all 17H, m, 2.46 (s), 3.74 (s), 4.64 (s)], 6.31-7.65 and 8.05-8.41 [all 13H, m, 6.55 (d, J=8.34 Hz)]

The compound of Example 193

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.18-4.73 and 5.03-5.26 [all 11H, m, 4.57 (s)], 6.49-7.52 and 8.20-8.41 [all 13H, m, 6.55 (d, J=8.39 Hz)]

The compound of Example 194

20 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.19-3.90, 4.28-4.72 and 5.09-5.26 [all 14H, m, 2.28 (s), 4.51 (s)], 5.60-7.50 and 8.20-8.45 [all 13H, m, 6.55 (d, J=8.58 Hz)]

The compound of Example 195

25 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.12-4.65 and 5.03-5.26 [all 14H, m, 2.33 (s), 4.54 (s)], 6.40-7.51 and 8.21-8.43 [all 12H, m, 6.55 (d, J=8.06 Hz)]

The compound of Example 196

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.19-2.31, 2.49-4.65 and 5.08-5.26 [all 14H, m, 3.74 (s), 4.57 (s)], 6.45-6.67, 6.80-7.68 and 7.92-8.43 [all 13H, m, 6.57 (d, J=8.22 Hz)]

30 The compound of Example 197

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¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.11-2.22 and 2.41-5.95 (all 9H, m),
5.14 (2H, s), 6.62-6.48 and 6.75-7.59 [all 13H, m, 6.52 (d, J=8.24 Hz), 7.34 (s)]

The compound of Example 198

5 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.13-4.70 and 5.03-5.25 [all 17H, m,
2.30 (s), 3.74 (s), 4.53 (s)], 6.41-7.65 and 7.91-8.43 [all 13H, m, 6.56 (d, J=8.41
Hz)]

The compound of Example 199

10 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.15-2.22, 2.48-3.29 and 3.41-4.80 [all
14H, m, 3.67 (s), 3.72 (s)], 5.16 (2H, s), 6.15-6.20, 6.40-6.68, 6.75-8.40 and
9.31-9.48 [all 13H, m, 6.55 (d, J=8.24 Hz), (7.36 (s)]

The compound of Example 201

15 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.35-2.25 and 2.70-3.25 (all 7.2H, m),
3.45 (2.5 H, s), 3.52 (0.5H, s), 3.94 (1.7H, s), 4.03 (0.3H, s), 4.75-5.10 (0.8H, m),
6.75-7.95 (7.2H, m), 8.18 (0.7H, s), 8.40 (0.1H, s)

15 The compound of Example 202

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.10-5.0 (28H, m), 6.60-7.80 (11H,
m), 10.0-10.5 (1H, m), 11.0-11.8 (1H, m)

The compound of Example 203

20 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.35-2.25, 2.60-3.15 and 4.85-5.05 (all
8H, m), 2.45 and 2.49 (all 3H, each s), 2.95 and 2.97 (all 6H, each s), 4.53 and
4.65 (all 2H, each s), 6.26-7.55 (11.3H, m), 8.17 (0.7H, s)

The compound of Example 205

25 ¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.20-3.80 and 4.30-4.60 [all 23H,
m, 2.34 (s), 2.37 (s)], 4.63 and 4.73 (all 2H, each s), 6.40-7.80 (12H, m), 10.1
and 10.35 (all 1H, each s), 10.7-11.4 (1H, m)

The compound of Example 206

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.10-4.90 [all 28H, m, 4.64 (s), 4.66
(s), 4.77 (s), 4.86 (s)], 6.65-8.05 (12H, m), 10.4-11.5 (2H, m)

The compound of Example 207

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$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 1.20-2.10 and 2.70-4.90 [all 25H, m, 3.70 (s)], 1.87 (3H, s), 6.50-7.70 (11H, m), 10.1-11.4 (2H, m)

The compound of Example 208

5 $^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 1.20-2.20, 2.20-3.20, 3.20-4.0 and 4.30-4.50 [all 25H, m, 2.29 (s)], 5.70-6.10, 6.51-7.40 and 7.40-8.00 (all 13H, m)

The compound of Example 209

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.35-2.15, 2.70-3.10 and 4.80-5.00 (all 10H, m), 3.66 and 3.76 [all 2H, each t, $J=5.8$ Hz], 4.60 and 4.71 [all 2H, each s], 6.70-7.050 (12H, m)

The compound of Example 210

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.20-2.30, 2.70-3.20 and 4.40-5.20 (all 10H, m), 6.25-8.10 (13H, m)

The compound of Example 211

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.35-2.20, 2.70-3.15, 3.20-3.60, 3.90-4.25 and 4.85-5.05 (all 13H, m), 6.21 (0.6H, dd, $J=8.4$ Hz, $J=2.2$ Hz), 6.50 (0.7H, d, $J=1.8$ Hz), 6.70 (0.9H, d, $J=8.4$ Hz), 6.80-7.40 (9.8H, m)

The compound of Example 214

20 $^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 1.20-4.60 [all 20H, m, 2.33 (s)], 5.15 and 5.21 (all 2H, each s), 6.70-8.10 (12H, m)

The compound of Example 220

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.35-1.75 (1H, m), 1.85-2.25 (3H, m), 2.75-3.25 (3H, m), 4.58 (2H, d, $J=5.6$ Hz), 4.9-5.1 (1H, m), 6.3-6.5 (1H, m), 6.58 (1H, d, $J=7.4$ Hz), 6.87 (1H, t, $J=7.6$ Hz), 7.06 (1H, t, $J=7.3$ Hz), 7.19-7.32 (8H, m), 7.55 (2H, d, $J=8.3$ Hz)

25 The compound of Example 228

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.20-2.20, 2.30-3.35, 3.60-3.90 and 4.40-4.70 [all 14H, m, 2.45 (s), 4.48 (s)], 6.45-7.60 (12H, m), 8.28 and 8.49 (all 1H, each s)

The compound of Example 229

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¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.30-2.30, 2.70-3.90 and 4.40-4.60 (all 9H, m), 4.62 and 4.64 (all 2H, each s), 6.80-7.65 (12.5H, m), 8.48 and 8.75 (all 0.5H, each s)

The compound of Example 230

5 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.30-2.20, 2.70-3.10, 3.20-4.00 and 4.90-5.10 (all 10H, m), 2.44 and 2.48 (all 3H, each s), 4.48 and 4.57 (all 2H, each s), 6.20-7.35 (11H, m), 8.12 and 8.30 (all 1H, each s)

The compound of Example 231

10 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.20-2.20, 2.65-3.85 and 4.40-4.65 (all 9H, m), 2.42 (3H, s), 3.72 and 3.77 (all 3H, each s), 4.43 and 4.57 (all 2H, each s), 6.40-8.10 (12.2H, m), 8.36 and 8.64 (all 0.8H, each s)

The compound of Example 232

15 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.2-2.2, 2.2-3.35, 3.65-3.75 and 4.40-4.65 (all 9H, m), 2.29 and 2.33 (all 3H, each s), 2.42 (3H, s), 4.44 and 4.57 (all 2H, each s), 6.60-7.60 (13.5H, m), 8.36 and 8.62 (all 0.5H, each s)

The compound of Example 233

20 ¹H-NMR (250 MHz, DMSO-d₆) δ ppm: 1.20-2.20, 2.60-3.65 and 4.20-4.40 (all 9H, m), 2.31 (3H, s), 5.10-5.16 (all 2H, each s), 6.46 (0.1H, d, J=8.3 Hz), 6.65 (0.9H, d, J=8.3 Hz), 6.82 (0.9H, d, J=8.4 Hz), 6.98-7.50 (9.4H, m), 9.72, 9.76 and 9.90 (all 0.7H, each s)

The compound of Example 234

25 ¹H-NMR (250 MHz, DMSO-d₆) δ ppm: 1.20-1.65, 1.80-2.10, 2.65-3.80 and 4.20-4.40 (all 9H, m), 5.11 and 5.18 (all 2H, each s), 6.70-7.80 (11.3H, m), 10.0 and 10.2 (all 0.7H, each s)

The compound of Example 235

30 ¹H-NMR (250 MHz, CDCl₃) δ ppm: 1.20-3.85 and 4.05-4.50 [all 14H, m, 2.18 (s)], 5.50-7.60 (13.2H, m), 7.97 and 8.32 (all 0.8H, each s)

The compound of Example 237

30 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.82-4.26, 4.29-4.62 and 4.97-5.22 (all 31H, m), 6.42-8.18 (7H, m)

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The compound of Example 238

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.08-5.25 (31H, m), 6.16-7.80 (9H, m),
12.08-13.75 (1H, m)

The compound of Example 239

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.91-4.02, 4.43-4.68 and 5.04-5.23 (all
22H, m), 2.31 (3H, s), 6.50-7.74 (11H, m)

The compound of Example 240

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.51-4.75 [all 28H, m, 2.31 (s), 3.90
(s)], 6.46-7.63 (10H, m), 12.01-12.51 (1H, m)

The compound of Example 241

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.90-5.24 (22H, m), 6.51-7.72 (12H, m)

The compound of Example 242

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.17-2.55 (10H, m), 2.64-4.91 [all 18H,
m, 3.86 (s), 3.90 (s)], 6.79-7.63 (10H, m), 12.42-12.83 (1H, m)

The compound of Example 243

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.49-2.68 (4H, m), 2.15 (3H, s), 2.69-
3.04 and 4.44-5.21 (all 2H, m), 3.66, 3.76, 3.80 and 3.90 (all 6H, each s), 4.04-
4.43 (2H, m), 6.54-7.62 (10H, m)

The compound of Example 244

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.45-2.62 [all 7H, m, 2.13 (s)], 2.71-
3.06 and 3.07-5.19 [all 8H, m, 3.65 (s)], 6.00-7.65 (11H, m)

The compound of Example 245

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.00-5.22 (25H, m), 6.45-7.82 (11H,
m), 12.52-13.54 (1H, m)

25 The compound of Example 246

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.14-2.55, 2.56-4.42 and 4.51-4.95 [all
25H, m, 3.95 (s)], 6.76-7.80 (11H, m), 12.40-12.98 (1H, m)

The compound of Example 247

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.21-5.12 [all 28H, m, 2.15 (s), 2.30

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(s)], 6.52-7.72 (10H, m), 12.08-13.78 (1H, m)

The compound of Example 248

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.10-5.28 (22H, m), 2.36, 3.45 and 3.52 (each 3H, each s), 6.37-7.82 (9H, m), 12.34-13.35 (1H, m)

5 The compound of Example 250

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.14-4.29 and 4.42-4.68 [all 29H, m, 2.14 (s), 2.30 (s)], 6.47-7.62 (10H, m), 8.49-8.74 (1H, m), 11.17-12.00 (1H, m)

The compound of Example 251

10 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.10-4.22, 4.48-4.73 and 4.92-5.19 [all 32H, m, 3.74 (s), 3.85 (s), 3.93 (s)], 6.70-7.50 (10H, m), 11.62-12.22 (1H, m)

The compound of Example 252

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.09-2.51, 2.62-4.29 and 4.47-4.88 [all 28H, m, 2.43 (s), 3.94 (s)], 6.69-7.60 (10H, m), 12.06-13.01 (1H, m)

The compound of Example 253

15 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.08-4.22, 4.50-4.75 and 4.91-5.10 [all 32H, m, 2.37 (s), 2.42 (s), 3.77 (s)], 6.70-7.60 (10H, m), 11.60-12.50 (1H, m)

The compound of Example 254

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.05-2.62 and 2.63-5.19 [all 32H, m, 2.15 (s), 3.91 (s)], 6.51-7.68 (10H, m), 12.00-12.39 (1H, m)

20 The compound of Example 255

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.64-4.16 and 4.44-4.68 [all 20H, m, 0.73 (t, J=7.26 Hz), 2.29 (s), 2.55 (s)], 5.60-5.85 and 6.48-7.50 (all 11H, m)

The compound of Example 260

25 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.62-1.40, 1.41-2.19, 2.20-4.13 and 4.39-4.69 [all 17H, m, 0.73 (t, J=7.25 Hz), 2.57 (s)], 5.60-5.89 and 6.31-7.73 (all 12H, m)

The compound of Example 262

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.38-1.82, 1.83-2.35, 2.40-2.58, 2.65-3.78 and 4.82-5.15 [all 14H, m, 2.12 (s), 2.45 (s)], 6.60 (1H, d, J=8.36 Hz), 6.68-

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6.90 and 6.97-7.48 (all 9H, m)

The compound of Example 263

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.19-2.24, 2.37-2.60, 2.64-3.92 and
4.81-5.15 [all 11H, m, 2.48 (s)], 6.61 (1H, d, J=3.38 Hz), 6.71-6.92 and 7.02-
7.68 (all 10H, m)

The compound of Example 264

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.16-4.38, 4.45-4.68 and 4.95-5.18 [all
32H, m, 1.32 (t), 2.12 (s), 2.29 (s), 2.50 (s), 3.30 (s)], 6.40-7.68 (10H, m), 11.48-
12.38 (1H, m)

The compound of Example 265

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.74-4.08 and 4.42-4.69 [all 18H, m,
2.29 (s), 2.54 (s)], 5.59-5.80 and 6.29-7.51 (all 11H, m)

The compound of Example 266

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.75-4.05, 4.40-4.66, 4.76-5.09, 5.36-
5.81 and 6.30-7.68 [all 25H, m, 2.57 (s), 4.96 (brs)], 6.45 (brs)]

The compound of Example 267

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.76-2.60 and 2.61-4.92 [all 31H, m,
2.08 (s), 3.85 (s)], 6.30-7.50 (9H, m), 12.22-12.86 (1H, m)

The compound of Example 268

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.73-2.56 and 2.57-4.94 [all 31H, m,
2.28 (s), 2.39 (s), 3.87 (s)], 6.52-7.52 (9H, m), 12.17-13.00 (1H, m)

The compound of Example 269

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.14-4.08, 4.41-4.68, 4.82-5.09, 5.37-
5.96 and 6.30-7.58 [all 27H, m, 2.29 (s), 2.54 (s), 5.00 (brs), 6.45 (brs)]

The compound of Example 270

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.10-4.29, 4.41-4.68 and 4.96-5.15 [all
29H, m, 2.53 (s), 3.31 (s)], 6.38-7.72 (11H, m), 11.64-12.47 (1H, m)

The compound of Example 271

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.80-4.98 [23H, m, 2.52 (s), 2.58 (d,

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J=4.4 Hz)], 6.47-7.72 (11H, m), 12.56-13.30 (1H, m)

The compound of Example 272

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.58-4.00, 4.12-4.68 and 5.06-5.24 [all 14H, m, 1.63 (s)], 5.45-5.82 and 6.49-8.09 (all 17H, m)

5 The compound of Example 273

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.08-5.20 [all 20H, m, 2.85 (s)], 6.48-6.72, 6.81-7.08 and 7.09-7.79 [all 16H, m, 6.74 (d, J=8.2 Hz)], 12.62-13.78 (1H, m)

The compound of Example 274

10 ¹H-NMR (250 MHz, CDCl₃) δ ppm: 1.04-5.24 (22H, m), 6.38-6.71 and 6.72-7.60 (all 16H, m), 12.49-13.31 (1H, m)

The compound of Example 275

¹H-NMR (250 MHz, CDCl₃) δ ppm: 1.10-5.19 [20H, m, 1.74 (s)], 6.41-6.68 and 6.79-7.60 (all 16H, m)

15 The compound of Example 276

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.78-2.34, 2.35-4.48, 4.49-4.74 and 4.92-5.12 [all 35H, m, 1.39 (t, d, J=7.0 Hz), 1.83 (s), 3.90 (s), 3.93 (s), 3.95 (s), 3.98 (s)], 6.70-7.60 (9H, m), 11.61-12.24 (1H, m)

The compound of Example 277

20 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.75-2.28, 2.29-4.33, 4.50-4.76 and 4.91-5.13 [all 32H, m, 1.85 (s), 2.39 (s), 2.45 (s), 3.30 (s), 3.77 (s), 3.99 (s)], 6.70-7.58 (10H, m), 11.58-12.27 (1H, m)

The compound of Example 278

25 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.12-4.29 and 4.42-4.93 [all 26H, m, 1.41 (t, J=7.3 Hz), 2.53 (s), 3.87 (s)], 6.58-7.68 (11H, m), 12.52-13.50 (1H, m)

The compound of Example 279

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.48-1.41, 1.49-2.88, 2.94-3.28, 3.32-4.80 and 5.31-5.62 [all 22H, m, 0.52 (d, J=6.5 Hz), 0.96 (d, J=6.5 Hz), 2.58 (s), 3.87 (s)], 6.37-6.79 and 6.80-7.75 (all 12H, m)

30 The compound of Example 283

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$^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 1.10-2.96, 2.97-3.91, 4.05-4.61 and 5.05-5.19 [all 20H, m, 1.63 (s), 2.87 (d, $J=4.5$ Hz)], 5.49-5.78, 6.07-6.32, 6.46-6.69 and 6.81-7.62 (all 9H, m)

The compound of Example 284

5 $^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 0.79-4.32, 4.33-4.66 and 4.99-5.26 [all 34H, m, 1.41 (t, $J=5.8$ Hz), 3.31 (s)], 6.02-6.37, 6.45-6.68 and 6.78-7.81 (all 8H, m), 11.83-12.39 (1H, m)

The compound of Example 285

10 $^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 1.17-3.40, 3.52-4.10, 4.11-4.62 and 5.04-5.23 [all 36H, m, 1.41 (t, $J=7.18$ Hz), 3.31 (s)], 6.05 (1H, t, $J=6.68$ Hz), 6.51-6.69 and 6.82-7.68 (7H, m), 11.99-12.39 (1H, m)

The compound of Example 286

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.35-0.65, 0.80-2.12, 2.13-2.88, 2.89-3.23, 3.32-4.24, 4.34-4.65, 5.26-5.47 and 6.31-7.44 [all 38H, m, 0.50 (d, $J=6.5$ Hz), 0.94 (d, $J=6.5$ Hz), 2.49 (s)]

The compound of Example 287

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.50-0.81, 1.00-2.13, 2.14-3.17, 3.21-4.00, 4.36-4.62, 5.48-5.71 and 6.39-7.43 [all 38H, m, 0.65 (t, $J=7.3$ Hz), 2.49 (s)]

The compound of Example 288

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.81-2.55 (5H, m), 2.31 (3H, s), 2.56-3.97, 4.31-4.70 and 5.08-5.50 (all 4H, m), 6.50-7.83 (12H, m)

The compound of Example 289

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.49 [all 8H, m, 1.95 (s)], 2.59-3.94, 4.00-4.25, 4.40-4.67 and 5.09-5.29 [all 13H, m, 3.47 (s), 3.55 (s), 3.68 (s)], 6.30-7.48 (9H, m)

The compound of Example 291

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.27 (5H, m), 2.52-4.33, 4.51-4.73 and 5.00-5.19 [all 13H, m, 3.70 (s), 3.74 (s), 3.75 (s)], 6.71-7.54 (10H, m)

The compound of Example 292

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.27-2.42 (5H, m), 2.36 (3H, s), 2.58-3.07 (2H, m), 3.10-4.31, 4.38-4.67 and 5.19-5.29 [all 11H, m, 3.53 (s), 3.68 (s)], 6.41-7.48 (9H, m)

The compound of Example 293

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.09-2.42 (5H, m), 2.58-4.24, 4.49-4.74 and 5.01-5.22 (all 10H, m), 5.24-7.56 (11H, m)

The compound of Example 294

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.18-2.58 (4H, m), 2.35 (3H, s), 2.60-4.09, 4.36-4.68 and 5.02-5.30 (all 5H, m), 3.49 (6H, s), 6.31-7.49 (10H, m)

10 The compound of Example 295

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.16-2.47 (4H, m), 2.58-3.40, 3.41-4.38, 4.39-4.68 and 5.09-5.30 (all 11H, m), 3.67 and 3.71 (each 3H, each s), 6.55-7.83 (11H, m)

The compound of Example 296

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.19-2.39 (4H, m), 2.51-3.95, 4.36-4.64 and 5.05-5.31 [all 8H, m, 3.65 (s)], 6.50-7.80 (11H, m), 8.99-10.36 (1H, m)

The compound of Example 297

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.18-2.34, 2.58-3.30, 3.31-4.37, 4.51-4.72 and 5.01-5.19 [all 15H, m, 3.76 (s)], 6.71-7.69 (11H, m)

20 The compound of Example 298

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.29 (4H, m), 2.57-3.21, 3.30-4.13, 4.49-4.72 and 4.99-5.21 [all 8H, m, 3.73 (s)], 5.41-7.69 (12H, m)

The compound of Example 299

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.12-2.22, 2.24-2.52, 2.57-3.19, 3.28-4.41, 4.51-4.73 and 4.99-5.20 [all 18H, m, 2.37 (s), 3.76 (s)], 6.71-7.58 (10H, m)

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The compound of Example 300

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.07-4.14 and 4.15-5.58 [all 16H, m, 2.35 (s), 3.73 (s)], 6.62-7.64 (10H, m)

The compound of Example 301

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^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.13-2.31 [all 10H, m, 1.90 (s)], 2.54-3.23, 3.33-3.97, 4.05-4.31, 4.51-4.71 and 5.01-5.18 [all 11H, m, 3.74 (s)], 6.31-7.50 (9H, m)

The compound of Example 302

5 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.14-2.22 (4H, m), 2.57-3.20, 3.36-4.35, 4.50-4.71 and 5.02-5.20 [all 17H, m, 3.76 (s), 3.91 (s), 3.93 (s)], 6.71-7.55 (9H, m)

The compound of Example 303

10 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.11-2.51 [all 7H, m, 2.39 (s)], 2.57-3.21, 3.22-4.35, 4.51-4.73 and 5.01-5.19 [all 11H, m, 3.76 (s)], 6.70-7.55 (10H, m)

The compound of Example 304

15 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.15-2.49 [all 10H, m, 2.11 (s), 2.34 (s)], 2.56-3.22, 3.30-4.32, 4.49-4.73 and 5.02-5.19 [all 11H, m, 3.67 (s), 3.74 (s)], 6.48-7.52 (9H, m)

The compound of Example 307

20 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.09-2.25 (4H, m), 2.37 and 2.44 (all 3H, each s), 2.55-3.30, 3.31-4.22 and 4.49-6.21 [all 9H, m, 3.73 (s)], 6.70-7.58 (10H, m)

20 The compound of Example 309

^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.14-4.23, 4.42-4.69 and 5.03-5.25 [all 18H, m, 2.13 (s), 2.48 (s), 3.71 (s)], 6.30-7.54 (10H, m)

The compound of Example 310

25 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.17-2.29 (4H, m), 2.39-4.32, 4.43-4.65 and 5.02-5.22 [all 11H, m, 2.51 (s), 3.74 (s)], 6.41-7.69 (11H, m)

The compound of Example 311

^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.05-3.99, 4.38-4.65 and 5.01-5.22 [all 15H, m, 2.12 (s), 2.47 (s)], 6.40-7.48 (10H, m)

The compound of Example 312

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^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.12-2.34 (4H, m), 2.35-4.09, 4.40-4.68 and 5.03-5.25 [all 8H, m, 2.50 (s)], 6.40-7.70 (11H, m)

The compound of Example 313

5 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.19-2.52, 2.53-3.94, 3.97-4.32, 4.37-4.62 and 5.07-5.28 [all 20H, m, 3.74 (s)], 6.00-6.32, 6.40-6.68, 6.70-7.01 and 7.02-7.40 (all 8H, m)

The compound of Example 314

10 ^1H -NMR (250 MHz, CDCl_3) δ ppm: 1.08-2.37, 2.38-3.92, 4.01-4.64 and 5.08-5.28 [all 22H, m, 3.74 (s)], 6.07 (1H, t, $J=6.7$ Hz), 6.41-6.71 and 6.72-7.41 (all 7H, m)

The compound of Example 315

15 ^1H -NMR (250 MHz, CDCl_3) δ ppm: 1.12-2.31, 2.48-3.32, 3.37-3.82, 3.83-4.36, 4.37-4.58 and 5.10-5.25 [all 12H, m, 1.58 (s), 3.59 (s)], 6.43-6.66 and 6.79-7.52 (all 16H, m)

The compound of Example 316

^1H -NMR (250 MHz, CDCl_3) δ ppm: 1.22-3.06, 3.07-3.25, 3.32-3.79, 4.38-4.60 and 5.08-5.24 [all 9H, m, 3.48 (s), 3.58 (s)], 6.42-6.63 and 6.78-8.51 (all 17H, m)

The compound of Example 317

20 ^1H -NMR (250 MHz, CDCl_3) δ ppm: 1.12-2.52, 2.53-3.33, 3.34-3.88, 3.89-4.65, and 5.08-5.25 (all 17H, m), 5.99-6.36, 6.44-6.70 and 6.78-7.55 (all 9H, m)

The compound of Example 318

^1H -NMR (250 MHz, CDCl_3) δ ppm: 1.16-3.32, 3.33-4.62 and 5.08-5.26 (all 19H, m), 6.05 (1H, t, $J=6.7$ Hz), 6.48-6.74 and 6.75-7.81 (all 8H, m)

25 The compound of Example 319

^1H -NMR (250 MHz, CDCl_3) δ ppm: 1.19-2.30, 2.57-3.90, 3.91-4.67 and 5.12-5.31 [all 12H, m, 1.59 (s), 3.75 (s)], 6.49-6.74 and 6.81-7.87 (all 16H, m)

The compound of Example 320

^1H -NMR (250 MHz, CDCl_3) δ ppm: 1.18-2.26 (4H, m), 2.55-3.36, 3.37-

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3.90, 4.38-4.62 and 5.10-5.30 (all 5H, m), 6.50-6.71 and 6.82-7.81 (all 17H, m)

The compound of Example 321

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.18-2.39, 2.40-4.27, 4.46-4.68 and
5.06-5.22 [all 18H, m, 2.52 (s), 3.72 (s), 3.82 (s) and 3.87 (s)], 6.48-7.68 (11H,
m)

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The compound of Example 322

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.86-4.31, 4.43-4.67 and 5.03-5.20 [all
26H, m, 2.43 (s), 2.47 (s), 3.72 (s)], 6.41-7.46 (7H, m)

The compound of Example 323

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¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.07-4.31, 4.40-4.67 and 5.02-5.20 [all
28H, m, 2.43 (s), 2.47 (s), 3.72 (s)], 6.40-7.46 (7H, m)

The compound of Example 324

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.15-4.31, 4.43-4.65 and 5.02-5.20 [all
24H, m, 2.43 (s), 2.48 (s), 3.71 (s)], 6.44-7.48 (7H, m)

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The compound of Example 325

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.98-5.26 [24H, m, 2.43 (s), 2.48 (s)],
6.42-7.53 [7H, m, 6.64 (d, J=7.3 Hz)]

The compound of Example 326

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.03-4.04, 4.42-4.64 and 5.01-5.21 [all
25H, m, 2.43 (s), 2.48 (s)], 6.41-7.45 (7H, m)

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The compound of Example 327

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.04-4.01, 4.40-4.66 and 5.02-5.22 [all
21H, m, 2.43 (s), 2.48 (s)], 6.43-7.48 (7H, m)

The compound of Example 328

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¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.14-2.28, 2.38-4.02, 4.41-4.68 and
5.02-5.22 [all 15H, m, 2.51 (s), 2.56 (s), 3.78 (s)], 6.48-7.62 (11H, m)

The compound of Example 329

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.15-2.32 (4H, m), 2.43-4.32, 4.45-4.68
and 5.03-5.22 [all 11H, m, 2.55 (s), 2.58 (s), 3.73 (s)], 6.43-7.80 (16H, m)

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The compound of Example 332

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.54-1.04, 1.05-2.25, 2.26-4.18, 4.36-4.74 and 5.52-5.84 [all 17H, m, 0.67 (t, $J=7.3$ Hz), 2.60 (s)], 6.38-7.82 (17H, m)

The compound of Example 333

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.9-4.2, 4.4-4.65 and 5.0-5.25 (all 22H, m), 6.45-6.67 and 6.78-7.88 [all 13H, m, 6.58 (d, $J=8.3$ Hz)]

The compound of Example 334

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.9-4.1, 4.45-4.65 and 5.0-5.2 [all 22H, m, 1.23 (t, $J=7.1$ Hz)], 6.35-7.55 (13H, m)

10 The compound of Example 335

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.06 (3H, t, $J=7.2$ Hz), 1.1-1.55 (5H, m), 1.6-2.0 (5H, m), 2.2-2.7 (9H, m), 3.4-3.9 (7H, m), 4.55-4.85 (1H, m), 6.19 (1H, t, $J=5.5$ Hz), 6.62 (1H, d, $J=8.2$ Hz), 6.8-7.1 (1H, m), 6.99 (2H, d, $J=7.9$ Hz), 7.16 (2H, d, $J=7.9$ Hz), 7.33 (1H, d, $J=2.4$ Hz)

15 The compound of Example 336

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.05 (3H, t, $J=7.2$ Hz), 2.2-2.7 (8H, m), 3.4-3.9 (7H, m), 4.6-4.9 (1H, m), 6.21 (1H, t, $J=5.8$ Hz), 6.65 (1H, d, $J=8.3$ Hz), 6.8-7.1 (1H, m), 7.2-7.6 (10H, m)

The compound of Example 337

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.99 and 1.21 (all 6H, each t, $J=7$ Hz), 2.0-2.8 (7H, m), 3.0-4.9 (7H, m), 6.34 (1H, t, $J=5.5$ Hz), 6.65 (1H, d, $J=8.1$ Hz), 6.75-7.8 (12H, m)

The compound of Example 339

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.2-4.2, 4.4-4.7 and 5.0-5.2 (all 19H, m), 6.5-6.7 [1H, m, 6.63 (d, $J=7.1$ Hz)], 6.8-7.8 (12H, m), 8.2-8.7 (1H, m)

The compound of Example 340

$^1\text{H-NMR}$ (200 MHz, $\text{CDCl}_3 + \text{DMSO-d}_6$) δ ppm: 1.0-4.8 and 5.0-5.2 [all 24H, m, 1.47 (t, $J=7.1$ Hz)], 6.5-8.0 (13H, m), 8.9-9.8 (1H, m), 11.6-12.5 (1H, m)

The compound of Example 341

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.1-2.3, 2.4-4.7 and 4.9-5.15 (all 21H, m), 6.58 (1H, d, $J=7.7$ Hz), 6.7-7.8 (12H, m), 8.35-8.8 (1H, m)

The compound of Example 342

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.9-4.0, 4.4-4.7 and 5.0-5.25 (all 21H, m), 6.5-6.7 (1H, m), 6.8-7.7 (12H, m), 8.2-8.5 (1H, m)

The compound of Example 344

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.9-4.1, 4.4-4.7 and 4.9-5.15 [all 27H, m, 1.12 (t, $J=5.7$ Hz), 2.49 (s), 4.52 (s)], 6.4-7.7 [12H, m, 6.62 (d, $J=6.1$ Hz)], 8.1-8.5 [1H, m, 8.15 (s), 8.41 (s)]

The compound of Example 345

$^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 0.9-4.1, 4.3-4.8 and 4.9-5.1 (all 24H, m), 6.7-8.0 (11H, m), 8.3-8.8 [1H, m, 8.38 (s), 8.67 (s)]

The compound of Example 346

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.0-5.1 [24H, m, 2.46 (s), 2.47 (s), 4.48 (s), 4.61 (s)], 6.35-6.7 [1H, m, 6.58 (d, $J=5.5$ Hz)], 6.75-8.0 (12H, m), 8.3-8.7 [1H, m, 8.42 (s), 8.59 (s)]

The compound of Example 350

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.2-4.2, 4.4-4.7 and 4.9-5.2 [all 23H, m, 2.35 (s), 2.53 (s)], 6.4-8.5 [12H, m, 6.58 (d, $J=8.3$ Hz), 6.87 (dd, $J=8.3$, $J=2.3$ Hz), 6.99 (d, $J=2.2$ Hz), 7.10 (d, $J=8.3$ Hz), 10.0-10.04 (1H, m)]

The compound of Example 352

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.05-1.55 and 1.6-2.0 [all 13H, 1.25 (t, $J=7$ Hz)], 2.2-2.8 (3H, m), 3.2-3.55 (2H, m), 3.6-3.9 (1H, m), 4.0-4.4 (2H, m), 4.6-4.9 (1H, m), 6.29 (1H, t, $J=5.6$ Hz), 5.62 (1H, d, $J=8$ Hz), 6.89 (1H, dd, $J=8$ Hz, $J=2$ Hz), 6.99 (2H, d, $J=8.2$ Hz), 7.18 (2H, d, $J=8.2$ Hz), 7.35 (1H, d, $J=2$ Hz)

The compound of Example 353

30 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.1-2.0 (10H, m), 2.2-2.8 (3H, m), 3.2-3.6 (2H, m), 3.65-3.9 (1H, m), 4.6-4.95 (1H, m), 6.28 (1H, t, $J=5.4$ Hz), 6.61 (1H, d, $J=8$ Hz), 6.8-7.1 (1H, m), 6.98 (2H, d, $J=8$ Hz), 7.21 (2H, d, $J=8$ Hz), 7.35 (1H, d, $J=2.3$ Hz), 9.03 (1H, brs)

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The compound of Example 354

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.15-2.8 (2H, m), 3.25-3.6 (2H, m), 3.65-3.95 (1H, m), 4.6-4.9 (1H, m), 6.25 (1H, t, $J=5.6$ Hz), 6.63 (1H, d, $J=8.1$ Hz), 6.8-7.0 (1H, m), 7.2-7.6 (10H, m), 9.1 (1H, br)

5 The compound of Example 355

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.1-2.2, 2.6-3.35, 3.4-3.95, 4.0-4.7 and 5.05-5.25 [all 14H, 3.72 (s)], 6.45-6.7 [1H, m, 6.63 (d, $J=7.6$ Hz)], 6.8-7.5 (12H, m), 8.15-8.4 (1H, m)

The compound of Example 356

10 $^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 1.2-4.9 and 5.0-5.25 [all 17H, m, 2.46 (s), 3.70 (s), 4.51 (s)], 6.4-7.6 [12H, m, 6.62 (d, $J=8.1$ Hz)], 8.1-8.6 (1H, m)

The compound of Example 357

15 $^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 1.1-2.2 (4H, m), 2.6-3.0 (2H, m), 3.1-3.3, 3.4-3.9, 4.4-4.7 and 4.9-5.2 [all 8H, m, 3.73 (s), 4.56 (s)], 6.8-8.0, 8.2-8.4 and 8.45-8.6 (all 12H, m)

The compound of Example 358

$^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 1.1-2.25 (4H, m), 2.5-5.2 (7H, m), 6.2-8.1 (11H, m), 8.3-8.8 [1H, m, 8.42 (s)]

The compound of Example 359

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.2-4.7 and 5.0-5.2 [all 15H, m, 2.48 (s), 3.74 (s)], 6.3-6.7 [1H, m, 6.57 (d, $J=8.7$ Hz)], 6.7-7.8 (10H, m), 8.3-8.8 [1H, m, 8.41 (s), 8.72 (s)]

The compound of Example 360

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.1-4.7 and 5.0-5.2 [all 15H, m, 2.49 (s), 3.68 (s)], 6.1-8.0 [10H, m, 6.60 (d, $J=8.4$ Hz)], 9.4-8.8 [1H, m, 9.54 (s), 9.75 (s)], 12.1-12.4 [1H, m, 12.27 (s)]

The compound of Example 361

30 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.1-3.9 and 4.3-5.3 [all 12H, m, 2.45 (s)], 6.3-6.7 [1H, m, 6.57 (d, $J=8.4$ Hz)], 6.7-7.8 (10H, m), 8.40 and 8.65 (all 1H, each s)

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The compound of Example 362

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.1-3.9, 4.2-4.6 and 4.9-5.2 [all 12H, m, 2.43 (s)], 6.3-7.9 [11H, m, 6.57 (d, $J=8.3$ Hz)], 8.44 and 8.74 (all 1H, each s)

The compound of Example 363

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.7-2.4 (2H, m), 2.87 (2H, t, $J=6$ Hz), 3.4-5.2 [4H, m, 4.55 (s)], 6.8-8.1 (12H, m), 8.2-8.7 [1H, m, 8.35 (s)]

The compound of Example 365

10 $^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 1.1-5.1 [12H, m, 2.42 (s)], 6.4-8.8 [13H, m, 6.72 (d, $J=8.4$ Hz), 8.60 (d, $J=8.3$ Hz)], 10.5-10.9 (1H, m)

The compound of Example 366

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.95-4.05, 4.48-4.72 and 4.96-5.14 [all 27H, m, 1.06 (t, $J=7.3$ Hz), 2.38 (s)], 6.48-7.73 [12H, m, 6.68 (d, $J=7.5$ Hz)]

The compound of Example 367

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.05 (3H, t, $J=7.3$ Hz), 1.18-4.18, 4.42-4.72 and 4.97-5.15 [all 24H, m, 2.34 (s)], 6.40-6.68 and 6.73-7.74 [all 11H, m, 6.61 (d, $J=8.3$ Hz)]

The compound of Example 370

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.05 (3H, t, $J=7.3$ Hz), 1.20-2.32, 2.59-4.00, 4.43-4.72 and 5.03-5.21 (all 13H, m), 6.51-7.72 [all 12H, m, 6.69 (d, $J=7.4$ Hz)]

The compound of Example 371

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.05 (3H, t, $J=7.3$ Hz), 1.17-2.28, 2.55-3.90, 4.34-4.66 and 5.01-5.22 (all 13H, m), 6.43-7.69 [all 11H, m, 6.63 (d, $J=8.3$ Hz)]

25 The compound of Example 375

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.18-2.25 (4H, m), 2.60-3.15 (3H, m), 3.35-3.80 (1H, m), 4.50-5.20 (1H, m), 6.60-6.72 (1H, m), 6.90-7.00 (1H, m), 7.02 (1H, d, $J=8.2$ Hz), 7.16 (1H, dd, $J=2.3$ Hz, $J=8.3$ Hz), 7.21-7.54 (5H, m)

The compound of Example 377

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^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.13-2.28 (4H, m), 2.50-3.18 (3H, m), 3.31-3.60 (1H, m), 4.48-5.19 (1H, m), 7.02 (1H, dd, $J=1.7$ Hz, $J=8.2$ Hz), 7.06-7.52 (9H, m)

The compound of Example 379

5 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.17-2.30 (4H, m), 2.61-3.20 (2H, m), 3.20-3.45 (1H, m), 3.50-3.98 (1H, m), 4.42-5.33 (1H, m), 6.50-6.65 (1H, m), 6.72-7.56 (6H, m), 7.62 (1H, dd, $J=2.0$ Hz, $J=8.8$ Hz), 7.71 (1H, d, $J=8.6$ Hz), 7.78-8.08 (4H, m), 8.12 (1H, d, $J=8.6$ Hz)

The compound of Example 383

10 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.17-2.22 (7H, m), 2.69-3.93 (6H, m), 4.41-5.23 (1H, m), 6.45-7.73 (11H, m)

The compound of Example 385

^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.15-2.28 (7H, m), 2.56-3.08 (4H, m), 3.08-3.96 (2H, m), 4.40-5.21 (1H, m), 6.40-7.16 (4H, m), 7.16-7.75 (8H, m)

15 The compound of Example 386

^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.70-1.16 (6H, m), 1.20-3.66 (18H, m), 3.66-3.97 (1H, m), 4.48-5.19 (1H, m), 6.51-7.21 (2H, m), 7.21-8.10 (7H, m)

The compound of Example 387

20 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.80-1.18 (3H, m), 1.19-2.58 (10H, m), 2.58-3.21 (4H, m), 3.29-3.80 (4H, m), 4.52-5.11 (1H, m), 6.40-6.87 (1H, m), 6.90-7.11 (2H, m), 7.11-7.44 (4H, m), 7.44-7.68 (3H, m)

The compound of Example 388

25 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.85 (3H, t, $J=7.1$ Hz), 1.02 (3H, t, $J=7.1$ Hz), 1.15-2.28 (3H, m), 2.38 (4H, q, $J=7.1$ Hz), 2.56 (4H, q, $J=7.1$ Hz), 2.63-3.49 (4H, m), 3.50-3.79 (1H, m), 4.51-5.14 (1H, m), 5.65-6.79 (2H, m), 6.90-7.10 (2H, m), 7.10-7.21 (1H, m), 7.10-7.62 (6H, m)

The compound of Example 389

30 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.82-1.19 (3H, m), 1.20-2.55 (10H, m), 2.55-3.19 (4H, m), 3.19-3.87 (4H, m), 4.55-5.13 (1H, m), 6.81-7.72 (10H, m)

The compound of Example 390

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$^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 0.84 (3H, t, $J=7.1$ Hz), 1.00 (3H, t, $J=7.2$ Hz), 1.21-2.42 (8H, m), 2.42-2.68 (4H, m), 2.68-3.46 (3H, m), 3.52-3.81 (1H, m), 4.53-5.14 (1H, m), 5.65-6.51 (1H, m), 6.88-7.11 (2H, m), 7.11-7.22 (1H, m), 7.11-7.65 (8H, m)

5 The compound of Example 391

$^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 0.88-1.27 (3H, m), 1.30-2.89 (10H, m), 2.99-3.20 (1H, m), 3.20-4.12 (7H, m), 4.45-5.29 (1H, m), 6.48-6.67 (1H, m), 6.75-6.90 (1H, m), 7.02 (1H, d, $J=2.1$ Hz), 7.40-8.09 (7H, m), 8.09-8.20 (2H, m), 8.31 (1H, d, $J=8.6$ Hz)

10 The compound of Example 392

$^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 0.81-1.19 (6H, m), 1.25-2.30 (4H, m), 2.30-2.77 (7H, m), 2.77-5.30 (6H, m), 6.29-6.78 (2H, m), 6.81 (1H, dd, $J=2.2$ Hz, $J=8.3$ Hz), 7.11-7.38 (1H, m), 7.38-7.66 (3H, m), 7.66-7.89 (2H, m), 7.89-8.24 (4H, m), 8.31 (1H, d, $J=8.6$ Hz)

15 The compound of Example 393

$^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 0.78-2.30 (5H, m), 2.35 (3H, s), 2.41-2.60 (3H, m), 2.60-3.52 (3H, m), 3.52-4.01 (5H, m), 4.46-5.26 (1H, m), 6.50-6.69 (1H, m), 6.89 (1H, dd, $J=2.2$ Hz, $J=8.3$ Hz), 7.02 (1H, d, $J=2.2$ Hz), 7.09-7.20 (1H, m), 7.28-7.55 (1H, m), 7.60-7.90 (6H, m), 8.38 (1H, s)

20 The compound of Example 394

$^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 0.79-1.20 (6H, m), 1.29-2.82 (10H, m), 2.82-4.02 [9H, m, 3.00 (s), 3.22 (s)], 4.40-5.25 (1H, m), 6.50-6.68 (1H, m), 6.83-7.20 (3H, m), 7.25-7.52 (1H, m), 7.58-7.87 (6H, m), 8.37 (1H, d, $J=5.2$ Hz)

The compound of Example 397

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.20-4.18, 4.40-4.72 and 4.96-5.20 [all 25H, m, 2.34 (s), 2.88 (q, $J=7.4$ Hz)], 6.40-7.85 (11H, m)

The compound of Example 398

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-4.10, 4.49-4.75 and 4.98-5.18 [all 25H, m, 2.33 (s)], 6.45-7.72 (12H, m)

30 The compound of Example 404

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.18-2.28 (10H, m), 2.54-4.08 (15H, m), 4.18-5.22 (1H, m), 6.50-6.70 (2H, m), 6.72-6.90 (1H, m), 7.08-7.78 (9H, m), 12.02 (1H, brs)

The compound of Example 408

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-5.15 (17H, m), 2.86 (3H, s), 6.49-6.70 (2H, m), 6.72-6.90 (1H, m), 6.93-7.81 (9H, m), 12.27 (1H, brs)

The compound of Example 413

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.46-4.00, 4.27-4.80 and 5.03-5.17 (all 13H, m), 6.68 (1H, d, $J=8.3$ Hz), 6.80-7.69 (12H, m)

10 The compound of Example 415

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.35-4.15 and 4.80-5.10 (all 13H, m), 6.45-7.90 (12H, m)

The compound of Example 417

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.87 (3H, t, $J=7.3$ Hz), 1.35-2.22, 2.66-3.17 and 4.88-5.09 (all 10H, m), 4.08 (2H, t, $J=7.3$ Hz), 6.57 (1H, d, $J=8.3$ Hz), 6.89 (1H, dd, $J=2$ Hz, $J=8.3$ Hz), 7.15-7.49 (3H, m), 7.53-7.69 (2H, m), 11.39-11.64 (1H, brs)

The compound of Example 418

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.99-4.17 and 4.45-4.65 [all 25H, m, 1.84 (s)], 6.56-6.65, 6.82-7.02 and 7.11-7.58 (all 6H, m), 7.75-7.96 (1H, m), 8.50-8.66 (1H, m), 8.71-8.93 (1H, m)

The compound of Example 419

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.64-0.85, 1.10-4.00, 4.40-4.70 and 5.58-5.72 (all 17H, m), 6.36-7.62 (8H, m), 7.75-7.96 (1H, m), 8.49-8.70 (1H, m), 8.70-7.95 (1H, m)

The compound of Example 420

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.2-4.2, 4.45-4.6 [all 20H, m, 2.04 (s), 2.34 (s)], 5.15 and 5.22 (all 2H, each s), 6.8-7.8 (all 12H, m)

The compound of Example 421

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¹H-NMR (250 MHz, CDCl₃) δ ppm: 1.40-1.85, 1.85-2.14, 2.68-3.10 and 4.85-5.06 (all 8H, m), 2.53 and 2.59 (all 3H, each s), 6.60 (1H, d, J=8.3 Hz), 6.85 (1H, dd, J=2.9 Hz, J=8.3 Hz), 6.96 (1H, d, J=7.9 Hz), 7.12-7.22 (2H, m), 7.29, 7.40 and 7.58 (all 2H, each s), 7.89-8.09 (1H, m), 8.43-8.66 (1H, m), 8.69-8.86 (1H, m), 8.90-9.11 (1H, m)

The compound of Example 422

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.75-2.10, 2.32-2.90, 3.20-3.45 and 4.70-4.90 (all 8H, m), 6.42 (1H, d, J=6.8 Hz), 6.71 (1H, dd, J=2.0 Hz, J=6.8 Hz), 6.85 (1H, d, J=2.0 Hz), 7.00-7.65 (10H, m)

The compound of Example 423

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.36-1.73, 1.84-2.25, 2.65-3.16 and 4.93-5.16 (all 8H, m), 6.61 (1H, d, J=8.3 Hz), 6.90 (1H, dd, J=2 Hz, J=8.3 Hz), 7.08-7.70 (10H, m)

The compound of Example 424

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.15-4.00 and 4.45-4.65 [all 23H, m, 1.62, 2.34 (s), 2.54 (s)], 6.55-6.65, 6.82-7.01 and 7.10-7.56 (all 6H, m), 7.74-7.93 (1H, m), 8.50-8.67 (1H, m), 8.74-8.90 (1H, m)

The compound of Example 425

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.38-2.22, 2.65-3.15 and 4.95-5.12 (all 8H, m), 6.61 (1H, d, J=8.4 Hz), 6.80-7.00 (2H, m), 7.20-7.38 (4H, m), 7.62 (1H, d, J=9.1 Hz), 7.98 (2H, d, J=8.3 Hz), 8.09 (1H, d, J=6.9 Hz)

The compound of Example 426

¹H-NMR (250 MHz, CDCl₃) δ ppm: 0.90-1.90, 1.90-2.23, 2.46-2.70 and 4.67-4.90 (all 8H, m), 8.44 (1H, d, J=8.4 Hz), 6.75 (1H, dd, J=2.4 Hz, J=8.4 Hz), 6.92 (1H, d, J=2.4 Hz), 7.05-7.75 and 7.96-8.04 (all 6H, m), 8.30-8.45, 8.53-8.74 and 8.80-8.87 (all 2H, m)

The compound of Example 433

¹H-NMR (250 MHz, CDCl₃) δ ppm: 1.22-3.13, 3.44-3.73 and 4.71-4.93 (all 8H, m), 6.80 (1H, dd, J=2.5 Hz, J=8.5 Hz), 6.96-7.85 (9H, m), 8.63-8.76 (1H, m)

The compound of Example 436

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-4.2, 4.4-4.7 and 5.0-5.2 [all 16H, m, 2.34 (s)], 6.5-6.75 (1H, m), 6.8-7.8 [all 11H, m, 7.50 (d, $J=6.7$ Hz), 7.70 (d, $J=5.7$ Hz)]

The compound of Example 438

5 $^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 1.22-3.95, 4.43-4.62 and 5.03-5.24 [all 30H, m), 2.34 (s)], 6.56 and 6.63 (all 1H, each d, $J=8.3$ Hz), 6.89-7.32 (4H, m), 7.37-7.55 (2H, m)

The compound of Example 440

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.84-4.00 and 4.39-4.60 (all 22H, m), 6.23-6.39 (2H, m), 6.50-6.66 (1H, m), 6.82-6.99 (1H, m), 6.99-7.15 (3H, m), 7.15-7.36 (2H, m), 7.42-7.62 (2H, m)

The compound of Example 441

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.80-4.00 and 4.38-4.65 [all 32H, m, 1.92 (s), 3.23 (s)], 5.85 and 5.87 (all 2H, each s), 6.57 (1H, d, $J=8.5$ Hz), 6.80-7.20 (4H, m), 7.45-7.65 (2H, m)

The compound of Example 444

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.57-2.34 (4H, m), 2.51-2.90 (2H, m), 4.74-5.23 (2H, m), 6.53-6.76 (2H, m), 6.91-7.62 (9H, m)

The compound of Example 445

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.75-2.0, 2.2-3.10 and 3.45-4.10 (all 18H, m), 4.65 (2H, s), 6.66-7.70 [all 11H, m, 6.67 (d, $J=8.6$ Hz)], 7.59 (d, $J=8.5$ Hz), 8.80 (1H, s)

The compound of Example 446

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.8-2.0 and 2.25-2.50 (all 6H, m), 2.31 (3H, s), 2.60 (1H, dd, $J=15.7$ Hz, $J=8.3$ Hz), 2.80 (1H, dd, $J=15.7$ Hz, $J=5.7$ Hz), 3.45-3.60 (3H, m), 3.60-3.80 (2H, m), 3.89 (2H, t, $J=6.6$ Hz), 4.60 (2H, s), 6.67 (1H, d, $J=8.7$ Hz), 6.88 (1H, dd, $J=8.7$ Hz, $J=2.2$ Hz), 7.00-7.50 (8H, m), 7.56 (2H, d, $J=8.6$ Hz), 8.41 (1H, s)

The compound of Example 447

30 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.65-2.0 and 2.1-2.55 [all 12H, m, 2.32

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(s), 2.35 (s)], 2.60 (1H, dd, J=15.7 Hz, J=8.2 Hz), 2.80 (1H, dd, J=15.7 Hz, J=5.8 Hz), 3.48-3.52 and 3.67-3.72 (all 5H, m), 3.89 (2H, t, J=6.6 Hz), 4.59 (2H, s), 6.67 (1H, d, J=8.6 Hz), 6.78 (2H, d, J=8 Hz), 6.87 (2H, d, J=8.6 Hz), 7.20 (2H, d, J=8 Hz), 7.37 (2H, d, J=8.6 Hz), 7.55 (2H, d, J=8.6 Hz), 8.37 (1H, s)

5 The compound of Example 448

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.70-2.10, 2.20-2.90 and 3.50-4.0 [all 21H, m, 2.23 (s), 2.31 (s)], 4.71 (2H, s), 6.68 (1H, d, J=8.6 Hz), 6.85-7.03, 7.15-7.43 and 7.60-7.67 (all 11H, m), 9.57 (1H, s)

The compound of Example 450

10 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.96-4.70 (29H, m), 1.45 (3H, t, J=7.0 Hz), 4.07 (2H, q, J=7.0 Hz), 5.58-7.36 (7H, m)

The compound of Example 455

15 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.95-4.00, 4.42-4.63 and 5.04-5.18 (all 22H, m), 6.46-6.67 (1H, m), 6.79-6.95 (1H, m), 6.95-7.25 (4H, m), 7.32-7.51 (2H, m), 7.52-7.75 (2H, m)

The compound of Example 456

20 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.95-3.93, 4.41-4.62 and 5.01-5.20 [all 25H, m, 2.24 (s)], 6.45-6.62 (1H, m), 6.72-6.95 (2H, m), 6.95-7.08 (1H, m), 7.10-7.45 (3H, m), 7.45-7.69 (2H, m)

The compound of Example 459

25 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.42 (6H, t, J=7.2 Hz), 1.75-2.05 (1H, m), 2.15-2.40 (1H, m), 2.40-3.90 (11H, m), 3.94 (2H, t, J=6.5 Hz), 6.73-7.15 (3H, m), 7.25-7.60 (10H, m), 8.35-8.75 (1H, m), 11.3-11.7 (1H, m)

The compound of Example 460

25 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.24 (3H, t, J=7 Hz), 1.4-1.5, 1.85-3.30, 3.40-4.20 and 4.65-4.85 (all 15H, m), 3.72 (2H, q, J=7 Hz), 6.8-7.7 (13H, m), 12.6-12.9 (1H, m)

The compound of Example 461

30 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.03 (6H, t, J=7 Hz), 1.75-2.0 (1H, m), 2.10-2.30 (1H, m), 2.35-2.90 (8H, m), 3.35-3.80 (3H, m), 3.90 (2H, t, J=6.6 Hz),

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6.72 (1H, d, J=8.6 Hz), 6.8-7.0 (2H, m), 7.23 (1H, d, J=2.2 Hz), 7.35-7.66 (9H, m)

The compound of Example 462

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.03 (3H, t, J=7.2 Hz), 1.75-2.0 (1H, m), 2.15-2.40 (1H, m), 2.40-2.90 (8H, m), 3.50-3.60 (3H, m), 3.65-3.85 (2H, m), 3.93 (2H, m), 6.75-7.0 and 7.2-7.65 (all 12H, m)

The compound of Example 463

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.20-1.70, 1.70-3.0, 3.0-3.6, 3.6-3.8 and 3.8-4.0 (all 32H, m), 6.73 (1H, d, J=8.6 Hz), 6.87 (1H, dd, J=8.6 Hz, J=2.4 Hz), 7.13 (2H, d, J=8 Hz), 7.23 (1H, d, J=2.4 Hz), 7.33 (2H, d, J=8 Hz), 8.4-8.7 (1H, m), 11.2-11.6 (1H, m)

The compound of Example 464

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.10 (3H, t, J=7.2 Hz), 1.2-1.65, 1.7-2.0, 2.1-2.9, 3.4-3.6, 3.6-3.8 and 3.8-4.0 (all 28H, m), 6.77 (1H, d, J=8.7 Hz), 6.90 (1H, dd, J=8.7 Hz, J=2.3 Hz), 7.13 (2H, d, J=8.2 Hz), 7.19 (1H, d, J=2.3 Hz), 7.31 (2H, d, J=8.2 Hz)

The compound of Example 467

20 $^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 1.10-2.10, 2.55-4.05, 4.45-4.61 and 4.68-4.71 (all 41H, m), 6.94-7.38 (3H, m), 12.00-12.27 (1H, brs)

The compound of Example 469

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.20-2.24 (5H, m), 2.63-3.31 (3H, m), 3.70 and 3.75 (all 3H, s), 4.08-5.20 (1H, m), 6.46-6.62 (1H, m), 6.36-7.00 (1H, m), 7.10-7.48 (3H, m), 8.43-8.56 (2H, m)

The compound of Example 470

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.05-5.08 (26H, m), 6.15-7.53 (16H, m)

The compound of Example 471

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.97-2.20, 2.20-4.06 and 4.40-4.63 [all 36H, m], 1.41 (t, J=7.2 Hz), 1.71 (s), 3.31 (s)], 6.59 (1H, d, J=8.5 Hz), 6.84-7.60 (6H, m), 12.7-13.4 (1H, brs)

30 The compound of Example 472

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.20-2.26, 2.50-4.10, 4.40-4.60 and 5.00-5.15 (all 29H, m), 6.58 (1H, d, $J=8.2$ Hz), 6.65-7.48 (6H, m), 12.12 (1H, brs)

The compound of Example 473

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.30-2.20, 2.50-4.10, 4.38-4.60 and 4.98-5.16 (all 29H, m), 6.50-7.20 (5H, m), 7.36 (2H, d, $J=8.6$ Hz), 12.15 (1H, brs)

The compound of Example 476

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.16-4.10 and 4.30-4.53 (all 35H, m), 6.47-6.80, 6.80-7.65 and 7.86-8.10 (all 7H, m), 15.51-15.98 (1H, br)

The compound of Example 477

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.12-2.11 (4H, m), 2.45-3.78 (5H, m), 4.23-5.10 (1H, m), 6.61-7.75 (14H, m)

The compound of Example 478

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.62 (1.5H, d, $J=6.5$ Hz), 0.99 (1.5H, d, $J=6.5$ Hz), 1.03-5.74 (14H, m), 6.43-7.80 (11H, m)

The compound of Example 479

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.80-3.90, 4.41-4.64 and 5.05-5.70 (all 35H, m), 6.49-6.63 (1H, m), 6.71-7.20 (4H, m), 7.20-7.50 (2H, m)

20 The compound of Example 480

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.92-2.25, 2.38-3.27, 3.27-4.00, 4.50-4.60 and 4.85-5.02 (all 29H, m), 6.62-7.45 (6H, m)

The compound of Example 481

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.82-4.17, 4.36-4.60 and 5.07-5.13 [all 26H, m, 2.99 (s), 3.23 (s)], 6.40-6.66 (1H, m), 6.75-7.78 (8H, m), 7.84 (1H, d, $J=3.7$ Hz)

The compound of Example 482

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.77-1.14, 1.14-2.24, 2.24-4.04, 4.33-4.53 and 4.97-5.13 [all 27H, m, 2.89 (s), 3.14 (s)], 6.42-6.61 (1H, m), 6.77-7.10

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(2H, m), 7.28-7.80, 7.80-8.12 [all 6H, m, 7.88 (s)]

The compound of Example 484

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.19-5.33 (22H, m), 6.49-7.32 (12H, m), 11.92-12.70 (1H, m)

5 The compound of Example 485

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.20-5.28 (20H, m), 1.38 (3H, t, J=7.2 Hz), 3.32 (3H, s), 6.61 (1H, d, J=8.3 Hz), 6.91 (1H, dd, J=8.3 Hz, J=2.2 Hz), 7.03 (1H, d, J=2.2 Hz), 7.18-7.76 (9H, m), 11.94 (1H, brs) The compound of Example 486

10 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.19-5.13 (24H, m), 1.35 (3H, t, J=7.3 Hz), 1.45 (3H, t, J=7.0 Hz), 4.08 (2H, q, J=7.0 Hz), 6.18-7.46 (6H, m), 11.59-12.58 (1H, m)

The compound of Example 487

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.93-3.98 (26H, m), 4.51-5.15 (1H, m), 4.97 and 5.10 (all 2H, s), 6.23-7.51 (11H, m)

15 The compound of Example 488

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.90-2.12, 2.12-2.40, 2.40-3.63 and 4.45-4.84 (all 38H, m), 6.98-7.35 (2H, m), 7.38-7.44 (1H, m)

The compound of Example 489

20 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.06-5.19 (36H, m), 6.16-7.49 (6H, m), 11.28-11.99 (1H, m)

The compound of Example 490

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.32-4.57 (22H, m), 1.45 (3H, t, J=7.0 Hz), 2.58 and 2.60 (all 3H, s), 4.08 (2H, q, J=7.0 Hz), 6.43-6.63 (2H, m), 7.05-7.44 (4H, m), 12.15 (1H, brs)

25 The compound of Example 491

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.20-5.21 (31H, m), 6.11-7.61 (6H, m), 8.54-8.72 (1H, m), 11.27-12.03 (1H, m)

The compound of Example 492

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.23-5.03 (25H, m), 1.46 (3H, t, $J=7.0$ Hz), 4.08 (2H, q, $J=7.0$ Hz), 6.16-7.44 (6H, m), 12.47 (1H, brs)

The compound of Example 493

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.11-4.67 (29H, m), 4.97, 5.10 (all 2H, s), 6.22-7.51 (11H, m), 11.43-12.04 (1H, m)

The compound of Example 494

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.21-4.62 (26H, m), 4.98 and 5.11 (all 2H, s), 6.22-7.51 (11H, m), 8.55-8.71 (1H, m), 11.39-11.81 (1H, m)

The compound of Example 495

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.21-4.90 (22H, m), 1.34 (3H, t, $J=7.3$ Hz), 4.98 and 5.11 (all 2H, s), 6.27-7.53 (11H, m), 12.48 (1H, brs)

The compound of Example 496

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.83-3.98 (24H, m), 2.99 and 3.15 (all 3H, s), 3.62 and 3.86 (all 3H, s), 4.49-5.19 (1H, m), 4.97 and 5.10 (all 2H, s), 6.23-7.53 (11H, m)

The compound of Example 497

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.18-2.20, 2.60-4.55 (all 26H, m), 6.45-6.55 (1H, m), 6.80-6.95 (1H, m), 6.95-7.60 (4H, m), 7.90-8.08 (1H, m), 11.86 (1H, brs)

20 The compound of Example 498

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.20-2.20, 2.55-3.40, 3.40-4.10, 4.35-4.53 and 4.96-5.20 (all 26H, m), 6.53 (1H, d, $J=8$ Hz), 6.91 (1H, dd, $J=0.2$ Hz, $J=8$ Hz), 7.04 (1H, d, $J=0.2$ Hz), 7.13 (2H, d, $J=8.6$ Hz), 7.40 (2H, d, $J=8.6$ Hz), 12.15 (1H, s)

25 The compound of Example 499

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.20-2.20, 2.20-3.45, 3.45-4.10 and 4.45-4.65 (all 29H, m), 6.50-6.62, 6.75-7.55 and 7.95-8.07 (all 7H, m), 11.8-12.2 (1H, m)

The compound of Example 500

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.25-3.40, 3.40-4.15 and 4.40-4.60 (all 29H, m), 6.50-6.62, 6.80-7.45 and 7.85-7.95 (all 7H, m), 12.06 (1H, brs)

The compound of Example 501

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.20-4.10, 4.45-4.60 and 5.00-5.20 (all 29H, m), 6.56 (1H, d, $J=6.4$ Hz), 6.80-7.50 (5H, m), 7.96 (1H, d, $J=8.2$ Hz), 12.01 (1H, brs)

The compound of Example 502

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.20-4.18 and 4.50-4.70 (all 29H, m), 6.60-6.90, 6.90-7.51, 7.51-7.66 and 8.15-8.22 (all 7H, m), 11.8-12.25 (1H, br)

10 The compound of Example 503

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.78-3.95, 4.42-4.60 and 5.05-5.21 (all 26H, m), 6.49-6.62 (1H, m), 6.82-6.98 (1H, m), 6.98-7.52 (6H, m)

The compound of Example 504

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.16-4.06, 4.43-4.64 and 4.92-5.10 (all 26H, m), 6.72-7.65 (7H, m), 11.87-12.18 (1H, br)

The compound of Example 505

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.00-3.95, 4.20-4.44 and 4.90-5.05 (all 22H, m), 5.10-6.50 (1H, br), 6.65-6.76 (1H, m), 6.90-7.05 (1H, m), 7.20-7.35 (1H, m), 7.35-7.50 (2H, m), 7.70-7.85 (2H, m)

20 The compound of Example 508

$^1\text{H-NMR}$ (250 MHz, CDCl_3) δ ppm: 0.65-0.82, 1.00-2.17, 2.17-2.95, 2.95-3.51, 3.55-3.90, 4.18-4.35, 4.42-4.63, 5.03-5.18 and 5.50-5.75 (all 25H, m), 6.51-6.68 (1H, m), 6.85-7.45 (5H, m), 7.51-7.65 (1H, m)

The compound of Example 509

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.49 (3H, d, $J=6.3$ Hz), 0.95 (3H, d, $J=6.3$ Hz), 1.1-4.2 [all 16H, m, 3.02 (s)], 6.55-6.80 (3H, m), 7.15-7.45 (5H, m)

The compound of Example 510

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.0-2.2, 2.4-4.0 and 4.5-4.6 [all 25H, m, 2.87 (s), 3.0 (s)], 6.1-7.5 [all 7H, m, 6.26 (dd, $J=8.8$ Hz, $J=2.5$ Hz)]

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The compound of Example 511

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.10-5.35 [24H, m, 2.33 (s)], 6.75-8.26 (7H, m)

The compound of Example 512

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.1-1.5, 1.5-4.0, 4.4-4.7 and 4.9-5.1 (all 28H, m, 2.02 (s), 2.18 (s)), 6.13-7.70 (7H, m)

The compound of Example 516

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.30-1.85, 1.85-2.22, 2.61-3.16 and 4.82-5.06 (8H, m), 2.50 and 2.56 (all 3H, each s), 6.55-6.65, 6.78-6.95 and 7.10-7.60 (all 8H, m), 8.52-8.70 (2H, m)

The compound of Example 517

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.30-2.30, 2.30-3.15, 3.36-3.60 and 4.98-5.08 [all 11H, m, 2.49 (s), 2.54 (s)], 6.60 (1H, d, $J=8.4$ Hz), 6.75-6.95 (2H, m), 6.95-7.10 (1H, m), 7.10-7.51 (5H, m), 8.38-8.87 (2H, m)

15 The compound of Example 520

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: [all 6H, m, 0.651 (d, $J=6.5$ Hz), 1.02 (d, $J=6.5$ Hz), 1.15 (d, $J=6.5$ Hz), 1.22 (d, $J=6.5$ Hz)], 1.25-2.22, 2.45-2.90, 3.00-3.21, 3.50-4.00 and 4.44-4.67 [all 13H, m, 2.57 (s), 2.63 (s)], 6.50-7.96 and 8.65-8.95 (11H, m)

20 The compound of Example 521

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.1-4.9 [all 26H, m, 3.06 (s)], 6.65-7.75 (all 7H, m), 12.4-13.2 (1H, m)

The compound of Example 523

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.18-2.20, 2.29-3.12, 3.29-3.61 and 4.81-5.10 [all 21H, m, 2.34 (s)], 6.23 (1H, dd, $J=8.72$ Hz, $J=8.73$ Hz), 6.50 (1H, d, $J=2.48$ Hz), 6.56-7.49 (5H, m)

The compound of Example 524

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.89 (6H, d, $J=6.54$ Hz), 1.32-2.20, 2.30-3.31, 3.42-3.95 and 4.82-5.12 (all 19H, m), 6.39-7.49 (7H, m)

30 The compound of Example 525

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^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.10-2.20 and 2.20-4.90 (all 23H, m), 6.35-6.69, 6.69-7.00, 7.00-8.34 and 8.65-9.16 (all 10H, m), 1.65-12.8 (1H, br)
The compound of Example 526

5 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.64, 0.98, 1.16 and 1.19 (all 6H, each d, $J=6.5$ Hz), 1.20-1.49, 1.49-2.23, 2.23-4.60 and 4.95-5.12 [all 13H, m, 2.58 (s), 2.65 (s)], 6.05-6.50, 6.50-6.65, 6.70-6.95, 7.05-7.45, 7.45-7.90, 7.90-8.33 and 8.75-9.15 (all 12H, m)
The compound of Example 529

10 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.13-4.88 (20H, m), 1.19 and 1.35 (all 9H, s), 2.46, 2.49 and 2.51 (all 6H, s), 6.58-7.47 (7H, m), 12.76 (1H, brs)
The compound of Example 530

15 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.35-2.25, 2.36-3.60 and 4.47-5.09 [all 11H, m, 2.52 (s), 2.58 (s)], 6.60-6.75 (1H, m), 6.75-7.09 (8H, m), 8.52-8.75 (2H, m)
The compound of Example 531

^1H -NMR (200 MHz, $\text{DMSO}-d_6$) δ ppm: 1.05-2.0, 2.5-4.0 and 4.2-4.6 (all 24H, m), 6.14-7.5 [all 7H, m, 6.16 (d, $J=8.8$ Hz)], 11.1-11.5 (2H, m)
The compound of Example 532

20 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.3-2.4, 2.7-4.1, 4.5-4.7 and 5.0-5.2 (all 9H, m), 6.7-7.8 (12H, m)
The compound of Example 534

^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.30-2.25, 2.40-3.50 and 4.86-5.08 [all 11H, m, 2.53 (s), 2.58 (s)], 6.00-7.60 and 8.55-8.85 (all 10H, m)
The compound of Example 535

25 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.10-4.90 (23H, m), 6.50-6.66, 6.80-7.68 and 8.60-8.91 (all 9H, m), 12.77-13.45 (1H, br)
The compound of Example 541

^1H -NMR (200 MHz, $\text{DMSO}-d_6$) δ ppm: 1.00-2.11, 2.12-3.90 and 4.18-4.71 [all 34H, m, 2.32 (s), 2.36 (s)], 6.40-7.55 (6H, m), 9.82-10.16 and 10.80-11.24

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(all 1H, m)

The compound of Example 542

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.35-2.15, 2.6-3.15, 3.65-4.0, 4.47, 4.57 and 4.85-5.0 [all 16H, m, 4.47 (s), 4.57 (s)], 6.48 and 6.7-7.45 [all 12H, m, 6.48 (s)]

5

The compound of Example 544

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.16-4.92 (20H, m), 2.53 and 2.59 (all 3H, s), 6.54-6.75 (1H, m), 6.91-7.18 (2H, m), 7.23-7.68 (8H, m), 12.83 (1H, brs)

The compound of Example 545

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.17-5.20 (20H, m), 4.93 and 5.11 (all 2H, s), 6.53-7.56 (12H, m), 12.34-13.15 (1H, m)

10

The compound of Example 547

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.82-5.25 (27H, m), 3.87 (2H, t, J=6.4 Hz), 6.53-6.80 (2H, m), 6.83-7.68 (6H, m), 12.32-13.22 (1H, m)

15

The compound of Example 553

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.11-4.21, 4.49-4.71 and 4.98-5.20 (all 25H, m), 6.28-7.61 (11H, m)

The compound of Example 554

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.19-4.04, 4.48-4.71 and 4.97-5.19 [all 26H, m, 2.17 (s), 2.21 (s)], 6.42-7.74 (12H, m)

20

The compound of Example 555

¹H-NMR (200 MHz, CDCl₃) δ ppm: 2.57 (4H, t, J=5.10 Hz), 3.32 (4H, t, J=5.10 Hz), 3.56 (2H, s), 3.86 (3H, s), 6.74 (1H, dd, J=8.94 Hz, J=8.96 Hz), 6.85 (1H, d, J=2.55 Hz), 7.25-7.45 (5H, m), 7.83 (1H, d, J=8.91 Hz)

25

The compound of Example 556

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.03-2.22, 2.60-3.15, 3.90-4.28 and 4.80-5.00 [all 28H, m, 1.45 (s), 3.98 (d, J=6.31 Hz)], 6.68-7.42 and 7.58-7.71 (all 7H, m)

The compound of Example 558

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$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 0.9-2.2, 2.6-3.2 and 4.5-4.9 [all 15H, m, 4.51 (s), 4.58 (s)], 6.8-7.15, 7.15-7.40 and 7.40-7.90 (all 12.2H, m), 8.47 and 8.7 (all 0.8H, each s)]

The compound of Example 559

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.80-4.08 and 4.42-4.69 [all 29H, m, 2.40 (s)], 6.58-7.78 [all 8H, m, 7.51 (d, $J=2.01$ Hz)]

The compound of Example 560

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.0-1.25, 1.25-2.25, 2.5-3.7 and 4.4-5.0 (all 15H, m), 6.73-7.75 (all 10H, m), 8.53 (2H, d, $J=5$ Hz)

10 The compound of Example 562

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.17-4.86 (26H, m), 6.50-7.65 (10H, m), 12.67 (1H, brs)

The compound of Example 563

15 $^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 0.96-2.35 and 2.36-4.97 (all 20H, m), 6.79-8.06 (12H, m), 10.02-10.46 and 11.00-11.60 (all 1H, m)

The compound of Example 564

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.52-2.22, 2.23-4.24, 4.34-4.71 and 4.91-5.17 [all 14H, m, 0.66 (t, $J=7.3$ Hz)], 5.53-5.74 and 6.29-6.58 (all 1H, m), 6.89-7.88 (12H, m)

20 The compound of Example 565

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 1.08-2.21, 2.23-4.08 and 4.21-5.11 [all 26H, m, 2.31 (s), 2.44 (s)], 6.46-7.78 (11H, m), 10.00-10.28 and 10.96-11.45 (all 1H, m)

The compound of Example 566

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.16-2.20, 2.28-4.10, 4.42-4.71 and 4.89-5.11 [all 25H, m, 2.42 (s), 2.56 (s)], 6.59-7.68 (11H, m)

The compound of Example 567

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 0.79-2.19, 2.29-3.80 and 3.96-4.67 (all 23H, m), 6.52-7.48 and 7.49-8.45 (11H, m), 9.83-10.21 and 10.86-11.51 (all

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1H, each br)

The compound of Example 572

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.57-0.90, 1.03-2.22, 2.27-4.69 and
5.49-5.71 [all 20H, m, 0.67 (t, J=7.3 Hz), 2.44 (s), 2.59 (s)], 5.49-5.71 and 6.36-
7.65 (all 12H, m)

The compound of Example 577

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.3-2.2, 2.65-3.2, 4.0-4.4 and 4.8-5.0
(all 11H, m), 6.18 (1H, dd, J=8.4 Hz, J=2.4 Hz), 6.48 (1H, d, J=2.2 Hz), 6.69 (1H,
d, J=8.4 Hz), 6.85-7.45 (9H, m)

The compound of Example 578

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.2-2.2, 2.5-3.4, 4.15-4.4 and 4.7-5.1
(all 14H, m), 6.15 (0.88H, d, J=8 Hz), 6.43 (0.94H, s), 6.67 (1.07H, d, J=8 Hz),
6.8-7.5 (9.1H, m)

The compound of Example 583

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.95-4.9 [all 26H, m, 1.10 (t, J=7.2 Hz),
2.47 (d, J=4 Hz)], 6.8-7.2, 7.2-7.55, 7.55-8.25 and 8.25-8.60 [all 14H, m, 8.44
(s)]

The compound of Example 584

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.666 (3H, t, J=7.3 Hz), 1.50-4.00
(17H, m), 6.40-7.20 (13H, m)

The compound of Example 585

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.00-4.20 and 4.40-4.35 [all 23H, m,
2.50 (s), 2.54 (s)], 6.80-7.65 (12H, m)

The compound of Example 586

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.45-3.13, 3.20-4.00 and 4.20-5.18 (all
13H, m), 6.62-7.66 (12H, m)

The compound of Example 589

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.8-3.7 and 4.85-5.15 [all 24H, m, 2.37
(s)], 5.9-7.2 [all 7H, m, 6.27 (s)]

The compound of Example 593

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.3-3.8, 4.2-4.8 and 4.9-5.15 [all 12H, m, 3.36 (s), 3.48 (s), 4.55 (s)], 6.6-7.95 (12H, m), 8.15-8.7 (1H, m)

The compound of Example 594

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.19-2.35, 2.60-3.15, 3.75-4.20, 4.30-4.61 and 4.79-5.11 (all 12H, m), 6.71-7.75 (7H, m)

The compound of Example 595

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.75-3.30, 3.30-4.18 and 4.40-4.62 (all 20H, m), 6.55-6.72 (1H, m), 6.72-6.97 (2H, m), 6.97-7.18 (2H, m), 7.18-7.67 (7H, m)

The compound of Example 599

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.18-1.58, 1.58-4.29 and 4.50-4.85 [all 25H, m, 1.71 (s), 2.54 (s)], 7.05-7.72 (12H, m), 14.5-17.8 (1H, brs)

The compound of Example 601

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.50-2.20, 2.20-2.73 and 2.90-4.00 [all 16H, m, 1.62 (s), 2.59 (s), 3.24 (s)], 7.16-7.69 (12H, m), 9.42 (1H, s)

The compound of Example 606

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.00, 2.55-2.90, 3.35-3.70 and 4.40-4.60 [all 27H, m, 1.57 (s)], 7.00-7.34 (3H, m)

The compound of Example 607

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.09, 2.56-2.97, 3.30-3.65, 4.40-4.60 and 4.71-4.82 (all 24H, m), 6.95-7.28 (3H, m)

The compound of Example 608

$^1\text{H-NMR}$ (250 MHz, DMSO-d_6) δ ppm: 1.15-1.55, 1.70-2.35, 2.55-3.16, 3.44-3.65, 4.20-4.40 and 4.70-5.07 (all 9H, m), 6.49-6.57, 6.57-6.85, 6.9-7.05 and 7.05-7.5 (all 8H, m), 7.62-7.75 (2H, m)

The compound of Example 609

$^1\text{H-NMR}$ (250 MHz, DMSO-d_6) δ ppm: 1.15-2.14, 2.14-4.40 and 4.90-5.54 (all 12H, m), 6.65 and 6.72 (all 1H, each d, $J=8.3$ Hz), 6.92-7.46 and 7.60-7.81 (all 8H, m)

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The compound of Example 610

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.15-2.63, 2.27-3.18, 3.55-4.06 and 5.82-6.03 (all 8H, m), 7.46 (1H, d, $J=8.3$ Hz), 7.78 (1H, dd, $J=2.4$ Hz, $J=8.3$ Hz), 8.16 (1H, d, $J=2.4$ Hz), 8.21-8.33 (2H, m), 8.54-8.70 (2H, m), 10.87 (1H, s)

5 The compound of Example 611

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.49-1.76, 1.86-2.22, 2.67-3.09 and 4.90-5.08 (all 8H, m), 6.51 (1H, d, $J=8.3$ Hz), 6.89 (1H, dd, $J=2$ Hz, $J=8.3$ Hz), 7.13-7.35 (3H, m), 7.42-7.56 (2H, m)

The compound of Example 613

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.27-1.70, 1.80-2.30, 2.68-3.37, 3.40-3.85, 4.35-4.58 and 5.08-5.20 (all 9H, m), 6.47 and 6.54 (all 1H, each d, $J=8.3$ Hz), 6.86-7.01 (1H, m), 7.15 and 7.32 (all 1H, each d, $J=2$ Hz), 7.35-7.56 (4H, m)

The compound of Example 614

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.75-3.90, 4.40-4.55 and 5.03-5.20 (all 28H, m), 6.45-6.65 (1H, m), 6.70-7.35 (6H, m), 7.65-7.95 (1H, m)

The compound of Example 615

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.80-3.90, 4.35-4.56, 5.08-5.20 and 6.45-6.67 (1H, m), 6.90-7.55 (6H, m), 7.80-8.25 and 8.75-8.85 (all 1H, m)

20 The compound of Example 616

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.00-3.95, 4.37-4.57 and 5.00-5.17 (all 22H, m), 6.45 and 6.50 (all 1H, each d, $J=8.3$ Hz), 6.90 (1H, dd, $J=2.3$ Hz, $J=8.3$ Hz), 6.96-7.06 and 7.29-7.36 (all 2H, m), 7.44-7.68 (4H, m)

The compound of Example 617

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.85-3.92, 4.35-4.52 and 4.95-5.15 (all 26H, m), 6.40-6.55 (1H, m), 6.85-6.95 (1H, m), 6.95-7.15 (1H, m), 7.30-7.70 (4H, m)

The compound of Example 618

30 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.20, 2.52-3.90, 4.40-4.59 and 5.08-5.26 (all 22H, m), 6.54-6.68 (1H, m), 6.87-7.44 (6H, m)

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The compound of Example 619

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.21, 2.47-3.01, 3.07-3.32, 3.41-3.78, 4.35-4.57 and 5.08-5.23 (all 19H, m), 6.00-6.51 (1H, brs), 6.59 (1H, d, $J=8.3$ Hz), 6.89-7.41 (6H, m)

5 The compound of Example 622

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.76-2.21, 2.22-4.31, 4.38-4.64 and 5.01-5.24 [all 23H, m, 2.41 (s), 2.46 (s)], 6.38-7.43 (6H, m)

The compound of Example 623

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.73-5.18 (24H, m), 6.52-8.03 (8H, m), 12.50-13.30 (1H, m)

The compound of Example 624

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.93 (3H, t, $J=7.2$ Hz), 1.10-2.30 (8H, m), 2.56-4.30 (5H, m), 3.65 and 3.70 (all 3H, s), 3.88 (2H, t, $J=6.5$ Hz), 4.38-5.33 (1H, m), 6.51-7.40 (8H, m)

15 The compound of Example 626

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.20-2.22 (4H, m), 2.40-5.23 (5H, m), 2.52 and 2.56 (all 3H, s), 3.72 and 3.73 (all 3H, s), 6.45-7.70 (10H, m)

The compound of Example 627

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.17-2.21 (4H, m), 2.61-3.02 (2H, m), 3.09-3.85 (1H, m), 3.69 (3H, s), 4.01-4.27 (1H, m), 4.43-5.18 (1H, m), 4.94 and 5.10 (all 2H, s), 6.46-6.67 (1H, m), 6.83-7.50 (11H, m)

The compound of Example 629

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.09-2.32 (4H, m), 2.56-5.33 (5H, m), 3.69 and 3.74 (all 3H, s), 6.53-7.78 (12H, m)

25 The compound of Example 630

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.12-2.18 (4H, m), 1.18 and 1.34 (all 9H, s), 2.33-5.24 (5H, m), 2.45 and 2.49 (all 3H, s), 7.32 (3H, s), 6.43-7.51 (7H, m)

The compound of Example 631

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.08-2.25 (4H, m), 1.18 and 1.33 (all 9H, s), 2.34-3.96 (5H, m), 2.45 and 2.50 (all 3H, s), 6.47-7.50 (7H, m), 9.00 (1H, brs)

The compound of Example 632

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.35 (7H, m), 2.58-3.28 (3H, m), 3.35-5.20 (10H, m), 6.15-7.56 (6H, m)

The compound of Example 633

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.16-2.22 (7H, m), 2.58-3.29 (3H, m), 3.35-4.18 (6H, m), 4.45-5.21 (1H, m), 6.12-7.48 (6H, m), 10.82 (1H, brs)

10 The compound of Example 634

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 1.13-2.21 (4H, m), 2.36-4.31 (4H, m), 2.49 (3H, s), 4.33-5.13 (1H, m), 6.76-7.88 (11H, m), 12.43 (1H, brs)

The compound of Example 637

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.33, 2.33-3.99, 3.99-4.31, 4.50-4.65 and 5.05-5.18 (all 15H, m), 6.50-7.70 and 8.10-8.20 (all 12H, m)

The compound of Example 640

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.13-2.26, 2.35-3.90, 4.43-4.66 and 5.03-5.22 (all 15H, m), 6.40-6.70 (1H, m), 6.73-6.95 (1H, m), 6.95-7.65 (6H, m), 8.50-8.75 (2H, m)

20 The compound of Example 641

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.22-2.35, 2.5-3.3, 3.4-3.9, 4.35-4.7 and 5.0-5.3 (all 18H, m), 6.65 (1H, d, $J=8.3$ Hz), 6.85-7.5 (9H, m), 7.6-7.8 (1H, m)

The compound of Example 642

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.2-1.65, 1.9-2.25, 2.6-3.1, 3.1-3.35, 3.4-3.75, 4.3-4.6 and 4.9-5.6 (all 14H, m), 6.62 (1H, d, $J=8.3$ Hz), 6.85-7.5 (9H, m), 7.6-7.8 (1H, m)

The compound of Example 643

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.78-1.06, 1.14-2.19, 2.59-3.30, 3.40-

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4.65 and 4.94-5.16 [all 21H, m, 3.69 (s)], 6.78-7.75 and 8.56-8.70 [all 8H, m, 7.45 (s)]

The compound of Example 644

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.92 (6H, d, $J=6.71$ Hz), 1.19-2.32, 2.55-4.62 and 4.95-5.16 (all 12H, m), 6.32-7.95 [all 9H, m, 7.55 (s)]

The compound of Example 645

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.31, 2.52-4.70 and 4.90-5.15 [all 14H, m, 3.69 (s)], 6.79-7.81 and 8.55-8.72 (all 8H, m)

The compound of Example 646

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.13-2.35, 2.62-4.71 and 4.98-5.19 [all 16H, , 3.70 (s)], 6.81-7.92 [all 7H, m, 7.52 (d, $J=2.06$ Hz)]

The compound of Example 649

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 0.98-4.60 and 4.78-4.90 (all 13H, m), 6.05-6.21 and 6.40-8.08 (all 7H, m)

15 The compound of Example 647

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.25-2.32, 2.60-3.31, 3.40-4.68 and 5.05-5.20 [all 18H, m, 3.69 (s)], 6.58-7.81 (8H, m)

The compound of Example 648

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.05-2.32, 2.58-3.90, 4.00-4.68 and 5.00-5.18 [all 18H, m, 3.70 (s)], 6.80-7.64 (7H, m)

The compound of Example 650

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.89 (2H, t, $J=6$ Hz), 4.35 (2H, t, $J=6$ Hz), 7.0 (1H, d, $J=7$ Hz), 7.2-7.7 (10H, m), 7.99 (1H, d, $J=2.5$ Hz)

The compound of Example 651

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.33 (3H, t, $J=7$ Hz), 3.44 (2H, dt, $J=6.4$ Hz, $J=2.4$ Hz), 3.98 (2H, t, $J=6.4$ Hz), 4.23 (2H, q, $J=7$ Hz), 6.41 (1H, t, $J=2.4$ Hz), 6.86 (1H, d, $J=8.6$ Hz), 7.0 (1H, dd, $J=8.4$ Hz, $J=2.4$ Hz), 7.35-7.70 (10H, m)

The compound of Example 652

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.29 (3H, t, $J=7$ Hz), 1.8-2.3 (2H, m),

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2.6-2.8 (1H, m), 2.8-3.0 (1H, m), 3.3-3.56 (1H, m), 3.85-4.1 (2H, m), 4.22 (2H, q, J=7 Hz), 6.69 (1H, d, J=8.6 Hz), 6.89 (1H, dd, J=8.6 Hz, J=2.4 Hz), 7.2-7.7 (10H, m)

The compound of Example 653

5 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.1-1.7 (5H, m), 1.7-2.1 (5H, m), 2.4-2.75 (1H, m), 2.85 (2H, t, J=6 Hz), 4.3 (2H, t, J=6 Hz), 7.0 (1H, d, J=8.8 Hz), 7.19-7.27 (3H, m), 7.40-7.45 (2H, m), 7.96 (1H, d, J=2.5 Hz)

The compound of Example 654

10 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 2.9 (2H, t, J=6.4 Hz), 3.96 (3H, s), 4.31 (2H, t, J=6.4 Hz), 6.94-7.1 (2H, m), 7.35-7.40 (2H, m), 7.77 (1H, d, J=8.3 Hz), 8.0 (1H, d, J=2.5 Hz)

The compound of Example 655

15 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.85-2.05 (1H, m), 2.15-2.35 (1H, m), 2.65 (1H, m), 2.85 (1H, m), 3.35-3.55 (1H, m), 3.85-4.10 (2H, m), 6.70 (1H, d, J=8.6 Hz), 6.90 (1H, dd, J=8.6 Hz, J=2.4 Hz), 7.25-7.65 (10H, m)

The compound of Example 656

20 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.1-1.55 (5H, m), 1.33 (3H, t, J=7.2 Hz), 1.65-2.0 (5H, m), 2.45-2.65 (1H, m), 3.35-3.5 (2H, m), 3.94 (2H, t, J=6.4 Hz), 4.22 (2H, q, J=7.2 Hz), 6.40 (1H, t, J=2.3 Hz), 6.85 (1H, d, J=8.7 Hz), 7.05 (1H, dd, J=8.7 Hz, J=2.3 Hz), 7.15 (2H, d, J=8.2 Hz), 7.34 (2H, d, J=8.2 Hz), 7.65 (1H, d, J=2.3 Hz)

The compound of Example 657

25 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.35-1.60 (5H, m), 1.70-2.0 (6H, m), 2.10-2.35 (1H, m), 2.35-2.65 (1H, m), 2.67 (1H, dd, J=16 Hz, J=6 Hz), 2.90 (1H, dd, J=16 Hz, J=6 Hz), 3.35-3.55 (1H, m), 3.85-4.05 (2H, m), 6.70 (1H, d, J=8.7 Hz), 6.89 (1H, dd, J=8.7 Hz, J=2.3 Hz), 7.12 (2H, d, J=8.2 Hz), 7.19 (1H, d, J=2.3 Hz), 7.28 (2H, d, J=8.2 Hz)

The compound of Example 658

30 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.85-2.10 (1H, m), 2.15-2.35 (1H, m), 2.68 (1H, dd, J=16 Hz, J=8 Hz), 2.87 (1H, dd, J=16 Hz, J=6.2 Hz), 3.3-3.5 (1H,

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m), 3.75 (3H, s), 3.8-4.15 (2H, m), 6.4-6.55 (1H, m), 6.88 (1H, dd, J=8.6 Hz, J=2.3 Hz), 7.20 (1H, d, J=2.3 Hz), 7.54 (2H, d, J=8.9 Hz), 8.16 (2H, d, J=8.9 Hz)

The compound of Example 659

5 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.85-2.10 (1H, m), 2.20-2.40 (1H, m),
2.71 (1H, dd, J=16 Hz, J=8.4 Hz), 2.94 (1H, dd, J=16 Hz, J=6 Hz), 3.35-3.55
(1H, m), 3.85-4.10 (2H, m), 6.70 (1H, d, J=8.6 Hz), 6.90 (1H, dd, J=8.6 Hz, J=2.3
Hz), 7.21 (1H, d, J=2.3 Hz), 7.35-7.70 (9H, m)

The compound of Example 660

10 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.80-2.0 (1H, m), 2.10-2.30 (1H, m),
2.62 (1H, dd, J=15.6 Hz, J=8.6 Hz), 2.84 (1H, dd, J=15.6 Hz, J=6 Hz), 3.3-3.5
(1H, m), 3.73 (3H, s), 3.80-4.10 (4H, m), 6.50 (2H, d, J=8.5 Hz), 6.67 (1H, d,
J=8.5 Hz), 6.89 (1H, dd, J=8.7 Hz, J=2.2 Hz), 7.15-7.35 (3H, m)

The compound of Example 661

15 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.75-1.95 (1H, m), 2.10-2.30 (1H, m),
2.63 (1H, dd, J=15.6 Hz, J=8.4 Hz), 2.85 (1H, dd, J=15.6 Hz, J=6.2 Hz), 3.3-3.5
(1H, m), 3.74 (3H, s), 3.80-4.05 (2H, m), 4.61 (2H, s), 6.6 (1H, d, J=8.6 Hz), 6.88
(1H, dd, J=8.6 Hz, J=2.2 Hz), 6.95-7.65, 8.36 [all 11H, m, 8.36 (s)]

The compound of Example 662

20 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.80-2.10 (1H, m), 2.15-2.30 (1H, m),
2.71 (1H, dd, J=16 Hz, J=8 Hz), 2.90 (1H, dd, J=16 Hz, J=6 Hz), 3.3-3.5 (1H, m),
3.75-4.10 (2H, m), 4.60 (2H, s), 6.59 (1H, d, J=8.6 Hz), 6.88 (1H, dd, J=8.6 Hz,
J=2.2 Hz), 6.97 (2H, d, J=7.8 Hz), 6.99-7.10 (1H, m), 7.20 (1H, d, J=2.2 Hz),
7.31-7.39 (4H, m), 7.54 (2H, d, J=8.6 Hz), 8.38 (1H, s)

The compound of Example 663

25 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.75-1.95 (1H, m), 2.10-2.20 (1H, m),
2.2 (3H, s), 2.60 (1H, dd, J=15.7 Hz, J=8.6 Hz), 2.83 (1H, dd, J=15.7 Hz, J=6
Hz), 3.3-3.4 (1H, m), 3.78 (3H, s), 3.8-4.0 (2H, m), 4.69 (2H, s), 6.60 (1H, d,
J=8.7 Hz), 6.87 (2H, dt, J=8.6 Hz, J=2.2 Hz), 6.94-7.01 (1H, m), 7.10-7.50 (5H,
m), 7.64 (2H, d, J=8.7 Hz), 7.74 (1H, s), 9.60 (1H, s)

30 The compound of Example 664

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¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.75-2.00 (1H, m), 2.15-2.35 (1H, m),
2.64 (1H, dd, J=15.7 Hz, J=8.6 Hz), 2.86 (1H, dd, J=15.7 Hz, J=6 Hz), 3.3-3.5
(1H, m), 3.75 (3H, s), 3.80-4.00 (2H, m), 4.72 (2H, s), 6.61 (1H, d, J=8.6 Hz),
6.87 (1H, dd, J=8.8 Hz, J=2.2 Hz), 6.93-7.07 (2H, m), 7.17 (1H, d, J=2.2 Hz),
7.25-7.50 (4H, m), 7.58 (2H, d, J=8.6 Hz), 8.78 (1H, s)

The compound of Example 665

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.80-2.05 (1H, m), 2.10-2.30 (1H, m),
2.71 (1H, dd, J=16 Hz, J=8.2 Hz), 2.92 (1H, dd, J=16 Hz, J=6 Hz), 3.30-3.50
(1H, m), 3.75-4.10 (2H, m), 4.65 (2H, s), 6.55-7.65 [all 11H, m, 6.60 (d, J=8.6
Hz), 7.57 (d, J=8.6 Hz)], 8.79 (1H, s)

The compound of Example 666

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.80-2.0 (1H, m), 2.1-2.3 (1H, m), 2.36
(3H, s), 2.63 (1H, dd, J=15.6 Hz, J=8.5 Hz), 2.86 (1H, dd, J=15.6 Hz, J=6 Hz),
3.3-3.5 (1H, m), 3.74 (3H, s), 3.83-3.99 (2H, m), 4.59 (2H, s), 6.60 (1H, d, J=8.6
Hz), 6.78 (2H, d, J=8 Hz), 6.88 (2H, dd, J=8.6 Hz, J=2 Hz), 7.16-7.26 (2H, m),
7.38 (2H, d, J=8.7 Hz), 7.55 (2H, d, J=8.7 Hz), 8.36 (1H, s)

The compound of Example 667

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.8-2.25, 2.65-4.10 (all 10H, m), 4.68
(2H, s), 6.4-7.85 (all 13H, m), 9.48 (1H, s)

The compound of Example 668

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.8-3.0 (all 7H, m), 3.25-3.50 (1H, m),
3.70-4.05 (2H, m), 4.56 (2H, s), 6.60 (1H, d, J=8.5 Hz), 6.75-6.90 (4H, m), 7.18-
7.26 (2H, m), 7.35 (2H, d, J=8.6 Hz), 7.52 (2H, d, J=8.7 Hz), 8.4 (1H, s)

The compound of Example 669

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.9-2.25, 2.6-4.1, 4.3-4.75 [all 15H, m,
0.99 (t, J=7.2 Hz)], 6.8-7.5, 7.55-7.65, 8.2-8.5 [all 13H, m, 7.60 (d, J=4 Hz), 8.25
(d, J=4 Hz)]

The compound of Example 670

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.3-2.2, 2.35-2.5, 2.7-3.9 and 4.4-4.65
[all 15H, m, 2.40 (s), 3.73 (s)], 6.55 (0.6H, d, J=8.3 Hz), 6.89 (1.3H, d, J=8.3 Hz),

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7.0-7.5 (all 4H, m), 8.35-8.50 (0.8H, m), 8.9-9.05 (0.25H, m)

The compound of Example 671

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.1-2.2, 2.7-3.4, 3.5-3.8 and 4.45-4.65

[all 12H, m, 3.69 (s)], 6.85-7.5 and 8.9-9.1 (all 8H, m)

5 The compound of Example 672

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.4-2.3, 2.75-3.25 and 4.75-5.05 (all

8H, m), 6.75-7.45 (all 7H, m), 9.55 and 10.03 (all 1H, each s)

The compound of Example 673

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.2, 2.6-3.85 and 4.4-4.65 [all

10 15H, m, 2.83 (s)], 6.21 (0.7H, dd, $J=8.7$ Hz, $J=2.5$ Hz), 6.51 (0.6H, d, $J=2.5$ Hz),
6.6-7.4 (all 5.7H, m)

The compound of Example 674

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.0-2.1, 2.7-3.9, 4.4-5.3 [all 17H, m, 1.1

(d, $J=6$ Hz)], 6.0-6.1 and 6.4-7.6 (all 8H, m)

15 The compound of Example 675

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.2-2.2, 2.7-4.0 and 4.45-4.7 (all 13H,

m), 5.9 and 6.9-7.7 [all 8H, m, 5.9 (s)]

The compound of Example 676

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.2-2.35, 2.75-3.10, 3.10-3.95 and 4.4-

20 4.6 [all 16H, m, 2.79 (s)], 6.3-7.6 (all 7H, m)

The compound of Example 677

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.1-1.25, 1.25-2.2, 2.7-3.95 and 4.45-

4.65 (all 22H, m), 6.85-7.8 (all 7H, m), 7.8-8.5 (1H, m)

The compound of Example 678

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.35-2.05, 2.65-4.0 and 4.3-4.65 [all

15H, m, 4.39 (s)], 5.8-6.85 (1H, m), 6.85-8.15 (all 12H, m)

The compound of Example 679

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.04-2.20 [all 7H, m, 1.41 (t, $J=7.0$ Hz)],

2.32-3.32, 3.33-4.30, 4.43-4.70 and 5.00-5.22 (all 13H, m, 2.51 (s), 3.72 (s)),

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6.43-7.67 (11H, m)

The compound of Example 680

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.03 (3H, t, $J=7.0$ Hz), 0.90-2.30 (6H, m), 2.38-3.30, 3.38-4.36, 4.43-4.70 and 5.04-5.23 [all 13H, m, 2.52 (s), 3.72 (s), 3.93 (t)], 6.43-7.64 (11H, m)

The compound of Example 683

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.24-3.02 (5H, m), 3.04-3.89, 3.90-4.88 and 4.93-5.14 (all 10H, m, 3.71 (s), 3.74 (s), 3.76 (s), 3.82 (s)), 6.49-6.65, 6.71-6.86, 6.94-7.10, 7.11-7.42 and 7.58-7.78 (all 6H, m)

The compound of Example 684

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.12-2.23, 2.24-3.93, 4.01-4.31, 4.43-4.70 and 5.01-5.22 [all 18H, m, 2.37 (s), 2.44 (s), 2.53 (s), 2.57 (s), 3.72 (s)], 6.47-7.59 (11H, m)

The compound of Example 685

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.11-2.26 (4H, m), 2.56-4.32, 4.45-4.73 and 5.00-5.20 [all 8H, m, 3.71 (s)], 6.68-7.81 (12H, m)

The compound of Example 686

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.13-2.37, 2.42-4.39, 4.47-4.75 and 5.04-5.26 [all 15H, m, 2.56 (s), 3.73 (s)], 6.49-7.95 and 8.13-8.49 (all 11H, m)

The compound of Example 687

30 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.18-2.27 (4H, m), 2.28-4.39, 4.45-4.72 and 5.03-5.27 [all 14H, m, 2.35 (s), 2.41 (s), 2.52 (s), 2.56 (s)], 6.49-7.64 (11H, m)

The compound of Example 689

35 $^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 1.00-3.76, 4.28-4.55 and 4.81-5.05 [all 15H, m, 2.31 (s), 2.44 (s)], 6.49-7.79 (11H, m), 12.31 (1H, s)

The compound of Example 690

40 $^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 1.04-2.22 (4H, m), 2.32-3.76, 4.27-4.58 and 4.81-5.08 (all 8H, m), 6.49-8.48 (11H, m), 11.97-12.54 (1H, m)

The compound of Example 691

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^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.02-3.86, 4.36-4.62 and 5.01-5.30 [all 26H, m, 2.41 (s), 3.74 (s)], 6.36-7.40 (6H, m)

The compound of Example 692

^1H -NMR (200 MHz, CDCl_3) δ ppm: 2.20-3.01, 3.32-4.28 and 4.78-5.49 [all 23H, m, 2.35 (s), 2.44 (s), 3.82 (s)], 6.55-7.75 (11H, m)

The compound of Example 693

^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.12-2.05 (10H, m), 2.19-2.95, 3.42-4.25 and 4.75-5.39 [all 21H, m, 2.35 (s)], 6.59-7.55 (7H, m)

The compound of Example 696

10 ^1H -NMR (200 MHz, $\text{DMSO}-d_6$) δ ppm: 1.48 (3H, d, $J=6.6$ Hz), 1.57-2.13 (2H, m), 2.86-3.13 (2H, m), 3.36-3.65 (1H, m), 4.43-4.63 (1H, m), 4.70-4.93 (1H, m), 6.48-8.00 (12H, m), 10.19 and 10.46 (all 1H, s), 12.68 (1H, brs)

The compound of Example 700

15 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.31 (3H, t, $J=7.1$ Hz), 1.58 (3H, d, $J=6.7$ Hz), 1.51-2.33 (2H, m), 2.97-3.23 (2H, m), 3.40-3.70 (1H, m), 3.81-4.18 (2H, m), 4.25 (2H, q, $J=7.1$ Hz), 4.40-4.91 (1H, m), 4.73 (1H, q, $J=6.7$ Hz), 6.51-7.65 (12H, m), 8.22 (1H, brs)

The compound of Example 701

20 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.34 (3H, t, $J=7.11$ Hz), 2.28-3.00 (2H, m), 3.71-5.12 (all 6H, m), 6.85-7.65 and 7.75-8.45 (all 7H, m)

The compound of Example 702

^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.32 (3H, t, $J=7.12$ Hz), 2.32-2.90 (2H, m), 3.61-4.49 (all 6H, m), 4.65-5.05 (2H, m), 6.10-7.68 (all 7H, m)

The compound of Example 703

25 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.22-1.43 (3H, m), 1.78-2.38 (2H, m), 2.99-3.24 (2H, m), 3.43-3.66 (1H, m), 3.78-4.39 (4H, m), 4.65-4.89 (1H, m), 6.67 (1H, dt, $J=7.6$ Hz, $J=1.3$ Hz), 6.70 (1H, dd, $J=8.2$ Hz, $J=1.3$ Hz), 6.89-6.99 (1H, m), 7.05 (1H, dd, $J=7.3$ Hz, $J=1.7$ Hz), 7.37 (1H, d, $J=8.4$ Hz), 7.81 (1H, dd, $J=8.4$ Hz, $J=2.1$ Hz), 8.10 (1H, d, $J=2.1$ Hz)

30 The compound of Example 704

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.32 (3H, t, $J=7.16$ Hz), 1.50-1.81 [all 3H, m, 1.59 (d, $J=6.71$ Hz)], 2.50-2.95 and 3.69-5.15 (all 9H, m), 6.81-8.55 [13H, m, 7.55 (s), 8.26 (s)]

The compound of Example 705

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.41-1.75 [all 3H, m, 1.59 (d, $J=6.76$ Hz)], 1.75-2.89, 3.60-4.48 and 4.60-5.10 (all 7H, m), 6.79-8.20 and 8.36-8.88 (all 12H, m)

The compound of Example 706

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.72-1.10 (6H, m), 1.33 (3H, t, $J=7.13$ Hz), 1.80-2.10, 2.55-2.90, 3.69-4.59 and 4.75-5.05 [all 11H, m, 7.29 (q, $J=7.13$ Hz)], 6.71-7.85, 8.59-8.70 [all 8H, m, 6.63 (s)]

The compound of Example 707

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.33 (3H, t, $J=6.17$ Hz), 2.31-2.95 and 3.39-5.10 (all 12H, m), 6.72-7.89 (8H, m)

15 The compound of Example 708

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.34 (3H, t, $J=7.15$ Hz), 2.55-3.05, 3.70-4.61 and 4.79-5.08 [all 12H, m, 4.29 (q, $J=7.15$ Hz), 4.46 (t, $J=7.88$ Hz)], 6.81-7.72 (7H, m)

The compound of Example 709

20 $^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 0.89 (6H, d, $J=6.69$ Hz), 1.70-2.05, 2.30-4.20 and 4.45-4.82 (all 9H, m), 6.85-7.79, 8.10-8.20 and 9.65-9.95 [all 8H, m, 8.14 (s), 9.70 (s)]

The compound of Example 710

25 $^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 2.05-5.05 (11H, m), 6.70-8.00 (7H, m)

The compound of Example 711

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.99-1.98, 2.18-3.00 and 3.64-4.01 [all 18H, m, 2.34 (s)], 6.50-7.61 and 8.40-8.73 (all 8H, m)

The compound of Example 712

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.43 (3H, s), 2.62-3.00, 3.71-4.20 and 4.55-5.29 [all 7H, m, 3.82 (s)], 6.62-7.65 and 8.45-8.75 [all 12H, m, 7.42 (d, $J=8.45$ Hz)]

The compound of Example 713

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.10-3.81 and 4.81-5.35 [all 21H, m, 2.37 (s)], 6.35-7.50 (7H, m)

The compound of Example 714

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.55-4.05 and 4.85-5.25 [all 13H, m, 2.46 (s), 3.81 (s)], 6.40-7.61 (11H, m)

10 The compound of Example 715

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.04-2.01, 2.18-3.10, 3.56-4.49 and 4.61-5.65 [all 20H, m, 2.35 (s)], 6.51-7.65 (7H, m)

The compound of Example 716

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.34 (3H, t, $J=7.08$ Hz), 2.15-3.10, 3.61-4.51 and 4.78-5.11 [all 14H, m, 2.44 (s), 3.83 (s)], 6.61-7.58 (11H, m)

The compound of Example 717

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.01-2.97, 3.51-4.28 and 4.75-5.19 [all 20H, m, 2.34 (s)], 6.40-7.70 (8H, m)

The compound of Example 718

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.29-2.94, 3.56-4.29 and 4.75-5.08 [all 12H, m, 2.43 (s), 3.82 (s)], 6.59-7.65 (7H, m), 8.55-9.07 (1H, m)

The compound of Example 719

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.53 (9H, s), 2.51-2.96, 3.72-4.31 and 4.51-5.18 (all 6H, m), 6.85-7.62 and 7.78-8.41 (all 7H, m)

25 Example 721

To dimethylsulfide (170 ml) is added dropwise with stirring aluminum chloride (23.6 g) under ice-cooling, and further thereto is added dropwise a solution of 5-methoxycarbonylmethyl-1-[4-(2-phenoxyacetyl-amino)-benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (16.76 g) in dichloromethane (150 ml), and the mixture is stirred at room temperature for two hours. The reaction

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mixture is poured into a mixture of conc. hydrochloric acid and crashed ice, and the mixture is extracted with dichloromethane. The organic layer is washed with water, dried over magnesium sulfate, and evaporated to remove the solvent. The residue is purified by silica gel column chromatography (solvent; dichloromethane:methanol = 30:1) to give 5-carboxymethyl-1-[4-(2-phenoxy-acetylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (13.67 g) as white powder.

M.p. 102-106°C

Example 722

7-Chloro-1-[2-methyl-4-(2-acetylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.48 g) is dissolved in tetrahydrofuran (7 ml), and thereto is added a 5N aqueous sodium hydroxide solution (0.5 ml), and the mixture is stirred at room temperature for two hours. The reaction solution is neutralized with a 2N hydrochloric acid, and extracted with ethyl acetate. The extract is dried over magnesium sulfate, filtered, and concentrated. To the resulting residue is added n-hexane/ethyl acetate (1:1), and the mixture is washed, filtered, and the obtained powder is dried to give 7-chloro-1-[2-methyl-4-(2-hydroxyacetylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.38 g) as white powder.

M.p. 194-195°C

Example 723

1-[2-Methyl-4-(2-chloroacetylamino)benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.8 g) is dissolved in dimethylformamide (5 ml), and thereto are added potassium carbonate (0.47 g), sodium iodide (0.51 g) and 5,6,7,8-tetrahydro-1-naphthol (0.40 g), and the mixture is stirred at 60°C for three hours. To the reaction solution is added ethyl acetate, and the mixture is washed with a saturated aqueous potassium hydrogen sulfate solution and a saturated aqueous sodium chloride solution, dried over magnesium sulfate, filtered, and concentrated. The resulting residue is allowed to stand for one day, washed with dichloromethane, filtered, and dried to give 1-[2-methyl-4-[2-(5-tetrahydronaphthyloxy)acetylamino]benzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.72 g) as white powder.

M.p. 230-232°C (decomposed)

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The suitable starting compounds are treated in the same manner as in Example 723 to give the compounds of Examples 55-58, 147, 148, 150-156, 158-162, 165-166, 160, 170, 176-179, 186-196, 198, 200-207, 212, 213, 215, 217, 222-224, 228-232, 338-346, 355-358, 363, 399-402, 445-448, 583, 593, 598, 661-669, 696-700 and 704-705.

Example 724

A mixture of 1-(4-amino-2-chlorobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (1 g), triphosgen (0.3 g) and o-dichlorobenzene (10 ml) is heated with stirring at 130-140°C for four hours. To the mixture is added triethylamine (0.8 ml), and the mixture is stirred for 0.5 hour, and thereto is further added triethylamine (0.8 ml), and the mixture is stirred for 0.5 hour. To the mixture is added 1,2,3,4-tetrahydroisoquinoline (0.38 ml), and the mixture is heated with stirring at 80°C for one hour. The mixture is diluted with dichloromethane, and washed with water and a saturated aqueous sodium chloride solution, dried over magnesium sulfate, filtered, and evaporated to remove the solvent to give an oily residue (2.9 g). The residue is purified by silica gel column chromatography (solvent; ethyl acetate:n-hexane = 1:1) to give 1-[4-(2-tetrahydroisoquinolylcarbonylamino)-2-chlorobenzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.47 g) as colorless amorphous.

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.35-2.15, 2.70-3.10 and 4.80-5.00 (all 10H, m), 3.66 and 3.76 (all 2H, each t, J=5.8 Hz), 4.60 and 4.71 (all 2H, each s), 6.70-7.50 (12H, m)

Example 725

To a solution of 1-(4-amino-2-chlorobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (0.8 g) in o-dichlorobenzene (5 ml) is added triphosgen (0.26 g), and the mixture is stirred at 120°C for three hours. To the mixture is added triethylamine (0.27 g), and the mixture is stirred at 120°C for two hours. To the mixture is added (4-pyridyl)methanol (0.29 g), and the mixture is stirred at 120°C for two hours. To the reaction solution is added ethyl acetate, and the mixture is washed with water, and the organic layer is dried over magnesium sulfate, filtered, and evaporated to remove the solvent. The resulting residue is purified by silica gel column chromatography (solvent; ethyl acetate:n-hexane =

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1:5 → dichloromethane:methanol = 25:1), and the residue is washed with methanol/diethyl ether to give 1-{4-[(4-pyridyl)methoxycarbonylamino]-2-chlorobenzoyl}-2,3,4,5-tetrahydro-1H-benzazepine (0.45 g) as white powder.

M.p. 181-184°C

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Example 726

To chloroform (5 ml) is added triphosgen (0.72 g), and thereto is added with stirring 2-phenoxyethanol (1.0 g) under ice-cooling, during which the temperature of the reaction solution is kept at below 10°C, and the mixture is stirred at 0°C for one hour. To the reaction solution are added with stirring dropwise 1-(4-amino-2-chlorobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (1.9 g) and a solution of piperidine (2.5 g) in chloroform (30 ml) under ice-cooling. The mixture is stirred at room temperature for three hours, washed with a saturated aqueous potassium hydrogen sulfate solution, distilled water and a saturated aqueous sodium hydrogen carbonate solution, and dried over magnesium sulfate, filtered, and evaporated to remove the solvent. The residue is recrystallized from diethyl ether to give 1-[4-(2-phenoxyethoxycarbonylamino)-2-chlorobenzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (1.3 g) as white powder.

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M.p. 144-146°C

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The suitable starting compounds are treated in the same manner as in Examples 725 and 726 to give the compounds of Examples 157, 167, 197, 199, 214, 233, 234, 406, 407, 420, 538, 540, 549, 550, 552, 556, 557, 559, 568, 587, 588, 596, 604, 643, 645, 647, 695, 706, 707 and 709.

Example 727

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A mixture of 5-ethoxycarbonylmethyl-1-[4-(2-chloroethoxycarbonylamino)-2-chlorobenzoyl]-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine (0.9 g), potassium carbonate (0.40 g) and sodium iodide (0.43 g) in dimethylformamide (15 ml) is stirred at 80°C for 8 hours. To the reaction solution is added ethyl acetate, and the mixture is washed with water, and the organic layer is dried over magnesium sulfate, filtered, and evaporated to remove the solvent. The residue is purified by silica gel column chromatography (solvent; dichloromethane:methanol = 30:1) to give 5-ethoxycarbonylmethyl-1-[4-(2-oxotetra-

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hydrooxazol-3-yl)-2-chlorobenzoyl]-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine (0.65 g) as colorless amorphous.

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.34 (3H, t, J=7.15 Hz), 2.55-3.05, 3.70-4.61 and 4.79-5.08 [all 12H, m, 4.29 (q, J=7.15Hz, 4.46 (t, J=7.88 Hz)], 6.81-7.72 (7H, m)

The suitable starting compounds are treated in the same manner as in Example 727 to give the compounds of Examples 511, 594, 646, 649, 694 and 710.

Example 728

To a mixture of 1-[4-(1-piperaziny)-2-chlorobenzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.25 g), 37 % formaldehyde (0.45 g) and sodium cyanoborohydride (0.12 g) in methanol (5 ml) is added with stirring acetic acid (0.12 g) under ice-cooling, and the mixture is stirred at room temperature for one hour. To the reaction solution is added ethyl acetate, and the mixture is washed with a 2N aqueous sodium hydroxide solution and distilled water. The organic layer is dried over magnesium sulfate, filtered, and concentrated, and the resulting residue is purified by silica gel column chromatography (solvent; dichloromethane:methanol = 10:1) to give 1-[4-(4-methyl-1-piperaziny)-2-chlorobenzoyl]-2,3,4,5-tetrahydro-1H-benzazepine (0.10 g) as white powder.

M.p. 138-140°C

The suitable starting compounds are treated in the same manner in Example 728 to give the compounds of Examples 416, 417, 457, 515, 523, 524, 677 and 678.

Example 729

1-[4-Nitro-2-chlorobenzoyl]-1,5-benzodiazepine (5 g) and ethyl bromoacetate (16.7 ml) are dissolved in acetonitrile (100 ml), and thereto is added dropwise 1,8-diazabicyclo[5.4.0]-7-undecene (11.3 ml). The mixture is refluxed for two days, concentrated, and thereto are added water and chloroform, and extracted. The extract is dried over sodium carbonate, and purified by silica gel column chromatography (solvent; n-hexane:ethyl acetate = 4:1 → 1:1) to give 1-(4-nitro-2-chlorobenzoyl)-5-ethoxycarbonylmethyl-2,3,4,5-tetrahydro-1H-1,5-benzodiazepine (4.4 g) as pale yellow oil.

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¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.22-1.43 (3H, m), 1.78-2.38 (2H, m), 2.99-3.24 (2H, m), 3.43-3.66 (1H, m), 3.78-4.39 (4H, m), 4.65-4.89 (1H, m), 6.67 (1H, dt, J=7.6 Hz, J=1.3 Hz), 6.70 (1H, dd, J=8.2 Hz, J=1.3 Hz), 6.89-6.99 (1H, m), 7.05 (1H, dd, J=7.3 Hz, J=1.7 Hz), 7.37 (1H, d, J=8.4 Hz), 7.81 (1H, dd, J=8.4 Hz, J=2.1 Hz), 8.10 (1H, d, J=2.1 Hz)

The suitable starting compounds are treated in the same manner as in Example 729 to give the compounds of Examples 692-702, 704-710 and 715-720.

Example 730

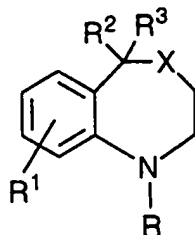
5-Cyanomethyl-1-(4-phenyl-2-chlorobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (1 g), ammonium chloride (0.4 g) and sodium azide (0.48 g) are suspended in dimethylformamide (10 ml), and the mixture is heated at 110-120°C for 16 hours. To the mixture are added ammonium chloride (0.4 g) and sodium azide (0.48 g), and the mixture is heated for 16 hours. The mixture is evaporated to remove dimethylformamide, and the resultant is acidified with a 1N hydrochloric acid. The mixture is extracted with chloroform, and the organic layer is washed with water, dried over magnesium sulfate, and concentrated. The residue is purified by silica gel column chromatography (solvent; dichloromethane → dichloromethane:methanol = 20:1), and the resulting oily product is crystallized from diethyl ether to give 5-(5-tetrazolyl)methyl-1-(4-phenyl-2-chlorobenzoyl)-2,3,4,5-tetrahydro-1H-benzazepine (0.9 g) as white powder.

M.p. 191-194°C

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The suitable starting compounds are treated in the same manner as in Examples 1 and 2 to give the following compounds.

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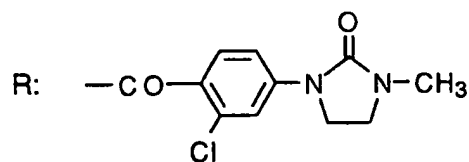
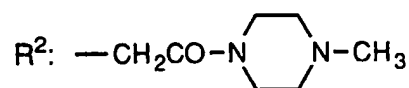


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Example 731

Structure:

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X: -CH₂-R¹: H

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R³: H

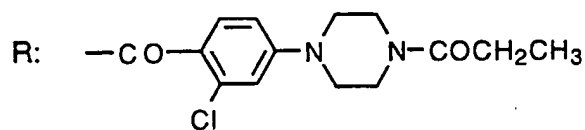
Crystalline form: Colorless amorphous

Form: Hydrochloride

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Example 732

Structure:



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X: -CH₂-R¹: HR²: HR³: H

Crystalline form: White powder

Solvent for recrystallization: Methanol/diethyl ether

M.p. 136-138°C

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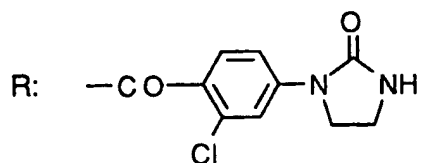
Form: Free

- 552 -

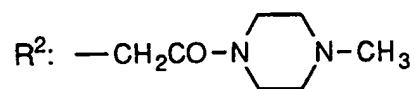
Example 733

Structure:

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X: -CH₂-R¹: H

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R³: H

Crystalline form: Colorless amorphous

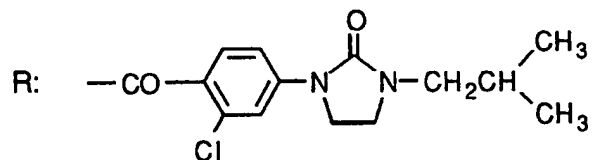
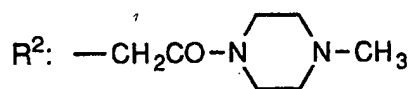
Form: Hydrochloride

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Example 734

Structure:

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X: -CH₂-R¹: H

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R³: H

Crystalline form: Colorless amorphous

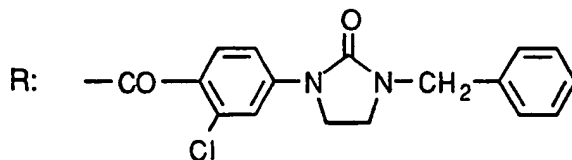
Form: Hydrochloride

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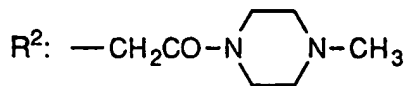
Example 735

Structure:

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X: -CH₂-R¹: H

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R³: H

Crystalline form: Colorless amorphous

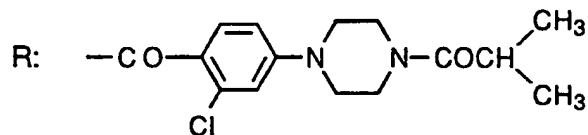
Form: Hydrochloride

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Example 736

Structure:

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X: -CH₂-R¹: HR²: HR³: H

Crystalline form: Colorless amorphous

Form: Free

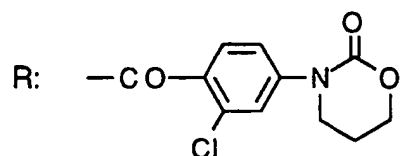
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Example 737

Structure:

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X: -CH₂-R¹: HR²: HR³: H

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Crystalline form: White powder

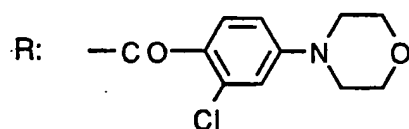
M.p. 196-198°C

Form: Free

Example 738

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Structure:



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X: -CH₂-R¹: HR²: HR³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether/n-hexane

M.p. 124-126°C

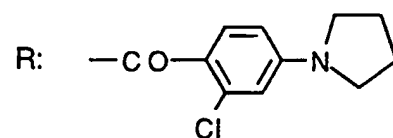
25

Form: Free

Example 739

Structure:

30

X: -CH₂-R¹: HR²: HR³: H

35

Crystalline form: White powder

Solvent for recrystallization: Methanol

M.p. 160-162°C

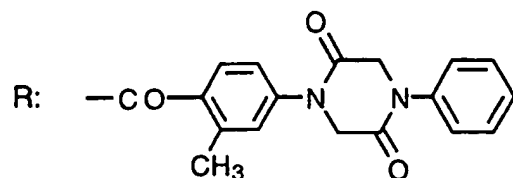
Form: Free

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Example 740

Structure:

5



10

X: -CH₂-R¹: 7-ClR²: HR³: H

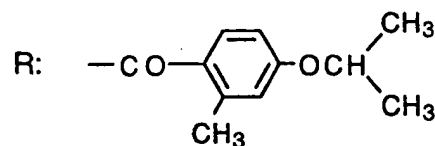
Crystalline form: Colorless amorphous

Form: Free

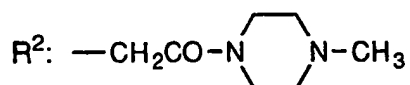
Example 741

Structure:

15



20

X: -CH₂-R¹: HR³: H

25

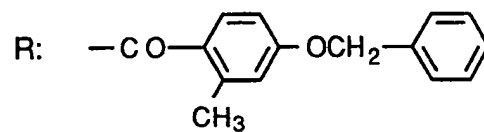
Crystalline form: Colorless amorphous

Form: Free

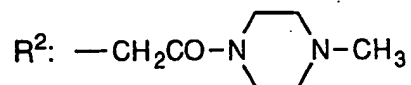
Example 742

Structure:

30



35

X: -CH₂-R¹: HR³: H

40

Crystalline form: Colorless amorphous

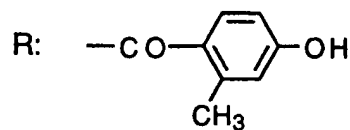
Form: Free

- 556 -

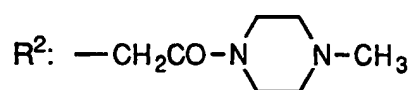
Example 743

Structure:

5



10



Crystalline form: Colorless amorphous

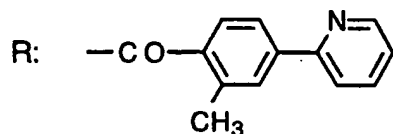
15

Form: Free

Example 744

Structure:

20



25

Crystalline form: White powder

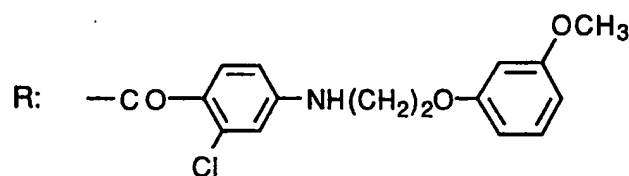
Solvent for recrystallization: Diethyl ether/n-hexane

Form: Free

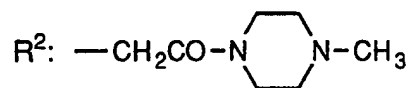
Example 745

Structure:

30



35



40

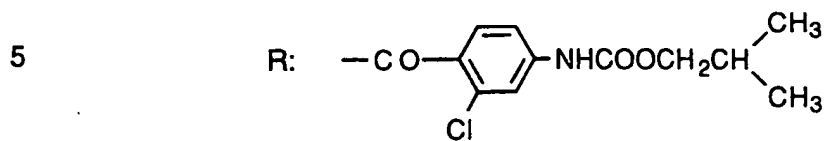
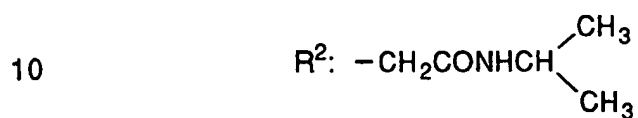
Crystalline form: Colorless amorphous

Form: Hydrochloride

- 557 -

Example 746

Structure:

X: -CH₂-R¹: HR³: H

Crystalline form: White powder

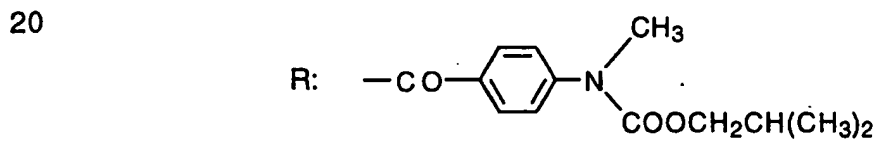
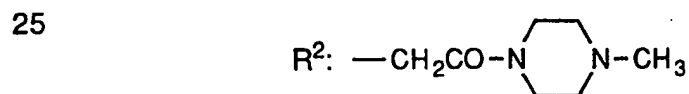
Solvent for recrystallization: n-Hexane/ethyl acetate

M.p. 162-164°C

Form: Free

Example 747

Structure:

X: -CH₂-R¹: HR³: H

Crystalline form: Colorless amorphous

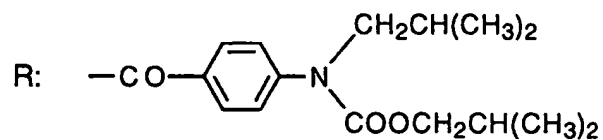
Form: Hydrochloride

- 558 -

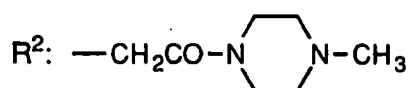
Example 748

Structure:

5

X: -CH₂-R¹: H

10

R³: H

Crystalline form: Colorless amorphous

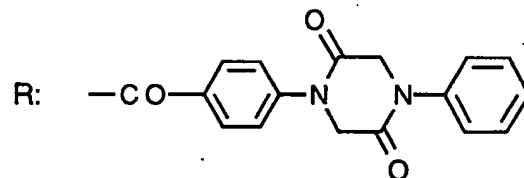
Form: Hydrochloride

15

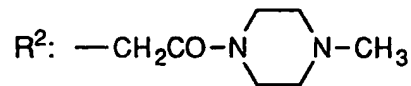
Example 749

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

Form: Hydrochloride

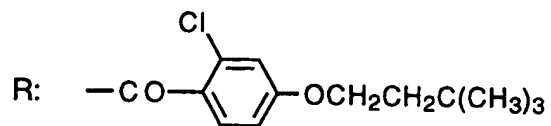
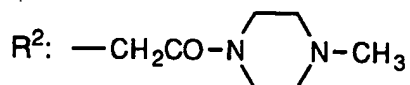
30

- 559 -

Example 750

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

M.p. 118-119°C

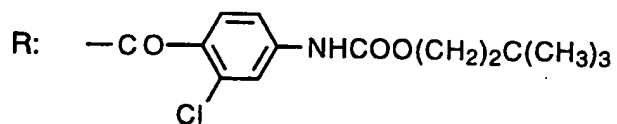
15

Form: Free

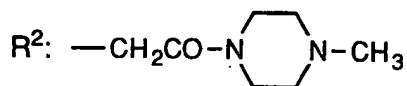
Example 751

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: H

25

R³: H

Crystalline form: Colorless amorphous

Form: Free

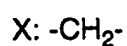
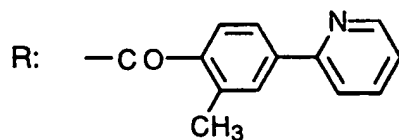
30

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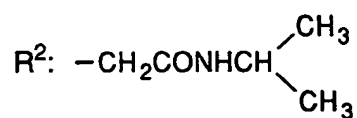
Example 752

Structure:

5



10



Crystalline form: Colorless amorphous

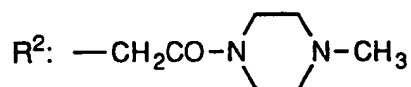
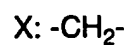
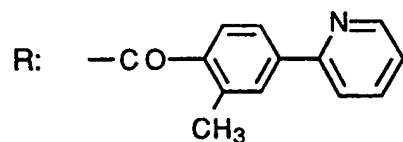
Form: Free

15

Example 753

Structure:

20



25



Crystalline form: Colorless amorphous

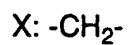
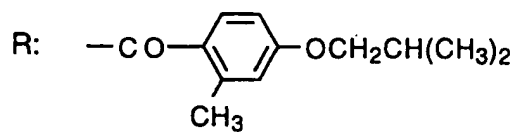
Form: Hydrochloride

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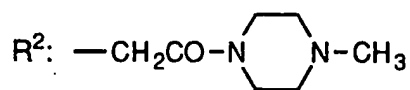
Example 754

Structure:

5



10



Crystalline form: Colorless amorphous

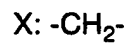
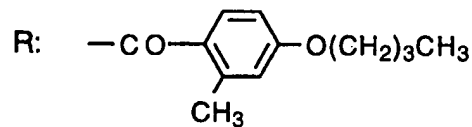
Form: Free

15

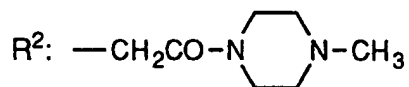
Example 755

Structure:

20



25



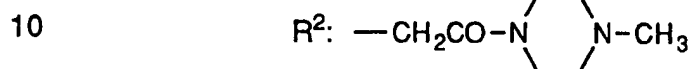
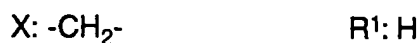
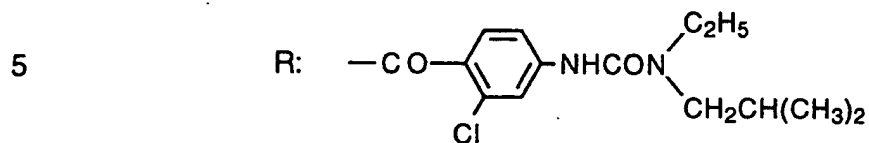
Crystalline form: Colorless amorphous

Form: Free

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Example 756

Structure:



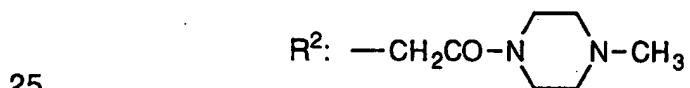
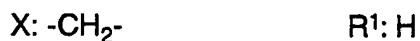
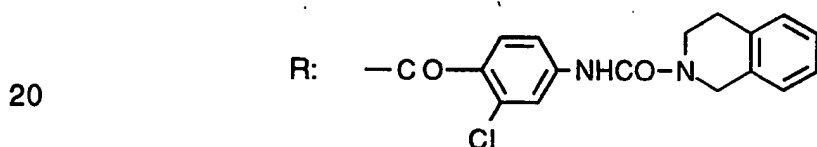
Crystalline form: Colorless amorphous

Form: Dihydrochloride

15

Example 757

Structure:



Crystalline form: White powder

Solvent for recrystallization: Ethanol

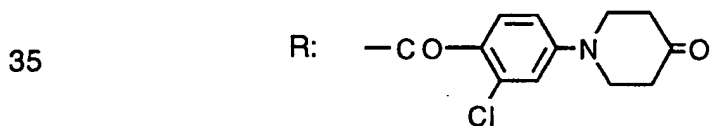
M.p. 201.5-204°C

Form: Hydrochloride

30

Example 758

Structure:



Crystalline form: White powder

M.p. 120-122°C

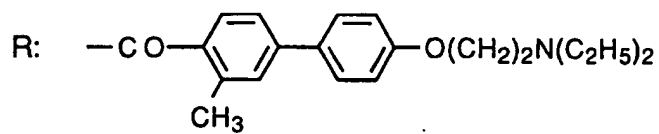
Form: Free

40

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Example 759
Structure:

5

X: $-\text{CH}_2-$ R¹: HR²: HR³: H

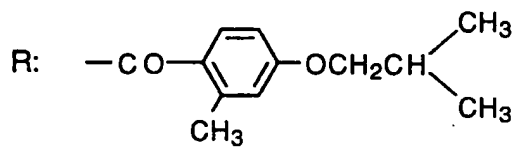
10

Crystalline form: Colorless amorphous

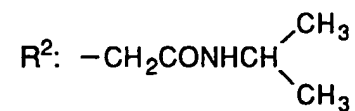
Form: Hydrochloride

Example 760
Structure:

15

X: $-\text{CH}_2-$ R¹: H

20

R³: H

25

Crystalline form: Colorless amorphous

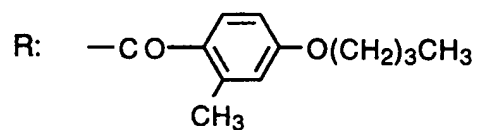
Form: Free

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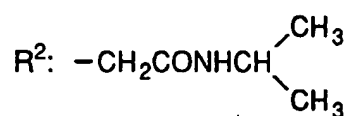
Example 761

Structure:

5

X: $-\text{CH}_2-$ R¹: H

10

R³: H

Crystalline form: Colorless amorphous

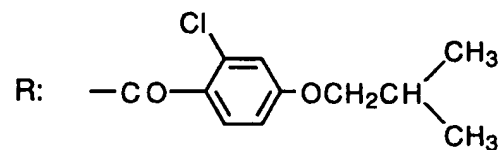
Form: Free

15

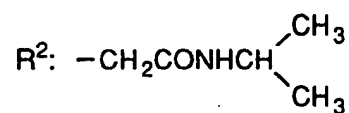
Example 762

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-Cl

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

M.p. 147-148°C

30

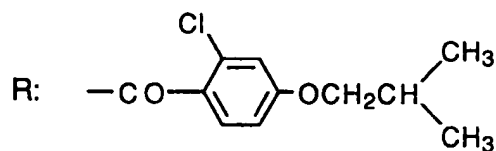
Form: Free

- 565 -

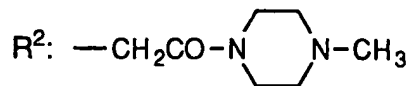
Example 763

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-Cl

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

15

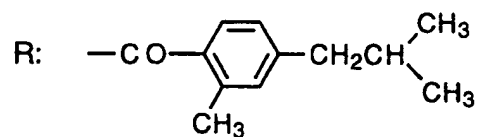
M.p. 178-179°C

Form: Free

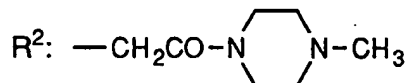
Example 764

Structure:

20

X: $-\text{CH}_2-$ R¹: H

25

R³: H

Crystalline form: Colorless amorphous

30

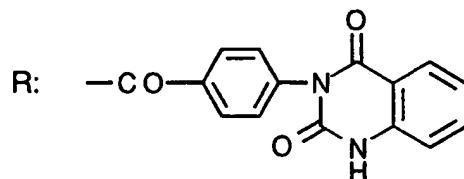
Form: Hydrochloride

- 566 -

Example 765

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R²: HR³: H

Crystalline form: Colorless flakes

Solvent for recrystallization: Methanol/chloroform/diethyl ether

M.p. >300°C

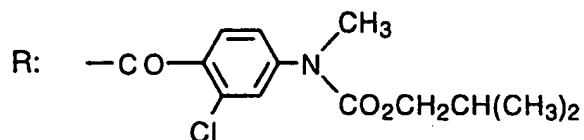
Form: Free

15

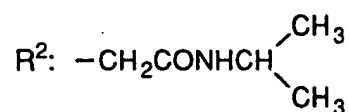
Example 766

Structure:

20

X: -CH₂-R¹: H

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

Form: Free

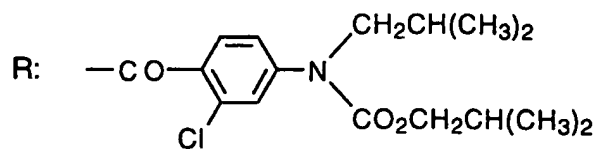
30

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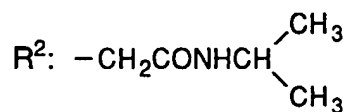
Example 767

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: H

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

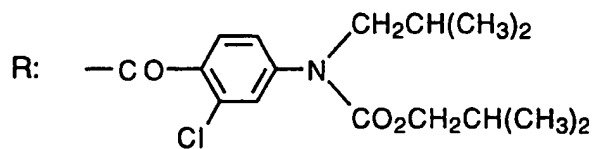
15

Form: Free

Example 768

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: H

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Diethyl ether

30

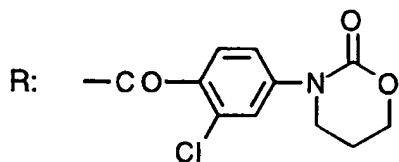
Form: Free

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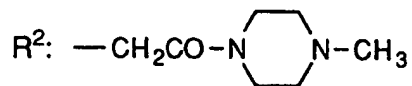
Example 769

Structure:

5

X: -CH₂-R¹: H

10

R³: H

Crystalline form: Colorless amorphous

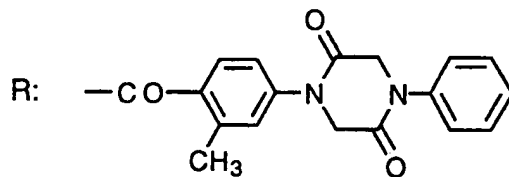
Form: Free

15

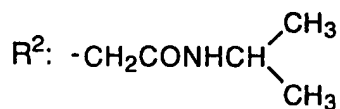
Example 770

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

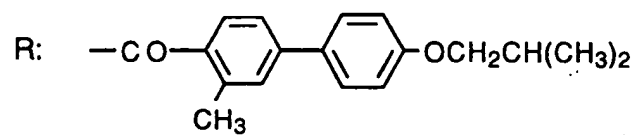
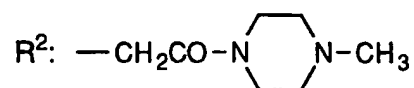
Form: Free

30

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Example 771

Structure:

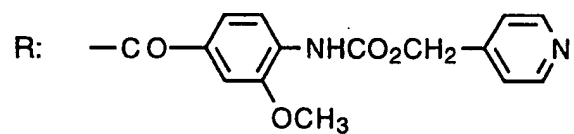
X: -CH₂-R¹: HR³: H

Crystalline form: Colorless amorphous

Form: Hydrochloride

Example 772

Structure:

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: Yellow powder

M.p. 130-133°C

Form: Free

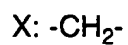
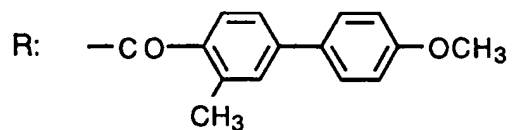
25

- 570 -

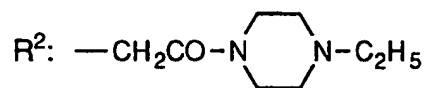
Example 773

Structure:

5



10



Crystalline form: Colorless amorphous

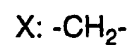
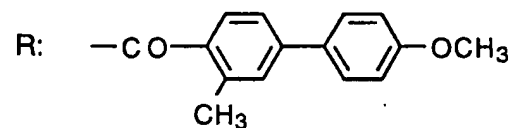
Form: Hydrochloride

15

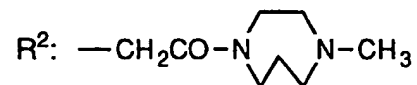
Example 774

Structure:

20



25



Crystalline form: Colorless amorphous

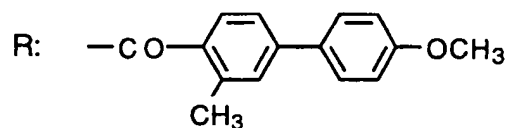
Form: Hydrochloride

- 571 -

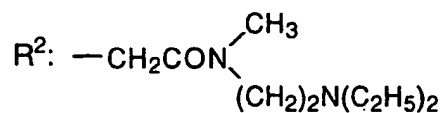
Example 775

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: H

10

R³: H

Crystalline form: Colorless amorphous

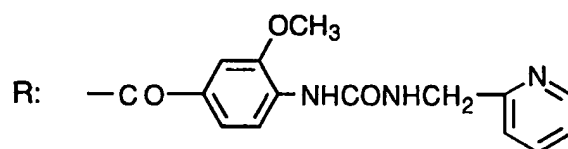
Form: Hydrochloride

15

Example 776

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: 7-ClR²: HR³: H

25

Crystalline form: White powder

Solvent for recrystallization: Ethyl acetate

M.p. 174.5-175.5°C

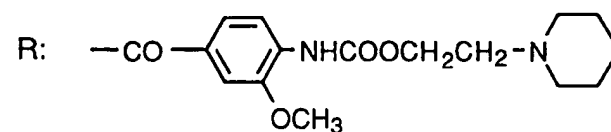
Form: Free

30

Example 777

Structure:

35

X: $\text{---CH}_2\text{---}$ R¹: 7-ClR²: HR³: H

Crystalline form: Brown amorphous

Form: Free

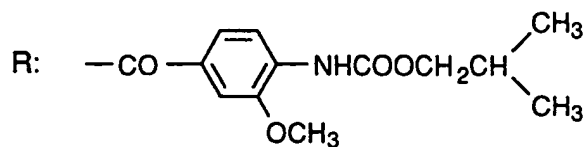
40

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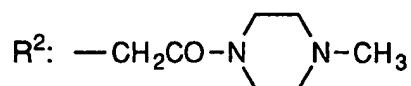
Example 778

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

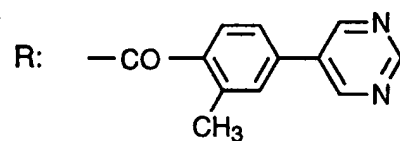
Form: Free

15

Example 779

Structure:

20

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: Pale yellow powder

25

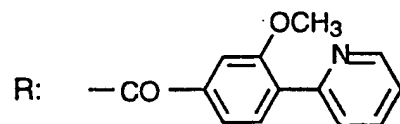
Solvent for recrystallization: Chloroform/diethyl ether

Form: Free

Example 780

Structure:

30

X: -CH₂-R¹: 7-ClR²: HR³: H

35

Crystalline form: Yellow powder

Solvent for recrystallization: Chloroform/diethyl ether

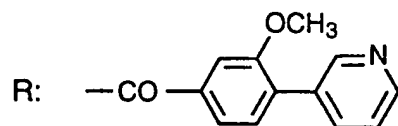
Form: Free

- 573 -

Example 781

Structure:

5

X: -CH₂-R¹: 7-ClR²: HR³: H

10

Crystalline form: Pale yellow powder

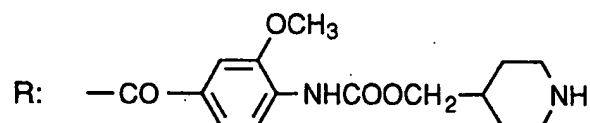
Solvent for recrystallization: Chloroform/diethyl ether

Form: Free

Example 782

15

Structure:



20

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: White powder

M.p. 123-125°C

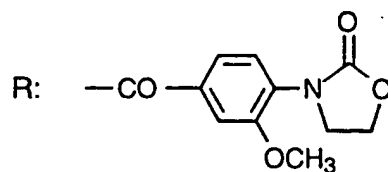
Form: Free

25

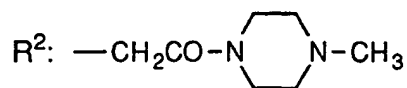
Example 783

Structure:

30

X: -CH₂-R¹: 7-Cl

35

R³: H

Crystalline form: Colorless amorphous

Form: Free

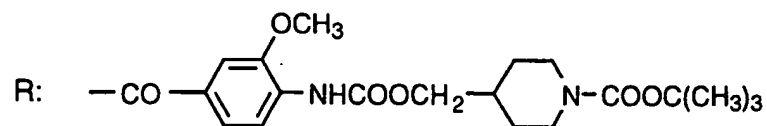
40

- 574 -

Example 784

Structure:

5

X: -CH₂-R¹: 7-ClR²: HR³: H

10

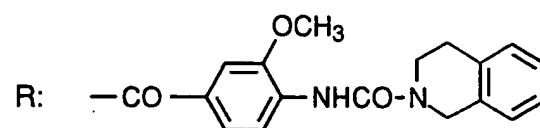
Crystalline form: Colorless amorphous

Form: Free

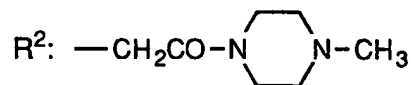
Example 785

Structure:

15

X: -CH₂-R¹: 7-Cl

20

R³: H

25

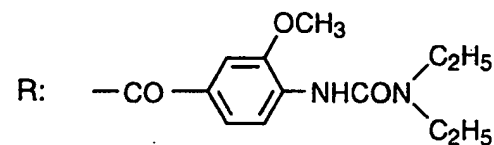
Crystalline form: Colorless amorphous

Form: Hydrochloride

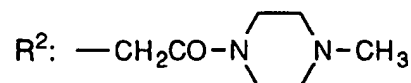
Example 786

Structure:

30

X: -CH₂-R¹: 7-Cl

35

R³: H

40

Crystalline form: Colorless amorphous

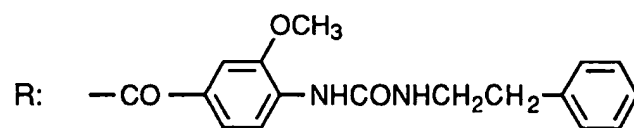
Form: Hydrochloride

- 575 -

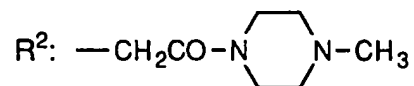
Example 787

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

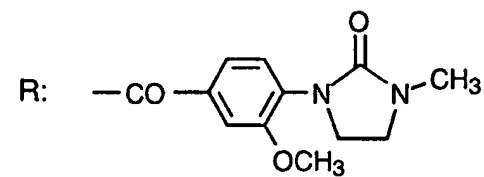
Form: Hydrochloride

15

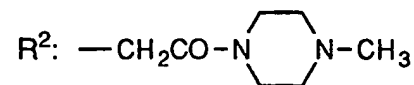
Example 788

Structure:

20

X: -CH₂-R¹: 7-Cl

25

R³: H

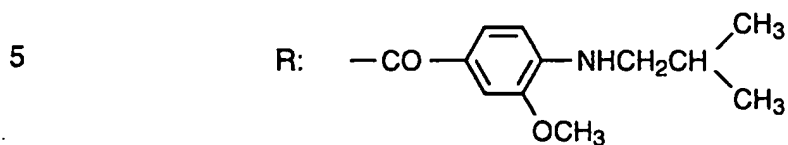
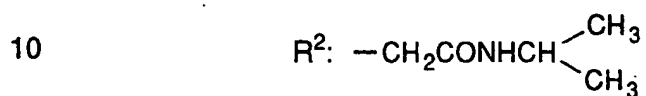
Crystalline form: Colorless amorphous

Form: Free

- 576 -

Example 789

Structure:

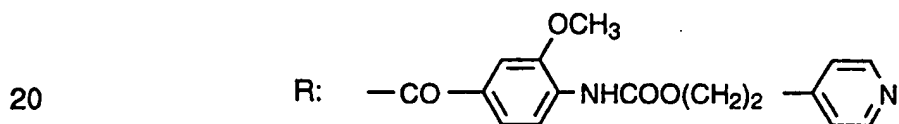
X: -CH₂-R¹: 7-ClR³: H

Crystalline form: Colorless amorphous

Form: Free

Example 790

Structure:

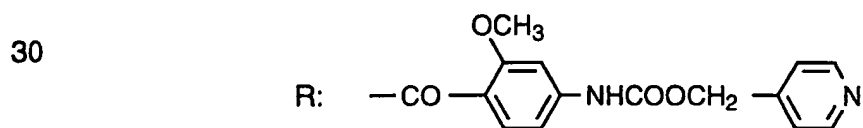
X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: Yellow amorphous

Form: Free

Example 791

Structure:

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: Yellow powder

M.p. 135-139°C

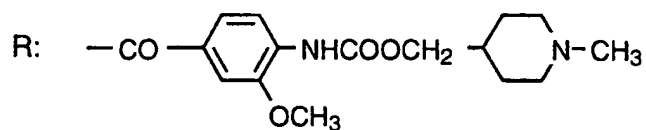
Form: Free

- 577 -

Example 792

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-ClR²: HR³: H

10

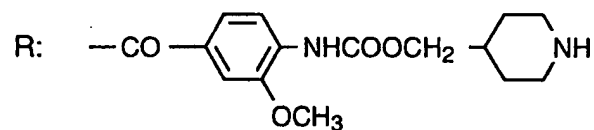
Crystalline form: Colorless amorphous

Form: Free

Example 793

Structure:

15

X: $-\text{CH}_2-$ R¹: 7-ClR²: HR³: H

20

Crystalline form: White powder

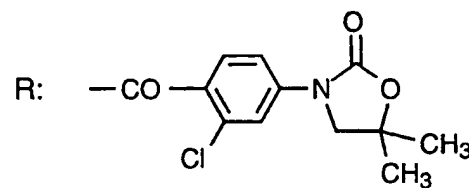
M.p. 123-125°C

Form: Free

Example 794

Structure:

30

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{CO-N}(\text{C}_6\text{H}_{10}\text{N-CH}_3)$

35

R³: H

Crystalline form: Colorless amorphous

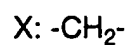
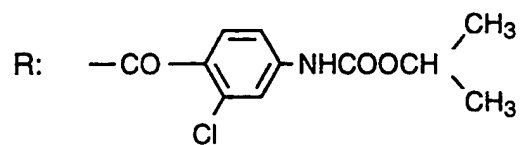
Form: Free

- 578 -

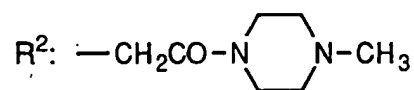
Example 795

Structure:

5

R¹: H

10

R³: H

Crystalline form: Colorless amorphous

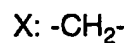
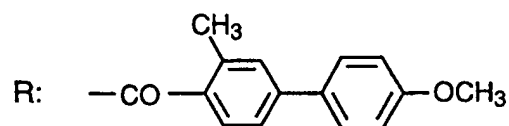
Form: Free

15

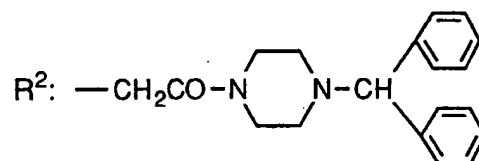
Example 796

Structure:

20

R¹: 7-Cl

25

R³: H

Crystalline form: Pale yellow amorphous

Form: Free

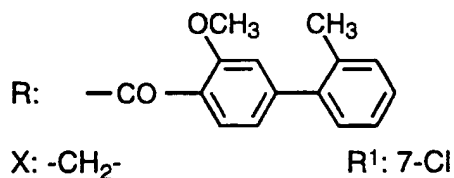
30

- 579 -

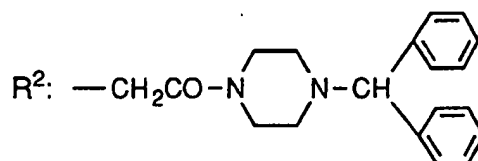
Example 797

Structure:

5



10



15

R³: H

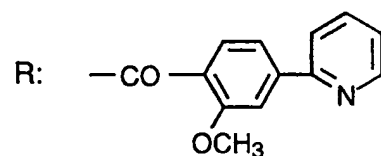
Crystalline form: Colorless amorphous

Form: Free

Example 798

Structure:

20



25

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: Pale yellow powder

Solvent for recrystallization: Chloroform/diethyl ether

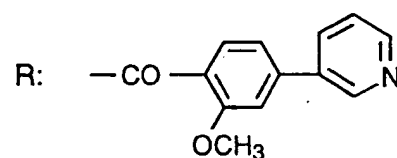
30

Form: Free

Example 799

Structure:

35



40

X: -CH₂-R¹: 7-ClR²: HR³: H

Crystalline form: Pale yellow powder

Solvent for recrystallization: Chloroform/diethyl ether

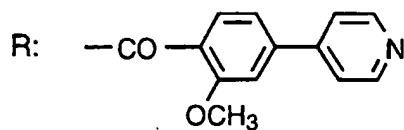
Form: Free

- 580 -

Example 800

Structure:

5

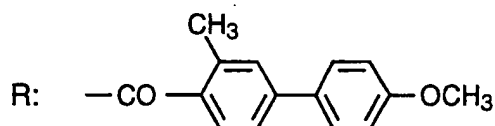
X: $-\text{CH}_2-$ R¹: 7-ClR²: HR³: H

10

Example 801

Structure:

15

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{CONH}(\text{CH}_2)_2\text{N}(\text{C}_2\text{H}_5)_2$ R³: H

20

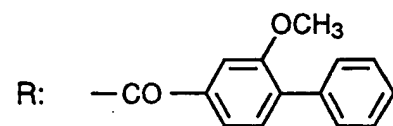
Crystalline form: Colorless amorphous

Form: Hydrochloride

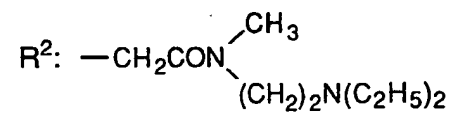
Example 802

Structure:

25

X: $-\text{CH}_2-$ R¹: 7-Cl

30

R³: H

Crystalline form: Colorless amorphous

35

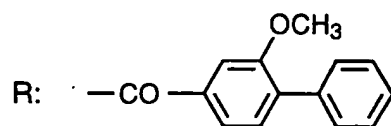
Form: Hydrochloride

- 581 -

Example 803

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CONH(CH₂)₂N(C₂H₅)₂

10

R³: H

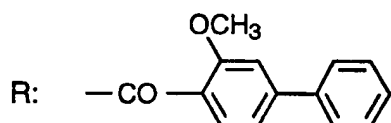
Crystalline form: Colorless amorphous

Form: Hydrochloride

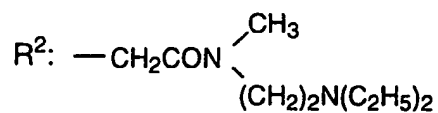
Example 804

15

Structure:



20

X: -CH₂-R¹: 7-ClR³: H

25

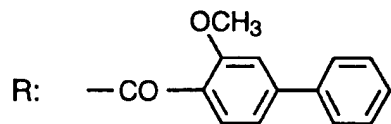
Crystalline form: Colorless amorphous

Form: Hydrochloride

Example 805

30

Structure:



35

X: -CH₂-R¹: 7-ClR²: -CH₂CONH(CH₂)₂N(C₂H₅)₂R³: H

Crystalline form: Colorless amorphous

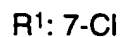
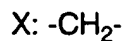
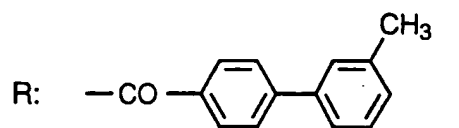
Form: Hydrochloride

- 582 -

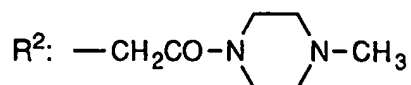
Example 806

Structure:

5



10



Crystalline form: Colorless amorphous

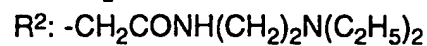
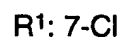
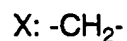
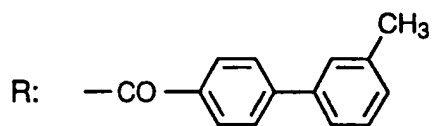
Form: Hydrochloride

15

Example 807

Structure:

20



25

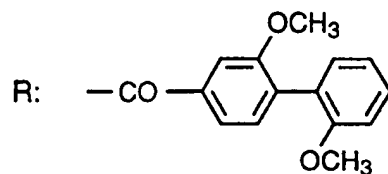
Crystalline form: Colorless amorphous

Form: Hydrochloride

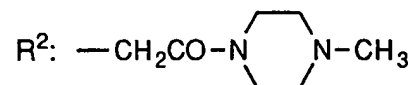
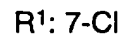
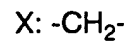
Example 808

Structure:

30



35



40

Crystalline form: Colorless amorphous

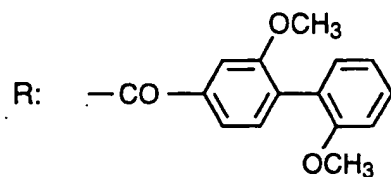
Form: Hydrochloride

- 583 -

Example 809

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-Cl

10

R²: $-\text{CH}_2\text{CONH}(\text{CH}_2)_2\text{N}(\text{C}_2\text{H}_5)_2$ R³: H

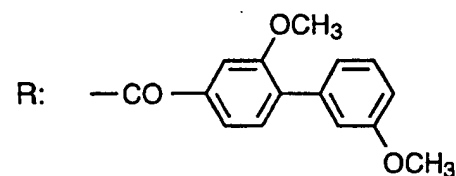
Crystalline form: Colorless amorphous

Form: Hydrochloride

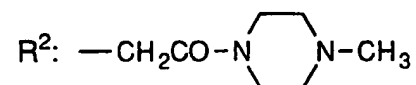
Example 810

Structure:

15



20

X: $-\text{CH}_2-$ R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

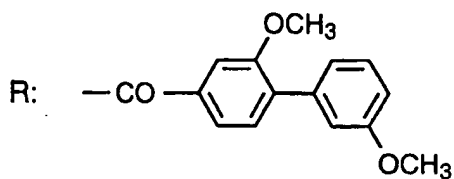
Form: Hydrochloride

- 584 -

Example 811

Structure:

5



10

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CONH}(\text{CH}_2)_2\text{N}(\text{C}_2\text{H}_5)_2$ R³: H

Crystalline form: Colorless amorphous

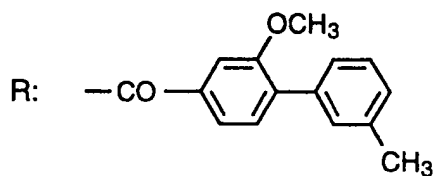
Form: Hydrochloride

15

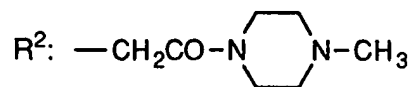
Example 812

Structure:

20

X: $-\text{CH}_2-$ R¹: 7-Cl

25

R³: H

Crystalline form: Colorless amorphous

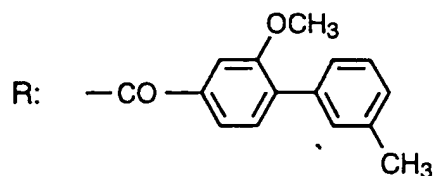
Form: Hydrochloride

- 585 -

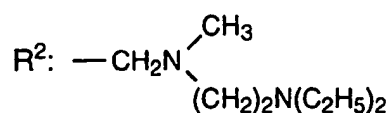
Example 813

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: 7-Cl

10

R³: H

Crystalline form: Colorless amorphous

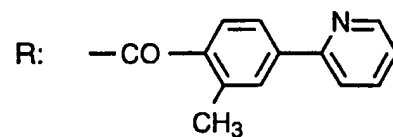
15

Form: Hydrochloride

Example 814

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: HR²: $\text{---CH}_2\text{CO}_2\text{CH}_3$

25

R³: H

Crystalline form: White powder

Solvent for recrystallization: Chloroform/diethyl ether

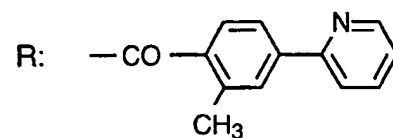
Form: Hydrochloride

30

Example 815

Structure:

35

X: $\text{---CH}_2\text{---}$ R¹: HR²: $\text{---CH}_2\text{CO}_2\text{H}$ R³: H

Form: Hydrochloride

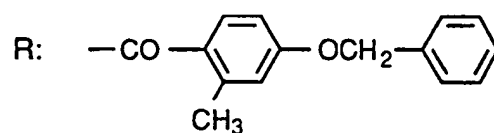
40

- 586 -

Example 816

Structure:

5

X: -CH₂-R¹: HR²: -CH₂CO₂CH₃

10

R³: H

Crystalline form: White powder

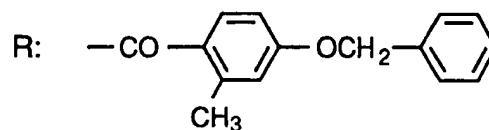
Solvent for recrystallization: Ethyl acetate/n-hexane

Form: Free

Example 817

Structure:

20

X: -CH₂-R¹: HR²: -CH₂CO₂HR³: H

Crystalline form: Colorless amorphous

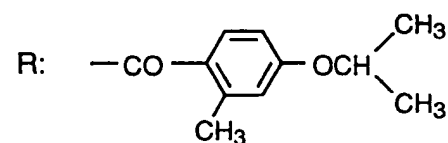
25

Form: Free

Example 818

Structure:

30

X: -CH₂-R¹: HR²: -CH₂CO₂CH₃

35

R³: H

Crystalline form: Yellow viscous oil

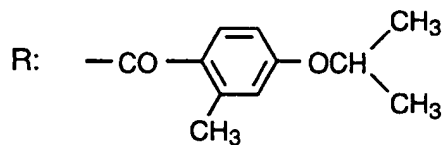
Form: Free

- 587 -

Example 819

Structure:

5

X: -CH₂-R¹: HR²: -CH₂COOH

10

R³: H

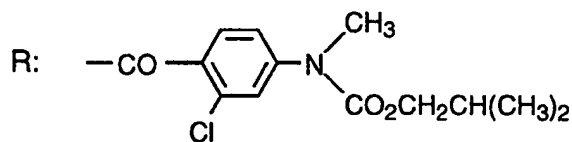
Crystalline form: Colorless amorphous

Form: Free

Example 820

15

Structure:



20

X: -CH₂-R¹: HR²: -CH₂CO₂CH₃R³: H

Crystalline form: Pale yellow oil

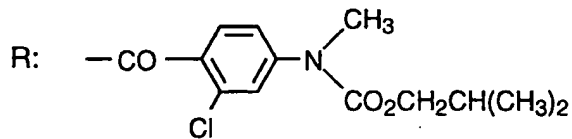
Form: Free

25

Example 821

Structure:

30

X: -CH₂-R¹: HR²: -CH₂COOHR³: H

35

Crystalline form: Colorless amorphous

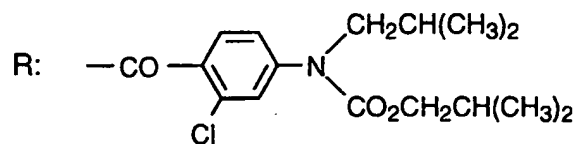
Form: Free

- 588 -

Example 822

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: HR²: $\text{---CH}_2\text{CO}_2\text{CH}_3$

10

R³: H

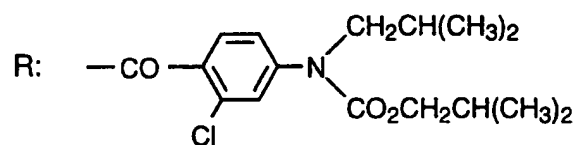
Crystalline form: Pale yellow oil

Form: Free

Example 823

Structure:

15



20

X: $\text{---CH}_2\text{---}$ R¹: HR²: $\text{---CH}_2\text{CO}_2\text{H}$ R³: H

Crystalline form: Pale yellow amorphous

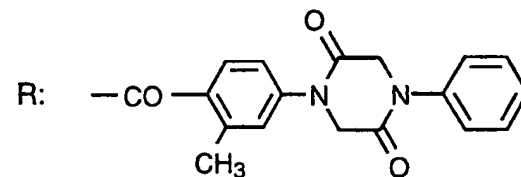
Form: Free

25

Example 824

Structure:

30

X: $\text{---CH}_2\text{---}$ R¹: 7-ClR²: $\text{---CH}_2\text{CO}_2\text{CH}_3$

35

R³: H

Crystalline form: Colorless amorphous

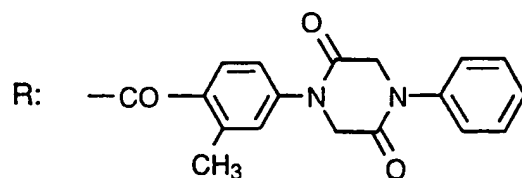
Form: Free

- 589 -

Example 825

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R²: -CH₂COOHR³: H

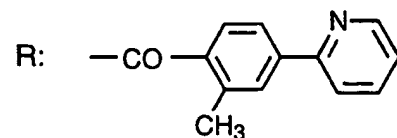
Crystalline form: Colorless amorphous

Form: Free

Example 826

Structure:

20

X: -CH₂-R¹: HR²: -CH₂COOHR³: H

Crystalline form: Colorless amorphous

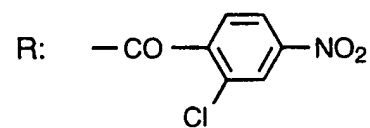
25

Form: Hydrochloride

Example 827

Structure:

30

X: -CH₂-R¹: HR²: -CH₂CN

35

R³: H

Crystalline form: White powder

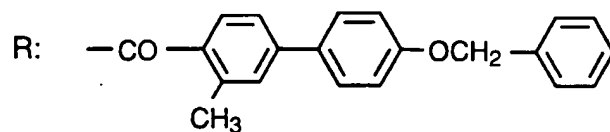
Form: Free

- 590 -

Example 828

Structure:

5

X: -CH₂-R¹: HR²: -CH₂CO₂CH₃

10

R³: H

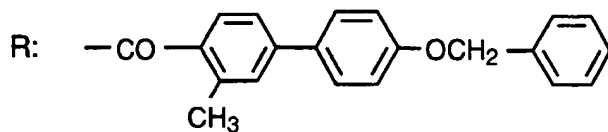
Crystalline form: White powder

Form: Free

Example 829

Structure:

15



20

X: -CH₂-R¹: HR²: HR³: H

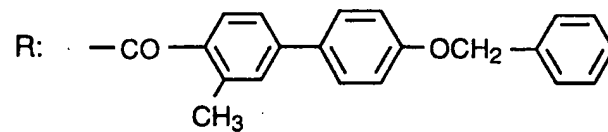
Crystalline form: Pale yellow powder

Form: Free

Example 830

Structure:

25



30

X: -CH₂-R¹: HR²: -CH₂COOHR³: H

Crystalline form: White powder

35

M.p. 170°C

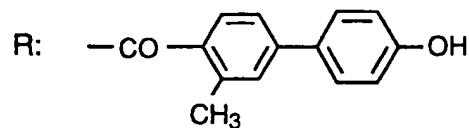
Form: Free

- 591 -

Example 831

Structure:

5

X: $-\text{CH}_2-$ R¹: HR²: HR³: H

10

Crystalline form: White powder

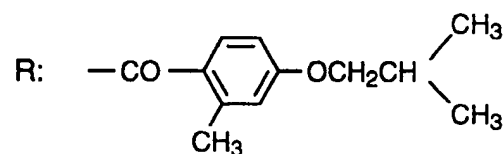
M.p. 177-178°C

Form: Free

Example 832

15

Structure:



20

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{COOCH}_3$ R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethyl acetate/n-hexane

25

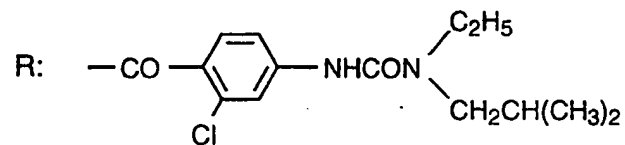
M.p. 87-89°C

Form: Free

Example 833

30

Structure:

X: $-\text{CH}_2-$ R¹: H

35

R²: $-\text{CH}_2\text{COOH}$ R³: H

Crystalline form: Slightly yellow amorphous

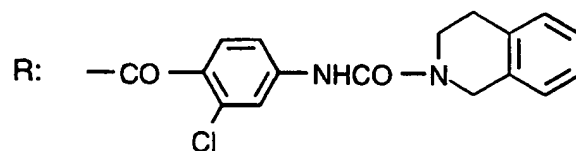
Form: Free

- 592 -

Example 834

Structure:

5



10

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{COOH}$ R³: H

Crystalline form: Colorless amorphous

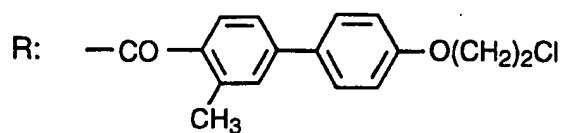
Form: Free

15

Example 835

Structure:

20

X: $-\text{CH}_2-$ R¹: HR²: HR³: H

Crystalline form: White powder

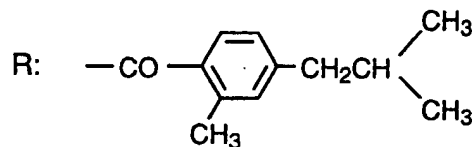
Form: Free

25

Example 836

Structure:

30

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$ R³: H

35

Crystalline form: Yellow oil

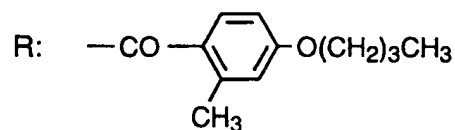
Form: Free

- 593 -

Example 837

Structure:

5

X: $\text{---CH}_2\text{---}$ R¹: HR²: $\text{---CH}_2\text{CO}_2\text{CH}_3$

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethyl acetate/n-hexane

M.p. 99-101°C

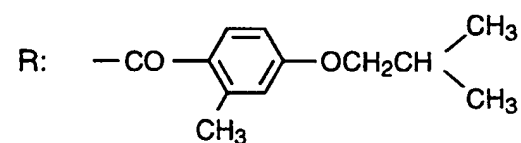
Form: Free

15

Example 838

Structure:

20

X: $\text{---CH}_2\text{---}$ R¹: HR²: $\text{---CH}_2\text{CO}_2\text{H}$ R³: H

25

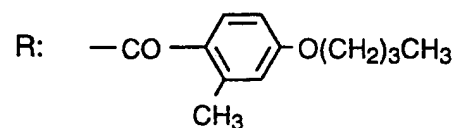
Crystalline form: Colorless amorphous

Form: Free

Example 839

Structure:

30

X: $\text{---CH}_2\text{---}$ R¹: H

35

R²: $\text{---CH}_2\text{CO}_2\text{H}$ R³: H

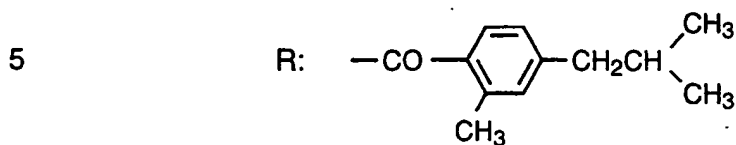
Crystalline form: Colorless amorphous

Form: Free

- 594 -

Example 840

Structure:

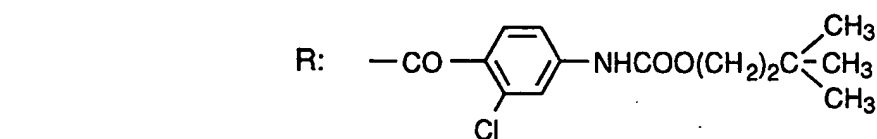
X: -CH₂- R¹: HR²: -CH₂CO₂H10 R³: H

Crystalline form: Colorless amorphous

Form: Free

Example 841

Structure:

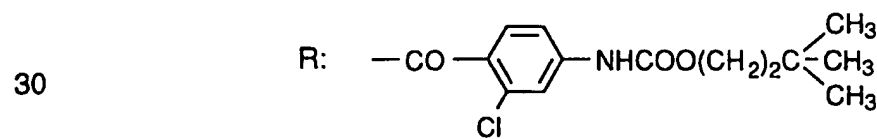
20 X: -CH₂- R¹: HR²: -CH₂COOCH₃R³: H

Crystalline form: Colorless amorphous

Form: Free

Example 842

Structure:

X: -CH₂- R¹: HR²: -CH₂COOHR³: H

35 Crystalline form: Pale yellow powder

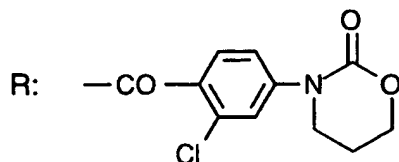
Form: Free

- 595 -

Example 843

Structure:

5



10

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{COOH}$ R³: H

Crystalline form: White powder

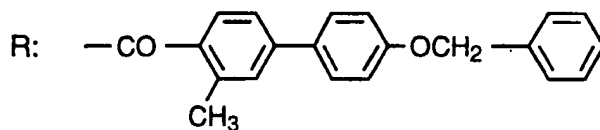
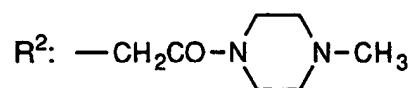
Form: Free

15

Example 844

Structure:

20

X: $-\text{CH}_2-$ R¹: H

25

R³: H

Crystalline form: Colorless amorphous

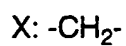
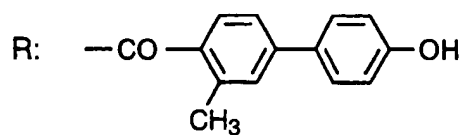
Form: Free

- 596 -

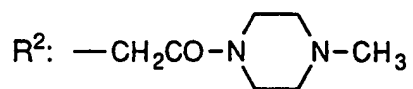
Example 845

Structure:

5



10



Crystalline form: Colorless amorphous

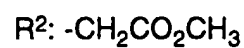
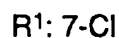
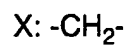
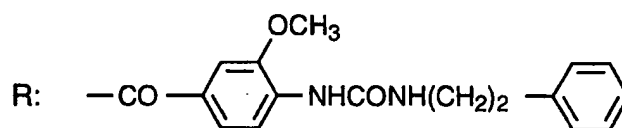
Form: Free

15

Example 846

Structure:

20



25

Crystalline form: White powder

Solvent for recrystallization: Acetone

M.p. 185-187°C

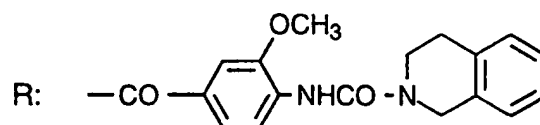
Form: Free

- 597 -

Example 847

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃

10

R³: H

Crystalline form: White powder

Solvent for recrystallization: Ethyl acetate/n-hexane

M.p. 148.5-150.5°C

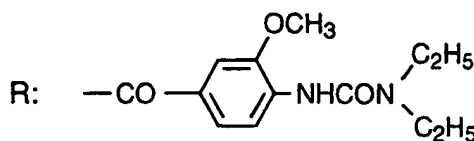
Form: Free

15

Example 848

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

25

Crystalline form: White powder

Solvent for recrystallization: Ethyl acetate/n-hexane

M.p. 160-162°C

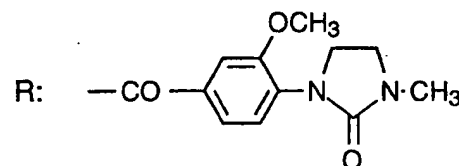
Form: Free

30

Example 849

Structure:

35

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

40

Crystalline form: Colorless amorphous

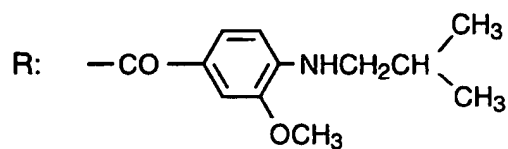
Form: Free

- 598 -

Example 850

Structure:

5



10

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

Crystalline form: Yellow oil

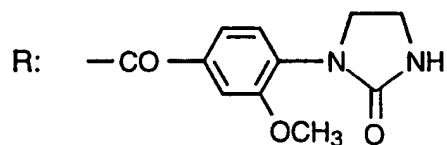
Form: Free

15

Example 851

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

25

Crystalline form: Slightly yellow amorphous

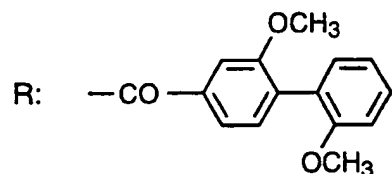
Form: Free

- 599 -

Example 852

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R²: -CH₂CO₂CH₃R³: H

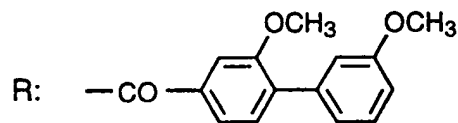
Crystalline form: Colorless amorphous

Form: Free

Example 853

Structure:

20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

Crystalline form: Colorless amorphous

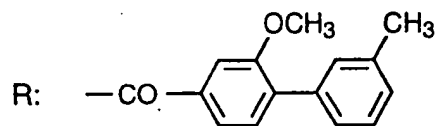
25

Form: Free

Example 854

Structure:

30

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃

35

R³: H

Crystalline form: Colorless amorphous

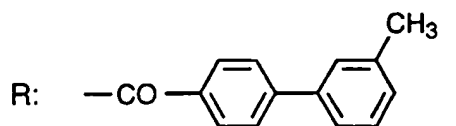
Form: Free

- 600 -

Example 855

Structure:

5

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$

10

R³: H

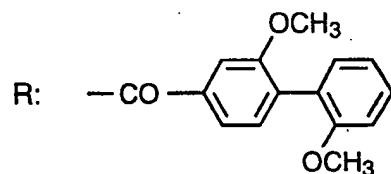
Crystalline form: Colorless amorphous

Form: Free

Example 856

Structure:

15



20

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CO}_2\text{H}$ R³: H

Crystalline form: Colorless amorphous

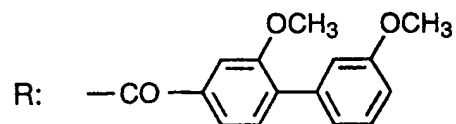
25

Form: Free

Example 857

Structure:

30

X: $-\text{CH}_2-$ R¹: 7-ClR²: $-\text{CH}_2\text{CO}_2\text{H}$

35

R³: H

Crystalline form: Colorless amorphous

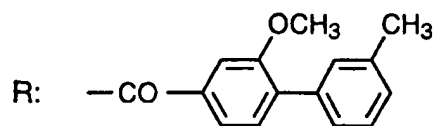
Form: Free

- 601 -

Example 858

Structure:

5

X: -CH₂-R¹: 7-ClR²: -CH₂COOH

10

R³:

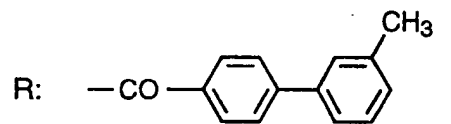
Crystalline form: Colorless amorphous

Form: Free

Example 859

Structure:

15



20

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂HR³: H

Crystalline form: Colorless amorphous

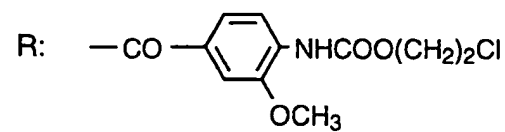
Form: Free

25

Example 860

Structure:

30

X: -CH₂-R¹: 7-ClR²: -CH₂CO₂CH₃R³: H

35

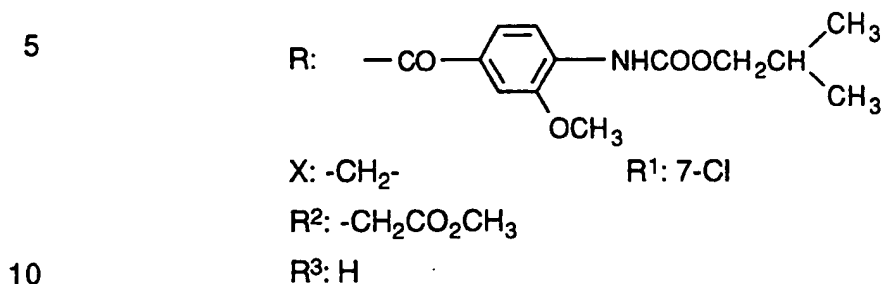
Crystalline form: Colorless amorphous

Form: Free

- 602 -

Example 861

Structure:

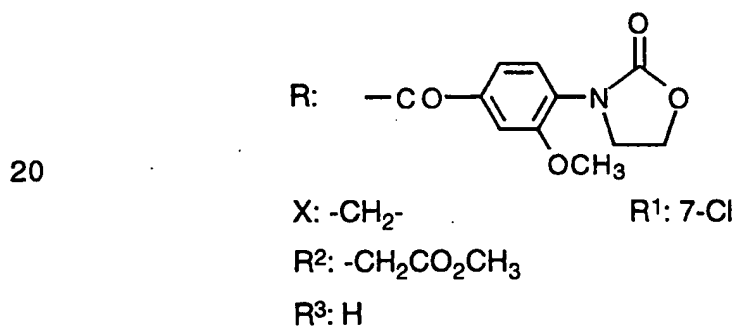


Crystalline form: Colorless amorphous

Form: Free

Example 862

Structure:

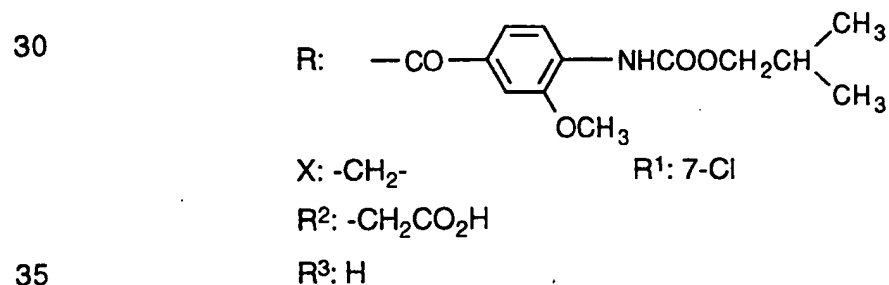


Crystalline form: Colorless amorphous

Form: Free

Example 863

Structure:



Crystalline form: White powder

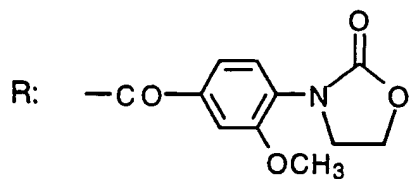
Form: Free

- 603 -

Example 864

Structure:

5

X: -CH₂-R¹: 7-Cl

10

R²: -CH₂COOHR³: H

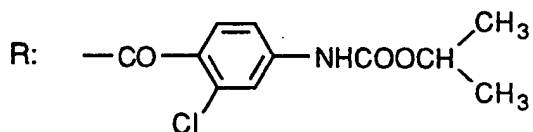
Crystalline form: White powder

Form: Free

Example 865

Structure:

20

X: -CH₂-R¹: HR²: -CH₂COOCH₃R³: H

Crystalline form: Colorless amorphous

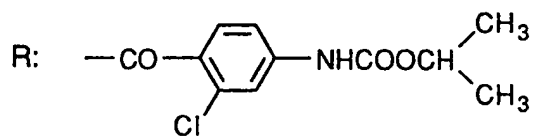
25

Form: Free

Example 866

Structure:

30

X: -CH₂-R¹: HR²: -CH₂COOH

35

R³: H

Crystalline form: Colorless amorphous

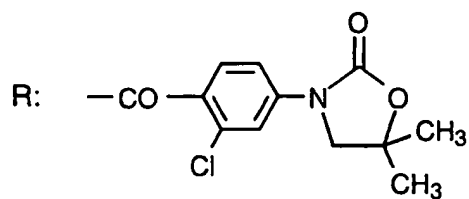
Form: Free

- 604 -

Example 867

Structure:

5



10

X: $-\text{CH}_2-$ R¹: HR²: $-\text{CH}_2\text{CO}_2\text{CH}_3$ R³: H

Crystalline form: White powder

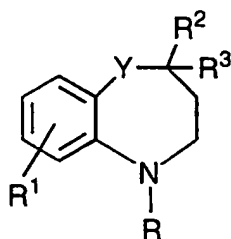
Solvent for recrystallization: Diethyl ether

15

Form: Free

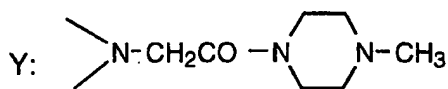
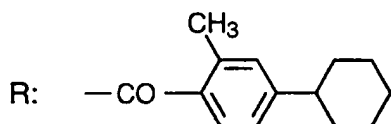
- 605 -

The suitable starting compounds are treated in the same manner as in Examples 1 and 2 to give the following compounds.



Example 868

Structure:



R¹: H

R²: H

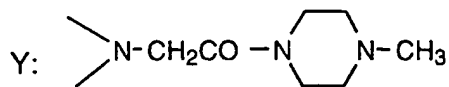
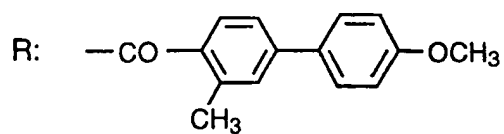
R³: H

Crystalline form: Colorless amorphous

Form: Free

Example 869

Structure:



R¹: H

R²: H

R³: H

Crystalline form: Colorless amorphous

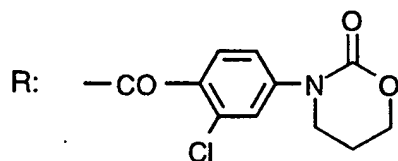
Form: Free

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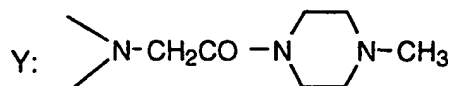
Example 870

Structure:

5



10

R¹: HR² and R³: =O

Crystalline form: Colorless amorphous

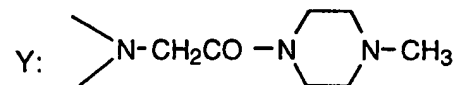
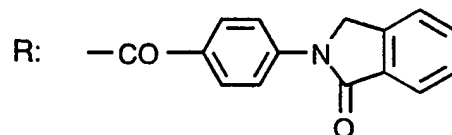
Form: Free

15

Example 871

Structure:

20



25

R¹: HR² and R³: =O

Crystalline form: Colorless amorphous

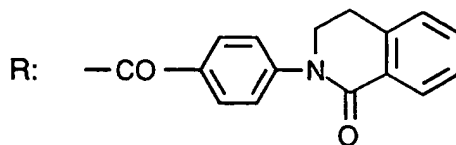
Form: Free

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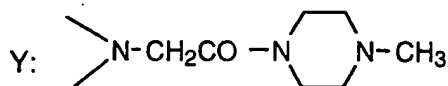
Example 872

Structure:

5



10

R¹: HR² and R³: =O

Crystalline form: White powder

15

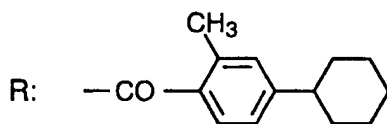
M.p. 168-171°C

Form: Free

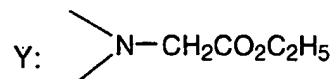
Example 873

Structure:

20



25

R¹: HR²: HR³: H

Crystalline form: Brown amorphous

Form: Free

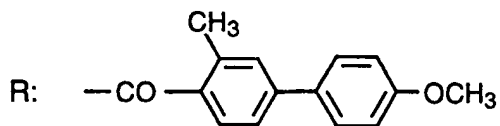
30

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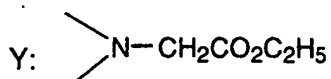
Example 874

Structure:

5



10

R¹: HR²: HR³: H

Crystalline form: Brown amorphous

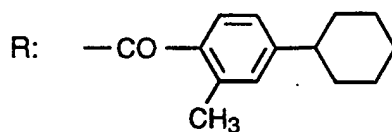
15

Form: Free

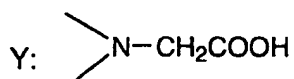
Example 875

Structure:

20



25

R¹: HR²: HR³: H

Crystalline form: White powder

Form: Free

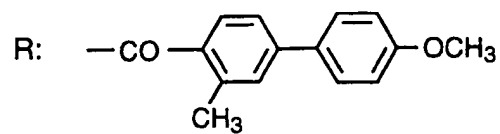
30

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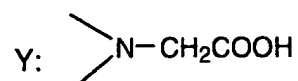
Example 876

Structure:

5



10

R¹: HR²: HR³: H

Crystalline form: Colorless amorphous

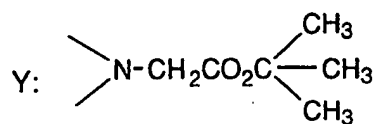
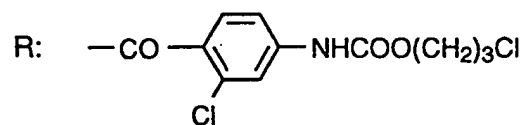
Form: Free

15

Example 877

Structure:

20



25

R¹: HR² and R³: =O

Crystalline form: Yellow amorphous

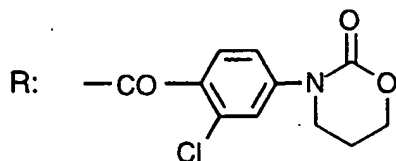
Form: Free

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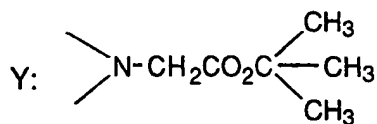
Example 878

Structure:

5



10

R¹: HR² and R³: =O

Crystalline form: Yellow amorphous

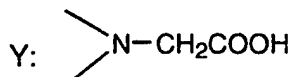
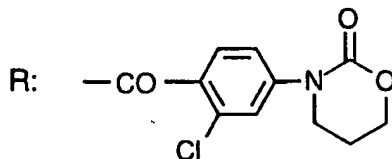
Form: Free

15

Example 879

Structure:

20



25

R¹: HR² and R³: =O

Crystalline form: Brown amorphous

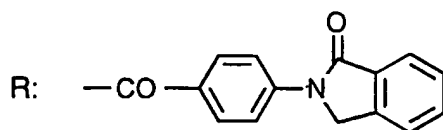
Form: Free

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Example 880

Structure:

5



Y: =NH

R¹: H

10

R² and R³: =O

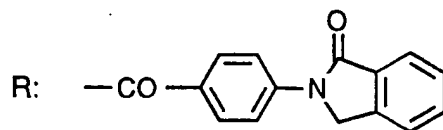
Crystalline form: White powder

Form: Free

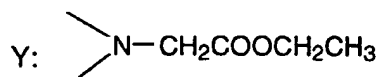
Example 881

15

Structure:



20

R¹: HR² and R³: =O

25

Crystalline form: Brown oil

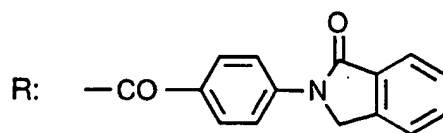
Form: Free

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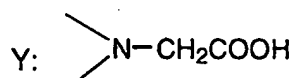
Example 882

Structure:

5



10

R¹: HR² and R³: =O

Crystalline form: White powder

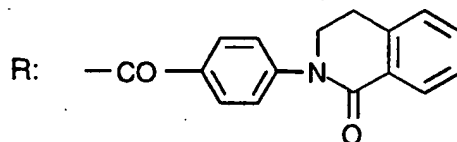
Form: Free

15

Example 883

Structure:

20



Y: =NH

R¹: HR² and R³: =O

25

Crystalline form: Brown amorphous

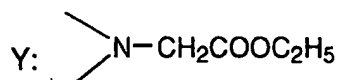
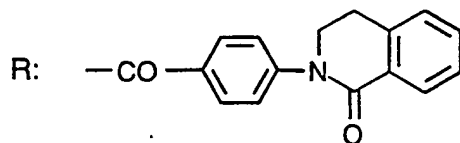
Form: Free

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Example 884

Structure:

5



10

R¹: HR² and R³: =O

Crystalline form: Brown amorphous

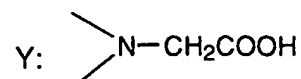
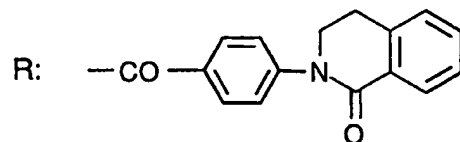
Form: Free

15

Example 885

Structure:

20



25

R¹: HR² and R³: =O

Crystalline form: Brown powder

Form: Free

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The data of NMR analysis of the compounds of the above Examples are as follows.

NMR analysis:

The compound of Example 741

5 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.08-1.88 [9H, m, (1.22, 1.35, each 3H, each d, $J=6.0$ Hz)], 1.88-2.61 [11H, m, (2.33, 2.43 each s)], 2.61-4.04, 4.31-4.70, 4.98-5.19 (total 10H, m), 6.12-7.43 (7H, m)

The compound of Example 742

10 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.10-2.59 [15H, m, (2.33, 2.45, each s)], 2.59-3.09 (2H, m), 3.09-4.01, 4.43-4.64 (total 6H, m), 4.93, 5.09 (total 2H, each s), 6.24-7.51 (12H, m)

The compound of Example 743

^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.08-2.61 [15H, m, 2.34 (s)], 2.61-3.02 (2H, m), 3.02-4.11, 4.43-4.64, 4.90-5.12 (total 6H, m), 5.30 (1H, s), 6.00-7.45 (7H, m)

The compound of Example 754

15 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.82-1.14 [6H, m, 0.95, 1.04, (each d, $J=6.7$ Hz)], 1.15-1.93 (1H, m), 1.95-2.59 [14H, m, 2.33, 4.45, (each s)], 2.59-4.02, 4.45-4.67, 4.98-5.17 (total 11H, m), 6.12-7.46 (7H, m)

The compound of Example 755

20 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.92, 0.99 (total 6H, each t, $J=7.3$ Hz), 1.19-2.59 [18H, m, 2.33, 2.44, (each s)], 2.59-4.09, 4.41-4.65, 4.95-5.18 [total 11H, m, 3.83, 3.98, (each t, $J=6.5$ Hz)], 6.11-7.45 (7H, m)

The compound of Example 760

25 ^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.50, 0.95 (total 6H, each d, $J=6.5$ Hz), 1.01-1.32 [7H, m, 1.04 (3H, d, $J=6.7$ Hz)], 1.48-3.18 [10H, m, 2.49 (s)], 3.30-4.65, 5.46-5.72 [total 5H, m, (3.75, d, $J=6.5$ Hz)], 6.40-7.39 (8H, m)

The compound of Example 761

^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.50 (3H, d, $J=6.5$ Hz), 0.85-1.29 [7H, m, 0.95 (3H, d, $J=6.5$ Hz), 0.99, 1.16 (total 3H, each t, $J=5.5$ Hz)], 1.35-2.18

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(10H, m), 2.19-2.58 [5H, m, 2.49 (s)], 2.58-2.78, 2.89-3.18 (total 2H, m), 3.30-4.65, 5.41-5.67 (total 6H, m), 6.81-7.40 (8H, m)

The compound of Example 816

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.21 (4H, m), 2.33-2.55, 3.09-3.87, 4.39-4.62 [total 11H, m, (2.45, 3.69 each s)], 2.60-3.05 (2H, m), 4.81-5.19 [2H, m, 4.93, 5.09 (each s)], 6.25-7.53 (12H, m)

The compound of Example 817

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.19 (4H, m), 2.44, 2.47 (total 3H, each s), 2.11-3.08 (2H, m), 3.08-3.90, 4.39-4.62, 4.79-5.31 [total 7H, 4.89, 5.06 (total 2H, each s)], 6.25-7.52 (12H, m)

The compound of Example 818

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.12-2.17 [10H, m, 1.24, 1.35, (each d, $J=6.0$ Hz)], 2.32-2.59 [3H, m, 2.43 (s)], 2.61-3.32 (3H, m), 3.41-3.92 [6H, m, 3.70 (s)], 4.29-4.63, 5.01-5.22 (total 2H, m), 6.18-7.42 (7H, m)

The compound of Example 819

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.09-2.22 [10H, m, 1.22, 1.35 (each d, $J=6.0$ Hz)], 2.30-2.58 [3H, m, 2.43, 2.47 (each s)], 2.11-4.01 (4H, m), 4.28-4.70, 4.99-5.22 (total 2H, m), 6.13-7.48 (8H, m)

The compound of Example 838

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.96, 1.04 (total 6H, each d, $J=6.7$ Hz), 1.18-2.20 (4H, m), 2.44, 2.48 (total 3H, each s), 2.61-3.31, 3.39-4.16, 5.02-5.27 (total 8H, m), 6.19-7.42 (8H, m)

The compound of Example 839

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.92, 0.99 (total 3H, each t, $J=7.2$ Hz), 1.15-2.22 (8H, m), 2.43, 2.47 (total 3H, each s), 2.62-3.31, 3.36-4.09, 4.38-4.65, 5.01-5.23 (total 7H, m, (3.82, 3.97, each t, $J=6.5$ Hz)), 6.17-7.41 (8H, m)

The compound of Example 765

30 $^1\text{H-NMR}$ (200 MHz, $\text{CDCl}_3 + \text{DMSO-d}_6$) δ ppm: 1.2-2.3 (4H, m), 2.5-3.4, 4.7-5.1, 5.3-5.6 (total 4H, each m), 6.5-7.5 (9H, m), 7.60 (1H, dd, $J=7.5$ Hz, 7.5 Hz), 7.95 (1H, d, $J=7.5$ Hz), 11.43 (1H, s)

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The compound of Example 731

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.25-4.30, 4.6-4.85 [total 27H, m, 2.49 (s), 2.84 (s), 2.94 (s)], 6.85-8.0 (total 7H, m)

The compound of Example 733

5 $^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 1.1-2.1, 2.4-4.1, 4.1-4.7 (total 24H, m), 6.7-7.8, 7.8-8.0, 8.35-8.7 (total 7H, m), 11.1-11.7 (1H, m)

The compound of Example 734

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 0.81-2.20, 2.6-4.0, 4.2-4.6 (total 33H, m), 6.8-8.0 (total 7H, m), 10.8-11.3 (1H, m)

10 The compound of Example 735

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 1.1-2.2, 2.6-4.1, 4.3-4.6 (total 26H, m), 6.8-7.9 [total 12H, m, 7.63 (s), 7.93 (s)], 10.8-11.4 (1H, m)

The compound of Example 736

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.99-2.20, 2.63-3.91, 4.81-5.08 [total 23H, m, 1.12 (d, $J=6.77$ Hz)], 6.48 (1H, dd, $J=8.6$ Hz, $J=8.5$ Hz), 6.71-7.48 (6H, m)

The compound of Example 740

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.35-1.80, 1.80-2.25, 2.35-2.60, 2.60-3.15, 3.25-3.55, 4.35-4.65, 4.85-5.05 [total 15H, m, 2.46 (s), 4.43 (s), 4.48 (s)], 6.52-6.65, 6.78-6.95, 7.12-7.55 (total 11H, m)

The compound of Example 744

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.32-2.26, 2.45-2.65, 2.65-3.30, 4.85-5.12 [total 11H, m, 2.53 (s)], 6.65-6.75, 6.75-7.06, 7.06-7.54, 7.54-7.96, 8.58-8.76 (total 11H, m)

The compound of Example 745

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 1.0-2.2, 2.7-4.8 (total 28H, m), 6.15-7.35 (11H, m), 10.3-10.95 (1H, m)

The compound of Example 747

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.75-1.00, 1.15-2.35, 2.35-4.27, 4.45-

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4.80 [total 32H, m, 3.38 (s)], 6.75-7.55 (7H, m), 12.6-13.4 (1H, br)

The compound of Example 748

^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.66-1.10, 1.10-1.49, 1.49-2.34, 2.34-4.23, 4.35-4.80 (total 38H, m), 6.73-7.55 (7H, m), 12.6-13.5 (1H, br)

5 The compound of Example 749

^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.75-0.96, 0.96-2.22, 2.22-4.30, 4.30-4.83 [total 27H, m, 4.58 (s), 2.49 (s)], 6.48-7.53 (11H, m), 12.75-13.45 (1H, br)
The compound of Example 751

^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.78-1.05, 1.15-4.30, 4.42-4.75 [total 33H, m, 0.93, 0.99 and 2.33 (each s)], 6.68-7.89 (8H, m)
The compound of Example 752

^1H -NMR (200 MHz, CDCl_3) δ ppm: 0.52 (3H, d, $J=6.6$ Hz), 0.97 (3H, d, $J=6.6$ Hz), 1.10-2.20, 2.20-3.20, 3.35-4.15 [total 13H, m, 2.62 (s)], 6.35-6.55, 7.00-7.60, 7.60-8.05 (total 10H, m), 8.65-8.80 (1H, m)
15 The compound of Example 753

^1H -NMR (200 MHz, CDCl_3) δ ppm: 1.25-2.45, 2.45-4.30, 4.30-4.90 (total 23H, m), 6.45-8.55 (total 11H, m), 8.75-9.00 (1H, m)
The compound of Example 756

^1H -NMR (200 MHz, $\text{DMSO}-d_6$) δ ppm: 0.7-0.95, 0.95-2.25, 2.60-4.20, 4.20-4.55 [total 34H, m, 0.8 (d, $J=6.6$ Hz), 2.66 (s)], 6.75-7.95, 8.29, 8.57 [total 8H, m, 7.62, 7.83, 8.29, 8.57 each (s)]
20 The compound of Example 759

^1H -NMR (200 MHz, $\text{DMSO}-d_6$) δ ppm: 1.09-2.19 [12H, m, 1.25 (t, $J=7.2$ Hz)], 2.28-3.67, 4.24-4.57, 4.68-4.98 [total 10H, m, 2.41 (s)], 6.68-7.81 (11H, m), 10.26-10.64 (1H, m)
25 The compound of Example 764

^1H -NMR (200 MHz, $\text{DMSO}-d_6$) δ ppm: 0.64-2.12 [11H, m, 0.75, 0.89 (each d, each $J=6.5$ Hz)], 2.12-5.05 [21H, m, 2.33 (s)], 6.37-7.52 (7H, m), 10.92-11.43 (1H, m)
30 The compound of Example 765

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$^1\text{H-NMR}$ (200 MHz, $\text{CDCl}_3 + \text{DMSO-d}_6$) δ ppm: 1.2-2.3 (4H, m), 2.5-3.4, 4.7-5.1, 5.3-5.6 (total 4H, each m), 6.5-7.5 (9H, m), 7.60 (1H, dd, $J=7.5$ Hz, $J=7.5$ Hz), 7.95 (1H, d, $J=7.5$ Hz), 11.43 (1H, s)

The compound of Example 766

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.50 (3H, d, $J=6.6$ Hz), 0.76-1.40, 1.50-2.18, 2.18-2.70, 2.70-4.18 [total 24H, m, 3.36 (s)], 6.28-6.42, 6.82-7.54 (total 8H, m)

The compound of Example 767

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.50 (3H, d, $J=6.6$ Hz), 0.69-1.05, 1.05-1.41, 1.41-2.19, 2.19-2.70, 2.95-4.15 (total 31H, m), 6.27-6.38, 6.75-7.52 (8H, m)

The compound of Example 768

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.55-0.65, 0.72-0.99, 1.20-1.44, 1.55-2.10, 2.10-2.90, 2.90-3.25, 3.25-4.10 (total 36H, m), 6.30-6.45, 6.75-6.94, 7.00-7.50 (total 8H, m)

The compound of Example 769

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.05-4.70, 4.89-5.12 [total 26H, m, 2.34 (s), 4.33 (t, $J=5.4$ Hz), 4.40 (t, $J=5.3$ Hz)], 6.72-7.70 (7H, m)

The compound of Example 770

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.61 (3H, d, $J=6.6$ Hz), 0.97 (3H, d, $J=6.6$ Hz), 1.15, 1.30, 1.55-2.15, 2.25-2.70, 2.90-3.20, 3.32-3.52, 3.60-3.95 (total 19H, m), 4.40-4.60 (4H, m), 6.20-6.40, 6.51-6.52, 6.82-7.55 (total 13H, m)

The compound of Example 771

25 $^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 0.82-2.25 [11H, m, 0.97 (d, $J=6.6$ Hz)], 2.29-4.98 [21H, m, 2.43 (s)], 6.49-7.79 (11H, m), 10.85-11.30 (1H, m)

The compound of Example 773

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 1.00-2.30 [7H, m, 1.28 (t, $J=7.2$ Hz)], 2.31-5.08 [21H, m, 2.43 (s), 3.76 (s)], 6.48-7.81 (11H, m), 10.81-11.31 (1H, m)

30 The compound of Example 774

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¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.01-2.38 (4H, m), 2.39-5.02 [24H, m, 2.43 (s), 3.76 (s), 3.81 (s)], 6.49-7.78 (11H, m), 10.47-11.08 (1H, m)

The compound of Example 775

5 ¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.01-2.28 [10H, m, 1.19 (t, J=7.1 Hz)], 2.29-5.02 [22H, m, 2.44 (s), 3.77 (s), 3.81 (s)], 6.49-7.81 (11H, m), 9.92-10.32 (1H, m)

The compound of Example 777

10 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.21-3.18, 3.58-3.85, 4.15-4.40, 4.82-5.15 [total 25H, m, 2.63 (t, J=5.73 Hz), 4.27 (t, J=5.8 Hz)], 6.41-7.01, 7.18-7.49, 7.75-7.92 [total 7H, m, 7.85 (d, J=8.5 Hz)]

The compound of Example 778

15 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.96 (6H, d, J=10.7 Hz), 1.21-4.11, 4.31-4.59, 5.01-5.22 [total 21H, m, 2.41 (s), 3.70 (s), 3.92 (d, J=6.6 Hz)], 6.49-7.67, 7.80-8.05 [total 8H, m, 7.92 (d, J=8.4 Hz)]

The compound of Example 779

20 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.35-1.86, 1.86-2.29, 2.40-2.63, 2.63-3.16, 3.32-3.52, 4.85-5.08 [total 11H, m, 2.52 (s), 2.57 (s)], 6.56-6.68, 6.82-7.56 (6H, m), 8.86, 8.97 (total 2H, each s), 9.17, 9.23 (total 1H, each s)

The compound of Example 780

25 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.38-1.66, 1.85-2.22, 2.62-3.16, 4.90-5.15 (8H, m), 3.71 (3H, s), 6.58-6.70 (1H, m), 6.70-6.82 (1H, m), 6.82-7.00 (2H, m), 7.12-7.31 (2H, m), 7.50-7.80 (3H, m), 7.60-7.70 (1H, m)

The compound of Example 781

30 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.35-2.20, 2.65-3.15, 4.92-5.13 (total 8H, m), 3.67 (3H, s), 6.55-6.84 (2H, m), 6.84-7.00 (2H, m), 7.00-7.19 (1H, m), 7.19-7.34 (2H, m), 7.73-7.85 (1H, m), 8.48-8.60 (1H, m), 8.60-8.72 (1H, m)

The compound of Example 783

30 ¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.19-4.68, 5.00-5.19 [total 27H, m, 2.36 (s), 3.75 (s)], 6.48-7.59 (7H, m)

The compound of Example 784

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.05-2.21, 2.55-3.19, 3.41-3.55, 3.65-3.80, 3.95-4.23, 4.89-5.12 [total 31H, m, 1.45 (s), 3.73 (s)], 6.51-6.74, 6.82-6.95, 7.19-7.35, 7.80-7.90 [total 7H, m, 7.84 (d, $J=8.4$ Hz)]

The compound of Example 785

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.0-4.0, 4.0-5.2 (total 29H, m), 6.1-8.2 (total 11H, m)

The compound of Example 786

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.0-1.4, 1.4-4.0, 4.0-5.2 (total 33H, m), 6.15-6.35, 6.6-8.25 (total 7H, m), 12.4-13.4 (1H, m)

10 The compound of Example 787

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.2-1.6, 1.6-2.2, 2.6-3.75, 3.9-4.6 (total 28H, m), 6.5-7.6, 7.8-8.2 (total 12H, m), 10.8-11.2 (1H, m)

The compound of Example 788

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.25-4.0, 4.35-4.55, 5.0-5.20 [total 30H, m, 2.33 (s), 2.82 (s), 3.63 (s)], 6.55-7.55 [total 6H, m, 6.6 (d, $J=6.6$ Hz), 6.96 (d, $J=6.6$ Hz), 7.20 (d, $J=6.6$ Hz), 7.49 (s)]

The compound of Example 790

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.35-1.69, 1.78-2.26, 2.53-3.14, 3.30-3.81, 4.29-4.51, 4.90-5.18 [total 15H, m, 2.98 (t, $J=5.3$ Hz), 4.39 (t, $J=5.3$ Hz), 3.72 (s)], 6.45-7.35, 7.65-7.92, 8.40-8.65 (total 11H, m)

The compound of Example 794

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.11-3.95, 4.41-4.63, 4.95-5.15 [total 28H, m, 1.49 (s), 1.58 (s), 2.38 (s)], 6.75-7.92 (7H, m)

The compound of Example 795

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.11-4.05, 4.45-4.70, 4.81-5.13 [total 27H, m, 2.40 (s), 1.25 (d, $J=6.2$ Hz), 1.31 (d, $J=6.3$ Hz)], 6.60-7.82 (8H, m)

The compound of Example 796

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.35-2.18, 2.18-4.20, 4.25-4.70, 4.90-5.15 [total 24H, m, 3.85 (s)], 6.55-7.15, 7.15-7.60, 7.70-8.10 (total 21H, m)

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The compound of Example 801

$^1\text{H-NMR}$ (200 MHz, DMSO- d_6) δ ppm: 1.03-2.04 (10H, m), 2.31-3.88, 4.29-4.54, 4.84-5.07 [total 19H, m, 2.43 (s), 3.77 (s)], 6.50-7.78 (11H, m), 8.44-8.69 (1H, m), 9.91-10.27 (1H, m)

5 The compound of Example 802

$^1\text{H-NMR}$ (200 MHz, DMSO- d_6) δ ppm: 1.05-2.36 [total 10H, m, 1.18 (t, $J=7.0$ Hz)], 2.48-4.54, 4.79-5.21 [total 19H, m, 3.60 (s)], 6.67-7.62 (11H, m), 10.04-10.39 (1H, m)

The compound of Example 803

10 $^1\text{H-NMR}$ (200 MHz, DMSO- d_6) δ ppm: 1.05-2.10 (10H, m), 2.39-3.94, 4.18-4.49, 4.88-5.12 [total 16H, m, 3.58 (s)], 6.69-7.70 (11H, m), 8.34-8.71 (1H, m), 10.00-10.34 (1H, m)

The compound of Example 804

15 $^1\text{H-NMR}$ (200 MHz, DMSO- d_6) δ ppm: 1.00-2.28 [10H, m, 1.23 (t, $J=7.2$ Hz)], 2.42-4.13, 4.26-4.52, 4.69-4.91 (total 19H, m), 6.76-7.85 (11H, m), 10.09-10.48, 11.10-11.26 (total 1H, m)

The compound of Example 805

20 $^1\text{H-NMR}$ (200 MHz, DMSO- d_6) δ ppm: 0.99-2.06 [10H, m, 1.20 (t, $J=7.0$ Hz)], 2.38-4.08, 4.25-4.52, 4.72-4.92 (total 16H, m), 6.78-7.84 (11H, m), 8.43-8.68 (1H, m), 10.09-10.45 (1H, m)

The compound of Example 806

$^1\text{H-NMR}$ (200 MHz, DMSO- d_6) δ ppm: 1.03-2.11 (4H, m), 2.34 (3H, s), 2.44-4.79, 4.88-5.10 (total 16H, m), 6.12-8.03 (11H, m), 11.08-11.55 (1H, m)

The compound of Example 807

25 $^1\text{H-NMR}$ (200 MHz, DMSO- d_6) δ ppm: 1.03-2.13 (10H, m), 2.22-3.83, 4.17-4.48, 4.88-5.10 (total 16H, m, 2.35 (s)), 6.58-7.90 (11H, m), 8.39-8.81 (1H, m), 10.20-10.65 (1H, m)

The compound of Example 808

30 $^1\text{H-NMR}$ (200 MHz, DMSO- d_6) δ ppm: 1.10-2.28 (4H, m), 2.52-4.68, 4.87-5.10 [total 22H, m, 3.51 (s), 3.65 (s)], 6.11-6.29, 6.42-7.65 (total 10H, m), 11.07-

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11.48 (1H, brs)

The compound of Example 809

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 0.95-2.26 (10H, m), 2.38-4.08,
4.20-4.52, 4.78-5.08 [total 19H, m, 3.58 (s), 3.75 (s)], 6.62-7.62 (10H, m), 8.36-
8.68 (1H, m), 9.82-10.20 (1H, m)

The compound of Example 810

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.07-2.29 (4H, m), 2.30-4.78, 4.87-
5.10 [total 22H, m, 3.51 (s), 3.65 (s)], 6.11-6.29, 6.42-7.63 (total 10H, m), 11.13-
11.58 (1H, brs)

The compound of Example 811

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.03-2.30 (10H, m), 2.40-4.00,
4.18-4.76, 4.83-5.08 [total 19H, m, 3.58 (s), 3.75 (s)], 6.63-7.64 (10H, m), 8.34-
8.71 (1H, m), 9.92-10.39 (1H, m)

The compound of Example 812

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.05-2.09 (4H, m), 2.30 (3H, s),
2.58-4.71, 4.88-5.08 [total 19H, m, 3.57 (s)], 6.12-7.68 (10H, m), 11.00-11.50
(1H, brs)

The compound of Example 813

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 0.96-2.19 [10H, m, 1.19 (t, J=7.0
Hz)], 2.31 (3H, s), 2.55-4.69, 4.82-5.08 [total 19H, m, 3.59 (s)], 6.14-7.63 (10H,
m), 10.19-10.52, 11.00-11.30 (total 1H, m)

The compound of Example 814

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.15-2.30, 2.50-3.85, 4.48-4.67, 5.06-
5.24 [total 15H, m, 2.56 (a), 3.72 (s)], 6.50-6.72 (1H, m), 6.72-7.95 (9H, m), 8.57-
8.75 (1H, m)

The compound of Example 820

¹H-NMR (200 MHz, CDCl₃) δ ppm: 0.75-1.00, 1.00-2.20, 2.60-3.05, 3.05-
3.43, 3.43-3.96, 4.45-4.62 [total 24H, m, 1.59 (s), 3.19 (s), 3.69(s)], 6.80-7.50
(7H, m)

The compound of Example 821

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$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.70-1.00, 1.10-2.20, 2.60-3.95, 4.45-4.65, 5.02-5.15 (total 21H, m), 6.80-7.55 (7H, m)

The compound of Example 822

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.60-1.05, 1.15-2.20, 2.60-3.05, 3.15-3.95, 4.45-4.60, 5.02-5.15 (total 30H, m), 6.72-7.60 (7H, m)

The compound of Example 823

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.63-1.00, 1.10-2.20, 2.60-3.95, 4.45-4.60, 5.00-5.20 (total 27H, m), 6.68-7.58 (7H, m)

The compound of Example 825

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.20-2.20, 2.35-2.60, 2.60-3.85, 4.25-4.65 (total 16H, m), 6.40-6.66 (1H, m), 6.70-7.55 (11H, m)

The compound of Example 826

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.20-2.25, 2.25-3.26, 3.26-3.90, 4.50-4.70 [total 2H, m, 2.61 (s)], 6.72-6.85 (1H, m), 6.85-6.97 (1H, m), 7.00-7.35 (3H, m), 7.35-7.45 (1H, d, $J=8.2$ Hz), 7.56-7.78 (2H, m), 7.85-8.12 (2H, m), 8.20-8.38 (1H, m), 8.70-8.80 (1H, m)

The compound of Example 827

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.2-2.4 (4H, m), 2.7-3.8, 4.4-4.7, 4.9-5.2 (total 5H, each m), 6.8-8.4 (7H, m)

The compound of Example 828

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.13-2.30 (4H, m), 2.35-4.08, 4.40-4.64, 4.92-5.20 [total 13H, m, 2.52 (s), 3.72 (s), 5.08 (s)], 6.48-7.62 (16H, m)

The compound of Example 829

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.13-2.26, 2.32-3.69, 4.81-5.20 [total 13H, m, 2.49 (s), 5.07 (s)], 6.57-7.63 (16H, m)

The compound of Example 833

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.86, 0.93, 1.07, 1.15-2.15, 2.60-3.80, 4.35-4.60 [total 23H, m, 0.86 (d, $J=6.6$ Hz), 0.93 (d, $J=6.6$ Hz), 1.07 (t, $J=7$ Hz)], 6.75-7.60 (total 7H, m), 8.25-8.80 (1H, m)

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The compound of Example 834

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.20-2.15, 2.55-3.85, 4.35-4.55, 4.67 [total 15H, m, 4.67 (s)], 6.70-7.40, 7.44, 7.50-7.90 [total 12H, m, 7.44 (s)]

The compound of Example 835

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.35-2.24, 2.39-2.62, 2.63-3.18, 3.29-3.99, 4.06-4.63, 4.83-5.11 [total 15H, m, 2.49 (s), 3.81 (t, $J=6.0$ Hz)], 6.58-7.62 (11H, m)

The compound of Example 836

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.67-1.03, 1.05-2.54, 2.55-4.28, 4.41-4.63, 5.00-5.21 [total 24H, m, 0.78 (d, $J=6.6$ Hz), 0.92 (d, $J=6.6$ Hz), 2.28 (d, $J=7.2$ Hz), 2.43 (s), 3.71 (s)], 6.40-7.41 (7H, m)

The compound of Example 840

15 $^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 0.65-0.98, 1.04-2.12, 2.13-3.70, 4.26-4.51, 4.81-5.02 [total 21H, m, 0.75 (d, $J=6.5$ Hz), 0.89 (d, $J=6.5$ Hz), 2.27 (d, $J=7.1$ Hz), 2.33 (s)], 6.38-7.42 (7H, m), 12.14-12.42 (1H, m)

The compound of Example 844

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.13-4.01, 4.48-4.72 [total 23H, m, 2.33 (s)], 5.10 (2H, d, $J=10.3$ Hz), 6.43-7.64 (16H, m)

The compound of Example 845

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.09-4.20, 4.50-4.70, 4.96-5.16 [total 23H, m, 2.36 (s)], 6.41-7.48 (12H, m)

The compound of Example 849

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.2-2.2 (4.5 H, m), 2.7-3.0 [total 5H, m, 2.83 (s)], 3.1-3.3, 3.3-3.5, 3.6-3.85, 4.35-4.5, 5.05-5.35 [total 12.5 H, m, 3.40 (t, $J=7.4$ Hz), 3.67 (s), 3.77 (s)], 6.62 (1H, d, $J=8.1$ Hz), 6.82 (1H, d, $J=8.1$ Hz), 6.9-7.4 (4H, m)

The compound of Example 850

30 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.95 (6H, d, $J=6.2$ Hz), 1.25-2.15, 2.7-3.3, 3.67, 3.72, 4.35-4.65, 5.10-5.4 [total 19H, m, 3.67 (s), 3.72 (s)], 6.26, 6.64, 6.73-6.78, 6.96, 7.12-7.4 [total 6H, m, 6.26 (d, $J=8.1$ Hz)], 6.64 (d, $J=8.1$ Hz),

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6.96 (dd, J=8.1 Hz, J=2.2 Hz)]

The compound of Example 851

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.2-2.2, 2.7-3.05, 3.15-3.3, 3.4-4.0, 4.4-4.55, 4.6-4.8, 5.05-5.26 [total 20H, m, 3.69 (s), 3.71 (s)], 6.60-7.45 [total 6H, m, 6.63 (d, J=8.1 Hz), 6.85 (d, J=8.1 Hz)]

The compound of Example 852

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.17-2.30 (4H, m), 2.57-3.03, 3.09-3.33, 3.43-3.92, 4.38-4.63, 5.08-5.28 [total 10H, m, 3.62 (s), 3.70 (s)], 6.53-7.43 (10H, m)

The compound of Example 853

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.18-2.30 (4H, m), 2.60-3.05, 3.10-3.37, 3.46-4.33, 4.38-4.62, 5.08-5.29 [total 10H, m, 3.67 (s), 3.71 (s), 3.81 (s)], 6.52-7.46 (10H, m)

The compound of Example 854

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.19-2.46 [7H, m, 2.37 (s)], 2.58-3.04, 3.05-4.26, 4.38-4.63, 5.06-5.28 [total 11H, m, 3.67 (s), 3.71 (s)], 6.54-7.48 (10H, m)

The compound of Example 855

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.20-2.28 (4H, m), 2.39 (3H, s), 2.57-3.10, 3.11-4.35, 4.40-4.63, 5.08-5.30 [total 8H, m, 3.75 (s)], 6.47-6.71, 6.81-7.78 (total 11H, m)

The compound of Example 856

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.12-2.19 (4H, m), 2.57-4.08, 4.20-4.66, 4.81-5.08 [total 11H, m, 3.52 (s), 3.65 (s)], 6.62-7.62 (10H, m), 12.36 (1H, s)

The compound of Example 857

¹H-NMR (200 MHz, DMSO-d₆) δ ppm: 1.10-2.19 (4H, m), 2.43-4.14, 4.20-4.71, 4.83-5.10 [total 11H, m, 3.59 (s), 3.75 (s)], 6.67-7.65 (10H, m), 12.20-12.57 (1H, brs)

The compound of Example 858

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$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 1.13-2.38 [7H, m, 2.31 (s)], 2.51-4.02, 4.19-4.75, 4.82-5.08 [total 8H, m, 3.59 (s)], 6.62-7.80 (10H, m), 12.20-12.58 (1H, brs)

The compound of Example 859

5 $^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 1.18-2.18 (4H, m), 2.34 (3H, s), 2.48-4.12, 4.20-4.80, 4.89-5.12 (total 5H, m), 6.61-7.88 (11H, m), 12.12-12.60 (1H, m)

The compound of Example 868

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.06-2.69, 2.98-4.26, 4.60-4.81 [total 33H, m, 2.32 (s), 2.39 (s)], 6.42-7.45 (7H, m)

The compound of Example 869

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.68-2.72, 3.01-4.25, 4.65-4.92 [total 25H, m, 2.32 (s), 2.47 (s), 3.82 (s)], 6.46-7.12 (11H, m)

The compound of Example 871

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.16-2.98, 3.42-3.91, 4.02-4.25, 4.60-4.88, 5.02-5.40 [total 19H, m, 2.35 (s), 4.79 (s)], 6.61-7.05, 7.13-7.94 (total 12H, m)

The compound of Example 870

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.93-2.98, 3.29-4.59, 4.69-5.31 [total 23H, m, 2.35 (s), 3.60 (t, $J=5.50$ Hz), 4.35 (t, $J=5.3$ Hz)], 6.69-7.70 (7H, m)

The compound of Example 841

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.85-2.22, 2.55-3.31, 3.41-3.80, 4.05-4.31, 4.41-4.62 [total 25H, m, 3.69 (s)], 6.71-7.70 (7H, m)

The compound of Example 842

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.82-1.03, 1.15-2.20, 2.45-4.62 [total 22H, m, 0.93 (s)], 6.48-8.21 (8H, m)

The compound of Example 843

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.10-2.41, 2.56-4.65, 4.90-5.16 (total 15H, m), 6.52-7.61 (7H, m), 9.39-10.05 (1H, m)

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The compound of Example 860

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.15-2.25, 2.50-3.03, 3.10-3.30, 3.48-3.91, 4.25-4.55, 5.05-5.28 [total 19H, m, 3.71 (s), 3.74 (s), 4.40 (t, $J=5.9$ Hz)], 6.42-7.42, 7.71-7.99 [total 7H, m, 6.58 (d, $J=8.3$ Hz), 7.86 (d, $J=8.3$ Hz)]

5 The compound of Example 861

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.75-2.21, 2.51-3.31, 3.45-4.10, 4.30-4.60, 5.03-5.31 [total 24H, m, 0.95 (d, $J=6.7$ Hz), 3.92 (d, $J=7.2$ Hz), 3.72 (s), 3.74 (s)], 6.42-7.41, 7.65-8.00 [total 7H, m, 6.58 (d, $J=8.3$ Hz), 7.88 (d, $J=8.3$ Hz)]

10 The compound of Example 862

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.11-2.31, 2.51-3.32, 3.42-4.09, 4.30-4.58, 5.05-5.21 [total 19H, m, 3.79 (s), 4.44 (t, $J=7.8$ Hz)], 6.49-7.42 [6H, m, 6.62 (d, $J=8.3$ Hz)]

The compound of Example 863

15 $^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 0.88 (6H, d, $J=6.7$ Hz), 1.10-2.07, 2.52-4.45, 4.85-5.02 [total 15H, m, 3.63 (s), 3.98 (d, $J=7.2$ Hz)], 6.50-6.88, 6.98-7.29, 7.38-7.79, 8.28-8.49 [total 7H, m, 6.70 (d, $J=8.3$ Hz), 7.52 (d, $J=8.3$ Hz)]

The compound of Example 864

20 $^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 1.01-2.10, 2.39-4.51, 4.86-5.05 [total 13H, m, 3.67 (s)], 6.0-7.75 (6H, m), 9.99 (1H, s)

The compound of Example 865

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.11-2.21, 2.60-3.29, 3.41-3.90, 4.41-4.65, 4.82-5.20 [total 19H, m, 1.26 (d, $J=6.4$ Hz), 3.69 (s)], 6.53-7.80 [total 8H, m, 6.71 (s), 7.46 (s)]

25 The compound of Example 867

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.11-2.29, 2.68-3.92, 4.38-4.70, 5.01-5.19 [total 20H, m, 1.50 (s), 1.58 (s), 2.16 (s), 3.70 (s)], 6.78-7.90 [total 7H, m, 7.59 (d, $J=2.1$ Hz)]

The compound of Example 873

30 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.80-2.61, 2.88-3.72, 3.85-4.40, 4.62-

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5.01 [total 27H, m, 1.31 (t, J=7.0 Hz), 2.35 (s), 4.22 (q, J=7.1 Hz)], 6.41-7.49 (7H, m)

The compound of Example 874

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.18-1.48, 1.68-2.62, 2.95-4.41, 4.71-5.05 [total 19H, m, 1.31 (t, J=7.1 Hz), 2.50 (s), 3.80 (s), 4.22 (q, J=6.8 Hz)], 6.45-7.65 (total 11H, m)

The compound of Example 875

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.10-2.62, 2.90-3.70, 3.85-4.21, 4.65-4.95 [total 22H, m, 2.35 (s)], 6.35-7.45 (7H, m)

The compound of Example 876

10 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.69-2.62, 2.81-4.28, 4.65-4.98 [total 14H, m, 2.43 (s), 3.80 (s)], 6.41-7.62 [11H, m, 7.38 (d, J=9.2 Hz)]

The compound of Example 877

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.53 (9H, s), 1.71-2.24, 2.50-2.91, 3.40-4.45, 4.61-5.10 [total 12H, m, 3.59 (t, J=6.3 Hz), 4.28 (t, J=6.0 Hz)], 6.65-7.80, 8.55-8.68 (total 8H, m)

The compound of Example 878

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.53 (9H, s), 2.09-2.31, 2.52-2.82, 3.55-4.51, 4.62-5.09 [total 12H, m, 2.17 (t, J=5.1 Hz), 4.37 (t, J=5.5 Hz)], 6.89-7.71 (7H, m)

The compound of Example 879

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 2.05-2.90, 3.46-5.10 [total 12H, m, 3.60 (t, J=5.8 Hz), 4.38 (t, J=5.3 Hz)], 6.81-7.71 (7H, m), 10.1-10.6 (1H, m)

The compound of Example 880

25 $^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 2.51-2.88, 3.10-5.15 [total 6H, m, 4.94 (s)], 6.70-7.35, 7.45-7.85, 7.92-8.28, 8.55-8.65 (total 13H, m)

The compound of Example 881

30 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.12-1.41, 2.51-2.86, 3.69-4.01, 4.10-4.48, 4.62-4.98 [total 13H, m, 1.29 (t, J=4.0 Hz), 4.69 (s)], 6.65-7.10, 7.19-7.92 [total 12H, m, 7.73 (d, J=8.8 Hz), 7.84 (d, J=7.0 Hz)]

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The compound of Example 882

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 2.30-2.76, 3.56-3.96, 4.27-4.80
(total 4H, m), 4.94 (2H, s), 6.71-7.90 (8H, m)

The compound of Example 883

5 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.60-2.09, 2.28-4.32, 4.60-5.10 (total
10H, m), 6.41-8.25, 8.41-8.90 [total 13H, m, 8.12 (d, $J=7.4$ Hz)]

The compound of Example 884

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.05-1.49, 2.25-4.99 [total 15H, m, 1.31
(t, $J=7.1$ Hz)], 6.60-7.90, 8.00-8.28 [total 12H, m, 8.12 (d, $J=7.7$ Hz)]

10 The compound of Example 885

$^1\text{H-NMR}$ (200 MHz, DMSO-d_6) δ ppm: 0.85-4.70, 4.88-6.20 (total 11H, m),
6.51-8.62 [total 12H, m, 7.94 (d, $J=7.2$ Hz)]

The compound of Example 866

15 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.85-2.18, 2.45-3.90, 4.29-4.60, 4.78-
5.18 [total 16H, m, 1.28 (d, $J=7.2$ Hz)], 6.40-7.81 (8H, m), 7.90-9.60 (1H, m)

The compound of Example 789

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.4-1.4, 1.4-2.4, 2.4-2.85, 2.85-3.3, 3.3-
5.0, 5.0-5.8 (total 29H, m), 6.29, 6.5-7.5 [total 6H, m, 6.29 (d, $J=8.4$ Hz)]

The compound of Example 792

20 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 0.75-0.98, 1.21-2.20, 2.42-4.19, 4.85-
5.19 [total 25H, m, 2.60 (s), 3.73 (s)], 6.45-6.75, 6.80-7.00 (total 5H, m), 7.23
(1H, d, $J=2.4$ Hz), 7.81 (1H, d, $J=6.6$ Hz)

The compound of Example 797

25 $^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.03-1.40, 1.50-2.23, 2.23-2.58, 2.58-
4.05, 4.05-4.30, 4.52-4.73 [total 24H, m, 1.60 (s), 2.13 (s)], 6.55-7.05, 7.05-7.50
(total 20H, m)

The compound of Example 798

$^1\text{H-NMR}$ (200 MHz, CDCl_3) δ ppm: 1.30-2.20, 2.62-3.18, 4.85-5.05 (total
8H, m), 3.68 (3H, brs), 6.67-6.90, 7.00-7.50 (total 7H, m), 7.75-7.85 (1H, m),

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8.50-8.65 (1H, m), 8.65-8.85 (1H, m)

The compound of Example 799

¹H-NMR (200 MHz, CDCl₃) δ ppm: 1.30-1.80, 1.80-2.20, 2.62-2.93, 2.93-3.20, 4.82-5.03 (total 8H, m), 3.71 (3H, s), 6.69-6.85 (2H, m), 7.10-7.48 (5H, m), 7.60-7.80 (2H, m), 8.60-8.70 (1H, m)

Pharmacological Test

Experiment 1: V₁ receptor binding assay

Using rat liver plasma membrane preparations prepared according to Ichihara's method [cf: Akira Ichihara, J. Bio. Chem., 258, 9283 (1983)], the plasma membrane (50000 dpm, 2x10⁻¹⁰ M) of [³H]-Arg-vasopressin and a test compound (60 μg, 10⁻⁸ to 10⁻⁴ M) are incubated at 37°C for 10 minutes in 100 mM Tris-HCl buffer (pH 8.0) (250 μl) containing 5 mM MgCl₂, 1 mM EDTA and 0.1 % BSA. After incubation, the mixture is filtered three times using the glass filter (GF/F) so as to separate the membrane preparation binding with vasopressin and then washed with the buffer (5 ml). This glass filter is taken out and mixed with liquid scintillation cocktail. The amount of [³H]-vasopressin binding with the membrane is measured by liquid scintillation counter and the rate of the inhibitory effect of the test compound is estimated according to the following equation.

$$\text{Rate of the inhibitory effect (\%)} = 100 - [(C_1 - B_1)/(C_0 - B_1)] \times 100$$

C₁: The amount of [³H]-vasopressin binding with the membrane in the presence of the test compound (known amount)

C₀: The amount of [³H]-vasopressin binding with the membrane in the absence of the test compound

B₁: The amount of [³H]-vasopressin binding with the membrane in the presence of the excess amount of vasopressin (10⁻⁶ M)

The results are expressed as IC₅₀ value, which is the concentration of the test compound required to achieve the inhibitory effect in the rate of 50 %.

The results are shown in the following Table.

Experiment 2: V₂ receptor binding assay

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Using rat kidney plasma membrane preparations prepared according to O. Hechter's method [cf: J. Bio. Chem., 253, 3211 (1978)], the plasma membrane (100000 dpm, 4×10^{-10} M) of [3 H]-Arg-vasopressin and a test compound (0.6 mg, 10^{-10} to 10^{-5} M) are incubated at 4°C for 3 hours in 100 mM Tris-HCl buffer (pH 8.0) (250 μ l) containing 5 mM MgCl₂, 1 mM EDTA and 0.1 % BSA. After incubation, the mixture is filtered using the glass filter (GF/F) so as to separate the membrane preparation binding with vasopressin and then washed twice with the buffer (each 5 ml). This glass filter is taken out and mixed with liquid scintillation cocktail. The amount of [3 H]-vasopressin binding with the membrane is measured by liquid scintillation counter and the rate of the inhibitory effect of the test compound is estimated according to the following equation.

$$\text{Rate of the inhibitory effect (\%)} = 100 - [(C_1 - B_1)/(C_0 - B_1)] \times 100$$

C₁: The amount of [3 H]-vasopressin binding with the membrane in the presence of the test compound (known amount)

C₀: The amount of [3 H]-vasopressin binding with the membrane in the absence of the test compound

B₁: The amount of [3 H]-vasopressin binding with the membrane in the presence of the excess amount of vasopressin (10^{-6} M)

The results are expressed as IC₅₀ value, which is the concentration of the test compound required to achieve the inhibitory effect in the rate of 50 %.

The results are shown in the following Table.

Test compound	IC ₅₀ (μ M) in V ₁ receptor binding assay	IC ₅₀ (μ M) in V ₂ receptor binding assay
Compound of Ex. 29	0.021	0.15

Experiment 3: Anti-vasopressor activity in vivo

The spinal cord of mal SD rat (weighing 300-400 g) is broken to give a pith rat. The blood pressure of the pith rat is measured through the cannula inserted into the femoral artery thereof by using a pressure transducer.

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The test compound and Arg-vasopressin are administered to the pith rat through the cannula inserted into the femoral vein. Anti-vasopressor activity of the test compound in vivo is determined according to the following equation.

$$\text{Anti-vasopressor activity (\%)} = P/P_0 \times 100$$

5 P_0 : The increase of diastolic pressure when Arg-vasopressin (30 mU/kg) is administered intravenously.

P : The increase of diastolic pressure when Arg-vasopressin (30 mU/kg) is administered intravenously 3 minutes after the intravenous administration of the test compound.

10 The results are expressed as ED_{50} value, which is the dose of the test compound required to reduce the increase of diastolic pressure caused by the intravenous administration of Arg-vasopressin (30 mU/kg) to 50 % of its control value: P_0 .

The results are shown in the following Table.

Test compound	ED_{50} (mg/kg)
Compound of Ex. 29	1.0
Compound of Ex. 70	2.8

15

Experiment 4: Anti-antidiuretic activity (effect on endogenous ADH)

A test compound or a solvent (dimethylformamide) is administered into a caudal vein of untreated, unrestrained SD rats (male, weight: 300-350 g) and the amount of urine, which is spontaneously excreted for a period of two hours thereafter, is collected and measured by using a metabolic gauge.
20 During this measurement, the rats are allowed to take water and feed freely.

In the group treated by administration of the compound of Example 493 at a dose of 10 mg/kg, the amount of urine, which is excreted for two hours from the administration of the test compound, is four times larger than that in the control group.
25

Experiment 5: Antidiuretic activity

A test compound is dissolved in polyethylene glycol 400 or water, or suspended in 5 % gum arabic solution to give a test compound solution.

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The test compound solution is orally and forcibly administered to untreated, unrestrained Brattleburo rats, which hereditarily lack vasopressin. In the control group, a solvent is administered instead of a test compound solution. After the administration, the rats are put into a metabolic gauge, and the spontaneously excreted urine is collected for two hours, and the amount thereof is measured. During this measurement, the rats are allowed to take water and feed freely.

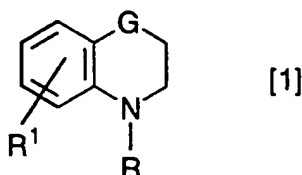
In the group treated by oral administration of Example 562 at a dose of 1 mg/kg, the amount of the urine, which is excreted for two hours after the administration of the test compound, is one fifth of that in the control group.

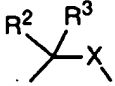
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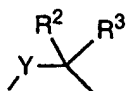
CLAIMS

1. A benzoheterocyclic derivative of the following formula [1]:

5



wherein G is a group of the formula:  or a group of the formula:



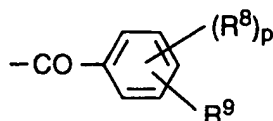
- 10 R^1 is a hydrogen atom, a halogen atom, a lower alkyl group, a lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, an amino-lower alkoxy group having optionally a substituent selected from a lower alkyl group and a lower alkanoyl group, an amino group having optionally a lower alkyl substituent, a carboxy-substituted lower alkoxy group, a lower alkoxycarbonyl-substituted lower alkoxy group, or an aminocarbonyl-lower alkoxy group
- 15 having optionally a lower alkyl substituent,
- R^2 is a group of the formula: $-NR^4R^5$ (in which R^4 and R^5 are the same or different, and each a hydrogen atom, a lower alkyl group having optionally a hydroxy substituent or a benzoyl group having optionally a halogen substituent
- 20 on the phenyl ring); a hydrogen atom; a hydroxy group; a lower alkoxy group; a carboxy-substituted lower alkyl group; a cyano-substituted lower alkyl group; a tetrazolyl-substituted lower alkyl group; a lower alkanoyloxy-substituted lower alkyl group; a lower alkoxycarbonyl-substituted lower alkyl group; an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent;
- 25 a lower alkoxycarbonyl-substituted lower alkoxy group; a carboxy-substituted lower alkoxy group; a lower alkanoyl group; or a group of the formula: $-(O)_m-A-(CO)_uNR^6R^7$ (in which m and u are each 0 or 1, but both m and u should not be simultaneously 0, A is a lower alkylene group, R^6 and R^7 are the same or different and each a hydrogen atom, a lower alkoxy group, a lower alkyl group,

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an amino-substituted lower alkyl group having optionally a lower alkyl substituent, a carbamoyl-substituted lower alkyl group, an adamantyl-substituted lower alkyl group, a lower alkylsulfonyl group, or a phenyl group having optionally a halogen substituent, or R⁶ and R⁷ may bind together with the nitrogen atom to which they bond to form a 5- to 7-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, said heterocyclic group being optionally substituted by a lower alkyl group or a phenyl-lower alkyl group),

R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, or R² and R³ may bind together to form an oxo group, a lower alkylidene group, a lower alkoxy-substituted lower alkylidene group, a lower alkoxycarbonyl-substituted lower alkylidene group, or a phenyl-substituted lower alkylidene group,

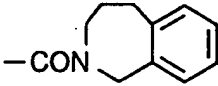
R is a pyridylcarbonyl group which may optionally have a substituent selected from a phenyl group having optionally a lower alkyl substituent and a pyridyl group on the pyridine ring; a 9-oxofluorenyl group; a quinolylcarbonyl group having optionally a phenyl substituent on the quinoline ring; an adamantylcarbonyl group; a thienylcarbonyl group having optionally a phenyl substituent on the thiophene ring; a thiazolylcarbonyl group having optionally a phenyl substituent on the thiazole ring; a cycloalkylcarbonyl group; or a group of the formula:



(in which p is 1 or 2, R⁸ is a hydrogen atom, a lower alkyl group, a hydroxy group, an amino group having optionally a lower alkanoyl substituent, a nitro group, a halogen atom or a lower alkoxy group, R⁹ is a group of the formula: -NR¹⁰R¹¹ (in which R¹⁰ is a hydrogen atom, a lower alkyl group, or a lower alkanoyl group having optionally a halogen substituent, R¹¹ is a lower alkyl group, a lower alkanoyl group having optionally a substituent selected from a halogen atom and a hydroxy group, a cycloalkyl group, a phenyl-lower alkyl group having optionally a substituent selected from a lower alkyl group and a halogen atom on the phenyl ring, and having optionally a hydroxy substituent

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on the alkyl moiety, a phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, a phenoxy-lower alkanoyl group having optionally a substituent selected from a lower alkyl group, a phenyl group, a lower alkoxy group, a halogen-substituted lower alkyl group, an amino group having optionally a lower alkyl substituent, a nitro group, a lower alkanoyl-substituted amino group and a halogen atom on the phenyl ring, and having optionally a halogen substituent on the lower alkanoyl moiety, an amino-carbonyl group having optionally a substituent selected from a lower alkyl group, a pyridyl-lower alkyl group and a phenyl-lower alkyl group, a lower alkoxy-carbonyl group having optionally a halogen substituent, a lower alkoxy-substituted lower alkanoyl group, a lower alkanoyloxy-substituted lower alkanoyl group, a phenoxy-lower alkoxy-carbonyl group, a benzofurylcarbonyl group, a benzimidazolylcarbonyl group, a quinolylcarbonyl group, a quinolyl-oxy-substituted lower alkanoyl group, a phenyl-lower alkoxy-carbonyl group, a

group of the formula: , a tetrahydroisoquinolinylcarbonyl group,

a benzoyl-lower alkyl group, a tetrahydroquinolyl-oxy-substituted lower alkanoyl group having optionally a substituent selected from a lower alkyl group and an oxo group on the quinoline ring, a lower alkylsulfonyl group, a pyridyl-lower alkoxy-carbonyl group, a fluorenyl-lower alkoxy-carbonyl group, a lower alkenyloxycarbonyl group, a tetrahydronaphthyl-oxy-substituted lower alkanoyl group, a phenyl-lower alkenylcarbonyl group, a piperidinyl-lower alkoxy-carbonyl group having optionally a substituent selected from a lower alkanoyl group, a lower alkoxy-carbonyl group and a lower alkyl group on the piperidine ring, or R¹⁰ and R¹¹ may bind together with the nitrogen atom to which they bond to form an isoindoline ring); a hydrogen atom; a lower alkanoyloxy group; a lower alkanoyl group; a lower alkoxy group; a benzoyl group having optionally a lower alkyl substituent on the phenyl ring; a cycloalkyl group; a lower alkyl group; a lower alkylthio group; a phenyl-lower alkanoyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl group having optionally a substituent selected from a lower alkyl group, a lower

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alkoxy group, a phenyl-lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, a halogen-substituted lower alkoxy group, a nitro group, an amino group having optionally a lower alkanoyl substituent, a phenyl group, and an amino-substituted lower alkoxy group having optionally a lower alkyl substituent; a phenoxy group; a phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; an anilino-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkoxy group having optionally a substituent selected from a halogen atom, a lower alkoxycarbonyl group and an aminocarbonyl group having optionally a substituent selected from a lower alkyl group and an amino-substituted lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a benzoyl-lower alkoxy group having optionally a halogen substituent on the phenyl ring; a phenyl-lower alkenyl group having optionally a halogen substituent on the phenyl ring; a benzoyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a pyrrolidiny-substituted lower alkoxy group; a saturated or unsaturated 5- to 11-membered heteromonocyclic or heterobicyclic group having 1 to 4 heteroatoms selected from a nitrogen atom, an oxygen atom and a sulfur atom, and said heterocyclic group being optionally substituted by 1 to 3 groups selected from a lower alkyl group, a phenyl group, a lower alkanoyl group, a halogen atom, a phenyl-lower alkyl group and an oxo group; a cycloalkenyl group; a phenyl-lower alkylamino-carbonyl group; an aminosulfonyloxy group having optionally a lower alkyl substituent; a cyano group; or a group of the formula: $-(A)_m-CHR^{12}R^{13}$ (in which A is the same as defined above, R^{12} is a hydrogen atom, a hydroxy group or a lower alkanoyloxy group, R^{13} is a phenyl group having optionally a lower alkyl substituent or a phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, and m is 0 or 1)),

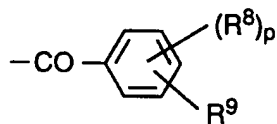
X is a methylene group, a single bond, a group of the formula: $=CH-$ or a group of the formula: $-NR^{14}-$ (in which R^{14} is a hydrogen atom, a lower alkyl group or a lower alkanoyl group),

Y is a group of the formula: $-NRA$ (in which RA is a hydrogen atom, a lower alkoxycarbonyl-substituted lower alkyl group, a carboxy-substituted lower alkyl

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group or a group of the formula: $-A\text{CONR}^B\text{R}^C$ (in which R^B and R^C are the same or different and each a hydrogen atom or a lower alkyl group, or R^B and R^C may bind together with the nitrogen atom to which they bond to form a 5- to 7-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, and said heterocyclic group optionally being substituted by a lower alkyl group)),

provided that when R^2 is a group of the formula: $-\text{NR}^4\text{R}^5$ (in which R^4 and R^5 are the same or different and each a hydrogen atom, a lower alkyl group or a benzoyl group), a hydrogen atom, a hydroxy group, a lower alkoxy-carbonyl-substituted lower alkoxy group, a carboxy-substituted lower alkoxy group, a lower alkoxy group, a lower alkanoyloxy-substituted lower alkyl group, a group of the formula: $-(\text{O})_m-\text{A}-(\text{CO})_u\text{NR}^6\text{R}^7$ (m and u are the same as defined above, R^6 and R^7 are the same or different and each a hydrogen atom or a lower alkyl group, or R^6 and R^7 may bind together with the nitrogen atom to which they bond to form a 5- to 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, and said heterocyclic group optionally being substituted by a lower alkyl group), or an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent; or R^2 and R^3 may bind together to form an oxo group or a lower alkylidene group; and when R is a group of the formula:



and R^8 in said group is a hydrogen atom, a lower alkyl group, a hydroxy group, a halogen atom, a lower alkoxy group or an amino group, then R^9 should not be a hydrogen atom, a phenyl-lower alkoxy-carbonyl group, nor a group of the formula: $-\text{NR}^{10}\text{R}^{11}$ (R^{11} is a lower alkanoyl group or a phenoxy-lower alkanoyl group having optionally 1 to 3 substituents selected from a lower alkyl group and a lower alkoxy group on the phenyl ring), or

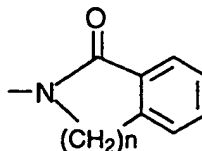
when R^1 is a hydrogen atom, R^2 is a hydrogen atom, an amino group, a mono-lower alkylamino group or a di-lower alkylamino group, or R^2 and R^3 may bind together to form an oxo group, then R^9 should not be a phenyl group having optionally a substituent selected from a hydroxy group, a lower alkyl

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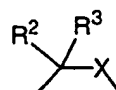
group, a lower alkoxy group and a lower alkanoyloxy group on the phenyl ring,
or

when R⁹ is a group of the formula:

5



(n is 1 or 2), and G is a group of the formula:



(R² and R³ are the same

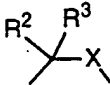
as defined above), then X should not be a methylene group nor a group of the
formula: =CH-, or

10 when one of R¹⁰ and R¹¹ is a hydrogen atom, the other should not be a
lower alkyl group, or

when R¹ and R² are simultaneously a hydrogen atom, then R should not
be unsubstituted pyridylcarbonyl group, unsubstituted thienylcarbonyl group,
unsubstituted thiazolylcarbonyl group, nor a cycloalkylcarbonyl group, or

15 when R³ is a hydrogen atom, R² is a hydrogen atom, an amino-
substituted lower alkanoyloxy group having optionally a lower alkyl substituent,
a lower alkoxy carbonyl-substituted lower alkoxy group, a hydroxy group, a
lower alkoxy group, a group of the formula: -(O)_m-A-(CO)_uNR⁶R⁷ (in which R⁶
and R⁷ are the same or different and each a hydrogen atom or a lower alkyl
20 group, m is 1, u is 0 or 1) or a group of the formula: -NR⁴R⁵ (in which R⁴ and R⁵
are the same or different and each a hydrogen atom or a lower alkyl group), or
R² and R³ may bind together to form an oxo group or a lower alkylidene group,
then R⁹ should not be a group of the formula: -NR¹⁰R¹¹ (in which R¹⁰ is a lower
alkyl group, R¹¹ is a lower alkyl group), a hydrogen atom, a lower alkyl group,
25 group, a lower alkoxy group nor a lower alkyl group,
or a pharmaceutically acceptable salt thereof.

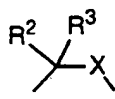
2. The compound according to claim 1, wherein G is a group of the

formula:  (in which R² and R³ are the same as defined in claim 1, and

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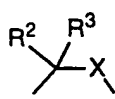
X is a methylene group), or a pharmaceutically acceptable salt thereof.

3. The compound according to claim 1, wherein G is a group of the

formula:  (in which R² and R³ are the same as defined in claim 1, and

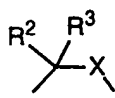
X is a single bond), or a pharmaceutically acceptable salt thereof.

5 4. The compound according to claim 1, wherein G is a group of the

formula:  (in which R² and R³ are the same as defined in claim 1, and

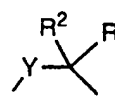
X is a group of the formula: =CH-), or a pharmaceutically acceptable salt thereof.

5. The compound according to claim 1, wherein G is a group of the

10 formula:  (in which R² and R³ are the same as defined in claim 1, and

X is a group of the formula: -NR¹⁴ (in which R¹⁴ is the same as defined in claim 1)), or a pharmaceutically acceptable salt thereof.

6. The compound according to claim 1, wherein G is a group of the

formula:  (in which R², R³ and Y are the same as defined in claim 1),

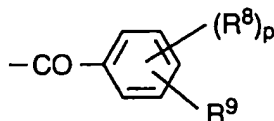
15 or a pharmaceutically acceptable salt thereof.

7. The compound according to claim 2, wherein R is a pyridylcarbonyl group having optionally a substituent selected from a phenyl group having optionally a lower alkyl substituent and a pyridyl group on the pyridine ring; a 9-oxofluorenyl group, a quinoly carbonyl group having optionally a phenyl substituent on the quinoline ring; an adamantylcarbonyl group; a thienylcarbonyl group having optionally a phenyl substituent on the thiophene ring; a thiazolylcarbonyl group having optionally a phenyl substituent on the thiazole ring; or a cycloalkylcarbonyl group, or a pharmaceutically acceptable salt thereof.

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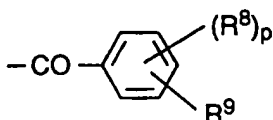
8. The compound according to claim 2, wherein R is a group of the formula:



wherein R⁸, R⁹ and p are the same as defined in claim 1, or a pharmaceutically acceptable salt thereof.

9. The compound according to claim 3, wherein R is a pyridylcarbonyl group having optionally a substituent selected from a phenyl group having optionally a lower alkyl substituent and a pyridyl group on the pyridine ring; a 9-oxofluorenyl group, a quinolylcarbonyl group having optionally a phenyl substituent on the quinoline ring; an adamantylcarbonyl group; a thienylcarbonyl group having optionally a phenyl substituent on the thiophene ring; a thiazolylcarbonyl group having optionally a phenyl substituent on the thiazole ring; or a cycloalkylcarbonyl group, or a pharmaceutically acceptable salt thereof.

10. The compound according to claim 3, wherein R is a group of the formula:



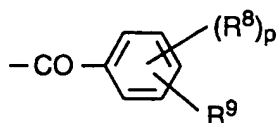
wherein R⁸, R⁹ and p are the same as defined in claim 1, or a pharmaceutically acceptable salt thereof.

11. The compound according to claim 4, wherein R is a pyridylcarbonyl group having optionally a substituent selected from a phenyl group having optionally a lower alkyl substituent and a pyridyl group on the pyridine ring; a 9-oxofluorenyl group, a quinolylcarbonyl group having optionally a phenyl substituent on the quinoline ring; an adamantylcarbonyl group; a thienylcarbonyl group having optionally a phenyl substituent on the thiophene ring; a thiazolylcarbonyl group having optionally a phenyl substituent on the thiazole ring; or a cycloalkylcarbonyl group, or a pharmaceutically acceptable salt thereof.

12. The compound according to claim 4, wherein R is a group of the

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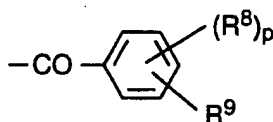
formula:



5 wherein R^8 , R^9 and p are the same as defined in claim 1, or a pharmaceutically acceptable salt thereof.

13. The compound according to claim 5, wherein R is a pyridylcarbonyl group having optionally a substituent selected from a phenyl group having optionally a lower alkyl substituent and a pyridyl group on the pyridine ring; a 9-oxofluorenyl group, a quinolylcarbonyl group having optionally a phenyl substituent on the quinoline ring; an adamantylcarbonyl group; a thienylcarbonyl group having optionally a phenyl substituent on the thiophene ring; a thiazolylcarbonyl group having optionally a phenyl substituent on the thiazole ring; or a cycloalkylcarbonyl group, or a pharmaceutically acceptable salt thereof.

14. The compound according to claim 5, wherein R is a group of the formula:

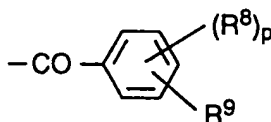


20 wherein R^8 , R^9 and p are the same as defined in claim 1, or a pharmaceutically acceptable salt thereof.

15. The compound according to claim 6, wherein R is a pyridylcarbonyl group having optionally a substituent selected from a phenyl group having optionally a lower alkyl substituent and a pyridyl group on the pyridine ring; a 9-oxofluorenyl group, a quinolylcarbonyl group having optionally a phenyl substituent on the quinoline ring; an adamantylcarbonyl group; a thienylcarbonyl group having optionally a phenyl substituent on the thiophene ring; a thiazolylcarbonyl group having optionally a phenyl substituent on the thiazole ring; or a cycloalkylcarbonyl group, or a pharmaceutically acceptable salt thereof.

16. The compound according to claim 6, wherein R is a group of the formula:

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5 wherein R^8 , R^9 and p are the same as defined in claim 1, or a pharmaceutically acceptable salt thereof.

17. The compound according to claim 8, wherein R^9 is a group of the formula: $-NR^{10}R^{11}$ (in which R^{10} and R^{11} are the same as defined in claim 1), or a pharmaceutically acceptable salt thereof.

10 18. The compound according to claim 8, wherein R^9 is a cycloalkyl group; a phenyl-lower alkanoyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl group having optionally a substituent selected from a lower alkyl group, a lower alkoxy group, a phenyl-lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, a halogen-substituted lower alkoxy group, a nitro group, an amino group having optionally a lower alkanoyl substituent, a phenyl group and an amino-lower alkoxy group having
15 optionally a lower alkyl substituent on the phenyl ring, or a pharmaceutically acceptable salt thereof.

19. The compound according to claim 8, wherein R^9 is a 5- to 11-membered, saturated or unsaturated heteromonocyclic or heterobicyclic group
20 having 1 to 4 heteroatoms selected from a nitrogen atom, an oxygen atom and a sulfur atom, and said heterocyclic group being optionally substituted 1 to 3 groups selected from a lower alkyl group, a phenyl group, a lower alkanoyl group, a halogen atom, a phenyl-lower alkyl group and an oxo group, or a pharmaceutically acceptable salt thereof.

25 20. The compound according to claim 8, wherein R^9 is a hydrogen atom; a lower alkanoyloxy group; a lower alkanoyl group; a lower alkoxy group; a benzoyl group having optionally a lower alkyl substituent on the phenyl ring; a lower alkyl group; a lower alkylthio group; a phenoxy group; a phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a
30 phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; an anilino-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkoxy group having optionally a substituent selected from a halogen atom, a lower alkoxycarbonyl group and

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an aminocarbonyl group having optionally a substituent selected from a lower alkyl group and an amino-substituted lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a benzoyl-lower alkoxy group having optionally a halogen substituent on the phenyl ring; a phenyl-lower alkenyl group having optionally a halogen substituent on the phenyl ring; a benzoyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a pyrrolidinyl-substituted lower alkoxy group; a cycloalkenyl group; a phenyl-lower alkylaminocarbonyl group; an aminosulfonyloxy group having optionally a lower alkyl substituent; a cyano group; or a group of the formula: $-(A)_m-CHR^{12}R^{13}$ (in which A is a lower alkylene group, R^{12} is a hydrogen atom, a hydroxy group or a lower alkanoyloxy group, R^{13} is a phenyl group having optionally a lower alkyl substituent or a phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, and m is 0 or 1), or a pharmaceutically acceptable salt thereof.

21. The compound according to claim 14, wherein R^9 is a group of the formula: $-NR^{10}R^{11}$ (in which R^{10} and R^{11} are the same as defined in claim 1), or a pharmaceutically acceptable salt thereof.

22. The compound according to claim 14, wherein R^9 is a cycloalkyl group; a phenyl-lower alkanoyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl group having optionally a substituent selected from a lower alkyl group, a lower alkoxy group, a phenyl-lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, a halogen-substituted lower alkoxy group, a nitro group, an amino group having optionally a lower alkanoyl substituent, a phenyl group and an amino-lower alkoxy group having optionally a lower alkyl substituent on the phenyl ring, or a pharmaceutically acceptable salt thereof.

23. The compound according to claim 14, wherein R^9 is a 5- to 11-membered, saturated or unsaturated heteromonocyclic or heterobicyclic group having 1 to 4 heteroatoms selected from a nitrogen atom, an oxygen atom and a sulfur atom, and said heterocyclic group being optionally substituted 1 to 3 groups selected from a lower alkyl group, a phenyl group, a lower alkanoyl group, a halogen atom, a phenyl-lower alkyl group and an oxo group, or a pharmaceutically acceptable salt thereof.

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24. The compound according to claim 14, wherein R⁹ is a hydrogen atom; a lower alkanoyloxy group; a lower alkanoyl group; a lower alkoxy group; a benzoyl group having optionally a lower alkyl substituent on the phenyl ring; a lower alkyl group; a lower alkylthio group; a phenoxy group; a phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; an anilino-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkoxy group having optionally a substituent selected from a halogen atom, a lower alkoxycarbonyl group and an aminocarbonyl group having optionally a substituent selected from a lower alkyl group and an amino-substituted lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a benzoyl-lower alkoxy group having optionally a halogen substituent on the phenyl ring; a phenyl-lower alkenyl group having optionally a halogen substituent on the phenyl ring; a benzoyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a pyrrolidiny-substituted lower alkoxy group; a cycloalkenyl group; a phenyl-lower alkylaminocarbonyl group; an aminosulfonyloxy group having optionally a lower alkyl substituent; a cyano group; or a group of the formula: $-(A)_m-CHR^{12}R^{13}$ (in which A is a lower alkylene group, R¹² is a hydrogen atom, a hydroxy group or a lower alkanoyloxy group, R¹³ is a phenyl group having optionally a lower alkyl substituent or a phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, and m is 0 or 1), or a pharmaceutically acceptable salt thereof.

25. The compound according to claim 16, wherein R⁹ is a group of the formula: $-NR^{10}R^{11}$ (in which R¹⁰ and R¹¹ are the same as defined in claim 1), or a pharmaceutically acceptable salt thereof.

26. The compound according to claim 16, wherein R⁹ is a cyclolakyl group; a phenyl-lower alkanoyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl group having optionally a substituent selected from a lower alkyl group, a lower alkoxy group, a phenyl-lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, a halogen-substituted lower alkoxy group, a nitro group, an amino group having optionally a lower alkanoyl substituent, a phenyl group and an amino-lower alkoxy group having

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optionally a lower alkyl substituent on the phenyl ring, or a pharmaceutically acceptable salt thereof.

27. The compound according to claim 16, wherein R⁹ is a 5- to 11-membered, saturated or unsaturated heteromonocyclic or heterobicyclic group having 1 to 4 heteroatoms selected from a nitrogen atom, an oxygen atom and a sulfur atom, and said heterocyclic group being optionally substituted 1 to 3 groups selected from a lower alkyl group, a phenyl group, a lower alkanoyl group, a halogen atom, a phenyl-lower alkyl group and an oxo group, or a pharmaceutically acceptable salt thereof.

28. The compound according to claim 16, wherein R⁹ is a hydrogen atom; a lower alkanoyloxy group; a lower alkanoyl group; a lower alkoxy group; a benzoyl group having optionally a lower alkyl substituent on the phenyl ring; a lower alkyl group; a lower alkylthio group; a phenoxy group; a phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; an anilino-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkoxy group having optionally a substituent selected from a halogen atom, a lower alkoxycarbonyl group and an aminocarbonyl group having optionally a substituent selected from a lower alkyl group and an amino-substituted lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a benzoyl-lower alkoxy group having optionally a halogen substituent on the phenyl ring; a phenyl-lower alkenyl group having optionally a halogen substituent on the phenyl ring; a benzoyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a pyrrolidiny-substituted lower alkoxy group; a cycloalkenyl group; a phenyl-lower alkylaminocarbonyl group; an aminosulfonyloxy group having optionally a lower alkyl substituent; a cyano group; or a group of the formula: $-(A)_m-CHR^{12}R^{13}$ (in which A is a lower alkylene group, R¹² is a hydrogen atom, a hydroxy group or a lower alkanoyloxy group, R¹³ is a phenyl group having optionally a lower alkyl substituent or a phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, and m is 0 or 1), or a pharmaceutically acceptable salt thereof.

29. The compound according to claim 18, wherein R² is a group of the

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formula: $-NR^4R^5$ (in which R^4 and R^5 are the same as defined in claim 1), R^3 is a hydrogen atom, or a pharmaceutically acceptable salt thereof.

30. The compound according to claim 18, wherein R^2 is a group of the formula: $-(O)_m-A-(CO)_uNR^6R^7$ (in which R^6 , R^7 , m and u are the same as defined in claim 1), R^3 is a hydrogen atom, or a pharmaceutically acceptable salt thereof.

31. The compound according to claim 18, wherein R^2 is a hydrogen atom; a hydroxy group; a lower alkoxy group; a carboxy-substituted lower alkyl group; a cyano-substituted lower alkyl group; a tetrazolyl-substituted lower alkyl group; a lower alkanoyloxy-substituted lower alkyl group; a lower alkoxy-carbonyl-substituted lower alkyl group; an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent; a lower alkoxy-carbonyl-substituted lower alkoxy group; a carboxy-substituted lower alkoxy group, or a lower alkanoyl group, and R^3 is a hydrogen atom, or a pharmaceutically acceptable salt thereof.

32. The compound according to claim 18, wherein R^3 is a hydroxy-substituted lower alkyl group, or a pharmaceutically acceptable salt thereof.

33. The compound according to claim 18, wherein R^2 and R^3 bind together to form an oxo group, a lower alkylidene group, a lower alkoxy-substituted lower alkylidene group, a lower alkoxy-carbonyl-substituted lower alkylidene group, or a phenyl-substituted lower alkylidene group, or a pharmaceutically acceptable salt thereof.

34. The compound according to claim 22, wherein R^2 is a group of the formula: $-NR^4R^5$ (in which R^4 and R^5 are the same as defined in claim 1), R^3 is a hydrogen atom, or a pharmaceutically acceptable salt thereof.

35. The compound according to claim 22, wherein R^2 is a group of the formula: $-(O)_m-A-(CO)_uNR^6R^7$ (in which R^6 , R^7 , A , m and u are the same as defined in claim 1), R^3 is a hydrogen atom, or a pharmaceutically acceptable salt thereof.

36. The compound according to claim 22, wherein R^2 is a hydrogen atom; a hydroxy group; a lower alkoxy group; a carboxy-substituted lower alkyl group; a cyano-substituted lower alkyl group; a tetrazolyl-substituted lower alkyl group; a lower alkanoyloxy-substituted lower alkyl group; a lower alkoxy-

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carbonyl-substituted lower alkyl group; an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent; a lower alkoxy-carbonyl-substituted lower alkoxy group; a carboxy-substituted lower alkoxy group, or a lower alkanoyl group, and R³ is a hydrogen atom, or a pharmaceutically acceptable salt thereof.

37. The compound according to claim 22, wherein R³ is a hydroxy-substituted lower alkyl group, or a pharmaceutically acceptable salt thereof.

38. The compound according to claim 22, wherein R² and R³ bind together to form an oxo group, a lower alkylidene group, a lower alkoxy-substituted lower alkylidene group, a lower alkoxy-carbonyl-substituted lower alkylidene group, or a phenyl-substituted lower alkylidene group, or a pharmaceutically acceptable salt thereof.

39. The compound according to claim 26, wherein R² is a group of the formula: -NR⁴R⁵ (in which R⁴ and R⁵ are the same as defined in claim 1), R³ is a hydrogen atom, or a pharmaceutically acceptable salt thereof.

40. The compound according to claim 26, wherein R² is a group of the formula: -(O)_m-A-(CO)_uNR⁶R⁷ (in which R⁶, R⁷, A, m and u are the same as defined in claim 1), R³ is a hydrogen atom, or a pharmaceutically acceptable salt thereof.

41. The compound according to claim 26, wherein R² is a hydrogen atom; a hydroxy group; a lower alkoxy group; a carboxy-substituted lower alkyl group; a cyano-substituted lower alkyl group; a tetrazolyl-substituted lower alkyl group; a lower alkanoyloxy-substituted lower alkyl group; a lower alkoxy-carbonyl-substituted lower alkyl group; an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent; a lower alkoxy-carbonyl-substituted lower alkoxy group; a carboxy-substituted lower alkoxy group, or a lower alkanoyl group, and R³ is a hydrogen atom, or a pharmaceutically acceptable salt thereof.

42. The compound according to claim 26, wherein R³ is a hydroxy-substituted lower alkyl group, or a pharmaceutically acceptable salt thereof.

43. The compound according to claim 26, wherein R² and R³ bind together to form an oxo group, a lower alkylidene group, a lower alkoxy-substituted lower alkylidene group, a lower alkoxy-carbonyl-substituted lower

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alkylidene group, or a phenyl-substituted lower alkylidene group, or a pharmaceutically acceptable salt thereof.

44. The compound according to claim 30, wherein m is 0, n is 1, R⁶ and R⁷ are the same or different and each a hydrogen atom, a lower alkoxy group, a lower alkyl group, an amino-substituted lower alkyl group having optionally a lower alkyl substituent, a carbamoyl-substituted lower alkyl group, an adamantyl-substituted lower alkyl group, a lower alkylsulfonyl group, or a phenyl group having optionally a halogen substituent, or a pharmaceutically acceptable salt thereof.

45. The compound according to claim 30, wherein m is 0, n is 1, R⁶ and R⁷ bind together with the nitrogen atom to which they bond to form a 5- to 7-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, said heterocyclic group being optionally substituted by a lower alkyl group or a phenyl-lower alkyl group, or a pharmaceutically acceptable salt thereof.

46. The compound according to claim 30, wherein both m and n are 1, or a pharmaceutically acceptable salt thereof.

47. The compound according to claim 35, wherein m is 0, n is 1, R⁶ and R⁷ are the same or different and each a hydrogen atom, a lower alkoxy group, a lower alkyl group, an amino-substituted lower alkyl group having optionally a lower alkyl substituent, a carbamoyl-substituted lower alkyl group, an adamantyl-substituted lower alkyl group, a lower alkylsulfonyl group, or a phenyl group having optionally a halogen substituent, or a pharmaceutically acceptable salt thereof.

48. The compound according to claim 35, wherein m is 0, n is 1, R⁶ and R⁷ bind together with the nitrogen atom to which they bond to form a 5- to 7-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, said heterocyclic group being optionally substituted by a lower alkyl group or a phenyl-lower alkyl group, or a pharmaceutically acceptable salt thereof.

49. The compound according to claim 35, wherein both m and n are 1, or a pharmaceutically acceptable salt thereof.

50. The compound according to claim 40, wherein m is 0, n is 1, R⁶ and

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R⁷ are the same or different and each a hydrogen atom, a lower alkoxy group, a lower alkyl group, an amino-substituted lower alkyl group having optionally a lower alkyl substituent, a carbamoyl-substituted lower alkyl group, an adamantyl-substituted lower alkyl group, a lower alkylsulfonyl group, or a phenyl group having optionally a halogen substituent, or a pharmaceutically acceptable salt thereof.

51. The compound according to claim 40, wherein m is 0, n is 1, R⁶ and R⁷ bind together with the nitrogen atom to which they bond to form a 5- to 7-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, said heterocyclic group being optionally substituted by a lower alkyl group or a phenyl-lower alkyl group, or a pharmaceutically acceptable salt thereof.

52. The compound according to claim 40, wherein both m and n are 1, or a pharmaceutically acceptable salt thereof.

53. The compound according to any one of claims 7 to 16, wherein R¹ is a hydrogen atom or a halogen atom, or a pharmaceutically acceptable salt thereof.

54. The compound according to any one of claims 7 to 16, wherein R¹ is a lower alkyl group, a lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, an amino-lower alkoxy group having optionally a substituent selected from a lower alkyl group and a lower alkanoyl group, an amino group having optionally a lower alkyl substituent, a carboxy-substituted lower alkoxy group, a lower alkoxycarbonyl-substituted lower alkoxy group, or an aminocarbonyl-lower alkoxy group having optionally a lower alkyl substituent, or a pharmaceutically acceptable salt thereof.

55. The compound according to claim 17, wherein R² is a group of the formula: $-(O)_m-A-(CO)_nNR^6R^7$ (in which R⁶, R⁷, A, m and n are the same as defined in claim 1), and R³ is a hydrogen atom, or a pharmaceutically acceptable salt thereof.

56. 5-[(4-Methyl-1-piperazinyl)carbonylmethyl]-1-[4-(4-methoxyphenyl)-2-methylbenzoyl]-2,3,4,5-tetrahydro-1H-benzazepine.

57. 5-[(4-Methyl-1-piperazinyl)carbonylmethyl]-1-[4-cyclohexyl-2-methylbenzoyl]-2,3,4,5-tetrahydro-1H-benzazepine.

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58. 5-Isopropylaminocarbonylmethyl-1-(2-chloro-4-dimethylamino-benzoyl)-2,3,4,5-tetrahydro-1H-benzazepine.

59. A vasopressin antagonist, which comprises as an active ingredient a benzoheterocyclic derivative as set forth in claim 1, or a pharmaceutically acceptable salt thereof, in admixture with a pharmaceutically acceptable carrier or diluent.

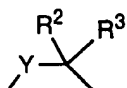
60. An oxytocin antagonist, which comprises as an active ingredient a benzoheterocyclic compound as set forth in claim 1, or a pharmaceutically acceptable salt thereof, in admixture with a pharmaceutically acceptable carrier or diluent.

61. A vasopressin agonist, which comprises as an active ingredient a benzoheterocyclic compound as set forth in claim 1, or a pharmaceutically acceptable salt thereof, in admixture with a pharmaceutically acceptable carrier or diluent.

62. A method for antagonizing vasopressin, which comprises administering to a warm-blooded animal including a human being a therapeutically effective amount of a benzoheterocyclic derivative of the following formula [1]:



wherein G is a group of the formula: or a group of the formula:



25 R^1 is a hydrogen atom, a halogen atom, a lower alkyl group, a lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, an amino-lower alkoxy group having optionally a substituent selected from a lower alkyl group and a lower alkanoyl group, an amino group having optionally a lower alkyl substituent, a carboxy-substituted lower alkoxy group, a lower alkoxycarbonyl-

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substituted lower alkoxy group, or an aminocarbonyl-lower alkoxy group having optionally a lower alkyl substituent,

5 R^2 is a group of the formula: $-NR^4R^5$ (in which R^4 and R^5 are the same or different, and each a hydrogen atom, a lower alkyl group having optionally a hydroxy substituent or a benzoyl group having optionally a halogen substituent on the phenyl ring); a hydrogen atom; a hydroxy group; a lower alkoxy group; a carboxy-substituted lower alkyl group; a cyano-substituted lower alkyl group; a tetrazolyl-substituted lower alkyl group; a lower alkanoyloxy-substituted lower alkyl group; a lower alkoxycarbonyl-substituted lower alkyl group; an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent; 10 a lower alkoxycarbonyl-substituted lower alkoxy group; a carboxy-substituted lower alkoxy group; a lower alkanoyl group; or a group of the formula: $-(O)_m-A-(CO)_uNR^6R^7$ (in which m and u are each 0 or 1, but both m and u should not be simultaneously 0, A is a lower alkylene group, R^6 and R^7 are the same or 15 different and each a hydrogen atom, a lower alkoxy group, a lower alkyl group, an amino-substituted lower alkyl group having optionally a lower alkyl substituent, a carbamoyl-substituted lower alkyl group, an adamantyl-substituted lower alkyl group, a lower alkylsulfonyl group, or a phenyl group having optionally a halogen substituent, or R^6 and R^7 may bind together with 20 the nitrogen atom to which they bond to form a 5- to 7-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, said heterocyclic group being optionally substituted by a lower alkyl group or a phenyl-lower alkyl group),

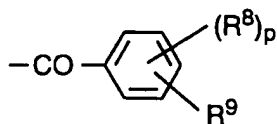
25 R^3 is a hydrogen atom or a hydroxy-substituted lower alkyl group, or R^2 and R^3 may bind together to form an oxo group, a lower alkylidene group, a lower alkoxy-substituted lower alkylidene group, a lower alkoxycarbonyl-substituted lower alkylidene group, or a phenyl-substituted lower alkylidene group,

30 R is a pyridylcarbonyl group which may optionally have a substituent selected from a phenyl group having optionally a lower alkyl substituent and a pyridyl group on the pyridine ring; a 9-oxofluorenyl group; a quinolylcarbonyl group having optionally a phenyl substituent on the quinoline ring; an adamantylcarbonyl group; a thienylcarbonyl group having optionally a phenyl

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substituent on the thiophene ring; a thiazolylcarbonyl group having optionally a phenyl substituent on the thiazole ring; a cycloalkylcarbonyl group; or a group of the formula:

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(in which p is 1 or 2, R⁸ is a hydrogen atom, a lower alkyl group, a hydroxy group, an amino group having optionally a lower alkanoyl substituent, a nitro group, a halogen atom or a lower alkoxy group, R⁹ is a group of the formula: -NR¹⁰R¹¹ (in which R¹⁰ is a hydrogen atom, a lower alkyl group, or a lower alkanoyl group having optionally a halogen substituent, R¹¹ is a lower alkyl group, a lower alkanoyl group having optionally a substituent selected from a halogen atom and a hydroxy group, a cycloalkyl group, a phenyl-lower alkyl group having optionally a substituent selected from a lower alkyl group and a halogen atom on the phenyl ring, and having optionally a hydroxy substituent on the alkyl moiety, a phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, a phenoxy-lower alkanoyl group having optionally a substituent selected from a lower alkyl group, a phenyl group, a lower alkoxy group, a halogen-substituted lower alkyl group, an amino group having optionally a lower alkyl substituent, a nitro group, a lower alkanoyl-substituted amino group and a halogen atom on the phenyl ring, and having optionally a halogen substituent on the lower alkanoyl moiety, an amino-carbonyl group having optionally a substituent selected from a lower alkyl group, a pyridyl-lower alkyl group and a phenyl-lower alkyl group, a lower alkoxy-carbonyl group having optionally a halogen substituent, a lower alkoxy-substituted lower alkanoyl group, a lower alkanoyloxy-substituted lower alkanoyl group, a phenoxy-lower alkoxy-carbonyl group, a benzofurylcarbonyl group, a benzimidazolylcarbonyl group, a quinolylcarbonyl group, a quinolyl-oxy-substituted lower alkanoyl group, a phenyl-lower alkoxy-carbonyl group, a

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group of the formula: , a tetrahydroisoquinolinylcarbonyl group,

The diagram shows a tetrahydroisoquinolinyl group, which consists of a benzene ring fused to a six-membered ring containing a nitrogen atom. The nitrogen atom is part of a carbonyl group (-CON-).

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a benzoyl-lower alkyl group, a tetrahydroquinolyloxy-substituted lower alkanoyl group having optionally a substituent selected from a lower alkyl group and an oxo group on the quinoline ring, a lower alkylsulfonyl group, a pyridyl-lower alkoxy-carbonyl group, a fluorenyl-lower alkoxy-carbonyl group, a lower alkenyloxy-carbonyl group, a tetrahydronaphthylloxy-substituted lower alkanoyl group, a phenyl-lower alkenyl-carbonyl group, a piperidinyl-lower alkoxy-carbonyl group having optionally a substituent selected from a lower alkanoyl group, a lower alkoxy-carbonyl group and a lower alkyl group on the piperidine ring, or R¹⁰ and R¹¹ may bind together with the nitrogen atom to which they bond to form an isoindoline ring); a hydrogen atom; a lower alkanoyloxy group; a lower alkanoyl group; a lower alkoxy group; a benzoyl group having optionally a lower alkyl substituent on the phenyl ring; a cycloalkyl group; a lower alkyl group; a lower alkylthio group; a phenyl-lower alkanoyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl group having optionally a substituent selected from a lower alkyl group, a lower alkoxy group, a phenyl-lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, a halogen-substituted lower alkoxy group, a nitro group, an amino group having optionally a lower alkanoyl substituent, a phenyl group, and an amino-substituted lower alkoxy group having optionally a lower alkyl substituent; a phenoxy group; a phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; an anilino-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkoxy group having optionally a substituent selected from a halogen atom, a lower alkoxy-carbonyl group and an aminocarbonyl group having optionally a substituent selected from a lower alkyl group and an amino-substituted lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a benzoyl-lower alkoxy group having optionally a halogen substituent on the phenyl ring; a phenyl-lower alkenyl group having optionally a halogen substituent on the phenyl ring; a benzoyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a pyrrolidinyl-substituted lower alkoxy group; a saturated or unsaturated 5- to 11-membered heteromonocyclic or heterobicyclic group having 1 to 4 heteroatoms selected

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from a nitrogen atom, an oxygen atom and a sulfur atom, and said heterocyclic group being optionally substituted by 1 to 3 groups selected from a lower alkyl group, a phenyl group, a lower alkanoyl group, a halogen atom, a phenyl-lower alkyl group and an oxo group; a cycloalkenyl group; a phenyl-lower alkylamino-carbonyl group; an aminosulfonyloxy group having optionally a lower alkyl substituent; a cyano group; or a group of the formula: $-(A)_m-CHR^{12}R^{13}$ (in which A is the same as defined above, R^{12} is a hydrogen atom, a hydroxy group or a lower alkanoyloxy group, R^{13} is a phenyl group having optionally a lower alkyl substituent or a phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, and m is 0 or 1)),

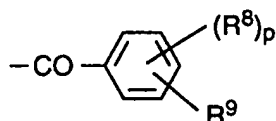
X is a methylene group, a single bond, a group of the formula: $=CH-$ or a group of the formula: $-NR^{14}-$ (in which R^{14} is a hydrogen atom, a lower alkyl group or a lower alkanoyl group),

Y is a group of the formula: $-NRA^A$ (in which R^A is a hydrogen atom, a lower alkoxy-carbonyl-substituted lower alkyl group, a carboxy-substituted lower alkyl group or a group of the formula: $-ACONR^BR^C$ (in which R^B and R^C are the same or different and each a hydrogen atom or a lower alkyl group, or R^B and R^C may bind together with the nitrogen atom to which they bond to form a 5- to 7-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, and said heterocyclic group optionally being substituted by a lower alkyl group)),

provided that when R^2 is a group of the formula: $-NR^4R^5$ (in which R^4 and R^5 are the same or different and each a hydrogen atom, a lower alkyl group or a benzoyl group), a hydrogen atom, a hydroxy group, a lower alkoxy-carbonyl-substituted lower alkoxy group, a carboxy-substituted lower alkoxy group, a lower alkoxy group, a lower alkanoyloxy-substituted lower alkyl group, a group of the formula: $-(O)_m-A-(CO)_uNR^6R^7$ (m and u are the same as defined above, R^6 and R^7 are the same or different and each a hydrogen atom or a lower alkyl group, or R^6 and R^7 may bind together with the nitrogen atom to which they bond to form a 5- to 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, and said heterocyclic group optionally being substituted by a lower alkyl group), or an amino-substituted lower alkanoyloxy group having optionally a lower alkyl

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substituent; or R^2 and R^3 may bind together to form an oxo group or a lower alkylidene group; and when R is a group of the formula:



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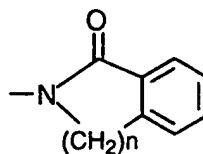
and R^8 in said group is a hydrogen atom, a lower alkyl group, a hydroxy group, a halogen atom, a lower alkoxy group or an amino group, then R^9 should not be a hydrogen atom, a phenyl-lower alkoxy carbonyl group, nor a group of the formula: $-\text{NR}^{10}\text{R}^{11}$ (R^{11} is a lower alkanoyl group or a phenoxy-lower alkanoyl group having optionally 1 to 3 substituents selected from a lower alkyl group and a lower alkoxy group on the phenyl ring), or

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when R^1 is a hydrogen atom, R^2 is a hydrogen atom, an amino group, a mono-lower alkylamino group or a di-lower alkylamino group, or R^2 and R^3 may bind together to form an oxo group, then R^9 should not be a phenyl group having optionally a substituent selected from a hydroxy group, a lower alkyl group, a lower alkoxy group and a lower alkanoyloxy group on the phenyl ring, or

15

when R^9 is a group of the formula:



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(n is 1 or 2), and G is a group of the formula:  (R^2 and R^3 are the same

as defined above), then X should not be a methylene group nor a group of the formula: $=\text{CH}-$, or

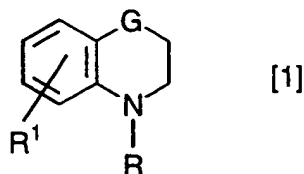
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when one of R^{10} and R^{11} is a hydrogen atom, the other should not be a lower alkyl group, or a pharmaceutically acceptable salt thereof.

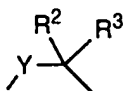
63. A method for antagonizing oxytocin, which comprises administering to a warm-blooded animal including a human being a therapeutically effective amount of a benzoheterocyclic derivative of the following formula [1]:

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5 wherein G is a group of the formula: or a group of the formula:



10 R¹ is a hydrogen atom, a halogen atom, a lower alkyl group, a lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, an amino-lower alkoxy group having optionally a substituent selected from a lower alkyl group and a lower alkanoyl group, an amino group having optionally a lower alkyl substituent, a carboxy-substituted lower alkoxy group, a lower alkoxy-carbonyl-substituted lower alkoxy group, or an amino-carbonyl-lower alkoxy group having optionally a lower alkyl substituent,

15 R² is a group of the formula: -NR⁴R⁵ (in which R⁴ and R⁵ are the same or different, and each a hydrogen atom, a lower alkyl group having optionally a hydroxy substituent or a benzoyl group having optionally a halogen substituent on the phenyl ring); a hydrogen atom; a hydroxy group; a lower alkoxy group; a carboxy-substituted lower alkyl group; a cyano-substituted lower alkyl group; a tetrazolyl-substituted lower alkyl group; a lower alkanoyloxy-substituted lower alkyl group; a lower alkoxy-carbonyl-substituted lower alkyl group; an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent; a lower alkoxy-carbonyl-substituted lower alkoxy group; a carboxy-substituted lower alkoxy group; a lower alkanoyl group; or a group of the formula: -(O)_m-A-(CO)_uNR⁶R⁷ (in which m and u are each 0 or 1, but both m and u should not be simultaneously 0, A is a lower alkylene group, R⁶ and R⁷ are the same or different and each a hydrogen atom, a lower alkoxy group, a lower alkyl group, an amino-substituted lower alkyl group having optionally a lower alkyl substituent, a carbamoyl-substituted lower alkyl group, an adamantyl-substituted lower alkyl group, a lower alkylsulfonyl group, or a phenyl group

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having optionally a halogen substituent, or R⁶ and R⁷ may bind together with the nitrogen atom to which they bond to form a 5- to 7-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, said heterocyclic group being optionally substituted by a lower alkyl group or a phenyl-lower alkyl group),

R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, or R² and R³ may bind together to form an oxo group, a lower alkylidene group, a lower alkoxy-substituted lower alkylidene group, a lower alkoxy-carbonyl-substituted lower alkylidene group, or a phenyl-substituted lower alkylidene group,

R is a pyridylcarbonyl group which may optionally have a substituent selected from a phenyl group having optionally a lower alkyl substituent and a pyridyl group on the pyridine ring; a 9-oxofluorenyl group; a quinolylcarbonyl group having optionally a phenyl substituent on the quinoline ring; an adamantylcarbonyl group; a thienylcarbonyl group having optionally a phenyl substituent on the thiophene ring; a thiazolylcarbonyl group having optionally a phenyl substituent on the thiazole ring; a cycloalkylcarbonyl group; or a group of the formula:



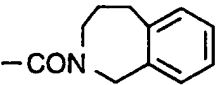
(in which p is 1 or 2, R⁸ is a hydrogen atom, a lower alkyl group, a hydroxy group, an amino group having optionally a lower alkanoyl substituent, a nitro group, a halogen atom or a lower alkoxy group, R⁹ is a group of the formula: -NR¹⁰R¹¹ (in which R¹⁰ is a hydrogen atom, a lower alkyl group, or a lower alkanoyl group having optionally a halogen substituent, R¹¹ is a lower alkyl group, a lower alkanoyl group having optionally a substituent selected from a halogen atom and a hydroxy group, a cycloalkyl group, a phenyl-lower alkyl group having optionally a substituent selected from a lower alkyl group and a halogen atom on the phenyl ring, and having optionally a hydroxy substituent on the alkyl moiety, a phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, a phenoxy-lower alkanoyl group having optionally a substituent selected from a lower alkyl group, a phenyl group, a

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lower alkoxy group, a halogen-substituted lower alkyl group, an amino group having optionally a lower alkyl substituent, a nitro group, a lower alkanoyl-substituted amino group and a halogen atom on the phenyl ring, and having optionally a halogen substituent on the lower alkanoyl moiety, an amino-

5 carbonyl group having optionally a substituent selected from a lower alkyl group, a pyridyl-lower alkyl group and a phenyl-lower alkyl group, a lower alkoxycarbonyl group having optionally a halogen substituent, a lower alkoxy-substituted lower alkanoyl group, a lower alkanoyloxy-substituted lower alkanoyl group, a phenoxy-lower alkoxycarbonyl group, a benzofurylcarbonyl

10 group, a benzimidazolylcarbonyl group, a quinolylcarbonyl group, a quinolyl-oxy-substituted lower alkanoyl group, a phenyl-lower alkoxycarbonyl group, a

group of the formula:  , a tetrahydroisoquinolinylcarbonyl group,

a benzoyl-lower alkyl group, a tetrahydroquinolyl-oxy-substituted lower alkanoyl group having optionally a substituent selected from a lower alkyl group and an

15 oxo group on the quinoline ring, a lower alkylsulfonyl group, a pyridyl-lower alkoxycarbonyl group, a fluorenyl-lower alkoxycarbonyl group, a lower alkenyloxycarbonyl group, a tetrahydronaphthyl-oxy-substituted lower alkanoyl group, a phenyl-lower alkenylcarbonyl group, a piperidinyl-lower alkoxy-

20 carbonyl group having optionally a substituent selected from a lower alkanoyl group, a lower alkoxycarbonyl group and a lower alkyl group on the piperidine ring, or R¹⁰ and R¹¹ may bind together with the nitrogen atom to which they bond to form an isoindoline ring); a hydrogen atom; a lower alkanoyloxy group;

a lower alkanoyl group; a lower alkoxy group; a benzoyl group having optionally a lower alkyl substituent on the phenyl ring; a cycloalkyl group; a

25 lower alkyl group; a lower alkylthio group; a phenyl-lower alkanoyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl group having optionally a substituent selected from a lower alkyl group, a lower alkoxy group, a phenyl-lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, a halogen-substituted lower alkoxy group, a nitro group, an

30 amino group having optionally a lower alkanoyl substituent, a phenyl group,

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and an amino-substituted lower alkoxy group having optionally a lower alkyl substituent; a phenoxy group; a phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; an anilino-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkoxy group having optionally a substituent selected from a halogen atom, a lower alkoxycarbonyl group and an aminocarbonyl group having optionally a substituent selected from a lower alkyl group and an amino-substituted lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a benzoyl-lower alkoxy group having optionally a halogen substituent on the phenyl ring; a phenyl-lower alkenyl group having optionally a halogen substituent on the phenyl ring; a benzoyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a pyrrolidinyl-substituted lower alkoxy group; a saturated or unsaturated 5- to 11-membered heteromonocyclic or heterobicyclic group having 1 to 4 heteroatoms selected from a nitrogen atom, an oxygen atom and a sulfur atom, and said heterocyclic group being optionally substituted by 1 to 3 groups selected from a lower alkyl group, a phenyl group, a lower alkanoyl group, a halogen atom, a phenyl-lower alkyl group and an oxo group; a cycloalkenyl group; a phenyl-lower alkylamino-carbonyl group; an aminosulfonyloxy group having optionally a lower alkyl substituent; a cyano group; or a group of the formula: $-(A)_m-CHR^{12}R^{13}$ (in which A is the same as defined above, R^{12} is a hydrogen atom, a hydroxy group or a lower alkanoyloxy group, R^{13} is a phenyl group having optionally a lower alkyl substituent or a phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, and m is 0 or 1)),

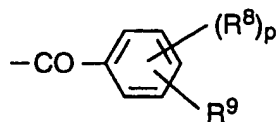
X is a methylene group, a single bond, a group of the formula: $=CH-$ or a group of the formula: $-NR^{14}-$ (in which R^{14} is a hydrogen atom, a lower alkyl group or a lower alkanoyl group),

Y is a group of the formula: $-NRA$ (in which RA is a hydrogen atom, a lower alkoxy-carbonyl-substituted lower alkyl group, a carboxy-substituted lower alkyl group or a group of the formula: $-ACONR^BR^C$ (in which R^B and R^C are the same or different and each a hydrogen atom or a lower alkyl group, or R^B and R^C may bind together with the nitrogen atom to which they bond to form a 5- to 7-

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membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, and said heterocyclic group optionally being substituted by a lower alkyl group)),

provided that when R² is a group of the formula: -NR⁴R⁵ (in which R⁴ and R⁵ are the same or different and each a hydrogen atom, a lower alkyl group or a benzoyl group), a hydrogen atom, a hydroxy group, a lower alkoxy-carbonyl-substituted lower alkoxy group, a carboxy-substituted lower alkoxy group, a lower alkoxy group, a lower alkanoyloxy-substituted lower alkyl group, a group of the formula: -(O)_m-A-(CO)_uNR⁶R⁷ (m and u are the same as defined above, R⁶ and R⁷ are the same or different and each a hydrogen atom or a lower alkyl group, or R⁶ and R⁷ may bind together with the nitrogen atom to which they bond to form a 5- to 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, and said heterocyclic group optionally being substituted by a lower alkyl group), or an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent; or R² and R³ may bind together to form an oxo group or a lower alkylidene group; and when R is a group of the formula:

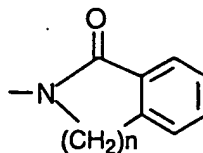


and R⁸ in said group is a hydrogen atom, a lower alkyl group, a hydroxy group, a halogen atom, a lower alkoxy group or an amino group, then R⁹ should not be a hydrogen atom, a phenyl-lower alkoxy-carbonyl group, nor a group of the formula: -NR¹⁰R¹¹ (R¹¹ is a lower alkanoyl group or a phenoxy-lower alkanoyl group having optionally 1 to 3 substituents selected from a lower alkyl group and a lower alkoxy group on the phenyl ring), or

when R¹ is a hydrogen atom, R² is a hydrogen atom, an amino group, a mono-lower alkylamino group or a di-lower alkylamino group, or R² and R³ may bind together to form an oxo group, then R⁹ should not be a phenyl group having optionally a substituent selected from a hydroxy group, a lower alkyl group, a lower alkoxy group and a lower alkanoyloxy group on the phenyl ring, or

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when R⁹ is a group of the formula:



5 (n is 1 or 2), and G is a group of the formula:  (R² and R³ are the same

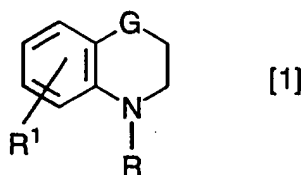
as defined above), then X should not be a methylene group nor a group of the formula: =CH-, or

when one of R¹⁰ and R¹¹ is a hydrogen atom, the other should not be a lower alkyl group,

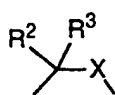
10 or a pharmaceutically acceptable salt thereof.

64. A method for agonizing vasopressin, which comprises administering to a warm-blooded animal including a human being a therapeutically effective amount of a benzoheterocyclic derivative of the following formula [1]:

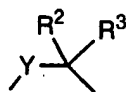
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[1]

wherein G is a group of the formula:  or a group of the formula:

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R¹ is a hydrogen atom, a halogen atom, a lower alkyl group, a lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, an amino-lower alkoxy group having optionally a substituent selected from a lower alkyl group and a lower alkanoyl group, an amino group having optionally a lower alkyl substituent, a carboxy-substituted lower alkoxy group, a lower alkoxy-carbonyl-substituted lower alkoxy group, or an aminocarbonyl-lower alkoxy group

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having optionally a lower alkyl substituent,

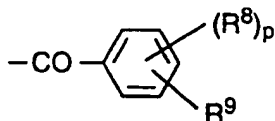
R² is a group of the formula: -NR⁴R⁵ (in which R⁴ and R⁵ are the same or different, and each a hydrogen atom, a lower alkyl group having optionally a hydroxy substituent or a benzoyl group having optionally a halogen substituent on the phenyl ring); a hydrogen atom; a hydroxy group; a lower alkoxy group; a carboxy-substituted lower alkyl group; a cyano-substituted lower alkyl group; a tetrazolyl-substituted lower alkyl group; a lower alkanoyloxy-substituted lower alkyl group; a lower alkoxy-carbonyl-substituted lower alkyl group; an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent; a lower alkoxy-carbonyl-substituted lower alkoxy group; a carboxy-substituted lower alkoxy group; a lower alkanoyl group; or a group of the formula: -(O)_m-A-(CO)_uNR⁶R⁷ (in which m and u are each 0 or 1, but both m and u should not be simultaneously 0, A is a lower alkylene group, R⁶ and R⁷ are the same or different and each a hydrogen atom, a lower alkoxy group, a lower alkyl group, an amino-substituted lower alkyl group having optionally a lower alkyl substituent, a carbamoyl-substituted lower alkyl group, an adamantyl-substituted lower alkyl group, a lower alkylsulfonyl group, or a phenyl group having optionally a halogen substituent, or R⁶ and R⁷ may bind together with the nitrogen atom to which they bond to form a 5- to 7-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, said heterocyclic group being optionally substituted by a lower alkyl group or a phenyl-lower alkyl group),

R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, or R² and R³ may bind together to form an oxo group, a lower alkylidene group, a lower alkoxy-substituted lower alkylidene group, a lower alkoxy-carbonyl-substituted lower alkylidene group, or a phenyl-substituted lower alkylidene group,

R is a pyridylcarbonyl group which may optionally have a substituent selected from a phenyl group having optionally a lower alkyl substituent and a pyridyl group on the pyridine ring; a 9-oxofluorenyl group; a quinolylcarbonyl group having optionally a phenyl substituent on the quinoline ring; an adamantylcarbonyl group; a thienylcarbonyl group having optionally a phenyl substituent on the thiophene ring; a thiazolylcarbonyl group having optionally a

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phenyl substituent on the thiazole ring; a cycloalkylcarbonyl group; or a group of the formula:

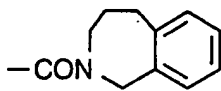


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(in which p is 1 or 2, R^8 is a hydrogen atom, a lower alkyl group, a hydroxy group, an amino group having optionally a lower alkanoyl substituent, a nitro group, a halogen atom or a lower alkoxy group, R^9 is a group of the formula: $-NR^{10}R^{11}$ (in which R^{10} is a hydrogen atom, a lower alkyl group, or a lower alkanoyl group having optionally a halogen substituent, R^{11} is a lower alkyl group, a lower alkanoyl group having optionally a substituent selected from a halogen atom and a hydroxy group, a cycloalkyl group, a phenyl-lower alkyl group having optionally a substituent selected from a lower alkyl group and a halogen atom on the phenyl ring, and having optionally a hydroxy substituent on the alkyl moiety, a phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, a phenoxy-lower alkanoyl group having optionally a substituent selected from a lower alkyl group, a phenyl group, a lower alkoxy group, a halogen-substituted lower alkyl group, an amino group having optionally a lower alkyl substituent, a nitro group, a lower alkanoyl-substituted amino group and a halogen atom on the phenyl ring, and having optionally a halogen substituent on the lower alkanoyl moiety, an amino-carbonyl group having optionally a substituent selected from a lower alkyl group, a pyridyl-lower alkyl group and a phenyl-lower alkyl group, a lower alkoxy-carbonyl group having optionally a halogen substituent, a lower alkoxy-substituted lower alkanoyl group, a lower alkanoyloxy-substituted lower alkanoyl group, a phenoxy-lower alkoxy-carbonyl group, a benzofurylcarbonyl group, a benzimidazolylcarbonyl group, a quinolylcarbonyl group, a quinolyl-oxy-substituted lower alkanoyl group, a phenyl-lower alkoxy-carbonyl group, a

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group of the formula: , a tetrahydroisoquinolylcarbonyl group,

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a benzoyl-lower alkyl group, a tetrahydroquinolyl-oxy-substituted lower alkanoyl

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group having optionally a substituent selected from a lower alkyl group and an oxo group on the quinoline ring, a lower alkylsulfonyl group, a pyridyl-lower alkoxy carbonyl group, a fluorenyl-lower alkoxy carbonyl group, a lower alkenyloxycarbonyl group, a tetrahydronaphthyloxy-substituted lower alkanoyl group, a phenyl-lower alkenyl carbonyl group, a piperidinyl-lower alkoxy-carbonyl group having optionally a substituent selected from a lower alkanoyl group, a lower alkoxy carbonyl group and a lower alkyl group on the piperidine ring, or R¹⁰ and R¹¹ may bind together with the nitrogen atom to which they bond to form an isoindoline ring); a hydrogen atom; a lower alkanoyloxy group; a lower alkanoyl group; a lower alkoxy group; a benzoyl group having optionally a lower alkyl substituent on the phenyl ring; a cycloalkyl group; a lower alkyl group; a lower alkylthio group; a phenyl-lower alkanoyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl group having optionally a substituent selected from a lower alkyl group, a lower alkoxy group, a phenyl-lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, a halogen-substituted lower alkoxy group, a nitro group, an amino group having optionally a lower alkanoyl substituent, a phenyl group, and an amino-substituted lower alkoxy group having optionally a lower alkyl substituent; a phenoxy group; a phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; an anilino-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkoxy group having optionally a substituent selected from a halogen atom, a lower alkoxy carbonyl group and an aminocarbonyl group having optionally a substituent selected from a lower alkyl group and an amino-substituted lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a benzoyl-lower alkoxy group having optionally a halogen substituent on the phenyl ring; a phenyl-lower alkenyl group having optionally a halogen substituent on the phenyl ring; a benzoyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a pyrrolidinyl-substituted lower alkoxy group; a saturated or unsaturated 5- to 11-membered heteromonocyclic or heterobicyclic group having 1 to 4 heteroatoms selected from a nitrogen atom, an oxygen atom and a sulfur atom, and said heterocyclic

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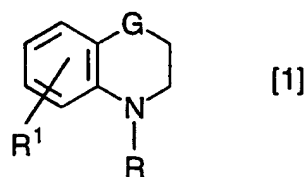
group being optionally substituted by 1 to 3 groups selected from a lower alkyl group, a phenyl group, a lower alkanoyl group, a halogen atom, a phenyl-lower alkyl group and an oxo group; a cycloalkenyl group; a phenyl-lower alkylamino-carbonyl group; an aminosulfonyloxy group having optionally a lower alkyl substituent; a cyano group; or a group of the formula: $-(A)_m-CHR^{12}R^{13}$ (in which A is the same as defined above, R^{12} is a hydrogen atom, a hydroxy group or a lower alkanoyloxy group, R^{13} is a phenyl group having optionally a lower alkyl substituent or a phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, and m is 0 or 1)),

10 X is a methylene group, a single bond, a group of the formula: $=CH-$ or a group of the formula: $-NR^{14}-$ (in which R^{14} is a hydrogen atom, a lower alkyl group or a lower alkanoyl group),

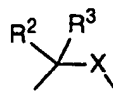
Y is a group of the formula: $-NRA$ (in which R^A is a hydrogen atom, a lower alkoxy-carbonyl-substituted lower alkyl group, a carboxy-substituted lower alkyl group or a group of the formula: $-ACONR^B R^C$ (in which R^B and R^C are the same or different and each a hydrogen atom or a lower alkyl group, or R^B and R^C may bind together with the nitrogen atom to which they bond to form a 5- to 7-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, and said heterocyclic group optionally being substituted by a lower alkyl group)), or a pharmaceutically acceptable salt thereof.

65. A process for preparing a benzoheterocyclic derivative of the following formula [1]:

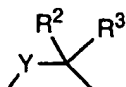
25



wherein G is a group of the formula:



or a group of the formula:



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R¹ is a hydrogen atom, a halogen atom, a lower alkyl group, a lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, an amino-lower alkoxy group having optionally a substituent selected from a lower alkyl group and a lower alkanoyl group, an amino group having optionally a lower alkyl substituent, a carboxy-substituted lower alkoxy group, a lower alkoxycarbonyl-substituted lower alkoxy group, or an aminocarbonyl-lower alkoxy group having optionally a lower alkyl substituent,

R² is a group of the formula: -NR⁴R⁵ (in which R⁴ and R⁵ are the same or different, and each a hydrogen atom, a lower alkyl group having optionally a hydroxy substituent or a benzoyl group having optionally a halogen substituent on the phenyl ring); a hydrogen atom; a hydroxy group; a lower alkoxy group; a carboxy-substituted lower alkyl group; a cyano-substituted lower alkyl group; a tetrazolyl-substituted lower alkyl group; a lower alkanoyloxy-substituted lower alkyl group; a lower alkoxycarbonyl-substituted lower alkyl group; an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent; a lower alkoxycarbonyl-substituted lower alkoxy group; a carboxy-substituted lower alkoxy group; a lower alkanoyl group; or a group of the formula: -(O)_m-A-(CO)_uNR⁶R⁷ (in which m and u are each 0 or 1, but both m and u should not be simultaneously 0, A is a lower alkylene group, R⁶ and R⁷ are the same or different and each a hydrogen atom, a lower alkoxy group, a lower alkyl group, an amino-substituted lower alkyl group having optionally a lower alkyl substituent, a carbamoyl-substituted lower alkyl group, an adamantyl-substituted lower alkyl group, a lower alkylsulfonyl group, or a phenyl group having optionally a halogen substituent, or R⁶ and R⁷ may bind together with the nitrogen atom to which they bond to form a 5- to 7-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, said heterocyclic group being optionally substituted by a lower alkyl group or a phenyl-lower alkyl group),

R³ is a hydrogen atom or a hydroxy-substituted lower alkyl group, or R² and R³ may bind together to form an oxo group, a lower alkylidene group, a lower alkoxy-substituted lower alkylidene group, a lower alkoxycarbonyl-substituted lower alkylidene group, or a phenyl-substituted lower alkylidene group,

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R is a pyridylcarbonyl group which may optionally have a substituent selected from a phenyl group having optionally a lower alkyl substituent and a pyridyl group on the pyridine ring; a 9-oxofluorenyl group; a quinolylylcarbonyl group having optionally a phenyl substituent on the quinoline ring; an
 5 adamantylcarbonyl group; a thienylcarbonyl group having optionally a phenyl substituent on the thiophene ring; a thiazolylylcarbonyl group having optionally a phenyl substituent on the thiazole ring; a cycloalkylcarbonyl group; or a group of the formula:

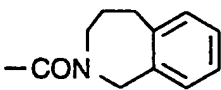


(in which p is 1 or 2, R^8 is a hydrogen atom, a lower alkyl group, a hydroxy group, an amino group having optionally a lower alkanoyl substituent, a nitro group, a halogen atom or a lower alkoxy group, R^9 is a group of the formula:

15 $-NR^{10}R^{11}$ (in which R^{10} is a hydrogen atom, a lower alkyl group, or a lower alkanoyl group having optionally a halogen substituent, R^{11} is a lower alkyl group, a lower alkanoyl group having optionally a substituent selected from a halogen atom and a hydroxy group, a cycloalkyl group, a phenyl-lower alkyl group having optionally a substituent selected from a lower alkyl group and a
 20 halogen atom on the phenyl ring, and having optionally a hydroxy substituent on the alkyl moiety, a phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring, a phenoxy-lower alkanoyl group having optionally a substituent selected from a lower alkyl group, a phenyl group, a lower alkoxy group, a halogen-substituted lower alkyl group, an amino group
 25 having optionally a lower alkyl substituent, a nitro group, a lower alkanoyl-substituted amino group and a halogen atom on the phenyl ring, and having optionally a halogen substituent on the lower alkanoyl moiety, an amino-carbonyl group having optionally a substituent selected from a lower alkyl group, a pyridyl-lower alkyl group and a phenyl-lower alkyl group, a lower
 30 alkoxy carbonyl group having optionally a halogen substituent, a lower alkoxy-substituted lower alkanoyl group, a lower alkanoyloxy-substituted lower alkanoyl group, a phenoxy-lower alkoxy carbonyl group, a benzofurylcarbonyl group, a benzimidazolylcarbonyl group, a quinolylylcarbonyl group, a quinoly-

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oxy-substituted lower alkanoyl group, a phenyl-lower alkoxycarbonyl group, a

group of the formula: , a tetrahydroisoquinolinylcarbonyl group,

a benzoyl-lower alkyl group, a tetrahydroquinolyloxy-substituted lower alkanoyl group having optionally a substituent selected from a lower alkyl group and an

5 oxo group on the quinoline ring, a lower alkylsulfonyl group, a pyridyl-lower alkoxycarbonyl group, a fluorenyl-lower alkoxycarbonyl group, a lower alkenyloxycarbonyl group, a tetrahydronaphthyloxy-substituted lower alkanoyl group, a phenyl-lower alkenylcarbonyl group, a piperidinyllower alkoxy-

10 carbonyl group having optionally a substituent selected from a lower alkanoyl group, a lower alkoxycarbonyl group and a lower alkyl group on the piperidine ring, or R¹⁰ and R¹¹ may bind together with the nitrogen atom to which they bond to form an isoindoline ring); a hydrogen atom; a lower alkanoyloxy group; a lower alkanoyl group; a lower alkoxy group; a benzoyl group having optionally a lower alkyl substituent on the phenyl ring; a cycloalkyl group; a

15 lower alkyl group; a lower alkylthio group; a phenyl-lower alkanoyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl group having optionally a substituent selected from a lower alkyl group, a lower alkoxy group, a phenyl-lower alkoxy group, a hydroxy group, a lower alkanoyloxy group, a halogen-substituted lower alkoxy group, a nitro group, an

20 amino group having optionally a lower alkanoyl substituent, a phenyl group, and an amino-substituted lower alkoxy group having optionally a lower alkyl substituent; a phenoxy group; a phenoxy-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; an anilino-lower alkyl

25 group having optionally a lower alkyl substituent on the phenyl ring; a phenyl-lower alkoxy group having optionally a substituent selected from a halogen atom, a lower alkoxycarbonyl group and an aminocarbonyl group having optionally a substituent selected from a lower alkyl group and an amino-

30 substituted lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a benzoyl-lower alkoxy group having optionally a halogen

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substituent on the phenyl ring; a phenyl-lower alkenyl group having optionally a halogen substituent on the phenyl ring; a benzoyl-lower alkyl group having optionally a lower alkyl substituent on the phenyl ring; a pyrrolidinyl-substituted lower alkoxy group; a saturated or unsaturated 5- to 11-membered

5 heteromonocyclic or heterobicyclic group having 1 to 4 heteroatoms selected from a nitrogen atom, an oxygen atom and a sulfur atom, and said heterocyclic group being optionally substituted by 1 to 3 groups selected from a lower alkyl group, a phenyl group, a lower alkanoyl group, a halogen atom, a phenyl-lower alkyl group and an oxo group; a cycloalkenyl group; a phenyl-lower alkylamino-

10 carbonyl group; an aminosulfonyloxy group having optionally a lower alkyl substituent; a cyano group; or a group of the formula: $-(A)_m-CHR^{12}R^{13}$ (in which A is the same as defined above, R^{12} is a hydrogen atom, a hydroxy group or a lower alkanoyloxy group, R^{13} is a phenyl group having optionally a lower alkyl substituent or a phenyl-lower alkyl group having optionally a lower

15 alkyl substituent on the phenyl ring, and m is 0 or 1)),

X is a methylene group, a single bond, a group of the formula: $=CH-$ or a group of the formula: $-NR^{14}-$ (in which R^{14} is a hydrogen atom, a lower alkyl group or a lower alkanoyl group),

Y is a group of the formula: $-NRA$ (in which RA is a hydrogen atom, a lower

20 alkoxy-carbonyl-substituted lower alkyl group, a carboxy-substituted lower alkyl group or a group of the formula: $-ACONR^BR^C$ (in which R^B and R^C are the same or different and each a hydrogen atom or a lower alkyl group, or R^B and R^C may bind together with the nitrogen atom to which they bond to form a 5- to 7-

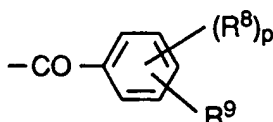
25 membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, and said heterocyclic group optionally being substituted by a lower alkyl group)),

provided that when R^2 is a group of the formula: $-NR^4R^5$ (in which R^4 and R^5 are the same or different and each a hydrogen atom, a lower alkyl group or a benzoyl group), a hydrogen atom, a hydroxy group, a lower alkoxy-carbonyl-

30 substituted lower alkoxy group, a carboxy-substituted lower alkoxy group, a lower alkoxy group, a lower alkanoyloxy-substituted lower alkyl group, a group of the formula: $-(O)_m-A-(CO)_uNR^6R^7$ (m and u are the same as defined above, R^6 and R^7 are the same or different and each a hydrogen atom or a lower alkyl

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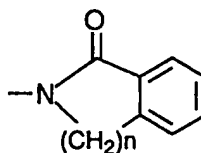
group, or R^6 and R^7 may bind together with the nitrogen atom to which they bond to form a 5- to 6-membered saturated heterocyclic group with or without being intervened with another nitrogen atom or an oxygen atom, and said heterocyclic group optionally being substituted by a lower alkyl group), or an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent; or R^2 and R^3 may bind together to form an oxo group or a lower alkylidene group; and when R is a group of the formula:



and R^8 in said group is a hydrogen atom, a lower alkyl group, a hydroxy group, a halogen atom, a lower alkoxy group or an amino group, then R^9 should not be a hydrogen atom, a phenyl-lower alkoxy carbonyl group, nor a group of the formula: $-\text{NR}^{10}\text{R}^{11}$ (R^{11} is a lower alkanoyl group or a phenoxy-lower alkanoyl group having optionally 1 to 3 substituents selected from a lower alkyl group and a lower alkoxy group on the phenyl ring), or

when R^1 is a hydrogen atom, R^2 is a hydrogen atom, an amino group, a mono-lower alkylamino group or a di-lower alkylamino group, or R^2 and R^3 may bind together to form an oxo group, then R^9 should not be a phenyl group having optionally a substituent selected from a hydroxy group, a lower alkyl group, a lower alkoxy group and a lower alkanoyloxy group on the phenyl ring, or

when R^9 is a group of the formula:



(n is 1 or 2), and G is a group of the formula: (R^2 and R^3 are the same as defined above), then X should not be a methylene group nor a group of the formula: $=\text{CH}-$, or

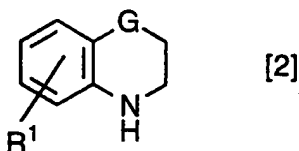
when one of R^{10} and R^{11} is a hydrogen atom, the other should not be a

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lower alkyl group, or

when R^1 and R^2 are simultaneously a hydrogen atom, then R should not be unsubstituted pyridylcarbonyl group, unsubstituted thienylcarbonyl group, unsubstituted thiazolylcarbonyl group, nor a cycloalkylcarbonyl group, or

- 5 when R^3 is a hydrogen atom, R^2 is a hydrogen atom, an amino-substituted lower alkanoyloxy group having optionally a lower alkyl substituent, a lower alkoxy carbonyl-substituted lower alkoxy group, a hydroxy group, a lower alkoxy group, a group of the formula: $-(O)_m-A-(CO)_uNR^6R^7$ (in which R^6 and R^7 are the same or different and each a hydrogen atom or a lower alkyl group, m is 1, u is 0 or 1) or a group of the formula: $-NR^4R^5$ (in which R^4 and R^5 are the same or different and each a hydrogen atom or a lower alkyl group), or R^2 and R^3 may bind together to form an oxo group or a lower alkylidene group, then R^9 should not be a group of the formula: $-NR^{10}R^{11}$ (in which R^{10} is a lower alkyl group, R^{11} is a lower alkyl group), a hydrogen atom, a lower alkyl group, a lower alkoxy group nor a lower alkyl group, which comprises reacting
- 10 a benzoheterocyclic compound of the formula [2]:
- 15



20

wherein R^1 and G are the same as defined above, with a carboxylic acid compound of the formula [3]:



- wherein R is the same as defined above, by a conventional amido bond producing reaction.
- 25

INTERNATIONAL SEARCH REPORT

International Application No
PCT/JP 95/01124

A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 C07D223/16 C07D243/12 C07D243/14 C07D215/02 A61K31/55
A61K31/47 C07D401/00 C07D403/00 C07D417/00 C07D405/00
C07D409/00 C07D413/00

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO,A,91 05549 (OTSUKA PHARMACEUTICAL COMPANY, LIMITED) 2 May 1991 cited in the application see the whole document ---	1-65
X	WO,A,94 08582 (OTSUKA PHARMACEUTICAL CO., LTD.) 28 April 1994 cited in the application	1-65
P,X	& EP,A,0 620 003 (OTSUKA PHARMACEUTICAL CO., LTD.) 19 October 1994 cited in the application see the whole EP document ---	1-65
X	WO,A,94 04525 (OTSUKA PHARMACEUTICAL COMPANY, LIMITED) 3 March 1994 see the whole document ---	1-65
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☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- "&" document member of the same patent family

Date of the actual completion of the international search

12 September 1995

Date of mailing of the international search report

22 -09- 1995

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Fax (+31-70) 340-3016

Authorized officer

Allard, M

INTERNATIONAL SEARCH REPORT

Int ional Application No
PCT/JP 95/01124

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	<p>BERICHTE DER DEUTSCHEN CHEMISCHEN GESELLSCHAFT, vol.61, 1928, BERLIN DE pages 2371 - 2381 H. WIELAND ET AL. 'Über den roten Chilonin-Farbstoff von Besthorn' see page 2373, formula VI and pages 2376, 2377</p> <p>-----</p>	1,3,9

INTERNATIONAL SEARCH REPORT

International application No.

PCT/JP 95/01124

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This international search report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
Remark : Although claims 62-64 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compounds/compositions.
2. ☐ Claims Nos.:
because they relate to parts of the international application that do not comply with the prescribed requirements to such an extent that no meaningful international search can be carried out, specifically:
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this international search report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this international search report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this international search report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/JP 95/01124

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO-A-9105549	02-05-91	AU-B- 630284	22-10-92
		AU-A- 7291791	19-12-91
		EP-A- 0450097	09-10-91
		US-A- 5258510	02-11-93
		CN-A, B 1051038	01-05-91
		JP-A- 4154765	27-05-92

WO-A-9408582	28-04-94	AU-B- 5161493	09-05-94
		CA-A- 2124696	28-04-94
		CN-A- 1098716	15-02-95
		EP-A- 0620003	19-10-94
		JP-A- 6211800	02-08-94

EP-A-0620003	19-10-94	AU-B- 5161493	09-05-94
		CA-A- 2124696	28-04-94
		CN-A- 1098716	15-02-95
		WO-A- 9408582	28-04-94
		JP-A- 6211800	02-08-94

WO-A-9404525	03-03-94	AU-B- 657431	09-03-95
		AU-B- 4586593	15-03-94
		CN-A- 1090576	10-08-94
		EP-A- 0612319	31-08-94
		JP-A- 6172317	21-06-94
